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- 7-Substituierte-9-substituierte Amino-6-Demethyl-6-Deoxy-Tetracycline

(54) Novel 7-substituted-9-substituted amino-6-demethyl-6-deoxytetracyclines

- 6-Deméthyl-6-déoxy-tétracyclines substituées en 7 et substituées en 9 par un groupe amino substitué
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- (56) References cited: US-A- 3 338 963
- US-A- 3 579 579
- JOURNAL OF MEDICINAL CHEMISTRY vol. 6, no. 4, 9 July 1963, WASHINGTON US pages 405 - 407 JOHN L. SPENCER ET AL '6-deoxytetracyclines.V 7,9-disubstituted products'

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Description

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[0001] The invention relates to novel [4S-(4,12a\omega)]-4-(dimethylamino)-7-(substituted)-9-(substituted amino)-1,4,4a, 5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamides hereinafter called 7-(substituted)-9-(substituted amino)-6-demethyl-6-deoxytetracyclines, which exhibit antibiotic activity against a wide spectrum of organisms including organisms which are resistant to tetracyclines and are useful as antibiotic agents. The invention also relates to novel 7-(substituted)-9-(substituted amino)-6-demethyl-6-deoxytetracycline intermediates useful for making the novel compounds of the present invention and to novel methods for producing the novel compounds and intermediate compounds.

DESCRIPTION OF THE PRIOR ART

[0002] A variety of tetracycline antibiotics have been synthesized and described for the treatment of infectious diseases in man and animals since 1947. Tetracyclines inhibit protein synthesis by binding to the 30S subunit of the bacterial ribosome preventing binding of aminoacyl RNA (Chopra, Handbook of Experimental Pharmacology, Vol. 78, 317-392, Springer-Verlag, 1985). Resistance to tetracyclines has emerged among many clinically important microorganisms which limit the utility of these antibiotics. There are two major mechanisms of bacterial resistance to tetracyclines: a) energy-dependent efflux of the antibiotic mediated by proteins located in the cytoplasmic membrane which prevents intracellular accumulation of tetracycline (S. B. Levy, et al., Antimicrob. Agents Chemotherapy 33, 1373-1374 (1989); and b) ribosomal protection mediated by a cytoplasmic protein which interacts with the ribosome such that tetracycline no longer binds or inhibits protein synthesis (A. A. Salyers, B. S. Speers and N. B. Shoemaker, Mol. Microbiol, 4:151-156, 1990). The efflux mechanism of resistance is encoded by resistance determinants designated tetAtetL. They are common in many Gram-negative bacteria (resistance genes Class A-E), such as Enterobacteriaceae, Pseudomonas, Haemophilus and Aeromonas, and in Gram-positive bacteria (resistance genes Class K and L), such as Staphylococcus, Bacillus and Streptococcus. The ribosomal protection mechanism of resistance is encoded by resistance determinants designated TetM, N and O, and is common in Staphylococcus, Streptococcus, Campylobacter, Gardnerella, Haemophilus and Mycoplasma (A. A. Salyers, B. S. Speers and N. B. Shoemaker, Mol. Microbiol, 4: 151-156 1990).

[0003] A particularly useful tetracycline compound is 7-(dimethylamino)-6-demethyl-6-deoxytetracycline, known as minocycline (see U.S. 3,148,212, RE 26,253 and 3,226,436 discussed below). However, strains harboring the tetB (efflux in gram-negative bacteria) mechanism, but not tetK (efflux in Staphylococcus) are resistant to minocycline. Also, strains carrying tetM (ribosomal protection) are resistant to minocycline. This invention describes the synthesis of novel tetracycline compounds which demonstrate significant in vitro and in vivo activity vs. tetracycline and minocycline susceptible strains and some tetracycline and minocycline resistant strains, that is, those harboring the tetM (ribosomal protection) resistance determinants.

[0004] Duggar, U.S. Patent No. 2,482,055, discloses the preparation of Aureomycin® (I) by fermentation which have antibacterial activity. Growich et al., U.S. Patent No. 3,007,965, disclose improvements to the fermentation preparation of I. Neither of these patents teaches or suggests the 6-demethyl-6-deoxytetracyclines.

Beereboom et al., U.S. Patent No. 3,043,875 discloses tetracycline derivatives of the formulae (II) and (III) where R is H or CH_3 ; R_1 is H and when R is CH_3 , OH; R_2 is H and $N(CH_3)_2$; X and Y are halogen; Z is H and halogen and B is bromo, chloro and iodo, which have antibacterial activity. This patent does not teach or suggest the inclusion of both di(lower alkyl)amino or mono(lower alkyl)amino substituents (at Y or Z) and an amino function (at B).

Boothe et al., U. S. Patent No. 3,148,212, reissued as RE26,253, and Petisi et al., U.S. Patent No. 3,226,436, discloses tetracycline derivatives of the formula (IV) wherein R is hydrogen or methyl and R_1 and R_2 is hydrogen, mono(lower alkyl)amino or di(lower alkyl)amino with the proviso that R_1 and R_2 cannot both be hydrogen, which are useful for treating bacterial infections. This patent does not teach or suggest the inclusion of a 9-amino functionality (at R_2).

Blackwood et al., U.S. Patent No. 3,200,149 discloses tetracycline derivatives of the formulae (V) and (VI) and reduction products thereof wherein Y may be hydrogen or hydroxyl, X may be hydrogen, chloro, iodo, or bromo, X_1 may be hydrogen, amino, and lower alkanoylamino, X_2 may be hydrogen or nitro and Z is chloro or fluoro which possess microbiological activity. This patent does not teach or suggest the inclusion of both a di(lower alkyl)amino group (at X) and another nitrogen functionality (at X_1) on the 6-demethyl-6-deoxytetracycline nucleus.

Petisi et al., U.S. Patent No. 3,338,963 discloses tetracycline compounds of the formula (VII) wherein R_1 and R_2 are hydrogen, nitro, amino, formylamino, acetylamino, p-(dihydroxyboryl)benzoylamino, p-(aminobenzenesulfonyl)amino, chlorine, bromine or diazonium with the proviso that R_1 and R_2 may not both be hydrogen and with the further proviso that when R_1 is chlorine or bromine, R_2 may not be hydrogen and vice versa, R_3 is hydrogen or methyl and R_4 is hydrogen or hydroxy, which have broad-spectrum antibacterial activity. This patent does not teach or suggest the inclusion of both di(lower alkyl)amino or mono(lower alkyl)amino substituents (at R_1) and amino substituents (at R_2).

Bitha et al., U.S. Patent No. 3,341,585 discloses tetracycline compounds of the formula (VIII) wherein R_5 is hydrogen, α -hydroxy or β -hydroxy, R_6 is α -methyl or β -methyl, and R_7 and R_9 are each hydrogen, mono(lower alkyl)amino or di (lower alkyl)amino with the proviso that R_7 and R_9 cannot both be hydrogen and with the further proviso that when R_5 is hydrogen then R_6 is α -methyl. A preferred embodiment of the general formula (VIII) is when R_5 is α -hydroxy or β -hydroxy, R_6 is α -methyl or β -methyl, R_7 is di(lower alkyl)amino and R_9 is hydrogen, which have broad-spectrum anti-bacterial activity. This patent does not teach or suggest the inclusion of both di(lower alkyl)amino or mono(lower alkyl) amino substituents (at R_7) and amino substituents (at R_9).

Shu, U.S. Patent No. 3,360,557 discloses 9-hydroxytetracyclines of the formula (IX) wherein R_1 is hydrogen or hydroxy, R_2 is hydrogen or hydroxy, R_3 is hydrogen or methyl, R_2 and R_3 taken together is methylene, and R_4 is hydrogen, halogen, nitro, amino, mono(lower alkyl)amino or di(lower alkyl)amino, which have been found to possess antibacterial activity. This patent is restricted to 9-hydroxytetracyclines and does not teach or suggest the presently claimed compounds.

Zambrano, U.S. Patent No. 3,360,561 discloses a process for preparing 9-nitrotetracyclines of the formula (X) wherein R₅ is hydrogen or hydroxy, R₁ is hydrogen or hydroxy, R₆ is hydrogen or methyl, R₁ and R₆ taken together is methylene, R₇ is hydrogen, chloro or nitro and R₉ is hydrogen or nitro with the proviso that R₇ and R₉ cannot both be hydrogen. This patent does not teach or suggest the inclusion of both a di(lower alkyl)amino or mono(lower alkyl)amino substituent (at R₇) and an amino functionality (at R₉).

Martell et al., U.S. Patent No. 3,518,306 discloses 7-and/or 9-(N-nitrosoalkylamino)-6-demethyl-6-deoxytetracyclines of the formula (XI) which possess in vivo antibacterial activity. This patent does not teach or suggest the inclusion of both a di(lower alkyl)amino or mono(lower alkyl)amino substituent (at C-7) and an amino functionality (at C-9).

[0005] In U.S. 5,021,407, a method of overcoming the resistance of tetracycline resistant bacteria is disclosed. The method involves utilizing a blocking agent compound in conjunction with a tetracycline type antibiotic. This patent does not disclose novel tetracycline compounds which themselves have activity against resistant organisms.

[0006] In summary, none of the above patents teach or suggest the novel compounds of this application. In addition, none of the above patents teach or suggest novel tetracycline compounds having activity against tetracycline and minocycline resistant strains as well as strains which are normally susceptible to tetracyclines.

SUMMARY OF THE INVENTION

[0007] This invention is concerned with novel 7-(substituted)-9-(substituted amino)-6-demethyl-6-deoxytetracy-clines, represented by formula I and II, which have antibacterial activity; with method of treating infectious diseases in warm blooded animals employing these new compound; with methods of treating or controlling veterinary diseases; with pharmaceutical preparations containing these compounds; with novel intermediate compounds and processes for the production of these compounds. More particularly, this invention is concerned with compounds of formula I and II which have enhanced in vitro and in vivo antibiotic activity against tetracycline resistant strains as well as a high level of activity against strains which are normally susceptible to tetracyclines.

[0008] In formula I and II, X is selected from amino, NR¹R², or halogen; the halogen is selected from bromine, chlorine, fluorine or iodine;

and when $X = NR^1R^2$ and $R^1 = hydrogen$,

R² = methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; and when R¹ = methyl or ethyl,

 R^2 = methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl or 2-methylpropyl; and when R^1 = n-propyl,

R² = n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl or 2-methylpropyl;

20 and when R1 = 1-methylethyl,

 R^2 = n-butyl, 1-methylpropyl or 2-methylpropyl;

and when R1 = n-butyl,

 R^2 = n-butyl, 1-methylpropyl or 2-methylpropyl;

and when $R^1 = 1$ -methylpropyl,

 $R^2 = 2$ -methylpropyl;

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R is selected from $R^4(CH_2)_nCO$ - or $R^4(CH_2)_nSO_2$ -;

and when $R = R^4(CH_2)_nCO$ - and n=0,

R⁴ is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₄)alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C₃-C₆)cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C_3-C_6) cycloalkyl group (substitution selected from (C_1-C_6)) C_3) alkyl, cyano, amino or (C_1 - C_3) acyl); (C_6 - C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄) alkoxycarbonyl, (C₁-C₂)alkylamino or carboxy); (C₇-C₂)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; α -amino-(C₁-C₄)alkyl group selected from aminomethyl, α -aminoethyl, α -aminopropyl or α -aminobutyl; carboxy(C₂-C₄)-alkylamino group selected from aminoacetic acid, α-aminobutyric acid or α-aminopropionic acid and their optical isomers; (C₇-C₉)aralkylamino group such as phenylglycyl; (C₁-C₄)alkoxycarbonylamino substituted (C₁-C₄)alkyl group, substitution selected from phenyl or p-hydroxyphenyl; α-hydroxy(C₁-C₃)alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; α -mercapto(C_1 - C_3)alkyl group selected from mercaptomethyl, α -mercaptoethyl, α -mercapto-1-methylethyl or α -mercaptopropyl; halo(C₁-C₃)alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, thyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-bromoethyl or 2-iodoethyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

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Z = N.O.S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl,

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

 Z^1 or Z^1

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Z or $Z^1 = N$. O. S or Se

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl; 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C_1-C_3) alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C_3-C_6) cycloalkylcarbonyl such as cyclopropylcarbonyl, cyclobutylcarbonyl, cyclopentylcarbonyl, (2,3-dimethylcyclopropyl)carbonyl, (1,2-dimethylcyclopropyl)carbonyl, (2-ethylcyclopropyl)carbonyl, (2-ethylcyclopropyl)carbonyl, (2-ethylcyclopropyl)carbonyl, (2-ethylcyclopropyl)carbonyl, (2-ethylcyclopropyl)carbonyl, (2-ethylcyclopropyl)carbonyl, as pentafluorobenzoyl, 4-chlorobenzoyl, 3-bromobenzoyl or 3,4-difluorobenzoyl, (C_1-C_4) alkylbenzoyl such as 4-toluoyl, 2-toluoyl or 4-(1-methylethyl)benzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

or Z

Z = N. O. S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl,

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused

 $\begin{array}{c} Z^1 \\ Z \end{array} \qquad \text{or} \qquad \begin{array}{c} Z^1 \\ Z \end{array}$

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such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)-alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, 0, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C_1-C_3) alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; (C_1-C_4) alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxylcarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C_1-C_3) alkyl group, halogen, (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-bromoethyl or 2-iodoethyl, a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

Z - N, O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzo-

furanyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl];

(C₁-C₄)alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy, n-butoxy or tert-butoxy; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C1-C4)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₇-C₁₀)aralkyloxy group such as benzyloxy, 1-phenylethyloxy or 2-phenylethyloxy; vinyloxy or substituted vinyloxy group (substitution selected from (C1-C4)-alkyl, cyano, carboxy, or (C6-C10) aryl selected from phenyl, α-naphthyl or β-naphthyl); R^aR^b amino(C_1-C_4)-alkoxy group, wherein R^aR^b is a straight or branched (C_1-C_4)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl or RaPb is (CH2)n, n=2-6, or -(CH2)2W(CH2)2- wherein W is selected from -N(C1-C3)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or RaRbaminoxy group, wherein RaRb is a straight or $branched \ (C_1-C_4) alkyl \ selected \ from \ methyl, \ ethyl, \ n-propyl, \ 1-methylethyl, \ n-butyl, \ 1-methylpropyl, \ or \ 2-methylpropyl, \ n-butyl, \ n-but$ or RaRb is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S;

and when $R = R^4(CH_2)_nCO$ - and n=1-4,

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R4 is selected from hydrogen; amino; straight or branched (C1-C4)alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C3-C6)cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C_3-C_6) cycloalkyl group (substitution selected from (C_1-C_6)) C_3) alkyl, cyano, amino or (C_1 - C_3) acyl); (C_6 - C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄) alkoxycarbonyl, (C1-C3)alkylamino or carboxy); (C7-C9)aralkyl group such as benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; acyloxy or haloacyloxy group, selected from acetyloxy, propionyloxy, chloroacetyloxy, trichloroacetyloxy, (C_3-C_6) cycloalkylcarbonyloxy, (C_6-C_{10}) aroyloxy selected from benzoyloxy or naphthoyloxy, halo substituted (C_6-C_{10}) aroyloxy such as pentafluorobenzoyloxy, 4-chlorobenzoyloxy, 3-bromobenzoyloxy or 3,4-difluorobenzoyloxy, (C1-C4) alkylbenzoyloxy such as 4-toluoyloxy, 2-toluoyloxy or 4-(1-methylethyl)benzoyloxy, (heterocycle)carbonyloxy, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl,

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3Himidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

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10 (A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from $halo, (C_1-C_4)alkoxy, \ trihalo(C_1-C_3)alkyl, \ nitro, \ amino, \ cyano, \ (C_1-C_4)-alkoxycarbonyl, \ (C_1-C_3)alkylamino \ or \ carboxy);$ (C7-C9)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)-alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; (C1-C4) alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy,n-butoxy or tert-butoxy; C6-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di (C_1-C_3) alkylamino); (C_7-C_{10}) aralkyloxy group such as benzyloxy, 1-phenylethyloxy or 2-phenylethyloxy; (C_1-C_3) alkylthio group selected from methylthio, ethylthio, propylthio or allylthio; C_6 -arylthio group selected from phenylthio or sub $stituted \, phenylthio \, (substitution \, selected \, from \, halo, \, (C_1-C_4) alkyl, \, nitro, \, cyano, \, thiol, \, amino, \, carboxy, \, di(C_1-C_3) alkylamino, \, cyano, \, thiol, \, amino, \, carboxy, \, di(C_1-C_3) alkylamino, \, cyano, \, thiol, \, amino, \, carboxy, \, di(C_1-C_3) alkylamino, \, cyano, \, thiol, \, amino, \, carboxy, \, di(C_1-C_3) alkylamino, \, cyano, \, thiol, \, amino, \, cyano, \, thiol, \, th$ no); C6-arylsulfonyl group selected from phenylsulfonyl or substituted phenylsulfonyl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₈) 25 aralkylthio group such as benzylthio, 1-phenylethylthio or 2-phenylethylthio; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O,S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms

and an adjacent appended O heteroatom:

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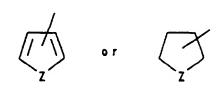
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(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)-alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ-butyrolactam, γ-butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O,S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)-alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; hydroxy group; mercapto group; mono- or di-straight or branched chain (C1-C6)alkylamino group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl, 1,1-dimethylethyl, n-pentyl, 2-methylbutyl, 1,1-dimethylpropyl, 2,2-dimethylpropyl, 3-methylbutyl, n-hexyl, 1-methylpentyl, 1,1-dimethylbutyl, 2,2-dimethylbutyl, 3-methylpentyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl or 1-methyl-l-ethylpropylamino; (C2-C5)azacycloalkyl group such as aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl, morpholinyl or 2-methylpyrrolidinyl; carboxy(C2-C4)alkylamino group selected from aminoacetic acid, α -aminopropionic acid, α -aminobutyric acid and their optical isomers; α -hydroxy(C₁-C₃)alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; halo(C₁-C₃)alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl thyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoromethyl, 2-bromoethyl or 2-iodoethyl; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C_3-C_6) cycloalkylcarbonyl, (C_6-C_{10}) -aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl such as pentafluorobenzoyl, 4-chlorobenzoyl, 3-bromobenzoyl, 3,4-difluorobenzoyl, (C₁-C₄)alkylbenzoyl such as 4-toluoyl, 2-toluoyl or 4-(1-methylethyl)benzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



7 = N. D. Sor Sa

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl,benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

$$Z or Z^1 - N, O, S or S$$

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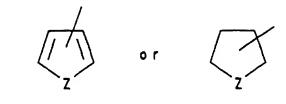
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such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

25 (A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)
such as **hutvrolactam** shutvrolactam** sh

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C_1-C_3) -alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; (C_1-C_4) alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonyl, ethoxycarbonyl, straight or propoxycarbonylamino; (C_1-C_4) alkoxycarbonyl group selected from methoxycarbonyl; RaRbamino (C_1-C_4) alkoxy group, wherein RaRb is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or RaRb is $(CH_2)_n$, n=2-6, or $(CH_2)_2$ W(CH₂)₂-wherein W is selected from (C_1-C_3) alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) alkyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or RaRb is $(CH_2)_n$, n=2-6, or $(CH_2)_2$ W(CH₂)₂-wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) alkyl], O or S; and when R = Ra^4 (CH₂)_nSO₂- and n = 0,

R^{4'} is selected from amino; monosubstituted amino selected from straight or branched (C_1 - C_6)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1 - C_4)alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C_3 - C_6)cycloalkyl group selected from cyclopropyl, cyclopentyl or cyclohexyl; substituted (C_3 - C_6)cycloalkyl group (substitution selected from (C_1 - C_3)alkyl, cyano, amino or (C_1 - C_3)acyl); (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6 - C_{10})aryl group (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4) alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group such as benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; halo(C_1 - C_3)alkyl group such as bromomethyl, fluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2-trifluoroethyl, 2-chloroethyl, 2,2-dichloroethyl, 2,2-trichloroethyl, 2-bromoethyl or 2-iodoethyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N. O. Sor Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

$$Z$$
 or $Z^1 = N$, Q , S or Se

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such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)-alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C_1-C_3) alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; R^aR^b amino (C_1-C_4) alkoxy group, wherein R^aR^b is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)$ alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) alkyl, -P0 or S; or -P1 or -P2 or -P3 or -P4 or -P3 or -P4 wherein W is selected from -P4 or -P4 wherein W is selected from -P4 or -P5 or -P6 or -P7 wherein W is selected from -P8 or -P9 and -P9 wherein W is selected from -P9 and -P9 a

 $R^{4'}$ is selected from hydrogen; amino; straight or branched (C_1 - C_4)alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C_1 - C_4)carboxyalkyl group; (C_3 - C_6)cy-

cloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C3-C6)cycloalkyl group (substitution selected from (C_1-C_3) alkyl, cyano, amino or (C_1-C_3) acyl); (C_6-C_{10}) aryl group selected from phenyl, α naphthyl or β -naphthyl; substituted (C_6 - C_{10})aryl group (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3) alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; (C_1-C_4) alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy or tert-butoxy; C6-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C1-C₃)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₇-C₁₀)aralkyloxy group such as benzyloxy, 1-phenylethyloxy or 2-phenylethyloxy; RaRbamino(C1-C4)alkoxy group, wherein RaRb is a straight or branched (C1-C4)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C1-C3)alkyl], O or S; or RaRbaminoxy group, wherein RaRb is a straight or branched (C1-C4)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or RaRb is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)-alkyl [straight or branched], -NH, -NOB [B is selected from $hydrogen\ or\ (C_1-C_3)alkyl],\ O\ or\ S;\ (C_1-C_3)alkylthio\ group\ selected\ from\ methylthio,\ ethylthio\ or\ n-propylthio;\ C_6-arylthio\ propylthio\ propy$ group selected from phenylthio or substituted phenylthio (substitution selected from halo, (C1-C3)alkyl, nitro, cyano, thiol, amino, carboxy, $di(C_1-C_3)$ alkylamino); (C_7-C_8) aralkylthio group such as benzylthio, 1-phenylethylthio or 2-phenylethylthio or 2-phenylethyl nylethylthio;

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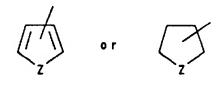
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a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



 $Z = N, O, S \text{ or } S \in S$

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

$$Z$$
 or Z^1 . N. O. S. or Se

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)-alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ-butyrolactam, γ-butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O,S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-l-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; hydroxy group, mercapto group; mono- or di- straight or branched (C1-C6)alkylamino group selected from methyl, ethyl, npropyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl, 1,1-dimethylethyl, 2-methylbutyl, 1,1-dimethylpropyl, 2,2-dimethylpropyl, 3-methylbutyl, n-hexyl, 1-methylpentyl, 1,1-dimethylbutyl, 2,2-dimethylbutyl, 2-methylpentyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl or 1-methyl-1-ethylpropyl amino; halo(C₁-C₃)alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-chloroethyl, 2,2-dichloroethyl, 2,2,2-trichloroethyl, 2-bromoethyl or 2-iodoethyl; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C_3-C_6) cycloalkylcarbonyl, (C_6-C_{10}) aroyl selected from benzoyl or naphthoyl, halo substituted (C6-C10) aroyl such as pentafluorobenzoyl, 4-chlorobenzoyl, 3-bromobenzoyl or 3,4-difluorobenzoyl, (C1-C4)alkylbenzoyl such as 4-toluoyl, 2-toluoyl or 4-(1-methylethyl)-benzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

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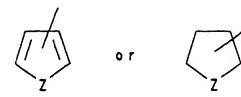
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Z = N, O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

$$\begin{array}{c} \begin{array}{c} z^1 \\ \end{array} \\ \end{array} \qquad \qquad \begin{array}{c} z^1 \\ \end{array}$$

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3Himidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

carbonyl or straight: or branched butoxycarbonyl;

- (A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo,(C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) such as γ-butyrolactam, γ-butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)-alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; (C₁-C₄)
- 20 R⁵ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; (C₇-C₉) aralkyl group such as benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, allyloxy-



7 - N. G. S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

$$Z$$
 or Z^1 or Z^1

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazolyl, or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

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(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)-alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C_1 - C_3) alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl, 2-dioxothiomorpholinyl; or -(CH₂)_nCOOR⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C_6 - C_1 0)aryl group selected from phenyl, α -naphthyl, β -naphthyl; R⁶ is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group such as benzyl, 1-phenylethyl, (C_6 - C_1 0)aryl group selected from phenyl, α -naphthyl or phenylpropyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

7 - N. O. Sor Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

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(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)-alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl, 2-dioxothiomorpholinyl; or - (CH₂) $_n$ COOR⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C $_1$ -C $_3$)alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C $_6$ -C $_1$ 0)aryl selected from phenyl, α -naphthyl or β -naphthyl; with the proviso that R⁵ and R⁶ cannot both be hydrogen;

or R^5 and R^6 taken together are -(CH_2)₂W(CH_2)₂-, wherein W is selected from (CH_2)_n and n=0-1, -NH, -N(C_1 - C_3)alkyl [straight or branched], -N(C_1 - C_4)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

[0009] Preferred compounds are compounds according to the above formula I and II in which X is selected from amino, NR^1R^2 , or halogen; the halogen is selected from bromine, chlorine, fluorine or iodine; and when $X = NR^1R^2$ and $R^1 = hydrogen$,

R² = methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; and when R¹ = methyl or ethyl,

 R^2 = methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl or 2-methylpropyl; R is selected from $R^4(CH_2)_nCO$ - or R^4 (CH_2) $_nSO_2$ -; and when $R = R^4(CH_2)_nCO$ - and n=0,

 R^4 is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C_1 - C_6)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_3 - C_6)cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C_3 - C_6)cycloalkyl group (substitution selected from (C_1 - C_3)alkyl, cyano, amino or (C_1 - C_3)acyl); (C_6 - C_1 0)aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6 - C_1 0)aryl group (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano,

 (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); α -amino (C_1-C_4) alkyl group selected from aminomethyl, α -aminoethyl, α -aminopropyl or α -aminobutyl; carboxy(C_2-C_4)alkylamino group selected from aminoacetic acid, α -aminobutyric acid or α -aminopropionic acid and their optical isomers; (C_7-C_9) aralkylamino group such as phenylglycyl; (C_1-C_4) alkoxycarbonylamino substituted (C_1-C_4) alkyl group, substitution selected from phenyl or p-hydroxyphenyl; α -hydroxy (C_1-C_3) alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; halo (C_1-C_3) alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-bromoethyl or 2-iodoethyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

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Z - N. O. Sor Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

Z or $Z^1 = N$, O, S or Se

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)-alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C_1 - C_3)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C_3 - C_6)cycloalkylcarbonyl such as cyclopropylcarbonyl, cyclobutylcarbonyl, cyclopentylcarbonyl, (2,3-dimethylcyclopropyl)carbonyl, (1,2-dimethylcyclopropyl)carbonyl, (2-ethylcyclopropyl)carbonyl, (2-methylcyclopentyl)carbonyl or (3-ethylcyclobutyl) carbonyl, (C_6 - C_{10})aroyl selected from benzoyl or naphthoyl, halo substituted (C_6 - C_{10})aroyl such as pentafluorobenzoyl, 4-chlorobenzoyl, 3-bromobenzoyl or 3,4-difluorobenzoyl, (C_1 - C_4)alkylbenzoyl such as 4-toluoyl, 2-methyltoluoyl or 4-(1-methylethyl)benzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N. O. S or Se

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such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

$$Z$$
 or Z^1 - N, O, S or Se

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazolyl, or pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) -alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) such as γ-butyrolactam, γ-butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; (C₁-C₄) alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxylcarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C1-C3)alkyl group, halogen, (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C_6-C_{10}) aryl group (substituted) stitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃) alkylamino or carboxy), halo(C1-C3)alkyl group such as bromomethyl, fluoromethyl, trifluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-bromoethyl or 2-iodoethyl, a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N. O. S ar Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl]; (C_1-C_4) alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy,n-butoxy or tert-butoxy; C_6 -aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C_1-C_4) alkyl, nitro, cyano, thiol, amino, carboxy, di (C_1-C_3) alkylamino); (C_7-C_{10}) aralkyloxy group such as benzyloxy, 1-phenylethyloxy or 2-phenylethyloxy; vinyloxy or substituted vinyloxy group (substitution selected from (C_1-C_4) alkyl, cyano, carboxy, or (C_6-C_{10}) aryl selected from phenyl, α -naphthyl or β -naphthyl); R^aR^b amino (C_1-C_4) alkoxy group, wherein RaRb is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from W or W or W is a straight or branched W is selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or W is W is selected from hydrogen or W is selected from W i

 R^4 is selected from hydrogen; (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; amino; monosubstituted amino selected from straight or branched (C_1-C_6) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); (C_6-C_{10}) aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C_6-C_{10}) -aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); acyloxy or haloacyloxy group, selected from acetyl, propionyl, chloroacetyl, trichloroacetyl, (C_3-C_6) cycloalkyl-carbonyl, (C_6-C_{10}) aroyl selected from benzoyl or naphthoyl, halo substituted (C_6-C_{10}) aroyl such as pentafluorobenzoyl, 4-chlorobenzoyl, 3-bromobenzoyl or 3,4-difluorobenzoyl, (C_1-C_4) alkylbenzoyl such as 4-toluoyl, 2-toluoyl, 4-(1-methylethyl)benzoyl or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom opionally having a benzo or pyrido ring fused thereto:



Z = N. O. S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

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$$\begin{array}{c} Z^{1} \\ Z \end{array} \qquad \text{or} \qquad \begin{array}{c} Z^{1} \\ Z \end{array}$$

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such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ-butyrolactam, γ-butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C_1-C_3) alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; (C1-C4) alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy,n-butoxy or tert-butoxy; RaRb amino(C1-C4)alkoxy group, wherein RaRb is a straight or branched (C1-C4)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1-CH_2)_2W(CH_2)_2$ - wherein $-N(C_1-CH_2)_2W(CH_2)_2$ - wherein $-N(C_1-CH_2)_2W(CH_2)_2$ - wherein $-N(C_1-CH_2)_2W(CH_2)_2$ - wherein $-N(C_1-CH_2)_2W(CH_2)_2$ - where $-N(C_1-CH_2)_2W(CH_2)_2$ - $-N(C_1-CH_2)_2W(CH_2)_2W(CH_2)_2$ - $-N(C_1-CH_2)_2W(CH_2)_2W(CH_2)_2$ - $-N(C_1-CH_2)_2W(CH_2)_2$ C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or RaRbaminoxy group, wherein RaRb is a straight or branched (C1-C4)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or RaRb is (CH₂)_n, n=2-6, or -(CH₂)₂W-(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C1-C4)-alkyl, nitro, cyano, thiol, amino; carboxy, di(C₁-C₃)-alkylamino); (C₁-C₃)alkylthio group selected from methylthio, ethylthio, propylthio or allylthio; C₆arylthio group selected from phenylthio or substituted phenylthio (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C1-C3)alkylamino); C6-arylsulfonyl group selected from phenylsulfonyl or substituted phenylsulfonyl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C1-C3)alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

Z - N, O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O,S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

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(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)-alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O,S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C_1 - C_3)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; hydroxy group; α -hydroxy(C_1 - C_3)alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; halo(C_1 - C_3)alkyl group such as bromomethyl, fluoromethyl, trifluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoromethyl, 2-bromoethyl or 2-iodoethyl; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C_3 - C_6)cycloalkylcarbonyl, (C_6 - C_{10}) aroyl selected from benzoyl or naphthoyl, halo substituted (C_6 - C_{10})aroyl such as pentafluorobenzoyl, 4-chlorobenzoyl, 3-bromobenzoyl or 3,4-difluorobenzoyl, (C_1 - C_4)alkylbenzoyl such as 4-toluoyl, 2-toluoyl, or 4-(1-methylethyl)benzoyl,

or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N. O. S

or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

Z = N, O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzoturanyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused

thereto:

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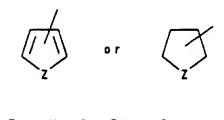
such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3Himidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) -alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₂)-alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; (C₁-C₄) alkoxycarbonylamino, group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino; and when $R = R^4(CH_2)_nSO_2$ and n = 0,

 R^4 is selected from amino; monosubstituted amino selected from as straight or branched (C_1 - C_6) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C1-C3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6-C_{10}) aryl group (substituted) stitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃) alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O,

S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzo-

furanyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazolyl, or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)-alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C_1-C_3) alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; and when $R = R^4'(CH_2)_nSO_2$ - and n = 1-4,

 R^4 ' is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C_1 - C_6)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6 - C_{10})aryl group (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_1 - C_4)alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy, n-butoxy, iso-butoxy or tertbutoxy; C_6 -aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C_1 - C_4)alkyl, nitro cyano, thiol, amino, carboxy, di(C_1 - C_3)alkylamino; (C_7 - C_{10})aralkyloxy group such as benzyloxy, 1-phenylethyloxy or 2-phenylethyloxy; (C_1 - C_4)carboxyalkyl group;

 R^5 is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C_7 - C_9)aralkyl group such as benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

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7 - N. O. S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl,benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) -alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) -aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C_1-C_3) alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl, 2-dioxothiomorpholinyl; or - $(CH_2)_nCOOR^7$ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C_1-C_3) -alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C_6-C_{10}) aryl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl; (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl; (C_7-C_9) -aralkyl group such as benzyl, 1-phe-

nylethyl, 2-phenylethyl or phenylpropyl; a heterocycle group selected from a five membered aromatic or saturated ring

with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

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Z - N. O. Sor Se

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such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)-alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl,

pyrimidinyl or (C_1-C_3) alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl, 2-dioxothiomorpholinyl; or $(CH_2)_nCOOR^7$ where n=0-4 and R 7 is selected from hydrogen; straight or branched (C_1-C_3) alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C_6-C_{10}) aryl selected from phenyl, α -naphthyl or β -naphthyl; with the proviso that R 5 and R 6 cannot both be hydrogen; or R 5 and R 6 taken together are - $(CH_2)_2W(CH_2)_2$ -, wherein W is selected from $(CH_2)_n$ and n=0-1, -NH, -N (C_1-C_3) alkyl [straight or branched], -N (C_1-C_4) alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

[0010] Particularly preferred compounds are compounds according to the above formula I and II in which X is selected from amino, NR^1R^2 , or halogen; the halogen is selected from bromine, chlorine, fluorine or iodine; and when $X = NR^1R^2$ and $R^1 = hydrogen$,

R² = methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; and when R¹ = methyl or ethyl,

 R^2 = methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl or 2-methylpropyl; R is selected from R⁴(CH₂)_nCO- or R⁴(CH₂)_nSO₂-;

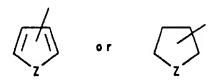
and when $R = R^4(CH_2)_nCO$ - and n=0,

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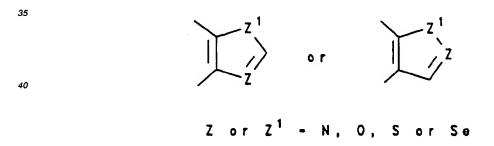
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R4 is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C1-C6)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C3-C6)cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C3-C6)cycloalkyl group (substitution selected from (C1-C3)alkyl, cyano, amino or (C1-C3)acyl); (C6-C10)aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6 - C_{10})aryl group (substitution selected from halo,(C_1 - C_4) alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); α -amino-(C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); C_4)alkyl group selected from aminomethyl, α -aminoethyl, α -aminopropyl or α -aminobutyl; carboxy(C_2 - C_4)alkylamino group selected from aminoacetic acid, α -aminobutyric acid or α -aminopropionic acid and their optical isomers; (C₇- C_9) aralkylamino group such as phenylglycyl; (C_1-C_4) alkoxycarbonylamino substituted (C_1-C_4) alkyl group, substitution selected from phenyl or p-hydroxyphenyl; a-hydroxy(C_1 - C_3)alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; halo(C_1 - C_3)alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-bromoethyl or 2-iodoethyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N. O. S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzo-furanyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

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(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)-alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C_1-C_3) -alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C_3-C_6) cycloalkylcarbonyl such as cyclopropylcarbonyl, cyclobutylcarbonyl, cyclopentylcarbonyl, (2,3-dimethylcyclopropyl)carbonyl, (1,2-dimethylcyclopropyl)carbonyl, (2-ethylcyclopropyl)carbonyl, (2-methylcyclopropyl)carbonyl or (3-ethylcyclobutyl) carbonyl, (C_6-C_{10}) aroyl selected from benzoyl or naphthoyl, halo substituted (C_6-C_{10}) aroyl such as pentafluorobenzoyl, 4-chlorobenzoyl, 3-bromobenzoyl or 3,4-difluorobenzoyl, (C_1-C_4) alkylbenzoyl such as 4-toluoyl, 2-methylbenzoyl or 4-(1-methylethyl)benzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

Z = N. O. S or Se

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such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

$$\begin{array}{ccc} & & & & \\ & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & \\ & & & \\ & & \\ & & & \\ & & \\$$

Z or $Z^1 = N$, O, S or Se

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)-alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C_1-C_3) alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-l-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; (C_1-C_4) alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxylcarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C_1-C_3) alkyl group, halogen, (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-bromoethyl or 2-iodoethyl, a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

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Z = N, O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl];

 (C_1-C_4) alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy,n-butoxy or tert-butoxy; C_6 -aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C_1-C_4) alkyl, nitro, cyano, thiol, amino, carboxy, di (C_1-C_3) alkylamino); (C_7-C_{10}) aralkyloxy group such as benzyloxy, 1-phenylethyloxy or 2-phenylethyloxy; vinyloxy or substituted vinyloxy group (substitution selected from (C_1-C_4) -alkyl, cyano, carboxy, or (C_6-C_{10}) aryl selected from phenyl, α -naphthyl or β -naphthyl); α -naphthyl); α -naphthyl); α -naphthyl); α -naphthyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or α -naphthyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or α -naphthyl); α -naphthyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or α -naphthyl); α -naphthyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or α -naphthyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or α -naphthyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or α -naphthyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or α -naphthyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or α -naphthyl, n-propyl, n-2-6, or α -naphthyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or α -naphthyl, n-propyl, n-2-6, or α -naphthyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or α -naphthyl, n-propyl, n-2-6, or α -naphthyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or α -naphthyl, n-propyl, n-2-6, or α -naphthyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or α -naphthyl

and when $R = R^4(CH_2)_nCO$ - and n=1-4,

 R^4 is selected from hydrogen; $(C_1\text{-}C_3)$ alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; amino; monosubstituted amino selected from straight or branched $(C_1\text{-}C_6)$ alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); $(C_6\text{-}C_{10})$ aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted $(C_6\text{-}C_{10})$ aryl group (substitution selected from halo, $(C_1\text{-}C_4)$ alkoxy, trihalo $(C_1\text{-}C_3)$ alkyl, nitro, amino, cyano, $(C_1\text{-}C_4)$ alkoxycarbonyl, $(C_1\text{-}C_3)$ alkylamino or carboxy); acyloxy or haloacyloxy group, selected from acetyl, propionyl, chloroacetyl, trichloroacetyl, $(C_3\text{-}C_6)$ cycloalkyl-carbonyl, $(C_6\text{-}C_{10})$ aroyl selected from benzoyl or naphthoyl, halo substituted $(C_6\text{-}C_{10})$ aroyl such as pentafluorobenzoyl, 4-chlorobenzoyl, 3-bromobenzoyl or 3,4-difluorobenzoyl, $(C_1\text{-}C_4)$ alkylbenzoyl such as 4-toluoyl, 2-toluoyl, 4-(1-methylethyl)benzoyl or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionaly having a benzo or pyrido ring fused thereto:

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Z - N, O, S or Se

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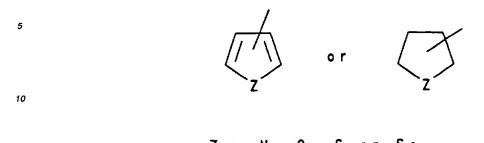
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such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3Himidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) -alkoxy carbonyl, (C_1-C_3) alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; (C1-C4) alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy, n-butoxy or tert-butoxy; C6-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C1-C4)-alkyl, nitro, cyano, thiol, amino, carboxy, di (C1-C3)-alkylamino); RaRbamino(C1-C4)alkoxy group, wherein RaRb is a straight or branched (C1-C4)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or RaRb is $(CH_2)_n$, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or RaRbaminoxy group, wherein RaRb is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or RaRb is (CH2)n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; (C₁-C₃)alkylthio group selected from methylthio, ethylthio, propylthio or allylthio; C₆arylthio group selected from phenylthio or substituted phenylthio (substitution selected from halo, (C1-C4)alkyl, nitro, cyano, thiol, amino, carboxy, di(C1-C3)alkylamino); C6-arylsulfonyl group selected from phenylsulfonyl or substituted phenylsulfonyl (substitution selected from halo, (C₁-C₄)-alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C1-C3)alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated

ring one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



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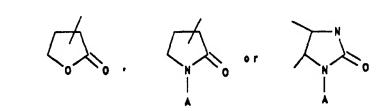
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such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O,S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

$$Z or Z1 or Z1$$

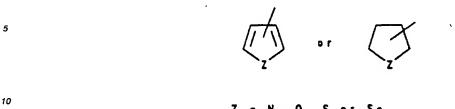
$$Z or Z1 - N, 0, S or Se$$

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)-alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O,S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl (C_1 - C_3)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; hydroxy group; α -hydroxy(C_1 - C_3)alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxy-propyl; halo(C_1 - C_3)alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoromethyl, 2-bromoethyl or 2-iodoethyl; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C_3 - C_9)cycloalkylcarbonyl, (C_6 - C_1 0)aroyl selected from benzoyl or naphthoyl, halo substituted (C_6 - C_1 0)aroyl such as pentafluorobenzoyl, 4-chlorobenzoyl, 3-bromophenylcarbonyl or 3,4-difluorobenzoyl, (C_1 - C_4)alkylbenzoyl such as from 4-toluoyl, 2-toluoyl or 4-(1-methylethyl)benzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with

one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



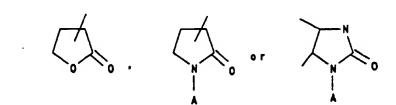
such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

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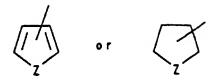
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such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo,(C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) such as γ-butyrolactam, γ-butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; (C₁-C₄) alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino;
 and when R = R⁴ (CH₂)_SO₂- and n = 0.

ethoxycarbonylamino or propoxycarbonylamino; and when $R = R^4$ (CH_2)_nSO₂- and n = 0, R^4 is selected from amino; monosubstituted amino selected from as straight or branched (C_1 - C_6)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6 - C_{10})aryl group (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3) alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N. O. S or Se

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such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

 z^1 or z^1

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)-alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-l-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; and when $R = R^4$ (CH₂)_nSO₂- and $R = R^4$

R⁴ is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C_1-C_6) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; RaRbamino(C_1-C_4)alkoxy group, wherein RaRb is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or RaRb is $(CH_2)_n$, n=2-6, or $-(CH_2)_2$ W- $-(CH_2)$

wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃) alkyl], O or S;

 R^5 is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C_7 - C_9)aralkyl group such as benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



$$Z = N, O, S \text{ or } Se$$

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

$$z^1$$
 or z^1 or z^1

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

$$\langle \rangle_{0}$$
 . $\langle \rangle_{0}$. $\langle \rangle_{0}$

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)-alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C_1 - C_3)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl, 2-dioxothiomorpholinyl; or - (CH_2) $_n$ COOR 7 where n=0-4 and R 7 is selected from hydrogen; straight or branched (C_1 - C_3)-alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C_6 - C_1 0)aryl group selected from phenyl, α -naphthyl or β -naphthyl;

 R^6 is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C_7 - C_9)aralkyl group such as benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

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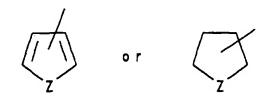
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Z = N. O. S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

$$z^1$$
 or z^1

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) -alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) -aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C_1-C_3) alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl, 2-dioxothiomorpholinyl; or $(CH_2)_nCOOR^{7'}$ where n=0-4 and R $^{7'}$ is selected from hydrogen; straight or branched (C_1-C_3) -alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C_6-C_{10}) aryl selected from phenyl, α -naphthyl or β -naphthyl; with the proviso that R 5 and R 6 cannot both be hydrogen;

or R^5 and R^6 taken together are -(CH_2)₂W(CH_2)₂-, wherein W is selected from (CH_2)_n and n=0-1, -NH, -N(C_1 - C_3)alkyl

[straight or branched], $-N(C_1-C_4)$ alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

[0011] Most particularly preferred compounds are compounds according to the above formula I and II in which X is selected from amino, NR¹R², or halogen; the halogen is selected from bromine, chlorine, fluorine or iodine; and when X = NR¹R² and R¹ = hydrogen,

 R^2 = methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; and when R^1 = methyl or ethyl,

R² = methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl or 2-methylpropyl;

R is selected from $R^4(CH_2)_nCO$ - or $R^4(CH_2)_nSO_2$ -;

and when $R = R^4(CH_2)_nCO$ - and n=0,

 R^4 is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C_1 - C_6)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrollyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1 - C_2)alkyl group selected from methyl or ethyl; (C_6 - C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6 - C_{10})aryl group (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); carboxy(C_2 - C_4)alkylamino group selected from aminoacetic acid, α -aminobutyric acid or α -aminopropionic acid and their optical isomers; α -hydroxy(C_1 - C_3)alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; halo(C_1 - C_3)alkyl group such as bromomethyl, fluoromethyl, trifluoromethyl, chloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-bromoethyl or 2-iodoethyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

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Z = N, O, S or So

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such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

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$$z^1$$
 or z^1

Z or Z^1 - N, O, S or

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such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended 0 heteroatom:

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(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from $\label{eq:control_control_control} halo, (C_1-C_4) \\ alkoxy, \ trihalo (C_1-C_3) \\ alkyl, \ nitro, \ amino, \ cyano, \ (C_1-C_4) \\ -alkoxycarbonyl, \ (C_1-C_3) \\ alkylamino \ or \ carboxy);$ (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) such as γ-butyrolactam, γ-butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; (C₁-C₄) alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxylcarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C₁-C₃)alkyl group, halogen, (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C1-C4)alkoxy, trihalo(C1-C3)alkyl, nitro, amino, cyano, (C1-C4) alkoxycarbonyl, (C1-C3) alkylamino or carboxy), halo(C₁-C₃)alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-bromoethyl or 2-iodoethyl, a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

7 . N. O. S ar Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl]; (C_1-C_4) alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy, n-butoxy or tert-butoxy; C_6 -aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C_1-C_4) alkyl, nitro, cyano, thiol, amino, carboxy, $di(C_1-C_3)$ alkylamino); (C_7-C_{10}) aralkyloxy group such as benzyloxy, 1-phenylethyloxy or 2-phenylethyloxy; vinyloxy or substituted vinyloxy group (substitution selected from (C_1-C_4) alkyl, cyano, carboxy, or (C_6-C_{10}) aryl selected from phenyl, α -naphthyl or β -naphthyl); R^aR^b amino (C_1-C_4) alkoxy group, wherein R^aR^b is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is selected from hydrogen or (C_1-C_3) alkyl], C_1 0 or C_2 1 or C_3 2 or C_3 3 alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or C_3 3 alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or C_3 4 alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or C_3 4 alkyl selected from hydrogen or C_3 5 alkyl straight or branched], -NH, -NOB [B is selected from hydrogen or C_3 6, or C_3 7 alkyl], C_3 8 or C_3 8 alkyl straight or branched], -NH, -NOB [B is selected from hydrogen or C_3 8 alkyl], C_3 8 or C_3 8 and when C_3 8 and C_3 9 alkyl], C_3 9 and C_3 9 and C_3 9 alkyl], C_3 9 and C_3

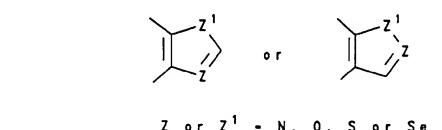
 R^4 is selected from hydrogen; (C_1-C_2) alkyl group selected from methyl or ethyl; amino; monosubstituted amino selected from straight or branched (C_1-C_6) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; dissubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); acyloxy or haloacyloxy group, selected from acetyl, propionyl, chloroacetyl, trichloroacetyl, (C_3-C_6) cycloalkylcarbonyl, (C_6-C_{10}) aroyl selected from benzoyl or naphthoyl, halo substituted (C_6-C_{10}) aroyl such as pentafluorobenzoyl, 4-chlorobenzoyl, 3-bromobenzoyl or 3,4-difluorobenzoyl, (C_1-C_4) alkylbenzoyl such as 4-toluoyl, 2-toluoyl, 4-(1-methylethyl)benzoyl or (heterocycle)

carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N.O.SorSe

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



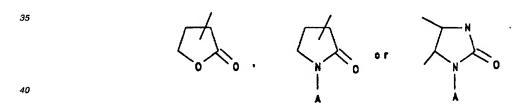
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such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) -alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one or two N, 0, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C_1-C_3) alkylthiopyridazinyl, or a six membered saturated ring with one or two N, 0, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-l-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; (C₁-C₄)alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy, n-butoxy or tert-butoxy; RaRbamino(C1-C4)alkoxy group, wherein $R^{a}R^{b} \text{ is a straight or branched } (C_{1} - C_{4}) \text{ alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 1-methylpr$ or 2-methylpropyl or R^aR^b is $(CH_2)_{n}$, n=2-6, or $-(CH_2)2W(CH_2)2$ - wherein W is selected from $-N(C_1-C_3)$ -alkyl [straight is the context of t or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or RaRbaminoxy group, wherein RaRb is a straight or branched (C₁-C₄)-alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or RaRb is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; α-hydroxy(C₁-C₃)alkyl group selected from hydroxymethyl, α-hydroxyethyl or α-hydroxy-1-methylethyl or α-hydroxypropyl; halo(C₁-C₃)alkyl group such as

bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoromethyl, 2-bromoethyl or 2-iodoethyl; (C₁-C₄)alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino;

and when $R = R^{4'} (CH_2)_n SO_2$ and n = 0,

 R^4 ' is selected from amino; monosubstituted amino selected from as straight or branched (C_1 - C_6)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl (1-methylethyl) amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1 - C_2)alkyl group selected from methyl or ethyl; (C_6 - C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6 - C_{10})aryl group (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

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Z = N, O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

 $\begin{array}{c|c} z^1 & \\ z^2 & \\ z & \end{array}$

Z or Z^1 - N, O, S or Se

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazolyl, or pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)-alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl,

pyrimidinyl or (C_1-C_3) alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; and when $R = R^4(CH_2)_nSO_2$ - and n = 1-4,

R⁴ is selected from hydrogen; straight or branched (C_1 - C_2)alkyl group selected from methyl or ethyl; R⁵ is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C_7 - C_9)aralkyl group such as benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

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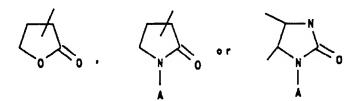
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Z - N. O. S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

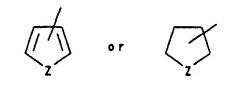
such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)-alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl, 2-dioxothiomorpholinyl; or

 $(CH_2)_nCOOR^7$ where n=0-4 and R^7 is selected from hydrogen; straight or branched (C_1-C_3) -alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl, β -naphthyl; R^6 is selected from hydrogen; straight or branched (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C_7-C_9) aralkyl group such as benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N. O. S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

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such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazolyl, or pyridylimidazolyl, or a five membered saturated ring with one or two N, 0, S or Se heteroatoms and an adjacent appended 0 heteroatom:

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo, (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) -alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) -aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C_1 - C_3)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl, 2-dioxothiomorpholinyl; or (C_1 - C_2)alkyl selected from hydrogen; straight or branched (C_1 - C_3)alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C_3 - C_1 0)aryl selected from phenyl, α -naphthyl or β -naphthyl; with the proviso that C_3 and C_3 0 are some phase of C_3 1.

or R5 and R6 taken together are -(CH2)2W(CH2)2-, wherein W is selected from (CH2)n and n=0-1, -NH, -N(C1-C3)alkyl

[straight or branched], $-N(C_1-C_4)$ alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

[0012] Compounds of special interest are compounds according to the above formula I and II in which X is selected from amino, NR_1R_2 or halogen; the halogen is selected from bromine, chlorine, fluorine or iodine, and when $X = NR^1R^2$ and $R^1 =$ methyl or ethyl;

 R^2 = methyl or ethyl,

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R is selected from $R^4(CH_2)_nCO$ - or R^4 (CH_2) $_nSO_2$ -;

and when $R = R^4(CH_2)_nCO$ - and n=0,

R4 is selected from hydrogen; straight or branched (C₁-C₂)alkyl group selected from methyl or ethyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, or S heteroatom optionally having a benzo or pyrido ring fused thereto:

Z

Z = N, O or S

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O or S heteroatoms optionally having a benzo or pyrido ring fused thereto:

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Z or Z^1 = N, O, or S

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O or S heteroatoms and an adjacent appended 0 heteroatom:

(A is selected from hydrogen; straight or branched (C_1-C_2) alkyl; C_6 -aryl) such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone; (C_1-C_4) alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxylcarbonyl, straight or branched butoxycar-

bonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C_1-C_2) alkyl group, (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, (C_1-C_4) alkoxycarbonyl), halo (C_1-C_3) alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-bromoethyl or 2-iodoethyl, (C_1-C_4) alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy, n-butoxy or tert-butoxy; C_6 -aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C_1-C_4) alkyl); (C_7-C_{10}) aralkyloxy group such as benzyloxy, 1-phenylethyloxy or 2-phenylethyloxy; vinyloxy or substituted vinyloxy group (substitution selected from (C_1-C_2) alkyl); (C_1-C_4) alkoxy group, wherein (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl;

and when $R = R^4(CH_2)_nCO$ - and n=1-4,

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 R^4 is selected from hydrogen; (C_1-C_2) alkyl group selected from methyl or ethyl; amino; monosubstituted amino selected from straight or branched (C1-C6)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, or 1-(1,2,3-triazolyl); (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted(C_6 - C_{10}) aryl group (substitution selected from halo, (C_1 - C_4) alkoxy, nitro, amino, (C_1 - C_4) alkoxycarbonyl); acyloxy or haloacyloxy group selected from acetyl, propionyl or chloroacetyl; (C1-C4)alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy, n-butoxy or tert-butoxy; RaRbamino(C1-C4)alkoxy group, wherein RaRb is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or RaPb is (CH2)n, n=2-6, or -(CH2)2W(CH2)2- wherein W is selected from -N(C1-C3)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or RaRbaminoxy group, wherein RaRb is a straight or branched (C1-C4)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or RaRb is (CH₂)_n, n=2-6, or -(CH₂)₂W-(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C1-C3)alkyl], O or S; halo(C1-C3)alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoromethyl, 2-bromoethyl or 2-iodoethyl; (C₁-C₄)alkoxycarbonylamino group selected from tertbutoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino

and when $R = R^{4'} (CH_2)_n SO_2$ and n = 0,

 $R^{4'}$ is selected from straight or branched (C_1 - C_2)alkyl group selected from methyl or ethyl; (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6 - C_{10})aryl group (substitution selected from halo, (C_1 - C_4)alkoxy, nitro, (C_1 - C_4)alkoxycarbonyl); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O or S heteroatom optionally having a benzo or pyrido ring fused thereto:

o r



Z = N. O or S

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O or S heteroatoms optionally having a benzo or pyrido ring fused thereto:

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such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl;

and when $R = R^{4'} (CH_2)_n SO_2$ - and n = 1-4,

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 R^4 is selected from hydrogen; straight or branched (C_1 - C_2)alkyl group selected from methyl or ethyl; R^5 is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl;

 R^6 is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; with the proviso that R^5 and R^6 cannot both be hydrogen;

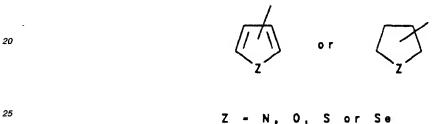
or R⁵ and R⁶ taken together are -(CH₂)₂W(CH₂)₂-, wherein W is selected from (CH₂)_n and n=0-1, -NH, -N(C₁-C₃)alkyl [straight or branched], -N(C₁-C₄)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

[0013] Also included in the present invention are compounds useful as intermediates for producing the above compounds of formula I and II. Such intermediate compounds include those having the formula:

wherein formula III and IV, Y is NO_2 ; R is selected from $R^4(CH_2)_nCO$ - or $R^4(CH_2)_nSO_2$ -; and when $R = R^4(CH_2)_nCO$ - and n=0,

 R^4 is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C_1 - C_6)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl (1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1 - C_4)alkyl group selected from methyl, ethyl, n-propyl,

1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; $(C_3 - C_6)$ cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted $(C_3 - C_6)$ cycloalkyl group (substitution selected from $(C_1 - C_3)$ alkyl, cyano, amino or $(C_1 - C_3)$ acyl); $(C_6 - C_{10})$ aryl group selected from phenyl, α -naphthyl or β -naphthylt substituted $(C_6 - C_{10})$ aryl group (substitution selected from halo, $(C_1 - C_4)$ alkoxy, trihalo $(C_1 - C_3)$ alkyl, nitro, amino, cyano, $(C_1 - C_4)$ alkoxycarbonyl, $(C_1 - C_3)$ alkylamino or carboxy); $(C_7 - C_9)$ aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; α -amino- $(C_1 - C_4)$ alkyl group selected from aminomethyl, α -aminobutyric acid or α -aminopropionic acid and their optical isomers; $(C_7 - C_9)$ aralkylamino group such as phenylglycyl; $(C_1 - C_4)$ alkoxycarbonylamino substituted $(C_1 - C_4)$ alkyl group, substitution selected from phenyl or p-hydroxyphenyl; α -hydroxy($C_1 - C_3$)alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; α -mercapto($C_1 - C_3$)alkyl group selected from mercaptomethyl, α -mercaptoethyl, α -mercapto-1-methylethyl or α -mercaptopropyl; halo($C_1 - C_3$)alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-bromoethyl or 2-iodoethyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



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such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl,

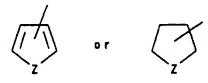
or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

$$Z = \begin{bmatrix} z \\ z \end{bmatrix}$$

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C_1-C_3) alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C_3-C_6) cycloalkylcarbonyl such as cyclopropylcarbonyl, cyclopentylcarbonyl, cyclopentylcarbonyl, (2,3-dimethylcyclopropyl)carbonyl, (1,2-dimethylcyclopropyl)carbonyl, (2-ethylcyclopropyl)carbonyl, (2-methylcyclopentyl)carbonyl or (3-ethylcyclobutyl) carbonyl, (C_6-C_{10}) aroyl selected from benzoyl or naphthoyl, halo substituted (C_6-C_{10}) aroyl such as pentafluorobenzoyl, 4-chlorobenzoyl, 3-bromobenzoyl or 3,4-difluorobenzoyl, (C_1-C_4) alkylbenzoyl such as 4-toluoyl, 2-toluoyl or 4-(1-methylethyl)benzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, 0, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N, O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl,

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

$$z'$$
 or z'

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such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)-alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy);

 (C_7-C_9) -aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C_1-C_3) alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; (C_1-C_4) alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxylcarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C_1-C_3) alkyl group, halogen, (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, 'trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-bromoethyl or 2-iodoethyl, a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z - N, O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl];

 (C_1-C_4) alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy, n-butoxy or tert-butoxy; C_6 -aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C_1-C_4) alkyl, nitro, cyano, thiol, amino, carboxy, di (C_1-C_3) alkylamino); (C_7-C_{10}) aralkyloxy group such as benzyloxy, 1-phenylethyloxy or 2-phenylethyloxy; vinyloxy or substituted vinyloxy group (substitution selected from (C_1-C_4) -alkyl, cyano, carboxy, or (C_6-C_{10}) aryl selected from phenyl, α -naphthyl or β -naphthyl); R^aR^b amino (C_1-C_4) -alkoxy group, wherein R^aR^b is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)$ -alkyl [straight or branched], -NH, -NOB [B is selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)$ -alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) alkyl], O or S; and when O is and O is selected from O is and O is selected from O is and O is and O is selected from O is and O is an all O is an analysis of O is and O is an all O in O is an all O is all O is an all O is all O is an all O is an all O is an all O is all O is an all O is all

R⁴ is selected from hydrogen; amino; straight or branched (C_1 - C_4)alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C_3 - C_6)cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C_3 - C_6)cycloalkyl group (substitution selected from (C_1 - C_3)alkyl, cyano, amino or (C_1 - C_3)acyl); (C_6 - C_1 0)aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C_6 - C_1 0)aryl group (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4) alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group such as benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; acyloxy or haloacyloxy group, selected from acetyl, propionyl, chloroacetyl, trichloroacetyl, (C_3 - C_6)cycloalkylcarbonyl, (C_6 - C_1 0)aroyl selected from benzoyl or naphthoyl, halo substituted (C_6 - C_1 0)aroyl such as pentafluor-obenzoyl, 4-chlorobenzoyl, 3-bromobenzoyl or 3,4-difluorobenzoyl,

(C₁-C₄)alkylbenzoyl such as 4-toluoyl, 2-toluoyl or 4-(1-methylethyl)benzoyl, (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, 0, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

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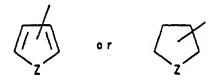
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Z = N. O. S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl,

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

$$Z \text{ or } Z^1 = N, 0, S \text{ or } Se$$

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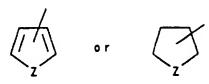
such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)-alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C_1-C_3) -alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; (C_1-C_4) alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy,n-butoxy or tert-butoxy; C_6 -aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C_1-C_4) alkyl, nitro, cyano, thiol, amino, carboxy, di (C_1-C_3) alkylamino); (C_7-C_{10}) aralkyloxy group such as benzyloxy, 1-phenylethyloxy or 2-phenylethyloxy; (C_1-C_3) alkylthio group selected from methylthio, ethylthio, propylthio or allylthio; C_6 -arylthio group selected from phenylthio or substituted phenylthio (substitution selected from halo, (C_1-C_4) alkyl, nitro, cyano, thiol, amino, carboxy, di (C_1-C_3) alkylamino); $(C_6$ -arylsulfonyl group selected from phenylsulfonyl or substituted phenylsulfonyl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_8) aralkylthio group such as benzylthio, 1-phenylethylthio or 2-phenylethylthio; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused

thereto:

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Z = N.O.S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O,S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

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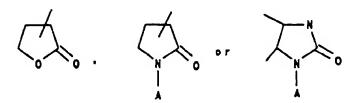
$$z^1$$
 or z^2

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such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

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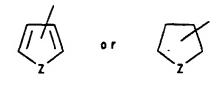


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(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_2) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_2) -alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) such as γ-butyrolactam, γ-butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O,S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)-alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; hydroxy group; mercapto group; mono- or di-straight or branched chain (C1-C6)alkylamino group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl, 1,1-dimethylethyl, 2-methylbutyl, 1,1-dimethylpropyl, 2,2-dimethylpropyl, 3-methylbutyl, n-hexyl, 1-methylpentyl, 1,1-dimethylbutyl, 2,2-dimethylbutyl, 2-methylpentyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl or 1-methyl-1-ethylpropyl amino; (C2-C5)azacycloalkyl group such as aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl, morpholinyl or 2-methylpyrrolidinyl; carboxy(C2-C4) alkylamino group selected from aminoacetic acid, α-aninopropionic acid, α-aminobutyric acid and their optical isomers; α-hydroxy(C₁-C₃)alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; halo(C_1 - C_3)alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl,

2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoromethyl, 2-bromoethyl or 2-iodoethyl; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C_3-C_6) cycloalkylcarbonyl, (C_6-C_{10}) -aroyl selected from benzoyl or naphthoyl, halo substituted (C_6-C_{10}) aroyl such as pentafluorobenzoyl, 4-chlorobenzoyl, 3-bromobenzoyl, 3,4-difluorobenzoyl, (C_1-C_4) alkylbenzoyl such as 4-toluoyl, 2-toluoyl or 4-(1-methylethyl)benzoyl, or (heterocycle)carbonyl, the heterocycle selected from at five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N, O, S or $S ilde{o}$

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such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl,benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

$$Z$$
 or $Z^1 - N$, O , S or $S O$

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3Himidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)-alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C_1 - C_3)-alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; (C_1 - C_4) alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, allyloxycarbonyl or straight or branched butoxycarbonyl, 1-methoty-1-cycle of the selected from methyl, ethyl, n-propyl, 1-methoty-1-cycle of the selected from methyl-cycle of the selected fr

ylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)$ alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) alkyl], O or S; or R^aR^b -baminoxy group, wherein R^aR^b is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W-(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)$ alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) alkyl], O or S; and when $R=R^4$ (CH_2) $_nSO_2$ - and n=0,

 R^4 ' is selected from amino; monosubstituted amino selected from straight or branched (C_1 - C_6)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1 - C_4)alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C_3 - C_6)cycloalkyl group selected from cyclopropyl, cyclopentyl or cyclohexyl; substituted (C_3 - C_6)cycloalkyl group (substitution selected from (C_1 - C_3)alkyl, cyano, amino or (C_1 - C_3)acyl); (C_6 - C_1 0)aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6 - C_1 0)aryl group (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4) alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group such as benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; halo(C_1 - C_3)alkyl group such as bromomethyl, fluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2-trifluoroethyl, 2-chloroethyl, 2,2-dichloroethyl, 2,2-dichloroethyl, 2,2-dichloroethyl, 2-fluoroethyl, 2-fluoroethyl, a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

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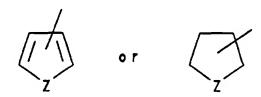
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Z = N, O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

$$\bigcap_{0}^{N}$$
 or \bigcap_{1}^{N}

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(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo,(C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) such as γ-butyrolactam, γ-butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; RaRbamino(C₁-C₄)alkoxy group, wherein RaRb is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or RaRb is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S;

selected from -N(C_1 - C_3)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1 - C_3)alkyl], O or S; or RaRbaminoxy group, wherein RaRb is a straight or branched (C_1 - C_4)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or RaRb is (CH_2)_n, n=2-6, or -(CH_2)₂W-(CH_2)₂- wherein W is selected from -N(C_1 - C_3)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1 - C_3)alkyl], O or S; and when R = R4'(CH_2)_nSO₂- and n= 1-4,

R4' is selected from hydrogen; amino; straight or branched (C₁-C₄)alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C₁-C₄)carboxyalkyl group; (C₃-C₆)cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C3-C6)cycloalkyl group (substitution selected from (C_1 - C_3)alkyl, cyano, amino or (C_1 - C_3)acyl); (C_6 - C_{10})aryl group selected from phenyl, α naphthyl or β -naphthyl; substituted (C_6 - C_{10})aryl group (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3) alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; (C_1-C_4) alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy or tert-butoxy; C6-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C1-C₃)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₇-C₁₀)aralkyloxy group such as benzyloxy, 1-phenylethyloxy or 2-phenylethyloxy; R^aR^b amino (C_1-C_4) alkoxy group, wherein R^aR^b is a straight or branched (C_1-C_4) alkyl $selected from \, methyl, \, ethyl, \, n-propyl, \, 1-methylethyl, \, n-butyl, \, 1-methylpropyl, \, or \, 2-methylpropyl \, or \, R^aR^b \, is \, (CH_2)_n, \, n=2-6, \, in a constant of the constant of$ or -(CH₂)₂-W(CH₂)₂- wherein W is selected from -N(C₁-C₃)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or RaRbaminoxy group, wherein RaRb is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or RaRb is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) alkyl], O or S; (C_1-C_3) alkylthio group selected from methylthio, ethylthio or n-propylthio; C_6 -arylthio group selected from phenylthio or substituted phenylthio (substitution selected from halo, (C₁-C₃)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃) alkylamino); (C₇-C₈)aralkylthio group such as benzylthio, 1-phenylethylthio or 2-phenylethylthio; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

Z = N, O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or

Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

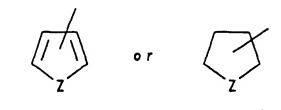
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(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) -alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) -aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O,S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended 0 heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; hydroxy group, mercapto group; mono- or di- straight or branched (C1-C6)alkylamino group selected from methyl, ethyl, npropyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl, 1,1-dimethylethyl, 2-methylbutyl, 1,1-dimethylpropyl, 2,2-dimethylpropyl, 3-methylbutyl, n-hexyl, 1-methylpentyl, 1,1-dimethylbutyl, 2,2-dimethylbutyl, 2-methylpentyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl or 1-methyl-1-ethylpropyl amino; halo(C1-C3)alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-chloroethyl, 2,2-dichloroethyl, 2,2,2-trichloroethyl, 2-bromoethyl or 2-iodoethyl; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C_3-C_6) cycloalkylcarbonyl, (C_6-C_{10}) aroyl selected from benzoyl or naphthoyl, halo substituted (C6-C10) aroyl such as pentafluorobenzoyl, 4-chlorobenzoyl, 3-bromobenzoyl or 3,4-difluorobenzoyl, (C1-C4)alkylbenzoyl such as 4-toluoyl, 2-toluoyl or 4-(1-methylethyl)-benzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N. O. S or Se

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such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

$$z'$$
 or z'

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)-alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C_1 - C_3)-alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; (C_1 - C_4) alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, allyloxycarbonyl or straight or branched butoxycarbonyl;

R⁵ is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C_7 - C_9)aralkyl group such as benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z - N. O. Sor Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)-alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C_1-C_3) alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl, 2-dioxothiomorpholinyl; or - $(CH_2)_nCOOR^7$ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C_6-C_{10}) aryl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6-C_{10}) aryl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C_7-C_9) aralkyl group such as benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

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Z = N. O. S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

$$Z or Z^1 = N, 0, S or S or$$

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such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazolyl, or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)-alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C_1-C_3) alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl, 2-dioxothiomorpholinyl; or - $(CH_2)_nCOOR^7$ where n=0-4 and R 7 is selected from hydrogen; straight or branched (C_1-C_3) alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C_6-C_{10}) aryl selected from phenyl, α -naphthyl or β -naphthyl; with the proviso that R 5 and R 6 cannot both be hydrogen;

or R^5 and R^6 taken together are - $(CH_2)_2W(CH_2)_2$ -, wherein W is selected from $(CH_2)_n$ and n=0-1, -NH, -N(C_1 - C_3)alkyl [straight or branched], -N(C_1 - C_4)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

[0014] Preferred compounds are compounds according to the above formula III and IV in which Y is NO2;

R is selected from $R^4(CH_2)_nCO$ - or $R^4(CH_2)_nSO_2$ -; and when $R = R^4(CH_2)_nCO$ - and n=0,

R4 is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C1-C6)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₃-C₆)cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted $(C_3-C_6) \\ \text{cycloalkyl group (substitution selected from } \\ (C_1-C_3) \\ \text{alkyl, cyano, amino or } \\ (C_1-C_3) \\ \text{acyl); } \\ (C_6-C_{10}) \\ \text{aryl group selected from } \\ (C_1-C_3) \\ \text{alkyl, cyano, amino or } \\ (C_1-C_3) \\ \text{acyl); } \\ (C_6-C_{10}) \\ \text{aryl group selected from } \\ (C_1-C_3) \\ \text{alkyl, cyano, amino or } \\ (C_1-C_3) \\ \text{acyl); } \\ (C_6-C_{10}) \\ \text{aryl group selected from } \\ (C_1-C_3) \\ \text{acyl group selected from } \\ (C_1-C_3) \\$ lected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6 - C_{10}) aryl group (substitution selected from halo,(C_1 - C_4) alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); α-amino(C₁- C_4) alkyl group selected from aminomethyl, α -aminoethyl, α -aminopropyl or α -aminobutyl; carboxy(C_2 - C_4) alkylamino group selected from aminoacetic acid, α-aminobutyric acid or α-aminopropionic acid and their optical isomers; (C₇- C_9) aralkylamino group such as phenylglycyl; (C_1-C_4) alkoxycarbonylamino substituted (C_1-C_4) alkyl group, substitution selected from phenyl or p-hydroxyphenyl; α -hydroxy(C₁-C₃)alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; halo(C_1 - C_3)alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-bromoethyl or 2-iodoethyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

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Z - N.O.SorSo

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

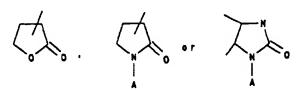
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Z or $Z^1 = N$, O, S or Se

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3Himidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

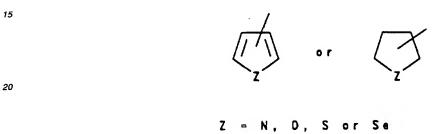
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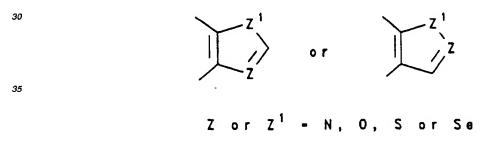
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(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)-alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

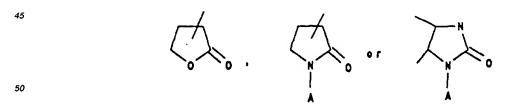
such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C_1-C_3) alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C_3-C_6) cycloalkylcarbonyl such as cyclopropylcarbonyl, cyclobutylcarbonyl, cyclopentylcarbonyl, (2,3-dimethylcyclopropyl)carbonyl, (1,2-dimethylcyclopropyl)carbonyl, (2-ethylcyclopropyl)carbonyl, (2-methylcyclopentyl)carbonyl or (3-ethylcyclobutyl) carbonyl, (C_6-C_{10}) aroyl selected from benzoyl or naphthoyl, halo substituted (C_6-C_{10}) aroyl such as pentafluorobenzoyl, 4-chlorobenzoyl, 3-bromobenzoyl or 3,4-difluorobenzoyl, (C_1-C_4) alkylbenzoyl such as 4-toluoyl, 2-methyltoluoyl or 4-(1-methylethyl)benzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



25 such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazolyl, or pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)-alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C_1 - C_3)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms

and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; (C_1-C_4) alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxylcarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C_1-C_3) alkyl group, halogen, (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-bromoethyl or 2-iodoethyl, a heterocycle group selected from a five membered aromatic or saturated ring with one N, 0, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z - N. O. S or Se

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such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl]; (C_1-C_4) alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy,n-butoxy or tert-butoxy; C_6 -aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C_1-C_4) alkyl, nitro, cyano, thiol, amino, carboxy, di (C_1-C_3) alkylamino); (C_7-C_{10}) aralkyloxy group such as benzyloxy, 1-phenylethyloxy or 2-phenylethyloxy; vinyloxy or substituted vinyloxy group (substitution selected from (C_1-C_4) alkyl, cyano, carboxy, or (C_6-C_{10}) aryl selected from phenyl, α -naphthyl or β -naphthyl); R^aR^b amino (C_1-C_4) alkoxy group, wherein R^aR^b is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)$ alkyl [straight or branched], -NH, -NOB [B is selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W-(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)$ alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) alkyl], O or S; and when R=R $(CH_2)_nCO$ - and n=1-4,

 R^4 is selected from hydrogen; $(C_1\text{-}C_3)$ alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; amino; monosubstituted amino selected from straight or branched $(C_1\text{-}C_6)$ alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); $(C_6\text{-}C_{10})$ aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted $(C_6\text{-}C_{10})$ -aryl group (substitution selected from halo, $(C_1\text{-}C_4)$ alkoxy, trihalo $(C_1\text{-}C_3)$ alkyl, nitro, amino, cyano, $(C_1\text{-}C_4)$ alkoxycarbonyl, $(C_1\text{-}C_3)$ alkylamino or carboxy); acyloxy or haloacyloxy group, selected from acetyl, propionyl, chloroacetyl, trichloroacetyl, $(C_3\text{-}C_6)$ cycloalkyl-carbonyl, $(C_6\text{-}C_{10})$ aroyl selected from benzoyl or naphthoyl, halo substituted $(C_6\text{-}C_{10})$ aroyl such as pentafluorobenzoyl, 4-chlorobenzoyl, 3-bromobenzoyl or 3,4-difluorobenzoyl, $(C_1\text{-}C_4)$ alkylbenzoyl such as 4-toluoyl, 2-toluoyl, 4-(1-methylethyl)benzoyl or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom opionally having a benzo or pyrido ring fused thereto:



Z = N, O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

$$z^1$$
 or $z^1 = N$, $z^1 = N$

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

$$\sqrt{\frac{1}{N}}$$
 or $\sqrt{\frac{N}{N}}$ or

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)-alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; (C₁-C₄) alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy,n-butoxy or tert-butoxy; RaRbamino(C1-C4)alkoxy group, wherein RaPb is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or RaRb is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁- $C_3) alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) alkyl], O or S; or R^aR^b aminoxy group, alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) alkyl [straight or branched], -NH, -NOB [straight or branched], -NH, -NDB [straight$ wherein RaRb is a straight or branched (C1-C4)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W-(CH_2)_2$ - wherein W is selected from $-N(C_1-C_1)$ -C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C1-C4)-alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)-alkylamino); (C₁-C₃)alkylthio group selected from methylthio, ethylthio, propylthio or allylthio; C₆arylthio group selected from phenylthio or substituted phenylthio (substitution selected from halo, (C1-C2)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); C₆-arylsulfonyl group selected from phenylsulfonyl or substituted phenylsulfonyl (substitution selected from halo, (C1-C4)alkoxy, trihalo(C1-C3)alkyl, nitro, amino, cyano, (C1-C4)alkoxycarbonyl, (C1-C3)alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

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Z = N. O. S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O,S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

Z or Z^1 = N, O, S or Se

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)-alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O,S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C_1 - C_3)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended 0 heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; hydroxy group; a-hydroxy(C_1 - C_3)alkyl group selected from hydroxymethyl, α -hydroxyethyl or a-hydroxy-1-methylethyl or α -hydroxypropyl; halo(C_1 - C_3)alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2-trifluoromethyl, 2-bromoethyl or 2-iodoethyl; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C_3 - C_6)cycloalkylcarbonyl, (C_6 - C_{10}) aroyl selected from benzoyl or naphthoyl, halo substituted (C_6 - C_{10})aroyl such as pentafluorobenzoyl, 4-chlorobenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S

or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

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Z = N. O. S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl,

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

$$z$$
 or $z^1 = N$, $z^1 = N$

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)-alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C_1-C_3) -alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended 0 heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; (C_1-C_4) alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino;

and when $R = R^4'(CH_2)_nSO_2$ - and n = 0,

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 R^4 is selected from amino; monosubstituted amino selected from as straight or branched (C_1-C_6) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3)

alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N. O. S ar Se

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such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)-alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C_1 - C_3)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; and when R = R4'(CH₂)₀SO₂- and n= 1-4,

 R^4 ' is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C_1 - C_6)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6 - C_{10})aryl group (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)

alkylamino or carboxy); (C_1-C_4) alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy, n-butoxy, iso-butoxy or tert-butoxy; C_6 -aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C_1-C_4) alkyl, nitro cyano, thiol, amino, carboxy, $di(C_1-C_3)$ alkylamino; (C_7-C_{10}) aralkyloxy group such as benzyloxy, 1-phenylethyloxy or 2-phenylethyloxy; (C_1-C_4) carboxyalkyl group;

R⁵ is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C_7 - C_9)aralkyl group such as benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



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Z = N, O, S or Se

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such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl,benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

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ZorZ¹ = N, O, SorSe

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such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

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(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1 - C_4)alkoxyl trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)-alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl,

pyrimidinyl or (C_1-C_3) alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl, 2-dioxothiomorpholinyl; or - $(CH_2)_nCOOR^7$ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C_1-C_3) -alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl;

 R^6 is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C_7 - C_9)-aralkyl group such as benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

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Z - N. O. Sor Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

$$Z^1$$
 or Z^1

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazolyl, or pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)-alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyriazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl, 2-dioxothiomorpholinyl; or (CH₂)_nCOOR?' where n=0-4 and R?' is selected from hydrogen; straight or branched (C₁-C₃)-alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl selected from phenyl, α -naphthyl or β -naphthyl; with the proviso that R⁵ and R⁶ cannot both be hydrogen;

or R⁵ and R⁶ taken together are - $(CH_2)_2W(CH_2)_2$ -, wherein W is selected from $(CH_2)_n$ and n=0-1, -NH, -N $(C_1$ - C_3)alkyl [straight or branched], -N $(C_1$ - C_4)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

[0015] Particularly preferred compounds are compounds according to the above formula III and IV in which Y is NO2;

R is selected from $R^4(CH_2)_nCO$ - or $R^4(CH_2)_nSO_2$ -; and when $R = R^4(CH_2)_nCO$ - and n=0,

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R4 is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₃-C₆)cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C₃-C₆)cycloalkyl group (substitution selected from (C₁-C₃)alkyl, cyano, amino or (C₁-C₃)acyl); (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6 - C_{10}) aryl group (substitution selected from halo,(C_1 - C_4) alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); α -amino-(C_1 -C₄)alkyl group selected from aminomethyl, α-aminoethyl, α-aminopropyl or α-aminobutyl; carboxy(C₂-C₄)alkylamino group selected from aminoacetic acid, α-aminobutyric acid or α-aminopropionic acid and their optical isomers; (C₇-C₉)aralkylamino group such as phenylglycyl; (C₁-C₄)alkoxycarbonylamino substituted (C₁-C₄)alkyl group, substitution selected from phenyl or p-hydroxyphenyl; α -hydroxy(C₁-C₃)alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; halo(C_1 - C_3)alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-bromoethyl or 2-iodoethyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N, O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

$$z$$
 or $z^1 - N$, z or z^1

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

$$\langle \rangle_{0}$$
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(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo, (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) -alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) -aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C_1-C_3) alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C_3-C_6) cycloalkylcarbonyl such as cyclopropylcarbonyl, cyclobutylcarbonyl, cyclopentylcarbonyl, (2,3-dimethylcyclopropyl)carbonyl, (1,2-dimethylcyclopropyl)carbonyl, (2-ethylcyclopropyl)carbonyl, (2-methylcyclopentyl)carbonyl or (3-ethylcyclobutyl) carbonyl, (C_6-C_{10}) aroyl selected from benzoyl or naphthoyl, halo substituted (C_6-C_{10}) aroyl such as pentafluorobenzoyl, 4-chlorobenzoyl, 3-bromobenzoyl or 3,4-difluorobenzoyl, (C_1-C_4) alkylbenzoyl such as 4-toluoyl, 2-methylbenzoyl or 4-(1-methylethyl)benzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

Z - N, O, S or Se

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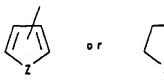
such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

$$Z$$
 or $Z^1 - N$, Q , S or S or

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended 0 heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)-alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy): (C_7 - C_9)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring

with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C_1-C_3) alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; (C_1-C_4) alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxylcarbonyl, straight or branched propoxylcarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C_1-C_3) alkyl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-bromoethyl or 2-iodoethyl, a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N. O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl);

 (C_1-C_4) alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy,n-butoxy or tert-butoxy; C_6 -aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C_1-C_4) alkyl, nitro, cyano, thiol, amino, carboxy, (C_1-C_3) alkylamino); (C_7-C_{10}) aralkyloxy group such as benzyloxy, 1-phenylethyloxy or 2-phenylethyloxy; vinyloxy or substituted vinyloxy group (substitution selected from (C_1-C_4) -alkyl, cyano, carboxy, or (C_6-C_{10}) aryl selected from phenyl, α -naphthyl or β -naphthyl); α -naphthyl; α -naphth

 R^4 is selected from hydrogen; (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; amino; monosubstituted amino selected from straight or branched (C_1-C_6) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); acyloxy or haloacyloxy group, selected from acetyl, propionyl, chloroacetyl, trichloroacetyl, (C_3-C_6) cycloalkyl-carbonyl, (C_6-C_{10}) aroyl selected from benzoyl or naphthoyl, halo substituted (C_6-C_{10}) aroyl such as pentafluorobenzoyl, 4-chlorobenzoyl, 3-bromobenzoyl or 3,4-difluorobenzoyl, (C_1-C_4) alkylbenzoyl such as 4-toluoyl, 2-toluoyl, 4-(1-methylethyl)benzoyl or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionaly having a benzo or pyrido ring fused thereto:

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Z - N. O. Sor Se

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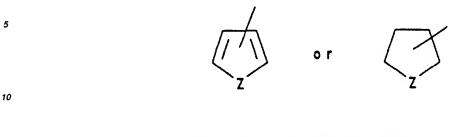
such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazolyl, or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

$$\sqrt{\frac{1}{N}}$$
 or $\sqrt{\frac{N}{N}}$ o

(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from $halo, (C_1-C_4) \\ alkoxy, \ trihalo(C_1-C_3) \\ alkyl, \ nitro, \ amino, \ cyano, \ (C_1-C_4) \\ -alkoxycarbonyl, \ (C_1-C_3) \\ alkylamino \ or \ carboxy);$ (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) such as γ-butyrolactam, γ-butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; (C1-C4) alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy, n-butoxy or tert-butoxy; C6-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)-alkyl, nitro, cyano, thiol, amino, carboxy, di (C₁-C₃)-alkylamino); RaRbamino(C₁-C₄)alkoxy group, wherein RaRb is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or RaRb is (CH2)n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) alkyl], O or S; or R^aR^b aminoxy group, wherein R^aR^b is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or RaRb is (CH₂)_n, n=2-6, or -(CH₂)₂W-(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl), O or S; (C₁-C₃)alkylthio group selected from methylthio, ethylthio, propylthio or allylthio; C₆arylthio group selected from phenylthio or substituted phenylthio (substitution selected from halo, (C1-C4)alkyl, nitro, cyano, thiol, amino, carboxy, di(C1-C3)alkylamino); C6-arylsulfonyl group selected from phenylsulfonyl or substituted phenylsulfonyl (substitution selected from halo, (C1-C4)alkoxy, trihalo(C1-C3)alkyl, nitro, amino, cyano, (C1-C4)alkoxycarbonyl, (C1-C3)alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated

ring one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



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 $Z = N, O, S or S \epsilon$

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O,S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

$$z$$
 or $z^1 - N$, $z^1 - N$

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1 - C_4)alkoxyl trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)-alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O,S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl (C_1 - C_3)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; hydroxy group; α -hydroxy(C_1 - C_3)alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxy-propyl; halo(C_1 - C_3)alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoromethyl, trifluoromethyl or 2-iodoethyl; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C_3 - C_6)cycloalkylcarbonyl, (C_6 - C_{10})aroyl selected from benzoyl or naphthoyl, halo substituted (C_6 - C_{10})aroyl such as pentafluorobenzoyl, 4-chlorobenzoyl, 3-bromophenylcarbonyl or 3,4-difluorobenzoyl, (C_1 - C_4)alkylbenzoyl such as from 4-toluoyl, 2-toluoyl or 4-(1-methylethyl)benzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with

one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

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such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo,(C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) such as γ-butyrolactam, γ-butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; (C₁-C₄) alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino;

and when $R=R^4$ (CH_2)_nSO₂- and n=0, R^4 is selected from amino; monosubstituted amino selected from as straight or branched (C_1 - C_6)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6 - C_{10})aryl group (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3) alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N. D. S or Se

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such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazolyl, or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) such as γ-butyrolactam, γ-butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms

pyrimidinyl or (C_1-C_3) alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; and when $R = R^4'(CH_2)_nSO_2$ - and n = 1-4,

R^{4'} is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C_1-C_6) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; RaRbamino (C_1-C_4) alkoxy group, wherein RaRb is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or RaRb is $(CH_2)_n$, n=2-6, or $-(CH_2)_2$ W- $-(CH_2$

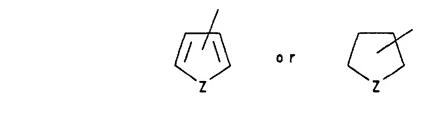
alkyl], O or S;

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 R^5 is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C_7 - C_9)aralkyl group such as benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N. O. S or Se

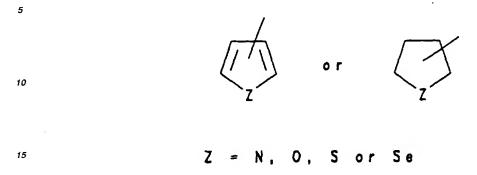
such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3Himidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) -alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) -aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl). such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C_1-C_3) alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl, 2-dioxothiomorpholinyl; or - $(CH_2)_nCOOR^7$ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C_1-C_3) -alkyl group selected from

methyl, ethyl, n-propyl or 1-methylethyl; or (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; R⁶ is selected from hydrogen; straight or branched (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-meth-

ylethyl; (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl: (C_7-C_9) aralkyl group such as benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



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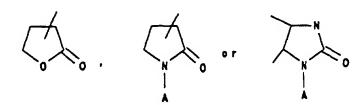
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such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) -alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) -aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl, 2-dioxothiomorpholinyl; or (CH₂) $_n$ COOR7' where n=0-4 and R7' is selected from hydrogen; straight or branched (C $_1$ -C $_3$)-alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C $_6$ -C $_1$ 0)aryl selected from phenyl, α -naphthyl or β -naphthyl; with the proviso that R5 and R6 cannot both be hydrogen;

or R⁵ and R⁶ taken together are - $(CH_2)_2$ W($CH_2)_2$ -, wherein W is selected from (CH_2)_n and n=0-1, -NH, -N(C_1 - C_3)alkyl [straight or branched], -N(C_1 - C_4)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L

or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

[0016] Most particularly preferred compounds are compounds according to the above formula III and IV in which Y is NO₂;

R is selected from $R^4(CH_2)_nCO$ - or $R^4(CH_2)_nSO_2$ -; and when $R = R^4(CH_2)_nCO$ - and n=0,

R⁴ is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C_1 - C_6)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrollyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1 - C_2)alkyl group selected from methyl or ethyl; (C_6 - C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6 - C_{10})aryl group (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); carboxy(C_2 - C_4)alkylamino group selected from aminoacetic acid, α -aminobutyric acid or α -aminopropionic acid and their optical isomers; α -hydroxy(C_1 - C_3)alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methyl-ethyl or α -hydroxypropyl; halo(C_1 - C_3)alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2-trifluoroethyl, 2-bromoethyl or 2-iodoethyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

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Z = N. O. S or S

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such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

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$$Z^1$$
 or Z^1

ZorZ¹ - N, O, SorSe

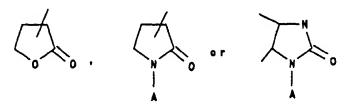
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such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended. O heteroatom:

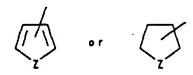
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(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)-alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C_1-C_3) alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; (C_1-C_4) alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxylcarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C_1-C_3) alkyl group, halogen, (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-bromoethyl or 2-iodoethyl, a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z - N. O. S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl]; (C_1-C_4) alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy, n-butoxy or tert-butoxy; C_6 -aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C_1-C_4) alkyl, nitro, cyano, thiol, amino, carboxy, $di(C_1-C_3)$ alkylamino); (C_7-C_{10}) aralkyloxy group such as benzyloxy, 1-phenylethyloxy or 2-phenylethyloxy; vinyloxy or substituted vinyloxy group (substitution selected from (C_1-C_4) alkyl, cyano, carboxy, or (C_6-C_{10}) aryl selected from phenyl, α -naphthyl or β -naphthyl); R^aR^b amino (C_1-C_4) alkoxy group, wherein R^aR^b is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)$ alkyl [straight or branched], -NH, -NOB [B is; selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W-(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)$ alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) alkyl], O or O; and O0 or O1.

 R^4 is selected from hydrogen; (C_1-C_2) alkyl group selected from methyl or ethyl; amino; monosubstituted amino selected from straight or branched (C_1-C_6) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; dissubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6-C_{10}) aryl group substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); acyloxy or haloacyloxy group, selected from acetyl, propionyl, chloroacetyl, trichloroacetyl, (C_3-C_6) cycloalkylcarbonyl, (C_6-C_{10}) aroyl selected from benzoyl or naphthoyl, halo substituted (C_6-C_{10}) aroyl such as pentafluorobenzoyl, 4-chlorobenzoyl, 3-bromobenzoyl or 3,4-difluorobenzoyl, (C_1-C_4) alkylbenzoyl such as 4-toluoyl, 2-toluoyl, 4-(1-methylethyl)benzoyl or (heterocycle) carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

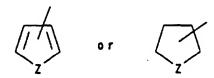
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Z = N. O. S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

Z or $Z^1 = N$, Q, S or S

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such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended. O heteroatom:

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) -alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C_1-C_3) alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; (C₁-C₄) alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy, n-butoxy or tert-butoxy; RaRbamino(C₁-C₂)alkoxy group, wherein RaRb is a straight or branched (C1-C4)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1-CH_2)_2W(CH_2)_2$ - where $-N(C_1-CH_2)_2W(CH_2)_2$ - $-N(C_1-CH_2)_2W(CH_2)_2W(CH_2)_2$ - $-N(C_1-CH_2)_2W(C$ C₃)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or RaRbaminoxy group, wherein RaRb is a straight or branched (C1-C4)-alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, nbutyl, 1-methylpropyl, or 2-methylpropyl or RaRb is (CH2)n, n=2-6, or -(CH2)2W(CH2)2- wherein W is selected from -N (C_1-C_3) alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) alkyl], O or S; α -hydroxy(C_1 -C₃)alkyl group selected from hydroxymethyl, α-hydroxyethyl or α-hydroxy-1-methylethyl or α-hydroxypropyl; halo(C₁-C₃)alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoromethyl, 2-bromoethyl or 2-iodoethyl; (C₁-C₄)alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycar-

bonylamino or propoxycarbonylamino; and when $R = R^{4'} (CH_2)_n SO_2$ - and n = 0,

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 $R^{4'}$ is selected from amino; monosubstituted amino selected from as straight or branched (C_1-C_6) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1-C_2) alkyl group selected from methyl or ethyl; (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

Z = N. O. S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)-alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-

2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; and when $R = R^4(CH_2)_nSO_2$ - and n = 1-4,

R4' is selected from hydrogen; straight or branched (C1-C2)alkyl group selected from methyl or ethyl;

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 R^5 is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C_7 - C_9)aralkyl group such as benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



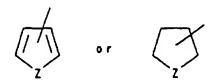
Z = N, O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) -alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) -aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C_1-C_3) alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl, 2-dioxothiomorpholinyl; or - $(CH_2)_nCOOR^7$ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C_1-C_3) -alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl, β -naphthyl; R⁶ is selected from hydrogen; straight or branched (C_1-C_3) -alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; or α -1 and α

ylethyl; (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C_7-C_9) aralkyl group such as benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N. O. S or Se

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such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

Z or $Z^1 = N$, O, S or Se

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo,(C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) such as γ-butyrolactam, γ-butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring

with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, pyrimidinyl or (C_1-C_3) alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl, 2-dioxothiomorpholinyl; or $(CH_2)_nCOOR^7$ where n=0-4 and R^7 is selected from hydrogen; straight or branched (C_1-C_3) alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C_6-C_{10}) aryl selected from phenyl, α -naphthyl or β -naphthyl; with the proviso that R^5 and R^6 cannot both be hydrogen;

or R^5 and R^6 taken together are - $(CH_2)_2W(CH_2)_2$ -, wherein W is selected from $(CH_2)_n$ and n=0-1, -NH, -N(C₁-C₃)alkyl [straight or branched], -N(C₁-C₄)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinete, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts

or metal complexes.

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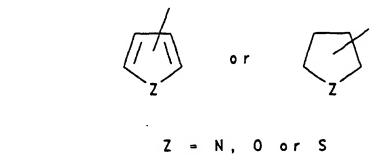
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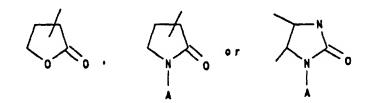
[0017] Compounds of special interest are compounds; according to the above formula III and IV in which Y is NO₂; R is selected from $R^4(CH_2)_nCO$ - or $R^4(CH_2)_nSO_2$ -; and when $R = R^4(CH_2)_nCO$ - and n=0,

R⁴ is selected from hydrogen; straight or branched (C₁-C₂)alkyl group selected from methyl or ethyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, or S heteroatom optionally having a benzo or pyrido ring fused thereto:



such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O or S heteroatoms optionally having a benzo or pyrido ring fused thereto:

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O or S heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C_1-C_2) alkyl; C_6 -aryl) such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone; (C_1-C_4) alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxylcarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C_1-C_2) alkyl group, (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, (C_1-C_4) alkoxycarbonyl), halo (C_1-C_3) alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-bromoethyl or 2-iodoethyl, (C_1-C_4) alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy, n-butoxy or tert-butoxy; $(C_6-\alpha_1)$ 0 group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C_1-C_4) alkyl); (C_7-C_{10})

aralkyloxy group such as benzyloxy, 1-phenylethyloxy or 2-phenylethyloxy; vinyloxy or substituted vinyloxy group (substitution selected from (C_1-C_2) alkyl); R^aR^b amino (C_1-C_4) alkoxy group, wherein R^aR^b is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl; or R^aR^b aminoxy group, wherein R^aR^b is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl;

and when $R = R^4(CH_2)_nCO$ - and n=1-4,

 R^4 is selected from hydrogen; (C_1-C_2) alkyl group selected from methyl or ethyl; amino; monosubstituted amino selected from straight or branched (C1-C6)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, or 1-(1,2,3-triazolyl); (C_6-C_{10}) aryl group selected from phenyl; α -naphthyl or β -naphthyl; substituted(C_6 - C_{10}) aryl group (substitution selected from halo, (C_1 - C_4) alkoxy, nitro, amino, (C_1 - C_4) alkoxycarbonyl); acyloxy or haloacyloxy group selected from acetyl, propionyl or chloroacetyl; (C1-C4)alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy, n-butoxy or tert-butoxy; RaRbamino(C1-C4)alkoxy group, wherein RaRb is a straight or branched (C1-C4)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^{aRb} is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)$ alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C1-C3)alkyl], 0 or S; or RaRbaminoxy group, wherein RaRb is a straight or branched (C1-C4)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or RaRb is (CH₂)n, n=2-6, or -(CH₂)2W-(CH₂)2- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; halo(C₁-C₃)alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoromethyl, 2-bromoethyl or 2-iodoethyl; (C₁-C₄)alkoxycarbonylamino group selected from tertbutoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylami-

and when $R = R^{4'} (CH_2)_n SO_2$ - and n = 0,

25 R4' is selected from straight or branched (C₁-C₂)alkyl group selected from methyl or ethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, nitro, (C₁-C₄)alkoxycarbonyl); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O or S heteroatom optionally having a benzo or pyrido ring fused thereto:

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$$\left\langle \begin{array}{c} \\ \\ \\ \\ \end{array} \right\rangle$$
 or $\left\langle \begin{array}{c} \\ \\ \\ \end{array} \right\rangle$

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$$Z = N$$
, O or S

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O or S heteroatoms optionally having a benzo or pyrido ring fused thereto:

0 r



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$$Z$$
 or $Z^1 = N$, O or S

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-

imidazo[4,5-b]pyridyl or pyridylimidazolyl;

and when $R = R^{4'} (CH_2)_n SO_2$ - and n = 1-4,

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R4' is selected from hydrogen; straight or branched (C1-C2)alkyl group selected from methyl or ethyl;

 R^5 is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl;

R⁶ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; with the proviso that R⁵ and R⁶ cannot both be hydrogen;

or R^5 and R^6 taken together are - $(CH_2)_2W(CH_2)_2$ -, wherein W is selected from $(CH_2)_n$ and n=0-1, -NH, -N (C_1-C_3) alkyl [straight or branched], -N (C_1-C_4) alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

DESCRIPTION OF THE PREFERRED EMBODIMENTS

[0018] The novel compounds of the present invention may be readily prepared in accordance with the following schemes.

[0019] The starting 7-(substituted amino)-6-demethyl-6-deoxytetracyclines described in formula 1, wherein $X=NR^1R^2$ and $R^1=R^2$ (1a) and $X=NHR^1$ (1b) or the salts thereof are prepared by procedures known to those skilled in the art including those described in U.S. Patents 3,226,436 and 3,518,306.

$$1a. x - NR^1R^2, R^1 - R^2$$

1c. x - NR¹R², R¹
$$+$$
 R²

40 [0020] The starting 7-(substituted amino)-6-demethyl-6-deoxytetracyclines described in formula 1 wherein X=NR¹R² and R¹ = R² (1c) are prepared according to Scheme 1.

In accordance with Scheme 1, a 7-(monoalkylamino)-6-demethyl-6-deoxytetracycline, <u>1b</u>, in which X=NHR¹, is reductively alkylated with an aldehyde to give an unsymmetrical dialkylamino, 1c.

Scheme II

[0021] In accordance with Scheme II, a 7-(substituted amino)-6-demethyl-6-deoxytetracycline or its salts, <u>1a</u> or <u>1c</u>, is treated with

- a) a metal nitrate salt; such as calcium, potassium or sodium; and a strong acid; such as sulfuric acid, trifluoroacetic acid, methanesulfonic acid or perchloric acid or
- b) nitric acid and a strong acid; such as sulfuric acid, trifluoroacetic acid, methanesulfonic acid or perchloric acid: to form the corresponding 7-(substituted amino)-9-nitro-6-demethyl-6-deoxytetracycline 2.

[0022] To produce the 9-(amino)-7-(substituted amino)-6-demethyl-6-deoxytetracyclines, 3, compound 2 or its salts is treated with hydrogen in an acidic alcohol solvent, in the presence of a suitable catalyst such as, for example:

- a) any supported catalyst; such as 0.5-23% palladium-on-carbon, 0.5-25% palladium-on-barium, 0.5-25% platinum-on-carbon or 0.5-25% rhodium-on-carbon;
- b) any reducible supported catalyst; such as Raney nickle or platinum oxide; or

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c) a homogeneous hydrogenation catalyst; such as tris-(triphenylphosphine)rhodium (I) chloride; to obtain the 9-amino-7-(substituted amino)-6-demethyl-6-deoxytetracycline, 3.

[0023] Alternatively, the 9-(amino) -7-(substituted amino)-6-demethyl-6-deoxytetracyclines, <u>3</u>, are obtained by treating with:

- a) stannous chloride dihydrate as described by R. B. Woodward, Org. Syn., Coll. Vol. 3, 453 (1955);
- b) a soluble metal sulfide, preferably sodium sulfide, in alcoholic solvents as described by G. R. Robertson, Org. Syn., Coll. Vol. 1, 52 (1941);
- c) an active metal in mineral acid; such as iron, tin or zinc in dilute hydrochloric acid;
- d) active metal couples; such as copper-zinc, tin-mercury or aluminum amalgam in dilute acid; or
- e) transfer hydrogenation using triethylammonium formate and a supported catalyst as described by I. D. Entwistle et al., J. Chem. Soc., Perkin 1, 443 (1977).

[0024] Preferably, the 9-(amino)-7-(substituted amino)-6-demethyl-6-deoxytetracyclines, 3, are obtained as inorganic salts such as hydrochloric, hydrobromic, hydroiodic, phosphoric, nitric or sulfate.

SCHEME III

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SCHEME III

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[0025] In accordance with Scheme III, a 9-(amino)-7-(substituted amino)-6-demethyl-6-deoxy-tetracycline or its salts, 3, is treated with an acyl chloride, acyl anhydride, mixed acyl anhydride, sulfonyl chloride or sulfonyl anhydride in the presence of a suitable acid scavenger in a variety of solvents to form the corresponding 9-(acyl or sulfonyl amino)-7-(substituted amino)-6-demethyl-6-deoxytetracycline, 4. The acid scavenger is selected from sodium bicarbonate, sodium acetate, pyridine, triethylamine, N,O-bis(trimethylsilyl)acetamide, N,O-bis(trimethylsilyl)trifluoroacetamide or a basic ion-exchange resin. The solvents are selected from water-tetrahydrofuran, N-methylpyrrolidone, 1,3-dimethyl-2-imidazolidione, hexamethylphosphoramide, 1,3-dimethyl-3,4,5,6-tetrahydro-2(1H)-pyrimidinone or 1,2-dimethoxyethane.

[0026] Alternatively, in accordance with Scheme III, a 9-(acylamino)-6-demethyl-6-deoxytetracycline, <u>5a</u>, prepared by the procedures described in U.S. Patent 3,239,499, or a 9-(sulfonylamino)-6-demethyl-6-deoxytetracycline, <u>5b</u>, prepared by the procedures described in this invention, is treated with a halogenation agent such as bromine, N-bromoacetamide, N-bromosuccinimide, iodine monochloride, benzyltrimethylammonium chloride iodine monochloride complex or N-iodosuccinimide to give the corresponding 9-(acyl or sulfonylamino)-7-halo-6-demethyl-6-deoxytetracycline, 6.

- [0027] Similarly, compound 5a or 5b can be treated with:
 - a) a metal nitrate such as calcium, potassium or sodium; and a strong acid such as sulfuric, trifluoroacetic, methanesulfonic acid or trifluoromethanesulfonic; or
 - b) nitric acid and a strong acid such as sulfuric, trifluoroacetic, methanesulfonic, trifluoromethanesulfonic or perchloric acid to give the corresponding 9-(acyl or sulfonyl amino)-7-nitro-6-demethyl-6-deoxytetracycline, 7.

SCHEME IV

[0028] In accordance with Scheme IV, a 9-(acyl or sulfonyl amino)-7-nitro-6-demethyl-6-deoxytetracycline, 7, is selectively N-alkylated with aldehydes or ketones in the presence of acid and hydrogen to the corresponding 7,9-di(substituted amino)-6-demethyl-6-deoxytetracycline, 8, by methodology known to those skilled in the art (U.S. Patents 3,226,436 and 3,518,306).

SCHEME V

[0029] In accordance with Scheme V, Compounds 4,6,7 or 8 are selectively N-alkylated in the presence of formal-dehyde and either a primary amine such as methylamine, ethylamine, benzylamine, methyl glycinate, (L or D)lysine, (L or D)alanine or their substituted congeners; or a secondary amine such morpholine, pyrrolidine, piperidine or their substituted congeners to give the corresponding Mannich base adduct, 9,10,11 or 12, or the desired intermediate or of the biologically active 7-(substituted)-9-(substituted amino)-6-demethyl-6-deoxytetracyclines. Contempleted equivalents include those substituted morpholine, pyrrolidine or piperidine moieties wherein the substituents are chosen to provide the requisite increase in solubility without adversely affecting antibacterial activity.

[0030] The 7-(substituted)-9-(substituted amino)-6-demethyl-6-deoxytetracyclines may be obtained as metal complexes such as aluminum, calcium, iron, magnesium, manganese and complex salts; inorganic and organic salts and corresponding Mannich base adducts using methods known to those skilled in the art (Richard C. Larock, Comprehensive Organic Transformations, VCH Publishers, 411-415, 1989). Preferably, the 7-(substituted) -9-(substituted amino)-6-demethyl-6-deoxytetracyclines are obtained as inorganic salts such as hydrochloric, hydrobromic, hydroiodic, phosphoric, nitric or sulfate; or organic salts such as acetate, benzoate, citrate, cysteine or other amino acids, fumarate, glycolate, maleate, succinate, tartrate alkylsulfonate or arylsulfonate. In all cases, the salt formation occurs with the C (4)-dimethylamino group. The salts are preferred for oral and parenteral administration.

BIOLOGICAL ACTIVITY

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Methods for in Vitro antibacterial evaluation (Tables I-V)

[0031] The minimum inhibitory concentration (MIC), the lowest concentration of the antibiotic which inhibits growth of the test organism, is determined by the agar dilution method using 0.1 ml Muller-Hinton II agar (Baltimore Biological Laboratories) per well. An inoculum level of 1-5 x 10⁵ CFU/ml, and a range of antibiotic concentrations (32-0.004 μg/ml) is used. MIC is determined after the plates are incubated for 18 hours at 35°C in a forced air incubator. The test organisms comprise genetically defined strains that are sensitive to tetracycline and resistant strains that are insensitive to tetracycline, either by preventing the antibiotic from interacting with bacterial ribosomes (tetM) or by a tetK encoded membrane protein which confers tetracycline resistance by energy-dependent efflux of the antibiotic from the cell.

E. coli in Vitro Protein translation System (Table VI)

[0032] An in vitro, cell free, protein translation system using extracts from E. coll strain MRE 600 (tetracycline-sensitive) and a derivative of MRE 600 containing the tetM determinant has been developed based on literature methods.
[J. M. Pratt, Coupled Transcription-translation in Prokaryotic Cell-free Systems, Transcription and Translation, a Practical Approach, (B. D. Hames and S.J. Higgins, eds.) p. 179-209, IRL Press, Oxford-Washington, 1984]

[0033] The antibiotics are added to exponentially growing cultures of tetracycline-susceptible <u>E. coli</u> at growth inhibitory concentrations. After 30 minutes, excess antibiotic is removed from the bacteria by centrifugation and the organism is resuspended in fresh growth medium. The ability of bacteria to resume growth is monitored. Washing of inhibited cells alleviates growth inhibition due to chlortetracycline, but not that caused by polymyxin. This reflects the different binding characteristics of the drugs. Chlortetracycline binds reversibly to bacterial ribosomes, while polymyxin remains tightly associated with its target, the cytoplasmic membrane, and continues to prevent bacterial growth even when excess antibiotic is removed.

In Vivo Antibacterial Evaluation (Table VII)

[0034] The therapeutic effects of tetracyclines are determined against acute lethal infections with various staphylococcal and <u>E. coli</u> strains. Female mice, strain CD-1 (Charles River Laboratories), 20 ± 2 grams, are challenged by an intraperitoneal injection of sufficient bacteria (suspended in broth or hog mucin) to kill non-treated controls within 24-48 hours. Antibacterial agents, contained in 0.5 ml of 0.2% aqueous agar, are administered subcutaneously or orally 30 minutes after infection. When an oral dosing schedule is used, animals are deprived of food for 5 hours before and 2 hours after infection. Five mice are treated at each dose level. The 7 day survival ratios from 3 separate tests are pooled for calculation of median effective dose (ED₅₀).

E. coli in Vitro Protein Translation System(Table VIII)

[0035] An in vitro, cell free, protein translation system using extracts from <u>E. coli</u> strain MRE600 (tetracycline sensitive) and a derivative of MRE600 containing the <u>tet</u>M determinant has been developed based on literature methods [J. M. Pratt, Coupled Transcription-translation in Prokaryotic Cell-free Systems, Transcription and Translation, a Practical Approach, (B. D. Hames and S. J. Higgins, eds) p. 179-209, IRL Press, Oxford-Washington, 1984].

[0036] Using the systems described above, the novel tetracycline compounds of the present invention are tested for their ability to inhibit protein synthesis in vitro. Briefly, each 10µl reaction contains S30 extract (a whole extract) made from either tetracycline sensitive cells or an isogenic tetracycline resistant (tetM) strain, low molecular weight components necessary for transcription and translation (i.e. ATP and GTP), a mix of 19 amino acids (no methionine), ³⁵S labeled methionine, DNA template (either pBR322 or pUC119), and either DMSO (control) or the novel tetracycline compound to be tested ("Novel Tc") dissolved in DMSO.

[0037] The reactions are incubated for 20 minutes at 37°C. Timing is initiated with the addition of the S30 extract, the lase component to be added. After 30 minutes, 2.5 µl of the reaction is remobed and mixed with 0.5 ml of 1N NaOH to destroy RNA and tRNA. Two ml of 25% trichloroacetic acid is added and the mixture incubated at room temperature for 15 minutes. The trichloracetic acid precipitated material is collected on Whatman GF/C filters and washed with a solution of 10% trichloracetic acid. The filters are dried and the retained radioactivity, representing incorporation of 35S-methionine into polypeptides, is counted using standard liquid scintillation methods.

55 [0038] The percent inhibition (P.I.) of protein synthesis is determined to be:

Testing Results

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[0039] The claimed compounds exhibit antibacterial activity against a spectrum of tetracycline sensitive and resistant Gram-positive and Gram-negative bacteria, especially, strains of <u>E. coli, S. aureus</u> and <u>E. faecalis</u>, containing the <u>tetM</u> resistance determinants (Table I). Notable is 7-(dimethylamino)-9-(formylamino)-6-demethyl-6-deoxytetracycline, as shown in Tables I and IV, which has good <u>in vitro</u> activity against tetracycline resistant strains containing the <u>tetM</u> resistance determinant (such as <u>S. aureus</u> UBMS 88-5, <u>S. aureus</u> UBMS 90-1 and 90-2, <u>E. coli</u> UBMS 89-1 and 90-4) and is equally as effective as minocycline against susceptible strains.

[0040] 7-(Dimethylamino)-9-(formylamino)-6-demethyl-6-deoxytetracycline demonstrates effective activity against minocycline susceptible strains including a variety of recently isolated bacteria from clinical sources (Table V). With the exception of some Proteus spp., 7-(dimethylamino)-9-(formylamino)-6-demethyl-6-deoxytetracycline's activity is superior to that of minocycline against other isolates.

[0041] Protein synthesis, directed by cell-free extracts from the tetracycline susceptible strain MRE-600, are inhibited by tetracycline, minocycline and the 7-(dimethylamino)-9-(formylamino)-6-demethyl-6-deoxytetracycline of this invention (Table 6). Protein synthesis, directed by cell-free extracts from strain MRE 600 (tetM), is resistant to tetracycline and minocycline, since 50% inhibition of protein synthesis required addition of approximately 5-fold more antibiotic than in extracts prepared from strain MRE 600 (Table VI). However, in contrast, 7-(dimethylamino)-9-(formylamino)-6-demethyl-6-deoxytetracycline effectively inhibited protein synthesis in extracts prepared from either MRE 600 or MRE 600 (tetM) (Table VI). The evidence presented indicates that 7-(dimethylamino)-9-(formylamino)-6-demethyl-6-deoxy-tetracycline is an inhibitor of protein synthesis at the ribosome level. The ability of 7-(dimethylamino)-9-(formylamino)-6-demethyl-6-deoxytetracycline to inhibit bacterial growth almost certainly reflects directed inhibition of bacterial synthesis. If so, then it is expected, like other tetracyclines, to exhibit a bacteriostatic effect against susceptible bacteria.

[0042] 7-(Dimethylamino)-9-(formylamino)-6-demethyl-6-deoxytetracycline binds reversibly to its target (the ribosome) since bacterial growth resumed when the compound was removed from the cultures by washing of the organism. Therefore, the ability of 7-(dimethylamino)-9-(formylamino)-6-demethyl-6-deoxytetracycline to inhibit bacterial growth appears to be a direct consequence of its ability to inhibit protein synthesis at the ribosome level.

[0043] The enhanced activity (Table VII) of 7-(dimethylamino)-9-(formylamino)-6-demethyl-6-deoxytetracycline against tetracycline susceptible and resistant organisms (tetM) is also demonstrated in vivo in animals infected with S. aureus UBMS 90-1 and 90-2. The ED₅₀'s (Table VII) obtained for 7-(dimethylamino)-9-(formylamino)-6-demethyl-6-deoxytetracycline are lower than those of minocycline.

[0044] The improved efficacy of 7-(dimethylamino)-9-(formylamino)-6-demethyl-6-deoxytetracycline is demonstrated by the <u>in vitro</u> activity against isogenic strains into which the resistance determinants, such as <u>tetM</u>, were cloned (Tables I-IV); the inhibition of protein synthesis by <u>tetM</u> ribosomes (Table VI); and the <u>in vivo</u> activity against experimental infections caused by strains resistant to the <u>tetracyclines</u>, due to the presence of resistance determinants, such as tetM (Table VII).

[0045] As can be seen from Tables I-V, compounds of the invention may be used to prevent or control important veterinary diseases such as mastitis, diarrhea, urinary tract infections, skin infections, ear infections, wound infections and the like

| | LEGEND FOR COMPOUNDS | |
|--------|---|--|
| LETTER | NAME | |
| Α | 7-(Dimethylamino)-9-(formylamino)-6-demethyl-6-deoxytetracycline | |
| В | 9-(Acetylamino)-7-(dimethylamino)-6-demethyl-6-deoxytetracycline | |
| С | 7-(Diethylamino)-9-(formylamino)-6-demethyl-6-deoxytetracycline | |
| D | 7-(Diethylamino)-9-(formylamino)-6-demethyl-6-deoxytetracycline disulfate | |
| E | 9-(Acetylamino)-7-(diethylamino)-6-demethyl-6-deoxytetracycline disulfate | |
| F | 9-(Acetylamino)-7-(diethylamino)-6-demethyl-6-deoxytetracycline | |
| G | 9-(Formylamino)-7-iodo-6-demethyl-6-deoxytetracycline sulfate | |
| н | 9-(Acetylamino)-7-iodo-6-demethyl-6-deoxytetracycline sulfate | |

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(continued)

| | | LEGEND FOR COMPOUNDS |
|----|--------|---|
| 5 | LETTER | NAME |
| 3 | | 7-(Dimethylamino)-9[(trifluoroacetyl)amino]-6-demethyl-6-deoxytetracycline sulfate |
| | J | 7-(Dimethylamino)-9-[[(phenylmethoxy)acetyl]-amino]-6-demethyl-6-deoxytetracycline |
| | К | 9-[[(Acetyloxy)acetyl]amino]-7-(dimethylamino)-6-demethyl-6-deoxytetracycline |
| | L | 7-(Dimethylamino)-9-[(hydroxyacetyl)amino]-6-demethyl-6-deoxytetracycline |
| 10 | М | 9-[(Aminoacetyl)amino]-7-(dimethylamino)-6-demethyl-6-deoxytetracycline mono(trifluoroacetate) |
| | N | [7S-(7α,10aα)]-[[9-(Aminocarbonyl)-4,7-Bis(dimenthylamino)-5,5a,6,6a,7,10,10a,12-octahydro- |
| | | 1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]amino]-oxoacetic acid ethyl ester |
| | 0 . | 7-(Dimethylamino)-6-demethyl-6-deoxytetracycline hydrochloride (minocycline hydrochloride) |
| | Р | 9-(Benzoylamino)-7-(diaethylamino)-6-demethyl-6-deoxytetracycline |
| 15 | Q | 7-(Dimethylamino)-9-[(4-methoxybenzoyl)amino]-6-demethyl-6-deoxytetracycline |
| | R | 7-(Dimethylamino)-9-[(2-methylbenzoyl)amino]-6-demethyl-6-deoxytetracycline |
| | s | 7-(Dimethylamino)-9-[(2-fluorobenzoyl)amino]-6-demethyl-6-deoxytetracycline |
| | Т | 7-(Dimethylamino)-9-[(pentafluorobenzoyl)amino]-6-demethyl-6-deoxytetracycline |
| 20 | U | 7-(Dimethylamino)-9-[[3-(trifluoromethyl)benzoyl]-amino]-6-demethyl-6-deoxytetracycline |
| | V | 7-(Dimethylamino)-9-[(4-nitrobenzoyl)amino]-6-demethyl-6-deoxytetracycline |
| | w | 7-(Dimethylamino)-9-[[(4-dimethylamino)benzoyl]-amino]-6-demethyl-6-deoxytetracycline |
| | X | 9-[(4-Aminobenzoyl)amino]-7-(dimethylamino)-6-demethyl-6-deoxytetracycline sulfate |
| | Y | 7-(Diaethylamino)-9-[(2-furanylcarbonyl)amino]-6-demethyl-6-deoxytetracycline |
| 25 | Z | 7-(Dimethylamino)-9-[(2-thienylcarbonyl)amino]-6-demethyl-6-deoxytetracycline |
| | AA | 7-(Dimethylamino)-9-[[(4-nitrophenyl)sulfonyl]-amino]-6-demethyl-6-deoxytetracycline |
| | BB | 7-(Dimethylamino)-9-[(3-nitrophenyl)sulfonyl]-amino]-6-demethyl-6-deoxytetracycline |
| | cc | 7-(Dimethylamino)-9-[(phenylsulfonyl)amino]-6-demethyl-6-deoxytetracycline |
| 30 | DD | 7-(Dimethylamino)-9-[(2-thienylsulfonyl)amino]-6-demethyl-6-deoxytetracycline |
| | EE | 9-[[(4-Chlorophenyl)sulfonyl]amino]-7-(diaethylamino)-6-demethyl-6-deoxytetracycline |
| | FF | 7-(Dimethylamino)-9-[(methylsulfonyl)amino]-6-demethyl-6-deoxytetracycline |
| | GG | 9-[[[(2-Acetylamino)-4-methyl-5-thiazolyl]sulfonyl]amino]-7-(dimethylamino)-6-demethyl-6-deoxytetracycline |
| 35 | НН | [7S-(7a,10aa)]-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro- |
| | | 1,8,10a,-11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]carbamic acid methyl ester |
| | l II | 7-(Dimethylamino)-9-[[(dimethylamino)acetyl]-amino]-6-demethyl-6-deoxytetracycline sulfate |
| | тс | Tetracycline hydrochloride |
| | JJ | [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[(dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,- |
| 40 | | 12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide disulfate |
| | КК | [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[(dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,-12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride |
| | LL | [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[(dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,- |
| 45 | | 12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide |
| | MM | [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1, 4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[[(methylamino)acetyl]amino]-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride |
| | NN | [7S-(7alpha,10aalpha)]-N-[9-(Aminocarbonyl)-4, 7-bis(dimethylamino)-5,5a,6,6a,7,10,10a, |
| | | 12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-4-morpholineacetamide |
| 50 | | dihydrochloride |
| | 00 | [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[(ethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a- |
| | | octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride |
| | PP | [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[(butylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride |
| 55 | QQ | [4S-(4alpha,12aalpha)]-9[[(Cyclopropylamino)acetyl]amino]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,-12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide |
| | | dihydrochloride |
| | | |

(continued)

| | • | LEGEND FOR COMPOUNDS |
|----|--------|--|
| 5 | LETTER | NAME |
| 5 | RR | [4S-(4alpha,12aalpha)]-9-[[(Diethylamino)acetyl]-amino]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,- 12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride |
| 10 | SS | [7S-(7alpha,10aalpha)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a, 12-octahydro 1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-1-pyrrolidineacetamide dihydrochloride |
| 70 | π | [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4, 4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[[[(2-methylpropyl)amino]acetyl]amino]-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride |
| 15 | UU | [7S-(7alpha,10aalpha)]-N-[9-(Aminocarbonyl)-4,-7-bis(dimethylamino)-5,5a,6,6a,7,10,10a, 12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-1-piperidineacetamide dihydrochloride |
| | VV | [7S-(7alpha,10aalpha)]-N-[9-(Aminocarbonyl)-4,-7-bis(dimethylamino)-5,5a,6,6a,7,10,10a, 12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-1H-imidazole-1-acetamide dihydrochloride |
| 20 | ww | [4S-(4alpha,12aalpha)]-4,7-bis(dimethylamino)-1,4, 4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[[(propylamino)acetyl]amino]-2-naphthacenecarboxamide dihydrochloride |
| | XX | [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[2-(dimethylamino)-1-oxopropyl]amino]-1,4,4a,5, 5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1, 11-dioxo-2-naphthacenecarboxamide dihydrochloride(Comparative Example) |
| 25 | YY | [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4, 4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[[2-(methylamino)-1-oxopropyl]amino]-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride(Comparative Example) |
| 30 | ZZ | [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[4-(dimethylamino)-1-oxobutyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1, 11-dioxo-2-naphthacenecarboxamide dihydrochloride |
| | AAA | [7S-(7alpha,10aalpha)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a, 12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-alpha-methyl-l- pyrrolidineacetamide dihydrochloride (Comparative Example) |
| 35 | BBB | [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[(hexylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride |
| | CCC | [4S-(4alpha,12aalpha)]-9-[[(Butylmethylamino)-acetyl]amino]-4,7-Bis(dimethylamino)-1,4,4a,5,5a, 6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4, 4a,5,5a,6,11,12a-octahydro-3,10,12,12a- |
| 40 | EEE | tetrahydroxy-1,11-dioxo-9-[[(pentylamino)acetyl]amino]-2-naphthacenecarboxamide dihydrochloride [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4, 4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[[[(phenylmethyl)amino)acetyl]-amino]-2-naphthacenecarboxamide |
| 45 | FFF | dihydrochloride [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[(dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11, 12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-N-(1-pyrrolidinylmethyl)- 2-naphthacenecarboxamide |
| | GGG | [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[[(dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11, 12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-N-(4-morpholinylmethyl)-2-naphthacenecarboxamide |
| 50 | HHH | [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-([(dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11, 12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-N-(1-piperidinylmethyl)-2-naphthacenecarboxamide |
| | Ш | [4S-(4alpha,12aalpha)]-9-[(Bromoacetyl)amino]-4,-7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride |
| 55 | JJJ | [4S-(4alpha,12aalpha)]-9-[(2-Bromo-1-oxopropyl)-amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11, 12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide hydrobromide |

(continued)

| | | LEGEND FOR COMPOUNDS |
|----|--------|--|
| _ | LETTER | NAME |
| 5 | KKK | [7S-(7alpha,10aalpha]-N-[2-[[9-(Aminocarbonyl)-4,-7-bis(dimethylamino)-5,5a,6,6a,7,10,10a, |
| | | 12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]amino]-2-oxoethyl]glycine |
| | LLL | [7S-(7alpha,10aalpha)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a, |
| | | 12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-1-azetidineacetamide |
| 10 | MMM | [4S-(4alpha,12aalpha)]-9-[[(Cyclobutylamino)acetyl]amino]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11, |
| | | 12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide |

TABLEI

ANTIBACTERIAL ACTIVITY OF 9-(ACYLAMINO)-7-(SUBSTITUTED)-4-DEMETHYL-4-DEOXYTETRACYCLINES

| | - | - | | | | | | | MIC | (mo/an) | | | | | | | |
|---------------------------------------|---------------|----------------|--------|----------|------------|----------|----------|----------|-----------|---------------|-----------|-------------|------|-----------|---------|---------|------|
| | | | | | | | | | COMO | OUND | | | | | | | |
| ORGANISM | 4 | m | 4 | 4 | 터 | 닉 | 넉 | Ħ | 4 | Н | 뉙 | 4 | ¥ | z | þ | 車 | = |
| S. sureus UBMS 88-5 (tetM) | 90.0 | 0.12 | 0.12 | 625 | - | 9 | - | - | 92 | 4 | 27 | • | _ | 35 | ~ | 972 | 0.12 |
| S. Aureus UBMS 88-4 (Sessitive) | 0.015 | \$0.0 8 | 0.03 | 0.12 | 0.5 | 0.25 | <0.015 | 27 | 00 | 7 | 0.12 | + | _ | ~ | \$0.015 | 900 | 90.0 |
| S. aureis UBMS 90-1 (IeIM) | 90.0 | 2 | 0.5 | 20 | 8 | 7 | ~ | - | 16 | • | 0.25 | 80 | 7 | >32 | • | _ | 025 |
| S. Aureus UBMS 90-2 (reiM) | 0.03 | ę | 0.12 | 0.12 | 7 | 20 | 0.5 | 0.5 | 16 | ~ | 90.0 | 7 | 9 | 32 | ~ | প্ত | 90.0 |
| S. Aureus UBMS 90-3 (Sensitive) | 50.015 | 욷 | 0.03 | 90'0 | 0.5 | 0.12 | 903 | 0.12 | 4 | 0.5 | 970 | _ | 0.5 | - | 50.015 | 0.03 | 900 |
| S. aureus UBMS 88-7 (tetK) | 7 | - | 025 | 7 | ~ | 7 | 2 | 9 | 16 | | 32 | ×32 | ķ | 80 | 90.0 | ನಿ | _ |
| S. aureus IVES 2943 (meth. resistant) | • | 3 | - | 4 | 80 | 7 | Ħ | Ħ | Q | ~ | 33 | 젖 | >32 | 8 | - | 7 | - |
| S. Aureus IVES 1983 (meth. resistant) | SEC. | Ş | - | • | 92 | . | æ | æ | Ħ | ~ | 32 | ž | >32 | >32 | - | ~ | |
| S. aureus ATCC 29213 (Sensitive) | \$10.05 | 0.12 | 50.015 | \$0.015 | \$0.015 | \$0.015 | Ş | 0.12 | - | 90:0 | \$0.015 | 97 | 6.0 | 0.25 | \$0.015 | \$0.015 | 0.03 |
| S. aureus Savith (Sensitive) | \$0.015 | 0.12 | 9.03 | 9 | 0.5 | 0.12 | 600 | 0.12 | ∞ | 0.5 | \$0.015 | 0.5 | | 7 | \$0.015 | 970 | 0.12 |
| S. harmolyticus AVAH 88-3 | 0.03 | 2 | 0.12 | £ | 8 0 | 7 | 900 | 7 | 50 | • | 97 | 91 | | • | 0.03 | 77 | 025 |
| E. faecalis 12201 | 0.12 | 20 | 0.5 | _ | 92 | • | 91 | 7 | 16 | 7 | 0.25 | ~ | 0.25 | 33 | ~ | 7 | 0.12 |
| E. faccalis ATCC 29212 | \$0.015 | 0.12 | 90.0 | 0.12 | 20 | 025 | 028 | 0.25 | 80 | • | 90.0 | 7 | 0.25 | 32 | 53 | भ | 0.03 |
| E. coll UBMS 88-1 (1ct8) | 32 | >128 | 91 | >37 | >32 | >32 | ž | ×128 | >32 | ×32 | 36 | ğ | 7 | >32 | 80 | 91 | 625 |
| E. all UBMS 88-2 (Seruitive) | 0.12 | 7 | 025 | 97 | ×32 | 32 | - | >128 | æ | بر | ~ | Σķ | ~ | >32 | 0.5 | Š | £ |
| E. coli UBMS 89-1 (retM) | 0.12 | Ş | _ | £ | 32 | ~ | _ | 128 | 35 | ×32 | - | 1 52 | 2 | >32 | 91 | • | 0.12 |
| E. wli UBMS 89-2 (Sensitive) | 0.12 | 2 | 0.5 | 0.5 | ×32 | ĸ | _ | 91 | 32 | >32 | 60 | >32 | ~ | ά | 0.5 | • | 025 |
| E. adi ATCC 25922 | 90.0 | 7 | 0.25 | 50 | 32 | 4 | 50 | 91 | 32 | Ħ | • | E | 7 | 33 | 025 | 7 | 0.12 |
| | | | | | | | | | | | | | | | | | |

ANTIBACTERIAL ACTIVITY OF 9-(AROYLAMINO) AND 9-(HETEROYLAMINO)-7-(SUBSTITUTED)-6-DEMETHYL-6-DEOXYTETRACYCLINES

| | | | | | | MIC | uz/ml) | | | | | |
|---------------------------------------|-------------|------------|------------|-----|-----|------|----------|-----------|--------------|-----------|-----|---------------|
| | | | | | | COME | DUND | | | | | |
| GRGANISM | 4 | d | 4 | S | Н | | > | X | × | × | 7 | d |
| S. aureus UBMS 88-5 (telM) | ₩ | œ | 4 | 7 | 4 | | 7 | 22 | 80 | 91 | 80 | 7 |
| S. aureus UBMS 88-4 (Sensitive) | * | 0 0 | 2 | 7 | 4 | 0.5 | 2 | ® | | ₹ | в0 | 20.015 |
| S. aureus UBMS 90-1 (telM) | 4 | x 0 | 6 0 | 4 | 4 | | 7 | 91 | 16 | ĸ | • | • |
| S. aureus UBMS 90-2 (telM) | 4 | œ | 7 | ~ | 7 | | | œ | 80 | 80 | 4 | 7 |
| S. aureus UBMS 90-3 (Sensitive) | - | 4 | | - | 7 | 0.5 | 0.5 | 80 | - | 7 | 7 | \$0.015 |
| S. aureus UBMS 88-7 (tel.K) | œ | 91 | 4 | œ | 4 | | ₹ | 91 | 6 0 | >32 | 32 | 90:0 |
| S. aureus IVES 2943 (meth. resistant) | 91 | œ | 4 | 80 | 4 | | 4 | œ | Ř | ×32 | 33 | 4 |
| S. aureus IVES 1983 (meth. resistant) | ∞ | 16 | 80 | 4 | 4 | _ | œ | 80 | Ř | >32 | 32 | + |
| S. auraus ATCC 29213 (Sensitive) | 0.25 | ~ | 0.12 | 0.5 | | 0.5 | 0.25 | 2 | 0.5 | 0.5 | 0.5 | 50.015 |
| S. aurous Smith (Sensitive) | , ,, | 4 | ~ | _ | 4 | _ | 0.5 | 4 | | 7 | 7 | 50.015 |
| S. Memolyticus AVAH 88-3 | 4 | 0 0 | 80 | 4 | | - | 4 | 91 | & | ×32 | œ | 0.03 |
| E. faccalis 12201 | 90 | œ | æ | 4 | | - | • | 91 | 32 | 25 | œ | 4 |
| E. feeralis ATCC 29212 | 4 | œ | 7 | 4 | 4 | - | 4 | 60 | æ | æ | œ | 0.5 |
| E. coli UBMS 88-1 (1etB) | Ř | ×32 | 7 | >32 | | >32 | >32 | >32 | ά× | ×, | >32 | œ |
| E. coli UBMS 88-2 (Sensitive) | ķ | >32 | ×32 | >32 | >35 | >32 | >32 | >32 | × | ž× | >32 | 0.5 |
| E. coli UBMS 89-1 (tetM) | S | Ŋ | QN | 2 | S | | >32 | ×32 | ά× | ×32 | >32 | 16 |
| E. coli UBMS 89-2 (Sensitive) | Ř | žž | >32 | >32 | ×32 | | >32 | >32 | × | ×32 | >32 | 0.5 |
| E. coli ATCC 25922 | >32 | ×32 | >32 | >32 | >32 | | >35 | >32 | ×32 | >32 | >32 | 0.25 |
| | | | | | | | | | | | | |

| | | | | c | ォ | 0.63 | ſΙ | 7 | ≤0.015 | 0.00 | 2 | - -1 | ≤0.015 | ≤0.015 | 9.06 | 80 | 0.5 | 16 | 0.5 | 16 | 0.5 | 0.5 |
|-----------|---|-------------|----------|----------|----------------------------|---------------------------------|----------------------------|----------------------------|---------------------------------|----------------------------|---------------------------------------|---------------------------------------|----------------------------------|-----------------------------|---------------------------|-------------------|------------------------|--------------------------|-------------------------------|--------------------------|-------------------------------|--------------------|
| | 4 | | - | 99 | 16 | 7 | 얾 | त्त | C1 | 32 | >32 | 32 | 0.5 | C1 | ND | ND | _ | >32 | >32 | 32 | >32 | >32 |
| | IITUTED | | | 범 | 0.25 | 0.25 | 0.25 | 90.0 | 0.12 | 80 | 16 | 16 | 0.03 | 0.12 | ΩN | ND | 90.0 | 80 | 7 | Ω | CI | 71 |
| | OF 9-(SULFONYLAMINO)-7-(SUBSTITUTED)- 6-DEOXYTETRACYCLINES | (m) | DAN | 田田 | 0.12 | 0.12 | 0.25 | 0.12 | 0.12 | - | 0.5 | - | 0.03 | 0.12 | ΩN | ND | 90.0 | >35 | >35 | ND | >32 | >32 |
| | LAMINO)-7-(S LACYCLINES | MIC (ug/ml) | COMPOUND | DD | 0.5 | 0.5 | ~ | 0.25 | 0.25 | 2 | 4 | 4 | 0.03 | 0.03 | 7 | ΩN | 0.25 | 32 | œ | ΩN | 16 | 4 |
| TABLE III | ULFONY | | | 성 | 4 | 0.03 | * | 90.0 | 4 | 4 | 4 | 4 | <0.015 | 4 | 4 | ND | 90.0 | 16 | œ | S | 16 | 73 |
| TAI | IY OF 9-(S 1YL-6-DE | į. | | 188 | ΩN | | 61 | 0.5 | 0.12 | ゙゙゙゙゙゙゙゙゙゙゙゙゙゙ | 4 | œ | 90.0 | 0.25 | 4 | ΩN | 0.12 | >32 | 4 | ΩN | 16 | 7 |
| | ERLAL ACTIVITY OF 9-(SULFONYLA) 6-DEMETHYL-6-DEOXYTETRA(| | | AA | 0.12 | 0.12 | 0.5 | 0.12 | 90.0 | ત | 4 | 80 | 0.12 | 0.12 | 6 1 | Ω | 0.12 | 16 | œ | 4 | 16 | ₹* |
| | ANTIBACTERLA | | | ORGANISM | S. aureus UBMS 88-3 (tetM) | 5. aureus UBMS 88-4 (Sensitive) | S. aureus UBMS 90-1 (telM) | S. aureus UBMS 90-2 (tetM) | S. aureus UBMS 90-3 (Sensitive) | S. aureus UBMS 88-7 (tetK) | S. aureus IVES 2943 (meth. resistant) | S. aureus IVES 1983 (meth. resistant) | S. aureus ATCC 29213 (Sensitive) | S. aureus Smith (Sensitive) | S. haemolyticus AVAH 88-3 | E. faecalis 12201 | E. faecalis ATCC 29212 | E. coli UBMS 88-1 (tet8) | E. coli UBMS 88-2 (Sensitive) | E. coli UBMS 89-1 (tetM) | E. coli UBMS 89-2 (Sensitive) | E. coli ATCC 25922 |

| TABLE IA G-OKEMETHYL-G-OEGNYTETRACYCLINES LL HM MM 000 S | | 40 45 | 35 | 30 | 25 | 20 | 15 | 10 | 5 | |
|--|----------|---------------|---------------|------------|--|------------|-------------|-----------------|---------|------|
| COLI UBMS 88-1 Tet8 COLI JAZZA Tet sens. C | | | | | 84 1 2 3 4 4 5 5 6 6 6 6 6 6 6 6 6 6 6 6 6 6 6 6 | LE IA | 6 6 7 | | | |
| 1.2 KK LL MM MM DO | | | ž C | ACIEKIAL A | CERETRYL-6.0 | EOKYTETRAC | U)-7-(SUBS | - (0 3 1 1 1 1 | | |
| coli UBNS 88-1 Tet8 | | | | 3 | 핅 | 1 | 딃 | 2 | 읾 | 읾 |
| coli M2272 Tet sens. 0.25 0.12 0.12 1 732 11 WIT WIT WIT COLI M24200 1 | ï. | | 3-1 TetB | 0.25 | 0.25 | 0.25 | - | · 32 | - | 0.5 |
| No. | m. | | Tet sens. | 0.25 | 0.12 | 0.12 | - | >32 | | 0.5 |
| coli MK4100 Teta | ű | _ | Tet sens. | H | H | = | X | × | . 13 | |
| Coli PRPI TetA | ű | | TetB | 0.25 | 0.25 | 0.25 | - | >32 | - | 0.5 |
| coli 13727 TetC 1 | Ψ, | | etA | 2 | - | - | 16 | > 32 | ~ | - |
| coli UBMS 89-1 TetM coli UBMS 89-2 Tet Sens. coli UBMS 90-4 TetM 0.25 coli UBMS 90-4 TetM 0.25 coli UBMS 90-5 coli UBMS 90-7 coli Marcoli UBMS 90-7 coli Marc | 'n. | | TetC | - | - | 0.5 | 80 | >32 | ~ | 0.5 |
| coli UBNS 89-2 Tet Sens. 0.25 0.25 0.12 1 532 1 coli UBNS 90-4 Tet Sens. 0.25 0.25 0.12 1 532 1 coli UBNS 90-4 TetM 0.25 0.25 0.25 0.25 0.12 1 coli UBNS 90-5 0.25 0.25 0.25 0.12 1 532 1 coli UBNS 90-5 0.25 0.25 0.12 1 532 1 coli UBNS 90-5 0.25 0.25 0.12 1 532 1 coli UBNS 90-5 0.25 0.12 0.06 0.05 0.05 0.5 acruginosa ATCC 27853 8 4 4 4 16 532 8 acruginosa ATCC 27853 8 4 4 4 16 532 8 acrucinosa ATCC 27853 8 4 4 4 16 532 8 acrucinosa ATCC 27853 8 6 3 2 0.5 0.5 0.5 0.5 0.5 0.5 0.5 0.5 0.5 0.5 | | | P-1 TetM | 0.25 | 0.12 | 0.12 | - | 32 | - | 0.5 |
| coli 842175 coli 84311 (RP) coli 1088 90-4 TetM 0.25 0.25 0.25 CONT coli 1088 90-5 TetM 0.25 0.25 0.12 1 >32 0.12 coli 4311 (RP) coli 4327 TetM coli 5272 TetM coli 5 | m. | | 7-2 Tet Sens. | 0.25 | 0.25 | 0.12 | - | > 32 | | 0.5 |
| coti UBMS 90-4 Teth 0.03 0.03 NG 0.25 COMI CONI 0.5 COLI UBMS 90-5 COLI UBMS 90-5 0.25 COMI CONI CONI 0.5 COLI UBMS 90-5 0.25 COLI UBMS 90-5 0.25 0.25 0.12 1 5.32 1 COLI UBMS 90-5 0.25 0.25 0.12 1 5.32 1 COLI UBMS 90-5 0.25 0.25 0.12 1 5.32 1 COLI MIC C 25922 0.25 0.12 1 5.32 1 COLI MIC C 25922 0.25 0.12 1 5.32 1 COLI MIC C 25922 0.25 0.12 1 5.32 0.5 COLI MIC C 27653 0 6 4 4 4 16 5.32 0.5 COLI MIC C 27653 0 6 6 6 6 6 6 6 6 6 6 6 6 6 6 6 6 6 6 | E. | | | 0.25 | 0.25 | 0.12 | - | >32 | - | 0.25 |
| coti UBNS 90-4 TetM | m. | | | 0.03 | 0.03 | 2 | 0.25 | 0.5 | 0.12 | 0.12 |
| coli UBNS 90-5 coli JAZZ Testo 0.25 0.25 0.25 0.12 1 32 1 532 1 coli JAZZ Testo 0.25 0.12 0.12 0.12 0.12 0.12 0.12 0.13 1 32 1 532 1 coli JAZZ Testo 0.12 0.12 0.12 0.12 0.12 0.13 0.13 0.13 0.14 0.15 | Ä | | 0-4 TetM | 0.25 | 0.25 | 0.25 | CONT | CONT | 0.5 | 0.25 |
| coli #311 (MP) coli #311 (MP) coli #311 (MP) 0.25 0.25 0.12 1 | | | 0-5 | 0.25 | 0.25 | 0.12 | - | ×32 | - | 0.5 |
| Coli ATCC 25922 Coli J327 TetC D.12 D.12 D.12 D.13 D.14 D.15 D.1 | ۳ | | 4P. | 0.25 | 0.25 | 0.12 | - | >32 | - | 0.25 |
| Desire Seens FPOR BT33 | ü | | 5922 | 0.25 | 0.25 | 0.12 | - | >32 | - | 0.25 |
| martescens FPOR 8733 4 2 2 16 532 8 mattophilia MEMC 67210 0.5 0.25 6.25 8 32 2 acruginus AICC 27853 8 4, 4 16 5.32 16 aureus UBMS 88-4 0.06 0.06 0.06 0.5 2 1 aureus UBMS 88-7 TetK 0.25 0.12 0.05 16 52 aureus UBMS 90-1 TetM 0.25 0.25 0.12 1 6 52 aureus UBMS 90-1 TetM 0.25 0.25 0.12 1 6 52 aureus UBMS 90-2 TetM 0.25 0.12 0.05 1 6 52 aureus UBMS 90-2 TetM 0.25 0.12 0.06 0.5 2 0.5 aureus UBMS 90-2 TetM 0.25 0.12 1 1 32 5 0.5 aureus UBMS 90-2 TetM 0.25 0.12 0.12 0.05 2 0.5 aureus UBMS 90-2 TetM 0.25 0.12 0.12 0.12 0.5 aureus UBMS 90-2 TetM 0.25 0.12 0.12 0.12 0.5 aureus IVES 2943 2 1 1 32 5 5 0.5 aureus IVES 1983 2 0.13 0.06 0.06 0.5 2 0.5 aureus IVES 1983 0.03 0.01 0.05 0.5 2 0.5 aureus IVES 1983 0.03 0.01 0.06 0.5 2 0.25 feecalis AICC 29212 0.12 0.06 0.06 0.25 2 0.25 feecalis AICC 29212 0.12 0.06 0.25 2 0.25 | ij. | coli J3272 1 | fetD | 0.12 | 0.12 | 90.0 | 0.05 | 32 | 0.5 | 0.25 |
| aureus UBMS 88-7 Tetk aureus UBMS 90-1 Teth aureus UBMS 90-2 Teth aureus UBMS 90-2 Teth aureus UBMS 90-2 Teth aureus UBMS 90-3 aureus UBMS 90-2 Teth aureus UBMS 90-3 aureus UBMS 90-3 aureus UBMS 90-1 Teth 0.25 0.12 0.12 0.12 0.12 0.12 0.15 | Š. | mariescens f | FPOR 8733 | 4 | ~ | ~ | 16 | >32 | 80 | ~ |
| aureus NENC 27853 8 4 4 16 >32 16 aureus NENC 8769/89.4 0.06 6.0.015 0.5 0.5 0.5 aureus UBMS 88-5 TetM 0.25 0.12 0.06 0.5 2 1 aureus UBMS 88-7 TetM 1 0.25 0.12 16 32 8 aureus UBMS 90-1 TetM 0.25 0.25 0.12 1 4 1 aureus UBMS 90-2 TetM 0.25 0.12 0.06 0.5 2 0.5 aureus UBMS 90-2 TetM 0.25 0.12 0.12 0.12 0.15 0.5 aureus UBMS 90-3 0.12 0.12 0.12 0.5 2 0.5 aureus ROSE (MP) 2 1 1 32 >32 8 aureus ROSE (MP) 2 1 1 32 >32 8 aureus ROSE (MP) 2 1 1 32 >32 8 aureus AVAM 88-3 0.03 0.05 0.06 0.5 2 0.5 faecatis ATCC 29213 0.12 0.12 0.12 1 32 1 aureus ATCC 29212 0.12 0.12 0.06 0.25 2 0.25 faecatis ATCC 29212 0.12 0.06 0.06 0.25 2 0.25 | × | mal tophilia | NEMC 87210 | 0.5 | 0.25 | 0.25 | e 0 | 32 | 2 | 0.5 |
| Aureus NEMC B769/89-4 0.06 0.06 0.5 0.5 0.5 0.5 0.5 0.12 0.06 0.5 0.5 0.1 0.06 0.5 0.5 0.1 0.06 0.5 0.5 0.1 0.06 0.5 0.5 0.1 0.06 0.5 0.5 0.1 0.06 0.5 0.5 0.1 0.06 0.5 0.5 0.1 0.05 0.5 0.5 0.1 0.05 0.5 0.5 0.1 0.05 0.5 0.5 0.1 0.05 0.5 0.5 0.1 0.05 0.1 0.05 0.5 0.5 0.1 0.05 0.05 | | | ATCC | ∞ | • | • | 16 | > 32 | 16 | 16 |
| aureus UBMS 88-4 aureus UBMS 88-5 TetM 0.25 0.25 0.12 0.05 4 1 aureus UBMS 88-5 TetM 0.25 0.25 0.12 0.12 1 4 1 aureus UBMS 90-1 TetM 0.25 0.12 0.12 1 4 1 aureus UBMS 90-2 TetM 0.25 0.12 0.12 0.12 0.13 0.14 0.15 0.15 0.15 0.15 0.15 0.15 0.15 0.15 0.16 0.15 0.15 0.17 0.17 0.18 0.19 0.19 0.10 | i, | | | 90.0 | 90.0 | ₹0.01 | 0.5 | 0.5 | 5.0 | 0.12 |
| aureus UBMS 88-5 TetM | Ġ | | 88-4 | 0.25 | 0.12 | 90.0 | 0.5 | ~ | - | 0.5 |
| aureus UBMS BB-7 Tetk 1 0.25 0.5 16 52 8 aureus UBMS 90-1 TetM | 'n | | 88-5 | 0.25 | 0.25 | 0.12 | 0.5 | • | - | 0.5 |
| aureus UBMS 90-1 TetM | S. | UBMS | 88-7 | - | 0.25 | 0.5 | 16 | 32 | ∞ | ~ |
| aureus UBMS 90-3 aureus UBMS 90-2 TetM aureus IVES 2943 aureus ROSE (MP) aureus | 'n | SKSD | 90-1 | 0.25 | 0.25 | 0.12 | - | J | - | 0.5 |
| aureus UBMS 90-2 TetM 0.25 0.12 0.12 0.5 2 0.5 aureus IVES 2943 2 1 1 32 >32 8 aureus ROSE (MP) 2 1 1 32 >32 8 aureus ROSE (MP) 2 1 1 32 >32 8 aureus SMITH (MP) 0.12 0.06 0.5 2 0.5 aureus ATCC 29213 0.03 <0.015 0.06 1 2 1 benolyticus AVHAN 88-3 0.25 0.12 0.12 1 32 1 erococcus 12201 0.12 0.12 0.06 0.25 2 0.25 faecalis ATCC 29212 0.12 0.06 0.25 2 0.25 CONT = CONTAMINATE | 'n | UBMS | 90-3 | 0.12 | 0.03 | 90.0 | 0.5 | ~ | 0.5 | 0.2 |
| aureus IVES 2943 2 1 1 32 >32 8 aureus ROSE (MP) 2 1 1 32 >32 8 aureus ROSE (MP) 2 1 1 32 >32 8 aureus SMITH (MP) 0.12 0.06 0.5 2 0.5 aureus ATCC 29213 0.03 <0.015 0.06 1 2 1 benolyticus AVHAH 88-3 0.25 0.12 0.12 1 32 1 erocaccus 12201 0.12 0.12 0.06 0.25 2 0.25 faecalis ATCC 29212 0.12 0.06 0.25 2 0.25 CONT = Contaminated | 'n | CBMS | 2-06 | 0.25 | 0.12 | 0.12 | 0.5 | 2 | 0.5 | 0.5 |
| aureus ROSE (MP) 2 1 1 32 >32 8 aureus SMITH (MP) 0.12 0.06 0.06 0.5 2 0.5 aureus IVES 1983 2 1 1 16 >32 8 aureus ATCC 29213 0.03 <0.015 0.06 1 2 1 hemolyticus AVHAH 88-3 0.25 0.12 1 32 1 erocaccus 12201 0.12 0.12 1 32 1 erocaccus 12201 0.12 0.06 0.25 2 0.25 faecalis ATCC 29212 0.12 0.06 0.25 2 0.25 GONT = Contaminated | 'n | IVES | 2943 | ~ | - | - | 32 | >32 | ω | ~ |
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| aureus IVES 1983 2 1 1 16 >32 8 aureus ATCC 29213 0.03 <0.015 0.06 1 2 1 hemolyticus AVHAN 88-3 0.25 0.12 0.12 1 32 1 erocaccus 12201 0.12 0.12 0.06 0.25 2 0.25 faecalis ATCC 29212 0.12 0.06 0.25 2 0.25 ING = No Growth CONT = Contaminated | ŝ | | 4 (MP) | 0.12 | 90.0 | 90.0 | 0.5 | ~ | 0.5 | 0.12 |
| Aureus ATCC 29213 0.03 <0.015 0.06 1 2 1 hemolyticus AVMAM 88-3 0.25 0.12 0.12 1 32 1 erocaccus 12201 0.12 0.12 0.06 0.25 2 0.25 faecalis ATCC 29212 0.12 0.06 0.25 2 0.25 NG = No Growth CONT = Contaminated | ŝ | | 1983 | 2 | - | - | 16 | >32 | 80 | ~ |
| hemolyticus AVMAM 88-3 0.25 0.12 0.12 1 32 1 erocaccus 12201 0.12 0.12 0.06 0.25 2 0.25 faecalis ATCC 29212 0.12 0.06 0.06 0.25 2 0.25 NG = No Growth CONT = Contaminated | Š | | 29213 | 0.03 | <u><0.015</u> | 90.0 | - | 2 | _ | 0.25 |
| faecalis ATCC 29212 0.12 0.06 0.25 2 0.25 faecalis ATCC 29212 0.12 0.06 0.06 0.25 2 0.25 NG = No Growth CONT = Contaminated | ŝ | hemolyticus | AVHAH 88-3 | 0.25 | 0.12 | 0.12 | - | 32 | - | 0.25 |
| faecalis ATCC 29212 0.12 0.06 0.05 2 0.25 NG = No Growth CONT = Contaminated | Ent | terococcus 12 | 2201 | 0.12 | 0.12 | 90.0 | 0.25 | ~ | 0.25 | 0.1 |
| 0 0 4 | ü | faccalis ATC | :C 29212 | 0.12 | 90.0 | 90.0 | 0.25 | ~ | 0.25 | 0.1 |
| e | | | | 2 | 0 X 0 X 0 X 0 X 0 X 0 X 0 X 0 X 0 X 0 X | | | | | |
| • | | | | T M C L | | | | | | |
| | | | | 7 | | | | | | |

| 4 1 0.25 0.5 0.5 93 0.5 4 1 0.25 0.5 0.5 93 0.5 | OS OS TABLE ANTIBACTERIAL ACTIVITY OF 6-DEMETHYL-6- |
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| 0.25 0.5 0.5 32 0.25 0.5 0.5 32 0.25 0.5 0.5 32 0.25 0.06 0.06 1 0.12 0.05 0.5 32 0.12 0.12 0.25 32 2 4 4 32 2 5 0.5 0.25 32 0.12 0.12 0.25 32 0.03 0.05 0.25 32 0.05 0.25 0.25 32 0.05 0.25 0.25 32 0.12 0.25 0.25 32 0.12 0.25 0.25 32 1 2 0.25 0.25 0.25 0.12 4 | - |
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| | 0.25 |

| | 45 | 40 | 35 | 30 | 25 | 20 | 15 | 10 | 5 | |
|---------|-------------------|-------------------|----------|--|--|---|-----------------------|-----------|------------|--------------|
| | | A | TIBACTER | TA Antibacterial activity 6-demethyl | TABLE 1A VITY OF 9-(A ETHYL-6-DEOX | TABLE IA (CONT) ACTIVITY OF 9-(ACYLANINO)-7-(SUBSTITITED)- -DEMETHYL-6-DEOXYTETRACYCLINES | O)-7-(SUBS YCLINES | TITITED). | | |
| | | | | ×ا | 片 | 77 | AAA | 888 | 222 | 000 |
| E. COL | I UBMS 88 | -1 TetB | | 5.0 | 0.5 | >32 | 0.5 | 6.0 | - | 0.5 |
| E. coli | J3272 8 | ens. | | 0.5 | 0.5 | H | H X | X | X | Z |
| | HC4100 | Tet sens. | | N T | H | 7 | 0.12 | 0.25 | 0.25 | 0.12 |
| | i HC4100 | et8 | | - | 0.5 | >32 | 0.5 | 6.5 | 2 | 0.5 |
| E. col | i PRP1 Te | t A | | - | ~ | >32 | 0.5 | 0.5 | 2 | - |
| E. col | 1 J3272 I | etc | | - | - | 32 | 0.5 | 0.5 | - | 0.5 |
| E. col | 1 UBMS 89- | 1 Teth | | 0.12 | 0.5 | 32 | 0.12 | 0.25 | 0.25 | 0.25 |
| E. col | | .2 Tet Sens | • | 0.5 | 0.5 | 16 | 0.5 | 0.25 | 7 | 0.5 |
| | | | | 0.5 | 0.5 | 16 | 0.5 | 0.25 | 2 | 0.5 |
| E. coli | 1 8AJ9003 | | | 90.0 | 90.0 | - | 90.0 | 90.0 | 0.12 | 0.12 |
| E. coli | UBMS 90 | 4 TetM | | 0.5 | 0.5 | 16 | 0.5 | 0.25 | - | 0.5 |
| | UBMS 90 | -5- | | 0.5 | 0.5 | 16 | 0.5 | 0.25 | 2 | 0.5 |
| | 1 #311 CH | P. | | 0.5 | 0.5 | 16 | 0.25 | 0.5 | - | 0.5 |
| | ATCC 25 | 922 | | 0.5 | 0.5 | 6 0 | 0.25 | 0.12 | - | 0.5 |
| E. coli | J3272 T | etD | | 0.25 | 0.25 | 4 | 0.12 | 0.12 | 0.5 | 0.25 |
| | escens | OR 8733 | | 4 | €0 | >32 | 4 | 4 | 16 | & |
| K. mol | maltophilia | HEMC 87210 | | 0.5 | 4 | 32 | 0.5 | 7 | 0.25 | 0.5 |
| | | ATCC 27853 | | 32 | 16 | >32 | >32 | 16 | ×32 | 3.2 |
| | | 8769/89-4 | | 0.12 | 0.12 | - | 0.12 | 90.0 | 0.12 | 0.25 |
| | aureus UBMS & | 7-88 | | 0.25 | 0.5 | 7 | 0.25 | 0.25 | 0.5 | 0.5 |
| | aureus UBMS & | 88-5 TetM | | 0.25 | 0.5 | ಐ | 0.25 | 0.5 | 0.5 | 0.5 |
| | aureus UBMS & | 38-7 TetK | | - | 4 | 16 | 0.5 | ~ | - | ~ |
| S. aur | aureus UBMS S | 90-1 TetM | | 0.25 | 0.25 | 6 0 | 0.5 | 0.25 | - | - |
| S. Bur | Bureus UBMS 5 | 90-3 | | 0.25 | 0.12 | 2 | 0.25 | 90.0 | 0.25 | 6.0 |
| | eureus UBMS 9 | 90-2 TetM | | 0.25 | 0.25 | 4 | 0.25 | 0.25 | 0.25 | 0.5 |
| | Bureus IVES 2 | 2943 | | - | \$ | >32 | 0.5 | 7 | - | 4 |
| | Bureus ROSE (| (MP) | | - | 80 | >32 | 2 | 16 | 2 | 4 |
| S. BUL | aureus SMITH | (MP) | | 0.25 | 0.25 | 2 | 0.25 | 0.12 | 0.5 | 0.25 |
| S. BUL | Bureus IVES | 1983 | | - | 7 | >32 | 0.5 | 7 | - - | 4 |
| | Bureus ATCC ? | 29213 | | 0.25 | 0.5 | ~ | 0.25 | 0.25 | 0.5 | - |
| S. hem | hemolyticus / | AVHAH 88-3 | | 0.5 | 0.5 | €0 | 0.5 | 0.5 | 0.5 | 0.5 |
| Entero | nterococcus 12201 | 201 | | 0.12 | 0.25 | æ | 0.12 | 0.25 | 0.25 | 0.25 |
| | faecalis ATC | C 29212 | | 0.12 | 0.12 | 7 | 0.12 | 0.12 | 0.12 | 0.25 |

| 5 | 11111160)- | | = | >32 | 16 | H | >32 | >32 | >32 | 4 | 32 | 32 | 0.25 | : | 91 | 80 | 16 | 32 | >32 | 4 | >32 | 0.12 | 0.5 | - | ~ | - | 0.5 | 0.5 | J | € | 0.5 | • | 0.5 | ~ | - | 0.5 |
|----|---|---------------------------------|----------|----------------|-----------------|----------|---------|---------|-----------------|----------------|----------------|----------|--------------|--------|----------------|----------------|-----------------|-----------------|-----------|---------------|------------|-----------|------|---------------|---------------|---------------|---------------|---------------|---------------|---------------|--------------|---------------|---------------|---------------|------------------|------------|
| 10 | 0)-7-(SUBS | YCLINES | H H H | 0.25 | × | 0.12 | 0.25 | ~ | 0.5 | 0.25 | 0.25 | 0.25 | 0.03 | 0.25 | 0.25 | 0.25 | 0.25 | 0.12 | 4 | 0.5 | € | 0.25 | 0.25 | 0.25 | 0.5 | 0.25 | 0.12 | 0.12 | 2 | 2 | 0.12 | 7 | 0.25 | 0.5 | 0.12 | 90.0 |
| 15 | IA (CONT) | EOXYTETRAC | 999 | 0.25 | H | 90.0 | 0.25 | - | - | 0.12 | 0.25 | 0.25 | 0.03 | 0.25 | 0.25 | 0.25 | 0.12 | 0.12 | 4 | 0.5 | 80 | 0.25 | 0.12 | 0.12 | - | 0.25 | 0.12 | 0.12 | ~ | 2 | 0.12 | 8 | 0.25 | 0.5 | 0.12 | 90.0 |
| 20 | TABLE IVITY OF 9 | 6-DEMETHYL-6-DEOXYTETRACYCLINES | 111 | 0.25 | 7 | 90.0 | 0.25 | 2 | - | 0.12 | 0.25 | 0.25 | 20.015 | 0.12 | 0.25 | 0.25 | 0.12 | 0.12 | 4 | 0.25 | •0 | 0.25 | 0.12 | 0.12 | - | 0.12 | 0.12 | 0.12 | ~ | ~ | 0.12 | 8 | 0.12 | 0.25 | 0.12 | 90.0 |
| | TABLE IA (CONT) ANTIBACTERIAL ACTIVITY OF 9-(ACYLAMINO)-7-(SUBSTITTITED)- | 6-0E | <u> </u> | ~ | - | 0.5 | 4 | 4 | 7 | 0.5 | 4 | 4 | 0.25 | 0.5 | 0.5 | 0.5 | 0.5 | 0.5 | • | - | 32 | 0.12 | 0.25 | 0.5 | ~ | 0.5 | 0.25 | 0.25 | 7 | 60 | 0.25 | ~ | 0.5 | ~ | 0.25 | 0.25 |
| 30 | ANTIBAC | | | 1 Tet8 | ns. | et sens. | Tet8 | * | ţc | 1 TetM | 2 Tet Sens. | | | 4 TetM | 150 | _ | 2.5 | 10 | FPOR 8733 | NEMC 87210 | ATCC 27853 | 8769/89-4 | 88-4 | 88-5 TetM | 88-7 TetK | 90-1 TetM | 0.3 | 90-2 TetM | 2943 | (MP) | (MP) | 1983 | 29213 | AVHAH 88-3 | 0.1 | ATCC 29212 |
| 35 | | | | coli UBMS 88-1 | colf J3272 sens | MC4100 | | PRP1 Te | coli J3272 Tett | colf UBMS 89-1 | coli UBMS 89-2 | <u>-</u> | coli BAJ9003 | UBMS | coli UBMS 90-5 | coli #311 (MP) | coli ATCC 25922 | coli J3272 TetD | escens | maltophilia N | | - | UBMS | aureus UBMS 8 | aureus UBMS 8 | aureus UBMS 9 | aureus UBMS 9 | aureus UBMS 9 | aureus IVES 2 | Bureus ROSE (| BUTEUS SMITH | aureus 1VES 1 | BUTEUS ATCC 2 | hemolyticus A | terococcus 12201 | faecalis |
| 40 | | | | m. | ñ. | Ш | ш. Ш | m. | m. | m. | m. | Ë. | m. | m. | m | ű. | m | ű. | S. | × | Ps. | 'n | s. | s. | Š. | s. | s. | s. | s. | 'n | δ. | s. | s. | 'n | Ent | ű |

| 5 | IA (CONT) 9-(ACYLAMINO)-7-(SUBSTITITED)- Deoxytetracyclines | HHH | 0.5 | 90.0 | = | رې. پ | 0.5 | 0.25 | 0.5 | 0.25 | 0.03 | 0.25 | 0.5 | 0.25 | 0.25 | 0.12 | € | 0.5 | 32 | 0.5 | 7 | 0.25 | 7 | 0.5 | 0.12 | 0.25 | 4 | 80 | 0.25 | 4 | 0.25 | • | 2 | 0.25 |
|----|---|-----|----------------|---------------|-----------|---------------|-----------|----------------|---------------|------------|--------------|----------------|----------------|----------------|---------------|---------------|---------------|-------------|--------------|-------------|-------------|---------------|-------------|---------------|-------------|-------------|---------------|-------------|--------------|-------------|-------------|---------------|---------------|---------------|
| 15 | E IA (CONT) 9-(ACYLAMINO)-7- -DEOXYTETRACYCLIN | 111 | 0.5 | 0.25 | - | ٠.٥ | 0.5 | 0.25 | 0.5 | 0.25 | 90.0 | 0.25 | 0.25 | 0.25 | 0.25 | 0.12 | ~ | - | 60) | 0.12 | 0.25 | 0.25 | 7 | 0.25 | 0.12 | 0.25 | ~ | 2 | 0.25 | ~ | 0.25 | ~ | 0.25 | 0.25 |
| 20 | TABLE ACTIVITY OF 6-DEMETHYL-6- | KKK | >3 2 | >32 | 32 | × 35 × | >32 | >32 | >32 | ×32 | 16 | ×32 | >32 | > 32 | >32 | >32 | >32 | >32 | >32 | 32 | 32 | >32 | >32 | >32 | 16 | 32 | >32 | >32 | 16 | >32 | 32 | > 32 | >32 | 16 |
| 25 | NTIBACTERIAL A | 777 | ×32 | >32 | Z | 2 | >35 | 32 | >32 | >32 | 4 | >32 | >32 | >32 | >32 | >32 | >32 | 16 | >32 | 4 | « | 80 | 16 | 16 | 2 | 60 | 32 | >32 | 4 | 32 | 4 | 16 | 16 | 16 |
| 30 | A | | -1 TetB | sens. | et | 1 0 1 8 | Tetc | ·1 TetM | -2 Tet Sens. | | | 4 Teth | , v | | 25922 | TetO | FPOR 8733 | NEMC 87210 | ATCC 27853 | 8769/89-4 | 88-4 | 88-5 TetM | 88-7 TetK | 90-1 TetM | 90-3 | 90-2 TetM | 2943 | (MP) | (MP) | 1983 | 29213 | AVHAH 88-3 | 2201 | 2 2 2 2 2 2 2 |
| 35 | | | 80 | C3 | 11 MC4100 | coli PRP1 Tel | 011 13272 | coli UBMS 89-1 | coli UBMS 89. | coli J2175 | coli BAJ9003 | coli UBMS 90-4 | coli UBMS 90-5 | coli #311 (MP) | coli ATCC 259 | coli 13272 To | neriescens Fi | meltophilia | acruginosa / | BUTEUS NEMC | aureus UBMS | aureus UBNS A | aureus UBMS | aureus UBMS | aureus UBMS | aureus UBMS | aureus IVES ; | Bureus ROSE | BUTEUS SMITH | aureus 1VES | aureus AICC | hemolyticus / | us 1 | faecalis ATCC |
| 40 | | | u, | щ. | | | ш | m | m, | щ. | ٠. | Ä. | ш | 'n. | m. | E. | s. | × | Ps. | s. | s. | s. | s. | ۍ. | s. | s. | s. | s. | s. | s. | s. | ŝ | Ent | щ. |

TABLE IV

| Susceptibility of Sensitive and Resistant (tetM) Organisms to Tetracyclines | | | | | |
|---|------------|------|------|--|--|
| | MIC(μg/ml) | | | | |
| Organisms | Α | 0 | тс | | |
| E. coli UBMS 88-2 (Sensitive) | 0.12 | 0.5 | ND | | |
| E. coli UBMS 90-4 (tetM) | 1 | 64 | 64 | | |
| S. aureus UBMS 88-4 (Sensitive) | <0.015 | 0.03 | 0.12 | | |
| S. aureus UBMS 88-5 (tetM) | 0.03 | 2 | 32 | | |
| S. aureus UBMS 90-3 (Sensitive) | <0.015 | 0.03 | 0.12 | | |
| S. aureus UBMS 90-1 (tetM) | 0.12 | 4 | 32 | | |
| N. gonorrhoeae IL 611 (Sensitive) | 0.06 | 0.5 | ND | | |
| N. gonorrhoeae 6418 (tetM) | 1 | >32 | >32 | | |

TABLE IV (continued)

| Susceptibility of Sensitive and Resistant (tetM) Organisms to Tetracyclines | | | | | |
|---|------------|---|----|--|--|
| | MIC(μg/ml) | | | | |
| Organisms | Α | 0 | тс | | |
| E. faecalis UBMS 90-6 (tetM) | 0.12 | 8 | 32 | | |
| E. faecalis UBMS 90-7 (tetM) | 0.5 | 8 | 32 | | |

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TABLE V

In vitro Activity of Compounds A and O Against Clinical Isolates

| | | | | N | IIC (ug/ml)+ | |
|----|------------------|------------|------------|----------------|-------------------|--------|
| | <u>Organism</u> | No. Tested | Antibiotic | Range | MIC ₅₀ | MIC90 |
| | Neisseria | (9) | Α | 0.015 - 1.00 | 0.03 | 1.00 |
| 20 | ' gonorrhoeae | | Ο | 0.03 - >32.00 | 0.25 | >32.00 |
| | Haemophilus | (18) | Α | <0.008 - 0.06 | 0.06 | 0.06 |
| | influenzae | | Ο | 0.06 - 0.25 | 0.12 | 0.25 |
| 25 | Enterococcus | (14) | A | <0.015 - 2.00 | 0.12 | 1.00 |
| | faecalis | | 0 | <0.015 - 16.00 | 4.00 | 16.00 |
| | Enterococcus | (11) | Α | <0.015 - 2.00 | 0.06 | 2.00 |
| 30 | faecium | | Ο . | <0.015 - 16.00 | 8.00 | 16.00 |
| | Escherichia coli | (10) | Α | 0.06 - >32.00 | 0.25 | >32.00 |
| | | | 0 | 0.12 - 32.00 | 0.25 | 16.00 |
| 35 | Klebsiella | (10) | Α | 0.25 - >32.00 | 0.50 | 0.50 |
| | pneumoniae | | 0 | 1.00 - >32.00 | 1.00 | 4.00 |
| | Proteus spp. | (9) | Α | 0.50 - >32.00 | 2.00 | >32.00 |
| 40 | indole + | | О | 1.00 - >32.00 | 16.00 | >32.00 |
| | Bacteroides spp. | (15) | Α | <0.15 - 4.00 | 0.25 | 2.00 |
| , | | | 0 | <0.15 - 16.00 | 1.00 | 4.00 |

⁺ MIC_{50} = minimum concentration required to inhibit 50% of strains tested. MIC_{90} = minimum concentration required to inhibit 90% of strains tested

TABLE V (CONT)

In Vitro Activity of KK and Comparative

Antibiotics vs Recent Clinical and Agricultural Isolates

| | | | | MIC (μg/ml) | |
|----|--|--------------|------------|-------------|------------|
| 10 | Organism | [No. Tested] | <u> </u> | <u>o</u> | <u>T C</u> |
| | Staphylococcus aureus, methicillin-resistant | (15) | 0.12-2 | 0.06-4 | 0.25->64 |
| 15 | Staphylococcus aureus, methicillin-susceptible | [15] | 0.12-0.25 | 0.03-0.12 | 0.12-1 |
| 20 | Staphylococcus Coagulase-negative, methicillin-susceptible | [16] | 0.12-8 | 0.03-1 | 0.12->64 |
| | Enterococcus faecalis | [10] | 0.015-0.12 | 0.03-16 | 0.12-64 |
| | Enterococcus faecium | [10] | 0.03-0.12 | 0.03-16 | 0.12-64 |
| 25 | Enterococcus spp. Vancomycin-resistant | [8] | 0.015-0.06 | 0.03-16 | 0.12->64 |
| | Streptococcus pyogenes | [10] | 0.06-0.12 | 0.03-2 | 0.12-16 |
| 30 | Streptococcus agalactiae | [10] | 0.06-0.25 | .0.12-16 | 0.25-64 |
| | Streptococcus pneumoniae | [10] | 0.03-0.25 | 0.06-0.5 | 0.12-2 |
| 35 | Listeria monocytogenes | [8] | 0.06-0.12 | 0.015-0.03 | 0.12-0.5 |
| | Escherichia coli (Clinical) | [30] | 0.12-4 | 0.25-32 | 0.5->64 |
| 40 | Escherichia coli (Agricultural) | [15] | 0.12-4 | 1-16 | 2->64 |
| | Shigella spp. | [14] | 0.06-0.5 | 0.25-8 | 0.25->64 |
| 45 | Klebsiella pneumoniae | . [10] | 0.25-8 | 0.5-8 | 0.5->64 |
| | Klebsiella oxytoca | [10] | 0.5-1 | 0.5-4 | 0.5-1 |
| | Citrobacter freundii | [10] | 0.25-8 | 0.03-32 | 0.5-16 |
| 50 | Citrobacter diversus | [10] | 0.25-1 | 0.25-4 | 0.5-4 |
| | Salmonella spp. (Clinical) | (11) | 0.25-0.5 | 0.5-16 | 0.5->64 |

55

TABLE V (CONT)

In Vitro Activity of KK and Comparative
Antibiotics vs Recent Clinical and Agricultural Isolates

| 5 | | | | MIC (µg/ml) | |
|----|---|--------------|------------|-------------|------------|
| | Organism | [No. Tested] | KK | <u>o</u> | <u>T C</u> |
| 10 | Salmonella cholerasuis (Agricultural) | [15] | 0.5-16 | 2->64 | 1->64 |
| | Serratia mercescens | [10] | 2 - 8 | 1 - 8 | 8->64 |
| 15 | Enterobacter cloacae | [10] | 0.5-1 | 0.25-4 | 0.5-2 |
| | Enterobacter aerogenes | [10] | 0.5-1 | 0.5-1 | 0.5-1 |
| | Providencia spp. | [13] | 2 - 8 | 4->64 | 1->64 |
| 20 | Proteus mirabilis | [26] | 1-32 | 1-32 | 0.5-64 |
| | Proteus vulgaris | [18] | 0.5-4 | 0.5-16 | 0.25-64 |
| 25 | Morganella morganii | [16] | 0.5-4 | 0.25-32 | 0.25->64 |
| | Pseudomonas aeruginosa | [10] | 1-16 | 1-16 | 2-32 |
| | Xanthomonas maltophilia | [10] | 0.5-2 | 0.12.1 | 8-16 |
| 30 | Moraxella catarrhalis | [18] | 0.06-0.12 | 0.03-0.12 | 0.06-0.5 |
| | Neisseria gonorrhoeae | [14] | 0.25-1 | 0.5-64 | 1->64 |
| 35 | Haemophilus influenzae | [15] | 0.5-2 | 0.5-2 | 1-32 |
| | Pasturella multocida (Agricultural & Clinical) | [17] | 0.03-0.25 | 0.015-4 | 0.06-16 |
| 40 | Bordetella bronchiseptica (Agricultural) | [10] | 0.12 | 0.06-0.12 | 0.12-0.25 |
| | Bacteroides fragilis | [11] | 0.06-0.2 | <0.008-16 | 0.25->64 |
| 45 | Bacteroides fragilis group | [10] | 0.06-2 | <0.008-4 | 0.25-32 |
| | Bacteroides spp. | [9] | 0.03-1 | 0.03-16 | 0.25->64 |
| | Clostridium difficile | [12] | 0.03 | 0.015-16 | 0.12-32 |
| 50 | Clostridium perfringens | [16] | 0.03-1 | <0.008-16 | 0.015-16 |
| | Clostridium spp. | [9] | 0.015-0.12 | <0.008-16 | 0.015-64 |
| 55 | Anaerobic Gram (+) Cocci | (15) | 0.015-0.06 | 0.05-8 | 4->64 |

TABLE VI

| Inhibition of Protein Synthesis Directed by <i>E. coli</i> Cell-free Ribosomes with Tetracyclines | | | | | | |
|---|---------------------------|------------|--|--|--|--|
| | IC ₅₀ (μg/ml)+ | | | | | |
| Antibiotic | TC Sensitive Host | Tet M Host | | | | |
| Tetracycline | 0.6 | 2.0 | | | | |
| Compound O | 0.4 | 2.0 | | | | |
| Compound A | <0.3 | 0.4 | | | | |

⁺Concentration of antibiotic required to inhibit protein synthesis by 50% compared to a drug-free control

TABLE VII

In vivo Protective Activity of Compounds A and O in Mice Infected with Staphylococci Containing the tetM Determinant

| <u>Organism</u> | Compound | ED_{50} (mg/kg)+ |
|---------------------|----------|--------------------|
| S. aureus UBMS 90-1 | Α | 0.22 |
| | 0 | 1.7 |
| S. aureus UBMS 90-2 | A | 0.49 |
| | 0 | 3.0 |

⁺ Median effective dose protecting 50% of the infected mice, single subcutaneous dosing.

| 5 | | | - | 8-16 |) | 9 | 60 1 1 6- 1 1 | : |
|-------------|--|-------------------------------------|--|--|---------------------------------------|--|---|---------------------------------------|
| 10 | | | ဖ | 8-16 | ; | 99 | 9 | : |
| 15 | | | æ | 60 1 1 | : | AAA | 9 : ; | : |
| 20 | ty in Rice | kg) | ۵ | ×16 1-2 | - | \$ | 516 0.5-1 | : |
| 25 | TABLE VII (CONT) In Vitro Protective Activity in Mice | Compounds (ED _{SO} (mg/kg) | اد | 4-8 | | × | 8-16 | : : |
| 30 | TABLE itro Protec | Compound | 포 | 8-16 | 2.49 | 3 | 0.82 | ; |
| <i>35</i> . | . | | 3 | 9.6 1.6.0 | į | 88 1 88 | 3 F | ; |
| 40 | | | Route of Antibiotic Administration | oral Intravenecus Subcutanecus | 1 | Route of Antibiotic Administration | Oral Intravensous Suboutaneous | Intraveneous |
| 45 | | | 1 | (sens) (sens) | | | (sens) (sens) | |
| 50 | | | 8 | BUTEUS SHITH (SELTEUS SAITH (SELTEUS | Escherichia coti UBMS 90-4 (Tet-M) | ien | BLTEUS SMITH (S BLTEUS SMITH (S BLTEUS SMITH (S | Escherichie coli UBMS 90-4 (Tet-M) |
| 55 | | | Organism | | Esche | es i ne gro | | Esche UBMS |

TABLE VIII

| | tion and Protein Translation | r | | |
|-------------|------------------------------|---------------|----------|--|
| | MPOUND | % INHIBIT | | |
| Organism | Concentration | Wild Type S30 | TetM S30 | |
| KK | 1.0 mg/ml | 92 | 95 | |
| | 0.5 mg/ml | 90 | 96 | |
| | 0.25 mg/ml | 89 | 93 | |
| | 0.12 mg/ml | 84 | 93 | |
| | 0.06 mg/ml | 82 | 89 | |
| | 0.03 mg/ml | 81 | 75 | |
| ММ | 1.0 mg/ml | 99 | 99 | |
| | 0.2 mg/ml | 98 | 97 | |
| | 0.06 mg/ml | 95 | 92 | |
| 00 | 1.0 mg/ml | 99 | 99 | |
| | 0.2 mg/ml | 97 | 95 | |
| | 0.06 mg/ml | 94 | 87 | |
| QQ | 1.0 mg/ml | 99 | 99 | |
| | 0.2 mg/ml | 97 | 95 | |
| | 0.06 mg/ml | 92 | 85 | |
| RR | 1.0 mg/ml | 99 | 99 | |
| | 0.2 mg/ml | 97 | 97 | |
| | 0.06 mg/ml | 93 | 90 | |
| VV | 1.0 mg/ml | 99 | 98 | |
| | 0.2 mg/ml | 93 | 92 | |
| | 0.06 mg/ml | 91 | 79 | |
| ww | 1.0 mg/mt | 99 | 98 | |
| | 0.2 mg/ml | 99 | 97 | |
| | 0.06 mg/ml | 93 | 88 | |
| xx | 1.0 mg/ml | 98 | 97 | |
| | 0.2 mg/ml | 96 | 89 | |
| | 0.06 mg/ml | 85 | 78 | |
| Minocycline | 1.0 mg/ml | 98 | 68 | |
| | 0.2 mg/ml | 89 | 43 | |
| | 0.06 mg/ml | 78 | 0 | |

[0046] When the compounds are employed as antibacterials, they can be combined with one or more pharmaceutically acceptable carriers, for example, solvents, diluents and the like, and may be administered orally in such forms as tablets, capsules, dispersible powders, granules, or suspensions containing, for example, from about 0.05 to 5% of suspending agent, syrups containing, for example, from about 10 to 50% of sugar, and elixirs containing, for example, from about 20 to 50% ethanol, and the like, or parenterally in the form of sterile injectable solutions or suspensions containing from about 0.05 to 5% suspending agent in an isotonic medium. Such pharmaceutical preparations may contain, for example, from about 25 to about 90% of the active ingredient in combination with the carrier, more usually between about 5% and 60% by weight.

[0047] An effective amount of compound from 2.0 mg/kg of body weight to 100.0 mg/kg of body weight should be administered one to five times per day via any typical route of administration including but not limited to oral, parenteral (including subcutaneous, intravenous, intrav

[0048] These active compounds may be administered orally as well as by intravenous, intramuscular, or subcutaneous routes. Solid carriers include starch, lactose, dicalcium phosphate, microcrystalline cellulose, sucrose and kaolin, while liquid carriers include sterile water, polyethylene glycols, non-ionic surfactants and edible oils such as corn, peanut and sesame oils, as are appropriate to the nature of the active ingredient and the particular form of administration desired. Adjuvants customarily employed in the preparation of pharmaceutical compositions may be advantageously included, such as flavoring agents, coloring agents, preserving agents, and antioxidants, for example, vitamin E, ascorbic acid, BHT and BHA.

[0049] The preferred pharmaceutical compositions from the standpoint of ease of preparation and administration are solid compositions, particularly tablets and hard-filled or liquid-filled capsules. Oral administration of the compounds is preferred.

[0050] These active compounds may also be administered parenterally or intraperitoneally. Solutions or suspensions of these active compounds as a free base or pharmacologically acceptable salt can be prepared in water suitably mixed with a surfactant such as hydroxypropylcellulose. Dispersions can also be prepared in glycerol, liquid, polyethylene glycols and mixtures thereof in oils. Under ordinary conditions of storage and use, these preparations contain a preservative to prevent the growth of microorganisms.

[0051] The pharmaceutical forms suitable for injectable use include sterile aqueous solutions or dispersions and sterile powders for the extemporaneous preparation of sterile injectable solutions or dispersions. In all cases, the form must be sterile and must be fluid to the extent that easy syringability exists. It must be stable under the conditions of manufacture and storage and must be preserved against the contaminating action of microorganisms such as bacterial and fungi. The carrier can be a solvent or dispersion medium containing, for example, water, ethanol, polyol (e.g., glycerol, propylene glycol and liquid polyethylene glycol), suitable mixtures thereof, and vegetable oil.

[0052] The invention will be more fully described in conjunction with the following specific examples which are not to be construed as limiting the scope of the invention.

Example 1

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 $[4S-(4\alpha,12a\alpha)]-4,7$ -Bis(dimethylamino)-1,4,4a,5,5a,6, 11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-nitro-1,11-dioxo-2-naphthacenecarboxamide sulfate (1:1)

[0053] To a stirred ice bath cooled solution of 0.444 g of [4S- $(4\alpha,12\alpha\alpha)$]-4,7-bis(dimethylamino)-1,4,4a,5,5a,-6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo--2-naphthacenecarboxamide hydrochloride, prepared by the procedure described in U.S. Patent 3,226,436, dissolved in 15 ml of sulfuric acid is added 0.101 g of sodium nitrate. The mixture is stirred in the cold for 45 minutes followed by the dropwise addition to 500 ml of diethyl ether. The resulting solid is collected, washed with diethyl ether and dried to give 0.6 g of the desired product as a solid. MS(FAB): m/z 503(M+H) and 601(M+H₂SO₄+H).

Example 2

 $\begin{tabular}{l} \hline [4S-(4\alpha,12a\alpha)]-9-Amino-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate (1:1) \\ \hline \end{tabular}$

[0054] A mixture of 2.0 g of product from Example 1 in 20 ml of 2-methoxyethanol is stirred for 10 minutes and filtered. The filtrate is shaken, in a pressure bottle, with 1.0 g of 10% palladium-on-carbon and 5 ml of 2N sulfuric acid, under 30 lbs. of hydrogen pressure, for 1 hour. The reaction mixture is filtered and the filtrate concentrated in vacuo to half volume. The solution is poured into 100 ml of diethyl ether, the solid collected, washed with diethyl ether and dried to give 1.6 g of the desired product as a solid.

MS(FAB): m/z 473(M+H).

Example 3

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 $\begin{tabular}{l} $[4S-(4\alpha,12a\alpha)]-4,7-Bis(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide \end{tabular} \label{tabular}$

[0055] To a stirring 0°C solution of 3.0 g of product from Example 2, 0.451 g of anhydrous sodium acetate and 50 ml of 98% formic acid is added, dropwise, 7.4 ml of acetic anhydride. The reaction is stirred at 0°C for 10 minutes followed by stirring at room temperature for 1 hour. The mixture is poured into 500 ml of diethyl ether and the precipitate collected. The solid is washed with diethyl ether and dried to give 2.9 g of the desired product.

MS(FAB): m/z 501 (M+H).

Example 4

[0056] To a solution of 3.5 g of product from Example 3 in 150 ml of distilled water is added sufficient 0.75N sulfuric acid to bring the reaction solution of pH 3.6. The solution is lyophilized to give 3.6 g of the desired salt.

MS(FAB): m/z 501 (M+H).

Example 5

 $\begin{tabular}{l} [4S-(4\alpha,12a\alpha)]-4,7-Bis(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide monohydrochloride \\ \end{tabular}$

[0057] To a solution of 3.5 g of product from Example 3 in 150 ml of distilled water is added sufficient 0.75N hydrochloric acid to bring the reaction solution of pH 3.6. The solution is lyophilized to give 3.6 g of the desired salt. MS(FAB): m/z 501 (M+H).

30 Example 6

 $\begin{tabular}{l} \hline [4S-(4\alpha,12a\alpha)]-9-(Acetylamino)-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide \end{tabular}$

35 [0058] To a stirring solution of 0.468 g of product from Example 2 in 5 ml of water is added 0.50 g of sodium acetate and 0.2 ml of acetic anhydride. The reaction is stirred at room temperature for 10 minutes followed by the addition of 0.2 ml of concentrated ammonium hydroxide. After stirring 5 hours at room temperature, the reaction is treated with 0.5 ml of concentrated sulfuric acid. The reaction solution is extracted with 4 portions of n-butyl alcohol and the aqueous layer is concentrated in vacuo to dryness. The residue is triturated with 20 ml of methyl alcohol, filtered and the organic layer is concentrated in vacuo to give 0.35 g of the desired product.
MS(FAB): m/z 515 (M+H).

Example 7

45 [4S-(4α,12aα)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(trifluoroacetyl)amino]-2-naphthacenecarboxamide sulfate

[0059] A mixture of 0.20 g of product from Example 2 and 3.0 ml of trifluoroacetic anhydride is stirred at room temperature for 6 hours. The reaction liquid is decanted from the solid residue. The solid is dried, dissolved in 10 ml of methyl alcohol, stirred for 20 minutes and the mixture is poured into 100 ml of diethyl ether. The solid is collected and dried to give 0.16 g of the desired product.

MS(FAB): m/z 569 (M+H).

Example 8

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[4S-(4α,12aα)]-7-(Diethylamino)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-nitro-1,11-dioxo-2-naphthacenecarboxamide sulfate (1:2)

[0060] To a stirred ice cooled solution of $0.660 \, \mathrm{g}$ of $[4S-(4\alpha,12\alpha\alpha)]$ -7-(diethylamino)-4-(dimethylamino)-1,4,-4a,5,5a, 6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,-11-dioxo-2-naphthacenecarboxamide hydrochloride, prepared by the procedure described in U.S. Patent 3,226,436, dissolved in 15 ml of sulfuric acid is added 0.151 g of sodium nitrate. The mixture is stirred in the cold followed by dropwise addition to 500 ml of diethyl ether. The resulting solid is collected, washed with diethyl ether and dried to give 0.8 g of the desired product as a solid. MS(FAB): m/z 531(M+H) and 629(M+H₂SO₄+H).

Example 9

15 [4S-(4α,12aα)]-9-Amino-7-(diethylamino)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10, 12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate (1:2)

[0061] The title compound is prepared by the procedure of Example 2, using 0.82 g of product from Example 8, to give 0.65 g of the desired product as a solid.

 1 H NMR (CD₃SOCD₃): δ 4.25(s,1H,4-H) and 7.27(s,1H,8-H). MS(FAB): m/z 501(M+H) and 599(M+H₂SO₄+H).

Example 10

[4S-(4\alpha,12a\alpha)]-7-(Diethylamino)-4-(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12 12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate (1:2)

[0062] To a solution of 0.238 g of product from Example 9 in 6 ml of formic acid is added 0.035 g of sodium acetate and 0.75 ml of acetic anhydride. The reaction mixture is stirred at room temperature for 1.5 hours then poured into 200 ml of diethyl ether. The solid is collected and dried at 50°C to give 0.125 g of the desired product.

MS(FAB): m/z 529 (M+H) and 627 (M+H₂SO_A+H).

Example 11

[4S-(4α,12aα)]-9-(Acetylamino)-7-(diethylamino)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate (1:2)

[0063] To a solution of 0.16 g of product from Example 9 in 0.6 ml of water is added 0.125 g of sodium acetate. After stirring for 5 minutes, 0.05 ml of acetic anhydride is added. The reaction is stirred for 15 minutes, 0.025 ml of ammonium hydroxide is added and the stirring continued for an additional 5 minutes. The mixture is acidified with 0.125 ml of sulfuric acid, extracted with n-butyl alcohol and concentrated in vacuo. The residue is dissolved in methyl alcohol and added to diethyl ether. The solid is collected and dried to give 0.10 g of the desired product.

MS(FAB): m/z 543 (M+H) and 641 (M+H₂SO₄+H).

Example 12

 $[4S-(4\alpha,12a\alpha)]$ -7-(Diethylamino)-4-(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12 12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide

[0064] A solution of 0.2 g of product from Example 10 in 10 ml of water is treated with sodium acetate to achieve pH 50 5-6. The mixture is extracted with chloroform. The organic extracts are dried with sodium acetate, concentrated in vacuo and the solid triturated with diethyl ether/hexane to give 0.11 g of the desired product.

MS(FAB): m/z 529 (M+H).

Example 13

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[4S-(4α,12aα)]-9-(Acetylamino)-7-(diethylamino)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide

[0065] A solution of 0.25 g of product from Example 11 in 10 ml of water is treated with sodium acetate to achieve pH 6. The mixture is extracted with chloroform. The organic extracts are dried with sodium acetate, concentrated in vacuo and the solid triturated with diethyl ether/hexane to give 0.090 g of the desired product.

MS(FAB): m/z 543 (M+H).

Example 14

[4S-(4α,12aα)]-4-(Dimethylamino)-7-(ethylmethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide hydrochloride

[0066] A solution of 0.460 g of $[4S-(4\alpha,12a\alpha)]-4-(dimethylamino)-7-(ethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide hydrochloride, prepared by the procedure described in U.S. Patent 3,226,436, in 0.5 ml of 97% formic acid and 0.75 ml of 40% aqueous formaldehyde is heated at reflux temperature for 2 hours, concentrated to 1/2 volume and poured into diethyl ether. The resulting solid is collected, washed with diethyl ether and dried to give 0.30 g of the desired product.$

Example 15

[4S-(4α,12aα)]-4-(Dimethylamino)-7-(ethylmethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-nitro-1,11-dioxo-2-naphthacenecarboxamide sulfate

[0067] The title compound is prepared by the procedure of Example 8, using 0.460 g of product from Example 14, 15 ml of sulfuric acid and 0.101 g of sodium nitrate to give 0.5 g of the desired product.

30 Example 16

[4S-(4α,12aα)]-9-Amino-4-(dimethylamino)-7-(ethylmethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate

[0068] The title compound is prepared by the procedure of Example 2, using 1.0 g of product from Example 15, 20 ml of 2-methoxyethanol, 1.0 g of 10% palladium-on-carbon and 5 ml of 2N sulfuric acid to give 0.8 g of the desired product.

Example 17

[4S-(4\alpha,12a\alpha)]-4-(Dimethylamino)-7-(ethylmethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate

[0069] The title compound is prepared by the procedure of Example 3, using 1.5 g of product from Example 16, 0.235 g of anhydrous sodium acetate, 25 ml of 98% formic acid and 3.7 ml of acetic anhydride to give 1.35 g of the desired product.

Example 18

⁵⁰ [4S-(4α,12aα)]-9-(Acetylamino)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate

[0070] To a solution of 3.2 g of [4S-(4α,12aα)]-9-amino-4-dimethylamino-1,2,3,4,4a,5,5a,6,11,11a,12, 12a-dodec-ahydro-10,12aα-dihydroxy-1,3,11,12-tetraoxo-2-naphthacenecarboxamide, prepared by the procedure described in U.S. Patent 3,239,499, in 50 ml of water is added a solution of 2.5 g of sodium acetate in 12 ml of water. The mixture is cooled to 0°C and 1 ml of acetic anhydride is added with stirring. The reaction is stirred for 20 minutes, 0.5 ml of ammonium hydroxide is added and stirred for 5 minutes. Two and one half ml of sulfuric acid is added, the reaction is extracted twice with n-butyl alcohol, the combined organic layers are washed with water and concentrated in vacuo.

The residue is dissolved in methyl alcohol and added dropwise to 500 ml of diethyl ether. The solid is collected and dried to give 2.3 g of the desired product.

MS(FAB): m/z 472 (M+H) and 570 (M+H2SO4+H).

5 Example 19

 $\label{eq:control_equation} \begin{tabular}{l} $[4S-(4\alpha,12a\alpha)]-4-(Dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide monohydrochloride \\ \end{tabular}$

- 10 [0071] To a 0°C solution of 1.06 g of [4S-(4α,12aα)]-9-amino-4-dimethylamino-1,2,3,4,5a, 6,11,11a,12,12a-dodec-ahydro-10,12aa-dihydroxy-1,3,11, 12-tetraoxo-2-naphthacenecarboxamide; prepared by the procedures described in U.S. Patent 3,239,499, in 50 ml of formic acid is added 2.4 ml of acetic anhydride. After stirring for 5 minutes, the cooling bath is removed and the reaction is stirred for 55 minutes. The mixture is added to 400 ml of diethyl ether. The resulting solld is collected, washed with diethyl ether and dried to give 1.1 g of the desired product.
- 15 MS(FAB): m/z 458 (M+H).

This procedure is a modification of U.S. Patent 3,239,499.

Example 20

20 [4S-(4α,12aα)]-4-(Dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-iodo-1,11-dioxo-2-naphthacenecarboxamide sulfate

[0072] To a well stirred 0°C solution of 0.278 g of product from Example 19 in 10 ml of sulfuric acid is added, in portions, 0.1344 g of N-iodosuccinimide. The reaction is stirred at 0°C for 20 minutes then poured into 500 ml of diethyl ether. The resulting solid is collected, washed with diethyl ether and dried to give 0.251 g of the desired product. MS(FAB): m/z 584 (M+H).

Example 21

30 [4S-(4α,12aα)]-4-(Dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-nitro-1,11-dioxo-2-naphthacenecarboxamide sulfate

[0073] To a well stirred 0°C solution of 0.278 g of product from Example 19 in 10 ml of sulfuric acid is added 0.3 ml of 10% nitric acid in sulfuric acid. The reaction is stirred at 0°C for 20 minutes then poured into 500 ml of diethyl ether.

The resulting solid is collected, washed with diethyl ether and dried to give 0.26 g of the desired product.

MS(FAB): m/z 503 (M+H).

Example 22

40 [4S-(4α,12aα)]-4-(Dimethylamino)-9-(formylamino)-7-[(1-methylethyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate

[0074] A solution of 0.2 g of product from Example 21 (1:2 salt), 0.5 ml of acetone, 0.5 ml of 0.5N sulfuric acid and 10 ml of 2-methoxyethanol is shaken under 35 lbs. of hydrogen, in the presence of platinum oxide, for 2 hours. The catalyst is removed by filtration, the filtrate concentrated in vacuo to 1/2 volume and poured into diethyl ether. The resulting solid is collected and dried to give 0.135 g of the desired product.

Example 23

[4S-(4α,12aα)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6, 11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(methoxyacetyl)amino]-1,11-dioxo-2-naphthacenecarboxamide

[0075] To a well stirred solution of 0.055 g of product from Example 2, 0.200 g of sodium bicarbonate and 1 ml of N-methylpyrrolidone is added a solution of 0.011 g of methoxyacetyl chloride in 0.5 ml of acetonitrile. After 5 minutes, the suspension is filtered and the filtrate diluted with 50 ml of tert-butyl methyl ether. The resulting solid is collected and dried to give 0.040 g of the desired product.

MS(FAB): m/z 545 (M+H).

Example 24

[4S-(4α,12aα)]-4,7-Bis(dimethylamino)-9-(cyclopropylcarbonylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide

[0076] The title compound is prepared by the procedure of Example 23, using 0.055 g of product from Example 2, 0.20 g of sodium bicarbonate, 1.0 ml N-methylpyrrolidone, 0.010 g of cyclopropanecarbonyl chloride and 0.5 ml of acetonitrile to give 0.030 g of the desired product.

10 Example 25

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 $[4S-(4\alpha,12a\alpha)]-4,7$ -Bis(dimethylamino)-9-(chloroacetylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide

5 [0077] The title compound is prepared by the procedure of Example 23, using 0.055 g of product from Example 2, 0.20 g of sodium bicarbonate, 1 ml of N-methylpyrrolidone, 0.013 g of chloroacetyl chloride and 0.5 ml of acetonitrile to give 0.035 g of the desired product.

Example 26

 $\begin{tabular}{l} \hline $(4\alpha, 12a\alpha)]-9-[(4-Bromo-1-oxobutyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide \\ \hline \end{tabular}$

[0078] The title compound is prepared by the procedure of Example 23, using 0.055 g of product from Example 2, 0.20 g of sodium bicarbonate, 1 ml of N-methylpyrrolidone, 0.025 g of 4-bromobutyryl chloride and 0.5 ml of acetonitrile to give 0.050 g of the desired product.
MS(FAB): m/z 622 (M+H).

Example 27

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 $\begin{tabular}{l} $[4S-(4\alpha,12a\alpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(1-oxo-2-propenyl)amino]-2-naphthacenecarboxamide] \end{tabular}$

[0079] The title compound is prepared by the procedure of Example 23, using 0.055 g of product from Example 2, 0.20 g of sodium bicarbonate, 1.0 ml N-methylpyrrolidone, 0.011 g of acryloyl chloride and 0.5 ml of acetonitrile to give 0.040 g of the desired product.

MS(FAB): 513 (M+H).

Example 28

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[4S-(4α,12aα)]-9-[[(Acetyloxy)acetyl]amino]-4,7-Bis (dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10, 12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide

[0080] The title compound is prepared by the procedure of Example 23, using 0.055 g of product from Example 2, 0.20 g of sodium bicarbonate, 1.0 ml of N-methylpyrrolidone, 0.013 g of acetoxyacetyl chloride and 0.5 ml of acetonitrile to give 0.040 g of the desired product.

MS(FAB): m/z 573 (M+H).

Example 29

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[4S-(4α,12aα)]-4,7-Bis(dimethylamino)-9-(phenylthioacetylamino)-1,4,4a,5,5a,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide

[0081] The title compound is prepared by the procedure of Example 23, using 0.110 g of product from Example 2,
 0.40 g of sodium bicarbonate, 4.0 ml of N-methylpyrrolidone, 0.035 g of phenylthioacetyl chloride and 0.5 ml of acetonitrile to give 0.075 g of the desired product.

Example 30

 $\begin{tabular}{l} [4S-(4\alpha,12a\alpha)]-4,7-Bis(dimethylamino)-9-(pyruvylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide \end{tabular} \label{tabular}$

[0082] The title compound is prepared by the procedure of Example 23, using 0.110 g of product from Example 2, 0.40 g of sodium bicarbonate, 1.0 ml of N-methylpyrrolidone, 0.018 g of pyruvyl chloride and 0.5 ml of acetonitrile to give 0.060 g of the desired product.

10 Example 31

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 $\begin{tabular}{l} $[4S-(4\alpha,12a\alpha)]-4,7-Bis(dimethylamino)-9-(ethoxycarbonylacetylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide \end{tabular} \label{tabular}$

⁵ [0083] The title compound is prepared by the procedure of Example 23, using 0.055 g of product from Example 2, 0.20 g of sodium bicarbonate, 1.0 ml of N-methylpyrrolidone, 0.013 g of ethyl malonyl chloride and 0.5 ml of acetonitrile to give 0.035 g of the desired product.

Example 32

 $\begin{tabular}{l} \hline [4S-(4\alpha,12a\alpha)]-4,7-Bis(dimethylamino)-9-(4-bromophenylacetylamino)-1,4,4a,5,5a,6,11,12-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide \\ \hline \end{tabular}$

[0084] The title compound is prepared by the procedure of Example 23, using 0.055 g of product from Example 2, 0.20 g of sodium bicarbonate, 1.0 ml of N-methylpyrrolidone, 0.018 g of 4-bromophenylacetyl chloride and 0.5 ml of acetonitrile to give 0.040 g of the desired product.

Example 33

30 [4S-(4α,12aα)]-9-(Benzoylamino)-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide

[0085] To a vigorously stirring solution of 0.066 g of product from Example 2, 0.085 g of sodium acetate and 3 ml of tetrahydrofuran is added 0.015 ml of benzoyl chloride and 0.25 ml of water. The reaction is stirred for 1 hour. The organic layer is decanted, washed with saturated sodium chloride, dried and concentrated in vacuo. The residue is chromatographed on acid-washed diatomaceous earth using a two phase system of hexane:ethyl acetate:2-methoxyethanol:water (50:50:17:6) to give in the second void volume 0.030 g of the desired product as an orange solid. MS(FAB): m/z 577 (M+H).

¹H NMR (d₆-DMSO): δ 2.45 (s,6H,C(4)N(CH₃)₂), 2.57(s,6-H,C(7)N(CH₃)₂), 7.5-7.6(m,3H, benzoyl), 7.86(s,1H,H-8), 7.96(d,J=7Hz,2H, benzoyl).

Examples 34-41 (Table I)

[0086] Substantially following the method described in detail hereinabove in Example 33 using [4S-(4α,12aα)]-9-amino-4,7-bis(dimethylamino)-1,4,4a, 5,5a,6,11,12a--octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphtacenecarboxamide sulfate (product from Example 2), the compounds of this invention listed below in Examples 34-41
are prepared.

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| 5 | | (M+H); ¹ H NMR 1 2.45(s, 6H, (s, 6H, C(7) =9Hz, 2H of 4- 7.84(s, 1H, Hz, 2H of 4- | (M+H); ¹ H NMR a 2.52(m,12H, NMe ₂), 7.25- 2-méthylben- H,H-8) | (M+H); 1H NMR a 2.47-2.51 , 2.57(bs, 6H, (m,2H from), 7.63(m,1H nZoyl), (m, |
|---------|---------------|--|---|--|
| 10 | | z 607 (M+1 delta 2. z.57(s,6] 5(d,J=9Hz zoyl), 7. (d,J=9Hz, | c 591 (M+1) delta 2. C(7)NMe from 2-me 8(s,1H,H- | delta 2. Mme ₂), 2. 7.39(m,2) nzoyl), 7 orobenzoy fluoroben |
| 15 | Spectra | MS(FAB):m/z 607 (M+H); ¹ H NMH (d ₆ -DMSO): delta 2.45(s,6H, C(4)NMe ₂), 2.57(s,6H,C(7) NMe ₂), 7.06(d,J=9Hz,2H of 4- metfloxybenzoyl), 7.84(s,1H, H-B), 7.97(d,J=9Hz,2H of 4- methoxybenzoyl) | MS(FAB):m/z 591 (M+H); ¹ H NMR (d -DMSO): delta 2.52(m,12H, C(\$)NMe ₂ & C(7)NMe ₂), 7.25- 7.56(m, 4H from 2-methylben- zoyl), 7.98(s,1H,H-8) | MS(FAB): m/z 595 (M+H); ¹ H N (d ₆ -DMSO): delta 2.47-2.51 (m,6H,C(4)NMe ₂), 2.57 (bs,6 C(7)NMe ₂), 7.39 (m,2H from 2-fluorobenzoyl), 7.63 (m,1 from 2-fluorobenzoyl), (m,1H from 2-fluorobenzoyl), (m,24 (s,1H,H-8) |
| 20 | ω. | E O Z E E E | X ~ O ~ N | Z ~ C C C C C C C C C C C C C C C C C C |
| Table I | | [4S-(4alpha,12aalpha))]-4,7-Bis(dimethylamino)-1,4,4a,55a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(4-methoxybenzoyl)amino]-1,11-dioxo-2-naphthacenecarbox- | [4S-(4alpha,12aalpha))]-4,7-Bis(dimethylamino)-1,4,4a,55a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(2-methylbenzoyl)amino]-1,11-dioxo-2-naphthacenecarbox-amide | (4alpha, 12aalpha))]-4,7-dimethylamino)-9-[(2-robenzoyl)amino]-1,4,4a,,6,11,12a-octahydro-3,10,2a-tetrahydroxy-1,11-co-2-naphthacenecarbox- |
| 30 KE | | -(4alpha,12aalpha)) (dimethylamino)-1,4 6,11,12a-octahydro- 12a-tetrahydroxy-9- hoxybenzoyl)aminoj- xo-2-naphthacenecar | na, 12aalk nylamino) 2a-octahy crahydron 2oyl) amir nphthacer | na, 12aaly 1ylamino) 20yl) amin ,12a-octa 12ahydro) Aphthacer |
| 35 | Product | [4S-(4alpha,12aalpha))]-4, Bis(dimethylamino)-1,4,4a, 5a,6,11,12a-octahydro-3,10 12,12a-tetrahydroxy-9-[(4- methoxybenzoyl)amino]-1,11 dioxo-2-naphthacenecarbox- | [4S-(4alpha,12aalpha))]-4, Bis(dimethylamino)-1,4,4a, 5a,6,11,12a-octahydro-3,10 12,12a-tetrahydroxy-9-[(2- methylbenzoyl)amino]-1,11- dioxo-2-naphthacenecarbox- amide | [4S-(4alpha,12aalpha))]-4, Bis(dimethylamino)-9-[(2- fluorobenzoyl)amino]-1,4,4, 5,5a,6,11,12a-octahydro-3, 12,12a-tetrahydroxy-1,11- dioxo-2-naphthacenecarbox- amide |
| 40 | | ۲x | Ħ | - |
| 45 | Acid Chloride | 4-Methoxybenzoyl chloride | 2-Methylbenzoyl chloríde | 2-Fluorobenzoyl chloride |
| | EX. | 34 | ស | 36 |

| | | | NMR 1, 08 | MS(FAB):m/z 645 (M+H); 1H NMR (d ₆ -DMSO):delta 2.50 (m, 6H, C(4) NMe ₂), 2.57 (m, 6H, C(7) NMe ₂), 7.85 (m, 2H of 3-trifluoromethylbenzoyl), 7.99 (m, 1H of 3-trifluoromethylbenzoyl), 8.28 (1H of 3-trifluoromethylbenzoyl), 8.33 (s,1H,H-8), 8.31-8.42 (m,2H) | MS(FAB):m/z 567 (M+H); h NMR (d ₆ -DMSO):delta 2.47(m,6H, C(4)NMe ₂), 2.56(s,6H,C(7)NMe ₂), 6.73(s,1H of furanyl), 7.31(s,1H of furanyl), 7.95 (s,1H of furanyl), 8.00(s,1H,H-8) |
|----|----------|--------------|--|---|---|
| 5 | | | 1 H NJ 12H, 8.08 | ; 1H NJ m, 6H, C(7) -tri- 7.99 ethyl 3-tri 8.33 (m, 2H | n, 5H, 1, 5(7) 2(7) 117any 7.5 |
| | | | (M+H); ¹ H N 2.5(m,12H, NMe ₂), 8.08 | MS(FAB):m/z 645 (M+H); 1H NR (d ₆ -DMSO):delta 2.50(m,6H, C(Å) NMe ₂), 2.57(m,6H,C(7) NMe ₂), 7.85(m,2H of 3-trifluoromethylbenzoyl), 7.99 (m,1H of 3-trifluoromethylbenzoyl), 8.28(1H of 3-trifluoromethylbenzoyl), 8.33 (s,1H,H-8), 8.31-8.42(m,2H) | m/z 567 (M+H); ¹ H N); delta 2.47 (m, 6H, 6H, 6), 2.56 (s, 6H, C(7), 73 (s, 1H of furany H of furanyl), 7.9 furanyl), 8.00 (s, |
| 10 | | | 667 (1 1ta 2 (7) NM | delta 2.50 2.57(m,6H 2.57(m,6H 55(m,2H of 1ylbenzoyl) 1-trifluorol 8.28(1H of 1ylbenzoyl) , 8.31-8.4 | 67 (1 56 (s. 56 (s. 1H (fura) 1y1) |
| | | | 1/z 667 (M+H) :delta 2.5(m & C(7)NMe ₂), | /z 6. 3. 3. 3. 4. 4. 4. 4. 4. 4. 4. 4. 4. 4. 4. 4. 4. | /z 561; 2.; 73(s, of, of, of |
| 15 | | ន្ទ | B):m, MSO) Me, ,H ² 8 | B):m MSO) Me ₂) omet of yl), omet ,H-8 | B):m, mso), meso), es, 1H, of, es, 1H, s, 1H, es, 1H, |
| | | Spectra | MS(FAB):m/z 667 (dDMSO):delta C(4)NMe_ & C(7)N (s,1H,H ² 8) | MS(FAB):m, (d ₆ -DMSO) C(\$)NMe ₂), 7. flucrometl (m, 1H of (m, 1H of benzoyl), flucrometl (s, 1H, H-8t) | (d - DMSO C(4) NMe C(4) NMe NMe), 6 7.31(s, 11 (s, 14 of 11, 4-8) |
| 20 | | S | • | | E-0XL-4 |
| | च | | [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10[2,12a-tetrahydroxy-9-[(pentafluorobenzoyl)amino]-1,11-dioxo-2-naphthacenecarboxamide | 10, 7- | 1.4. 1.0-1 |
| 25 | (cont'd) | | 1)]-4, 1, 4, 4a, dro-3, -9- 1) amin | 1)] -4 1, 4, 4 10 -3, 10 -3, 10 -2 - | -(4alpha,12aalpha)]-4,7-(dimethylamino)-9-[(2-anylcarbonyl)amino]-1,4,5,5a,6,11,12a-octahydro-0,12,12a-tetrahydroxy-1-dioxo-2-naphthacene-boxamide |
| | | | alpha no)-j stah; roxy- nzoyj | alphano)-1 ahydd roxy- roxy- lfluc aminc | alphano) -9 amir a-oct rahyc |
| 30 | Table I | | , 12ag lamin 2a-oc ahydn roben 2-naj | , 12ai lamin -oct; ahydi ahydi oyl); carbo | ,12a; lamin onyl 1,12; -tet; 2-naj |
| | A | | lpha ethy 11,1 tetr fluo oxo- | lpha ethy 12a tetr tetr benz cene | lpha ethy carb, '6,1 '12a, oxo- |
| 35 | | Product | [4S-(4alpha,12aalpha)]-Bis(dimethylamino)-1,4,5,5a,6,11,12a-octahydro12,12a-tetrahydroxy-9-[(pentafluorobenzoyl)arl,11-dioxo-2-naphthacenboxamide | [45-(4alpha,12aalpha)]-4,7 Bis(dimethylamino)-1,4,4a,5a,6,11,12a-octahydro-3,10 12,12a-tetrahydroxy-1,11-dioxo-9-[[3-(trifluoro-methyl)benzoyl]amino]-2-naphthacenecarboxamide | [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[(2-furanylcarbonyl)amino]-1,4 4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacene-carboxamide |
| | | Pro | 148 Bis 5,5 12, 1,1 | [45 Bis 5a, 12, dio met | (48. Bis fur 4a, 3,1(1,1) |
| 40 | | | ride | | |
| | | ride | oro- chlor | oro- nzoyl | |
| 45 | | Ch1c | afluc oyl c | ifluc Ylber ride | royl ride |
| 50 | | Acid Chlorid | Pentafluoro- benzoyl chlo | 3-Trifluoro- methylbenzoyl chloride | 2-Furoyl chloride |
| 50 | | Ex. | | 88 | 68 |
| | | l m | 37 | m | m |

Table I (cont'd)

| Table 1 (cont.a) | Spectra | [4S-(4alpha,12aalpha)]-4,7- MS(FAB):m/z 583 (M+H); H NMR Bis (dimethylamino)-1,4,4a, (dDMSO):delta 2.49 (m,6H,5,5a,6,11,12a-octahydro- C(\$\frac{4}{3}\)NMe_2), 7.56 (s,6H,C(7) NMe_2), 7.21 (m,1H of thienyl), 8.01 carbonyl) amino]-2-naphtha- 7.85 (m,1H of thienyl), 8.01 carboxamide | alpha)]-4,7-] no)-1,4,4a, ctahydro- rahydroxy-9-] 1)amino]- |
|------------------|-------------------|---|--|
| ≓I | Product | [4S-(4alpha,12a Bis(dimethylamin 5,5a,6,11,12a-o 3,10,12,12a-tet; 1,11-dioxo-9-[(; carbonyl)amino]. | [4S-(4alpha Bis(dimethy 5,5a,6,11,1 3,10,12,12a [(4-nitrobe 1,11-dioxo- |
| | Ex. Acid Chloride | 2-Thiophene- carbonyl chloride | 4-Nitro- benzoyl chloride |
| | Ex. | 40 | 41 |

Example 42

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 $[4S-(4\alpha,12a\alpha)]-9-[(4-Aminobenzoyl)amino]-4,7-Bis-dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate$

[0087] A mixture of 0.030 g of product from Example 41, 0.010 g of 10% palladium-on-carbon, 1.5 ml of 2-methoxyethanol and 0.175 ml of 2N sulfuric acid, in a pressure bottle, is shaken under 30 lbs. of hydrogen pressure for 40 minutes. The catalyst is removed by filtration and the filtrate is concentrated in vacuo and codistilled with benzene. The oily residue is dissolved in 0.5 ml of 2-methoxyethanol, precipitated with diethyl ether and the solid collected to give 0.018 g of the desired product.

MS(FAB): m/z 592 (M+H).

Example 43

 $\frac{15}{3,10,12,12a-\text{tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide}} [4S-(4\alpha,12a\alpha)]-4,7-Bis(dimethylamino)-9-[[(4-dimethylamino)benzoyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide}$

[0088] A mixture of 0.065 g of product from Example 41, 2.0 ml of 2-methoxyethanol, 0.025 g of 10% palladium-on-carbon, 0.4 ml of 2N sulfuric acid and 0.3 ml of 37% aqueous formaldehyde, in a pressure bottle, is shaken under 30 lbs. of hydrogen pressure for 50 minutes. The catalyst is removed by filtration and the filtrate is concentrated in vacuo and codistilled with heptane. The oily residue is dissolved in 1.0 ml of 2-methoxyethanol, precipitated with diethyl ether to give 0.085 g of the desired product as the sulfate salt. The sulfate salt is dissolved in 0.5 ml of water and 6 ml of tetrahydrofuran followed by the addition of 0.10-g of sodium acetate. The organic layer is washed with saturated sodium chloride, dried and concentrated in vacuo. The residue is triturated with ethyl acetate/heptane to give 0.035 g of the desired product as the free base.

MS(FAB): m/z 620 (M+H)

¹H NMR (d_6 -DMSO): δ 2.50(m,6H,C(4)NMe₂), 2.57(s,6H, C(7)NMe₂), 3.33(s,6H,NMe₂ of 4-dimethylaminobenzoyl), 7.76(s,1H,H-8), 8.20(d,J=9Hz,2H of 4-dimethylaminobenzoyl), 8.37(d,J=9Hz,2H of 4-dimethylaminobenzoyl).

30 Example 44

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[7S-(7\alpha,10a\alpha)]-[2-[[9-(Aminocarbonyl)-4,7-Bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a, 11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]amino]-2-oxoethyl]carbamic acid 1,1-dimethylethyl ester

35 [0089] A mixture of 0.850 g of product from Example 2 (as the disulfate), 0.680 g of sodium acetate in 25 ml of tetrahydrofuran and 5 ml of water is stirred at 25°C for 5 minutes. The solution is treated with 0.359 g of (succinimy-loxycarbonyl)methyl carbamic acid tert-butyl ester, stirred for 2 hours and extracted with chloroform. The organic layer is concentrated in vacuo to give 0.50 g of the desired product.
MS(FAB): m/z 630 (M+H).

Example 45

[4S-(4α,12aα)]-9-[(Aminoacetyl)amino]-4,7-Bis-dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide mono(trifluoroacetate)

[0090] A solution of 0.030 g of product from Example 44 and 1.0 ml of trifluoroacetic acid is maintained at 24°C for 24 hours followed by concentrating in vacuo. The residue is triturated with methyl alcohol and the solid collected to give 0.024 g of the desired product.

MS(FAB): m/z 530 (M+H).

Example 46

[4S-(4α,12aα)]-4,7-Bis(dimethylamino)-9-[[dimethylamino)acetylamino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate

[0091] A mixture of 0.030 g of product from Example 45, 0.020 g of 10% palladium-on-carbon, 0.5 ml of 37% formaldehyde, 1.5 ml of 2-methoxyethanol and 0.175 ml of 2N sulfuric acid, in a pressure bottle, is shaken under 30 lbs. of hydrogen pressure for 40 minutes. The catalyst is removed by filtration and the filtrate is concentrated in vacuo and

codistilled with benzene. The oily residue is dissolved in 0.5 ml of 2-methoxyethanol, precipitated with diethyl ether and the precipitate collected to give 0.025 g of the desired product.

Example 47

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 $\underline{[4S-(4\alpha,12a\alpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,\ 11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(phenylsulfonyl)amino]-2-naphthacenecarboxamide}$

[0092] A mixture of 0.30 g of product from Example 2, 0.40 g of sodium acetate in 10 ml of tetrahydrofuran and 1.5 ml of water is stirred for 10 minutes under argon. The organic layer is separated, dried over anhydrous sodium sulfate and treated with 0.125 ml of benzenesulfonyl chloride and 0.60 g of sodium bicarbonate. The reaction is stirred vigorously for 1.5 hours. The organic layer is decanted and codistilled with heptane. The residue is dissolved in ethyl acetate, dried and concentrated in vacuo. The residue is chromatographed on diatomaceous earth using hexane:- ethyl acetate: 2-methoxyethanol:water (35:65:15:5) to give 0.036 g of the desired product as a yellow solid.

MS(FAB): m/z 613 (M+H).

¹H NMR (CDCl₃): δ 2.44(bs,6H,C(4)NMe₂), 2.55(s,6H,C(7)-NMe₂, 7.38-7.45(m,2H,m-H's from benzenesulfonyl), 7.52-7.56(m,1H,p-H from benzenesulfonyl), 7.58(s,1H,H-8), 7.78(d,J=7Hz,2H,o-H's from benzenesulfonyl).

Examples 48-53 (Table II)

[0093] Substantially following the method described in detail hereinabove in Example 47 using [4S-(4α,12aα)]-9-amino-4,7-bis(dimethylamino)-1,4,4a, 5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecar-boxamide sulfate (product from Example 2) and the appropriate alkyl, aryl or heteroarylsulfonyl chloride, the compounds of this invention listed below in Examples 48-53 are prepared.

sulfonyl).

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| | | | 7. 4, 55 2. 1), 10- | NAR 5 5 1-2 7 8 11- | MMR (4) |
|----|----------|--------------|---|---|---|
| 5 | | , | (FAB):m/z 622 (M+H); ¹ H NMR - DMSO): delta 2.48 (m,12H, 1), NMe ₂ & C(7) NMe ₂), 7.16 (1H,H ² 8), 7.62 (d,J=9Hz,2H + -chlorobenzenesulfonyl), 75 (d,J=9Hz,2H of 4-chlororzenesulfonyl) | (M+H); H NM a 2.44-2.45 b & C(7)NMe ₂ of 3-nitro-2), 7.74-7.78 obenzenesul- IH,H-8) | (M+H); ¹ H NMR 46(s,6H,C(4), ,C(7)NMe ₂), 7.96(d,J ² obenzene- d,J=9Hz, zene- |
| 10 | | Spectra | 7 A) A) - | z 658 (N delta 2 l) NMe 2 loft, 3H of lfonyl), 3-nitrobe | /z 658 (M+H); ¹ H lelta 2.46(s,6H,C 58(s,6H,C(7)NMe ₂ (,H-8), 7.96(d,J= 4-nitrobenzene- 8.25(d,J=9Hz, |
| 15 | | Spec | MS(FAB):m/z 622 (M+H); ¹ H NM (d ₆ -DMSO):delta 2.48(m,12H, C(4)NMe ₂ & C(7)NMe ₂), 7.16 (s,1H,H ² B), 7.62(d,J=9Hz,2H of 4-chlorobenzenesulfonyl) 7.75(d,J=9Hz,2H of 4-chloro benzenesulfonyl) | MS(FAB):m/z 658 (M+H); H NM (d ₆ -DMSO): delta 2.44-2.45 (m,12H,C(4)NMe ₂) & C(7)NMe ₂ 7.51-7.62(m,3H ² of 3-nitro- benzenesulfonyl), 7.74-7.78 (m,1H of 3-nitrobenzenesul- fonyl), 7.75(s,1H,H-8) | MS(FAB):m/z 658 (M+H); ¹ H NMR (CDCl ₃):delta 2.46(s,6H,C(4)) NMe ₂), 7.59(s,1H,H-8), 7.96(d,J ²) 9Hz,2H of 4-nitrobenzene-sulfonyl), 8.25(d,J=9Hz, 2H of 4-nitrobenzene-sulfonyl), 8.25(d,J=9Hz, 2H of 4-nitrobenzene-sulfonyl) |
| 20 | | | | 1 11 | |
| 25 | Table II | | [4S-(4alpha,12aalpha))]-9- [[(4-chlorophenyl)sulfonyl]- amino]-4,7-bis(dimethyl- amino)-1,4,4a,5,5a,6,11,12a- tetrahydroxy-1,11-dioxo-2- naphthacenecarboxamide | [45-(4alpha,12aalpha))]-4,7-Bis(dimethylamino)-1,4,4a,55a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(3-nitrophenyl)sulfonyl]amino]-1,11-dioxo-2-naphthacenecarboxamide | [4S-(4alpha,12aalpha))]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[[(4-nitrophenyl)sulfonyl]amino]-1,11-dioxo-2-naphthacenecarboxamide |
| 30 | Ta | Product | [4S-(4alpha,12aalpha)) [[(4-chlorophenyl)sulf amino]-4,7-bis(dimethy amino)-1,4,4a,5,5a,6,1 tetrahydroxy-1,11-diox | lpha,12aa sthylamin,12a-octa ;12a-octa cetrahydr snyl)sulf xo-2-nap | [4S-(4alpha,12aalpha)) Bis(dimethylamino)-1,4 5a,6,11,12a-octahydro- 12,12a-tetrahydroxy-9- [[(4-nitrophenyl)sulfoamino]-1,11-dioxo-2- naphthacenecarboxamide |
| 35 | - | Pı | [4S-(4a] [(4-ch] amino]- amino)- tetrahyd naphthad | [4S-(4al Bis(dime 5a,6,11, 12,12a-t nitrophe 1,11-dio boxamide | |
| 40 | | Chloride | chloride | snzene- chloride | 4-Nitrobenzene- sulfonyl chloride |
| 45 | | Sulfonyl Chl | 4-Chlorobenzene- sulfonyl chloride | 3-Nitrobenzene- sulfonyl chloride | 4-Nitrobenzene- sulfonyl chlori |
| 50 | | 1 | | | |

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Ex.

| | 45 | 35 | 30 | 25 | 20 | 15 | 10 | 5 |
|-----|---|--|--|--|--|--|--|---|
| | | H | Table II (cont'd) | 1t'd) | | | | |
| EX. | Sulfonyl Chloride | Product | lot | | | Spectra | | 1 |
| 51 | 2-Thiophene sulfonyl chloride | [4S-(4alpha Bis(dimethy 5a,6,11,12a 12,12a-tetr dioxo-9-[(2 amino]-2-na | [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(2-thienylsulfonyl)amino]-2-naphthacenecarbox-amide | -4,7- 4a,5, 3,10, 11- conyl) | MS (FAB) (d -DMS) C(4) NMe NMe 2), 7.20(m, (s,1H o 1H,H-8) | MS(FAB):m/z 619 (M+H); H NMR (d, -DMSO): delta 2.50(m,6H, C(4)NMe ₂), 2.54(s,6H,C(7)NMe ₂), 7.14(m,1H of thienyl), 7.20(m,1H of thienyl), 7.51(s,1H of thienyl), 7.511H,H-8) | (M+H); H NWR a 2.50(m,6H, (s,6H,C(7) H of thienyl) ienyl), 7.51 1), 7.91(s, | H NMR 1,6H, (7) (enyl) 7.51 |
| 25 | 2-Acetamido-4- methyl-5-thíazole sulfonyl chloríde | | [4S-(4alpha,12aalpha)]-9- [[(2-(Acetylamino)-4-methyl-5-thiazolyl]sulfonyl]amino]- 4,7-bis(dimethylamino)-1,4, 4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecar-boxamide | -9- sthyl- nino]- -1,4, /dro- cy-1, | MS(FAB) (CDC1 ₃) 20y1 H ₃ thiazoy NMe ₂), 7.65(s, 1H,H-8) | MS(FAB):m/z 691 (M+H); h NMR (CDC1, delta 2.21(s,3H,thia-zoyl H,CCONH), 2.40(s,3H,thiazoyl H,C), 2.54(s,6H,C(4NMe, 2), 2.57(s,6H,C(7)NMe, 3), 2.57(s,6H,C(7)NMe, | (M+H); H NWR 21(s,3H, thia- 2.40(s,3H, 2.54(s,6H,C(4- H,C(7)NMe2), Me2, 7.65{s, | LH NMR I, thia 3H, 5H, C(4 5H, C(4 6E), 55{\$', |
| 53 | Ethane sulfonyl chloride | [4S-(4alpha Bis(dimethy [(ethylsulf 4a,5,5a,6,1 3,10,12,12a 1,11-dioxo- | [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[(ethylsulfonyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacene-carboxamide | -4,7- -1,4, /dro- ky- ne- | MS(FAB) (CDC13) CH ₂ SO ₂) NMÉ ₂ CH ₃ CH ₂ S | MS(FAB):m/z 565 (M+H); 1 H NMR (CDCl ₃):delta 0.88(t,3H,CH ₃ CH ₃ SO ₂), 2.4-2.6(m,12H,C(4)) NMÉ & C(7)NMe ₂), 3.34(q,2H, CH ₃ CH ₂ SO ₂), 7.61(s,1H,H-8) | (M+H); ¹ H NMR .88(t, 3H, CH) 6(m, 12H, C(4)), 3.34(q, 2H, 1(s, 1H, H-8) | LH NMR 1, CH 1, C(4) (q, 2H, 1-8) |

Example 54

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 $\begin{tabular}{l} [4S-(4\alpha,12a\alpha)]-4,7-Bis(dimethylamino)-9-(formylamino)-1,4,4a,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-N-(1-pyrrolidinylmethyl)-2-naphthacenecarboxamide \\ \begin{tabular}{l} (4\alpha,12a\alpha)]-4,7-Bis(dimethylamino)-9-(formylamino)-1,4,4a,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-N-(1-pyrrolidinylmethyl)-2-naphthacenecarboxamide \\ \begin{tabular}{l} (4\alpha,12a\alpha)]-4,7-Bis(dimethylamino)-9-(formylamino)-1,4,4a,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-N-(1-pyrrolidinylmethyl)-2-naphthacenecarboxamide \\ \begin{tabular}{l} (4\alpha,12a\alpha)]-4,7-Bis(dimethylamino)-1,4,4a,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-N-(1-pyrrolidinylmethyl)-2-naphthacenecarboxamide \\ \end{tabular}$

[0094] A solution of 0.30 g of product from Example 3 and 1.2 equivalents of 30% aqueous formaldehyde in 6.0 ml of 2-methoxyethanol is treated with 5.0 equivalents of pyrrolidine. The reaction is stirred vigorously at room temperature for 1.5 hours. The crystalline solid is collected and dried to give 0.25 g of the desired product.

MS(FAB): m/z 584 (M+H).

Example 55

 $\begin{tabular}{l} \hline [4S-(4\alpha,12\alpha\alpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6, 11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(methanesulfonyl)amino]-1,11-dioxo-2-naphthacenecarboxamide \end{tabular} \label{table:eq:approx}$

[0095] A mixture of 0.30 g of product from Example 2, 0.40 g of sodium acetate in 10 ml of tetrahydrofuran and 1.5 ml of water is stirred for 10 minutes at room temperature under argon. The organic layer is separated, dried over sodium sulfate, filtered and treated with 0.10 ml of methanesulfonyl chloride and 0.60 g of sodium bicarbonate. The reaction is stirred vigorously for 1.5 hours. The organic layer is decanted and codistilled with heptane. The residue is dissolved in ethyl acetate, dried and concentrated in vacuo. The crude product is chromatographed on diatomaceous earth using hexane:ethyl acetate:2-methoxyethanol:water (35:-65:15:5) to give 0.016 g of the desired product as a yellow solid. MS(FAB): m/z 551 (M+H).

Example 56

 $\label{eq:continuous} \begin{tabular}{l} $[4S-(4\alpha,12a\alpha)]-4,7$-Bis(dimethylamino)-9-[(methanesulfonyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-N-(pyrrolidinylmethyl)-2-naphthacenecarboxamide \end{tabular}$

[0096] A solution of 0.30 g of product from Example 55 and 1.2 equivalents of 30% aqueous formaldehyde in 6.0 ml of 2-methoxyethanol is treated with 5.0 equivalents of pyrrolidine. The reaction is stirred vigorously at room temperature for 1.5 hours. The crystalline solid is collected and dried to give 0.250 g of the desired product.

MS(FAB): m/z 634 (M+H).

Example 57

 $\begin{tabular}{l} [4S-(4\alpha,12a\alpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[[(phenylmethoxy)acetyl]amino]-2-naphthacenecarboxamide \end{tabular} \label{table:eq:accetahydro-3}$

[0097] The title compound is prepared by the procedure of Example 23, using 0.055 g of product from Example 2, 0.20 g of sodium bicarbonate, 1.0 ml of N-methylpyrrolidine, 0.018 g of benzyloxyacetyl chloride and 0.5 ml of acetonitrile to give 0.060 g of the desired product.

MS(FAB): m/z 622 (M+H).

Example 58

[7S-(7α,10aα)]-[[9-(Aminocarbonyl)-4,7-Bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a, 11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]amino] oxo-acetic acid ethyl ester

[0098] The title compound is prepared by the procedure of Example 23, using 0.055 g of product from Example 2,
 0.20 g of sodium bicarbonate, 1.0 ml of N-methylpyrrolidone, 0.015 g of ethyl oxalyl chloride and 0.5 ml of acetonitrile to give 0.030 g of the desired product.
 MS(FAB): m/z 574 (M+H).

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Example 59

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 $\begin{tabular}{l} $[4S-(4\alpha,12a\alpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(hydroxyacetyl) amino]-1,11-dioxo-2-naphthacenecarboxamide \end{tabular} \label{table:eq:amino}$

[0099] A mixture of 0.048 g of product from Example 28 and 0.6 ml of concentrated sulfuric acid is stirred at room temperature for 2 hours, poured into diethyl ether and the precipitated salt collected. The salt is dissolved in 10 ml of tetrahydrofuran, 0.250 g of sodium acetate is added and the mixture stirred for 1 hour. The reaction is filtered and the filtrate is concentrated in vacuo. The residue is chromatographed on a poly(styrene-vinyl benzene)copolymer column with water:acetonitrile (1:1) to give 0.018 g of the desired product as a light yellow solid.

MS(FAB): m/z 532 (M+H).

Example 60

15 [4S-(4α,12aα)]-9-(Acetylamino)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate

[0100] To a 0°C solution of 1.06 g of [4S- $(4\alpha,12a\alpha)$]-9--amino-4-(dimethylamino)-1,2,3,4,4a,5,5a,6,11,11a,12,-12a-dodecahydro-10,12a α -dihydroxy-1, 3,11,12-tetraoxo--2-naphthacenecarboxamide, prepared by the procedures described in U.S. Patent 3,239,499, in 50 ml of acetic acid is added 2.4 ml of acetic anhydride. After 5 minutes, the reaction is allowed to warm to room temperature. The reaction mixture is poured into 500 ml of diethyl ether and the resulting precipitate is collected. The precipitate is washed with diethyl ether and dried to give 1.1 g of the desired product.

MS(FAB): m/z 472 (M+H).

Example 61

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 $\begin{tabular}{l} $[4S-(4\alpha,12a\alpha)]-4-(Dimethylamino)-9-(acetylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-iodo-1,11-dioxo-2-naphthacenecarboxamide sulfate \end{tabular} \label{tabular}$

[0101] To a stirring 0°C solution of 0.278 g of product from Example 60 in 10 ml of sulfuric acid is added, portionwise, 0.1344 g of N-iodosuccinimide. After stirring at 0°C for 20 minutes, the reation mixture is poured into 400 ml of diethyl ether. The resultant precipitate is collected, washed with diethyl ether and dried to give 1.1 g of the desired product as a solid.

35 MS(FAB): m/z 598 (M+H) and 696 (M+H₂SO₄+H).

Example 62

[7S-(7\alpha,10a\alpha)]-[9-(Aminocarbonyl)-4,7-Bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a, 11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]carbamic acid methyl ester

[0102] To a room temperature mixture of 0.60 g of product from Example 2 in 2 ml of 1-methyl-2-pyrrolidinone is added 0.60 g of sodium bicarbonate. The mixture is stirred for 5 minutes followed by the addition of 0.12 ml of methyl chloroformate. The reaction is stirred at room temperature for 30 minutes and filtered into 200 ml of t-butyl methyl ether.

45 The resulting solid is collected and dried to give 0.370 g of the desired product. MS(FAB): m/z 531 (M+H).

¹H NMR (d_6 DMSO) : δ 2.6(s,12H,C(4)NMe₂ and C(7)NMe₂), 3.7(m,3H,o-C \underline{H}_3), 7.8(s,1H,H-3), 8.7(s,1H,aromatic MH), 9.1(d,2H,CONH₂).

50 Example 63

[7S-(7α,10aα)]-[9-(Aminocarbonyl)-4.7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a, 11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]carbamic acid (2-diethylamino)ethyl ester

[0103] The title compound is prepared by the procedure of Example 62, using 0.443 g of product from Example 2, 2 ml of I-methyl-2-pyrrolidone, 0.165 g of β-diethylaminoethyl chlorocarbonate hydrochloride and 0.443 g of sodium bicarbonate to give 0.350 g of the desired product.

¹H NMR (d₆DMSO): δ 1.2(m,6H,-N(CH₂CH₃)₂), 2.5(s,6H, C(7)NMe₂), 2.7(s,6H,C(4)NMe₂), 3.4(m,2H,OCH₂CH₂N),

3.51(m,4H,-N(CH₂CH₃)₂), 4.0(m,2H,-OCH₂CH₂N), 6.8(s,1H,H-3), 9.0(d,2H,CONH₂).

Example 64

5 [7S-(7α,10aα)]-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a, 11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]carbamic acid ethenyl ester

[0104] The title compound is prepared by the procedure of Example 62, using 0.189 g of product from Example 2, 1 ml of 1-methyl-2-pyrrolidone, 0.75 ml of acetonitrile, 0.20 g of sodium bicarbonate and 0.037 g of vinyl chloroformate to give 0.133 g of the desired product.

MS(FAB): m/z 548 (M+H).

¹H NMR (d_6 DMSO+TFA): δ 4.35(s,1H,H-7), 4.6(d,1H, CH=CH₂cis), 4.9(d,1H,CH=CH₂, trans), 7.2(m,2H, -O-CH=CH₂), 8.1(s,1H,H-3), 9.6 & 9.1(s,2H,CONH₂), 9.61(s,H,aromatic NH)

15 Example 65

[7S-(7α,10aα)]-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a, 11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]carbamic acid 2-propenyl ester

[0105] The title compound is prepared by the procedure of Example 62, using 0.213 g of product from Example 2, 1 ml of 1-methyl-2-pyrrolidone, 0.75 ml of acetonitrile, 0.20 g of sodium bicarbonate and 0.054 g of allyl chloroformate to give 0.143 g of the desired product. ¹H NMR (d₆DMSO+TFA): δ 4.65(d,2H,=CHCH₂), 5.25(d,1H, CH=CH₂cis), 5.4 (d,1H,CH=CH₂trans), 6.0(m,1H,CH₂=CH-CH₂), 8.1(s,1H,H-3), 9.1(s,1H,aromatic NH), 9.6 & 9.0(s,2H,CONH₂).
 [0106] Substantially following the methods described in detail hereinabove in Example 23, the compounds of this invention listed below in Examples 66-82 are prepared. Example 72 uses the appropriate anhydride rather than the acid chloride.

Example 66

30 [0107] [4S-(4α,12aα)]-4-(Dimethylamino)-9-[((4-fluorophenoxy) acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-7-iodo-1,11-dioxo-2-naphthacenecarboxamide.

Example 67

³⁵ [0108] [7S-(7α,10aα)]-N-[9-(Aminocarbonyl)-4,7-Bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a, 11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-2-thiopheneacetamide.

Example 68

40 [0109] [4S-(4α,12aα)]-9-[[(Diethylamino)acetyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3, 10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide.

Example 69

45 [0110] [4S-(4a,12aa)]-4-(Dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-iodo-9-[[(methylthio)acetyl]amino]-1,11-dioxo-2-naphthacenecarboxamide.

Example 70

[0111] [4S-(4α,12aα)]-4-(Dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-[(1-methyle-thyl) amino]-1,11-dioxo-9-[(3,3,3-trichloro-1-oxopropyl)amino]-2-naphthacenecarboxamide.

Example 71

55 [0112] [4S-(4α,12aα)]-4,7-Bis(dimethylamino)-9-[(1,3-dioxo-3-phenylpropyl)amino]-1,4,4a,5,5a,6,11,12a-octahy-dro-3,10,12,12a-tetrahydroxy-1,12-dioxo-2-naphthacenecarboxamide.

Example 72

[0113] [4S- $(4\alpha,12a\alpha)$]-4,7-Bis(dimethylamino)-9-[4-(dimethylamino)-1-oxobutyl]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide.

Example 73

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[0114] [4S-(4\alpha,12a\alpha)]-4-(Dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(phenylsulfonyl)acetyl]amino]-2-naphthacenecarboxamide.

Example 74

[0115] $[7S-(7\alpha,10a\alpha)]-N-[9-(Aminocarbonyl)-7-(dimethylamino)-5,5a,6,6a,7,10,10a-octahydro-1,8,10a,11-tetrahydroxy-4-iodo-10,12-dioxo-2-naphthacenyl]-5-methyl-2-furanacetamide.$

Example 75

[0116] [7S- $(7\alpha,10\alpha\alpha)$]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a, 11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-2-thiazoleacetamide.

Example 76

[0117] $[7S-(7\alpha,10a\alpha)]-2-[[[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]amino]carbonyl] benzoic acid.$

Example 77

[0118] [7S- $(7\alpha,10\alpha\alpha)$]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a, 11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-3-methyl-2-oxo-1-imidazolidineacetamide.

Example 78

[0119] [7S-(7\alpha,10a\alpha)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a, 11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-5,6-dimethylpyrazinecarboxamide.

Example 79

[0120] [7S- $(7\alpha,10a\alpha)$]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a, 11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-3-methyl-3H-imidazo[4,5-b]pyridine-2-acetamide.

Example 80

[0121] [$4S-(4\alpha,12a\alpha)$]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6, 11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-di-oxo-9-[[(pentafluorophenyl)acetyl]amino]-2-naphthacenecarboxamide.

Example 81

 $\begin{tabular}{ll} \begin{tabular}{ll} \hline \end{tabular} \\ \hline \end{tabular} \\ \hline \begin{tabular}{ll} \hline \end{tabular} \\ \hline \end{ta$

Example 82

[0123] [7S-(7\alpha,10a\alpha)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a, 11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-4-ethyl-2,3-dioxo-1-piperazinecarboxamide.

Examples 83-86

[0124] Substantially following the methods described in detail hereinabove in Example 44, the compounds of this

invention listed below in Examples 83-86 are prepared.

Example 83

5 [0125] [7S-(7a,10aa)]-[2-[[9-Aminocarbonyl-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a, 11-tetrahydroxy-1,12-dioxo-2-naphthacenyl]amino]-2-oxoethyl] carbamic acid 1,1-dimethylethyl ester.

Example 84

[0126] [7S-[2(S*),(7α,10aα)]]-[2-[[9-(Aminocarbonyl)-4-(diethylamino)-7-(dimethylamino)-5,5a,6,6a,7,10,10a, 12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]amino]-1-methyl-2-oxoethyl]carbamic acid 1,1-dimethylethyl ester.

Example 85

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[0127] $[7S-[2(S^*),(7\alpha,10a\alpha)]]-[2-[[9-(Aminocarbonyl)-4,7-bis (dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]amino]-2-oxo-1-phenylethyl]carbamic acid 1,1-dimethylethyl ester.$

Example 86

[0128] [7S-[2(S*),(7 α ,10a α)]]-[4-[[9-(Aminocarbonyl)-4,7-bis (dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8, 10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]amino]-3-[[(1,1-dimethylethoxy)carbonyl]amino]-4-oxobutanoic acid 1,1-dimethylethyl ester.

25 Examples 87-91

[0129] Substantially following the methods described in detail hereinabove in Example 45, the compounds of this invention listed below in Examples 87-91 are prepared.

30 Example 87

[0130] [4S- $(4\alpha,12a\alpha)$]-9-[(Aminoacetyl)amino]-7-(diethylamino)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10, 12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide.

35 Example 88

[0131] $[4S-(4\alpha,9(S^*),12a\alpha)]-9-[(2-Amino-1-oxopropyl)amino]-7-(diethylamino)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide.$

40 Example 89

[0132] $[4S-(4\alpha,9(S^*),12a\alpha)]-9-[(Aminophenylacetyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide.$

45 Example 90

[0133] $[7S-[2(S^*),7\alpha,10a\alpha)]]$ -3-Amino-4-[[9-(aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl] amino]-4-oxobutanoic acid.

50 Example 91

[0134] $[7S-[2(S^*),7\alpha,10a\alpha)]]-4-[[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10, 10a-tetrahydroxy-10,12-dioxo-2-naphthacenyl]amino]-3-(dimethylamino)-4-oxobutanoic acid.$

55 Examples 92-94

[0135] Substantially following the methods described in detail hereinabove in Example 47, the compounds of this invention listed below in Examples 92-94 are prepared.

Example 92

[0136] [4S- $(4\alpha, 12a\alpha)$]-4-(Dimethylamino)-9-[[(2,2-dimethylpropyl)sulfonyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-[(1-methylethyl)amino]-1,11-dioxo-2-naphthacenecarboxamide.

Example 93

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[0137] [7S- $(7\alpha, 10a\alpha)$]-4-[[[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10, 10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]amino] sulfonyl]butanoic acid.

Example 94

[0138] [$4S-(4\alpha,12a\alpha)$]-4-(Dimethylamino)-9-[[(1,1-dimethylethyl)sulfonyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-iodo-1,11-dioxo-2-naphthacenecarboxamide.

Example 95

[4S-(4α,12aα)]-4,7-Bis(dimethylamino)-9-[[(diethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate

[0139] The title compound is prepared by the procedure of Example 46, using 0.030 g of product from Example 45, 0.020 g of 10% palladium-on-carbon, 2.5 equivalents of acetaldehyde, 1.5 ml of 2-methoxyethanol and 0.175 ml of 2N sulfuric acid to give the desired product as a solid.

25 Example 96

Dimethylaminoacetyl chloride hydrochloride

[0140] A mixture of 15 g of N,N-dimethylglycine hydrochloride (pulverized and dried in a vacuum oven at 45-50°C for 24 hours) and 13.85 ml of thionyl chloride is heated, very slowly, in a sand bath to 78°C and kept at this temperature for 1 1/2 hours. Toluene is added to the mixture and the excess liquid is removed by pipette. This step is repeated several times. The solid is then transferred to a Buchner funnel, washed with methylene chloride and dried under vacuum at 50°C for 24 hours to yield 14.2 g of the desired intermediate.

35 Example 97

[4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-9-[[(dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride

40 [0141] To a mixture of 6.68 g of 9-amino-4,7-bis(dimethylamino)-6-demethyl-6-deoxytetracycline in 120 ml of DMPU and acetonitrile is added 6.57 g of sodium carbonate. The mixture is stirred for 5 minutes, followed by the addition of 2.83 g of product from Example 96. The reaction is stirred for 1 hour, filtered and the filtrate is added slowly to a mixture of methylene chloride/diethyl ether (1200 ml/400 ml). The solid is collected, dissolved in 250 ml methyl alcohol and added slowly to 1600 ml of methylene chloride. The precipitate is collected, washed with diethyl ether and dried to give 5.75 g of the desired product.

MS(FAB): m/z 558 (M+H).

Example 98

50 [4S-(4alpha,12aalpha)]-9-[(Chloroacetyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,-10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride

[0142] To a room temperature solution of 0.334 g of 9-amino-4,7-bis(dimethyamino)-6-demethyl-6-deoxytetracycline sulfate, 6 ml of 1,3-dimethyl-3,4,5,6-tetrahydro-2(1H)pyrimidinone, hereinafter called DMPU, and 2 ml of acetonitrile is added 0.318 g of sodium carbonate. The mixture is stirred for 5 minutes followed by the addition of 0.068 g of chloroacetyl chloride. The reaction is stirred for 30 minutes, filtered, and the filtrate added drowise to 100 ml of diethyl ether, containing 1 ml of 1M hydrochloric acid in diethyl ether. The resulting solid is collected and dried to give 0.340 g of the desired product.

MS(FAB): m/z 549 (M+H).

Example 99

5 [4S-(4alpha,12aalpha)]-9-[(Bromoacetyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,-10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride

[0143] The title compound is prepared by the procedure of Example 98, using 0.668 g of 9-amino-4,7-bis(dimethylamino)-6-demethyl-6-deoxytetracycline sulfate, 6 ml of DMPU, 2 ml of acetonitrile, 0.636 g of sodium carbonate and 0.215 g of bromoacetyl chloride. Seven tenths of a gram of the desired product is obtained.

MS(FAB): m/z 593 (M+H).

Example 100

(45-(4alpha,12aalpha)]-9-[(Bromoacetyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,-10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide (free base)

[0144] To 0.20 g of product from Example 99 in 3 ml of 1,3-dimethyl-2-imidazolidenone is added 0.30 g of sodium bicarbonate. The reaction is stirred at room temperature for 15 minutes and filtered. The filtrate is added to 15 ml of diethyl ether and the resulting precipitate is collected to give 0.150 g of the desired product as the free base.

Example 101

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[4S-(4alpha,12aalpha)]-9-[(Bromoacetyl)amino]-4,7-bis-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide monohydrobromide

[0145] To a solution of 5.01 g of 9-amino-4,7-bis-(dimethylamino)-6-demethyl-6-deoxytetracycline, 100 ml of DMPU and 25 ml of acetonitrile is added 5.0 g of sodium carbonate. The reaction is stirred, under argon, at room temperature for 5 minutes, followed by the addition of 3.03 g of bromoacetyl bromide. The stirring is continued for an additional hour. The solid is collected and the filtrate is added slowly to isopropyl alcohol/diethyl ether (200 ml/750 ml). The yellow solid is collected, washed with isopropanol and diethyl ether to give 5.77 g of the desired intermediate.

MS(FAB):m/z 593 (M+H).

Example 102

[4S-(4alpha,12aalpha)]-9-[(2-Bromo-1-oxopropyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide hydrobromide

[0146] The title compound is prepared by the procedure of Example 98, using 1.00 g of 9-amino-4,7-bis(dimethyl-amino)-6-demethyl-6-deoxytetracycline, 1.0 g of sodium carbonate and 0.648 g of 2-bromopropionyl bromide to give 0.981 g of the desired product.

MS(FAB): m/z 607 (M+H).

Example 103

[4S-(4alpha,12aalpha)]-9-[(4-Bromo-1-oxobutyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahyddroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride

[0147] The title compound is prepared by the procedure of Example 98, using 1.34 g of 9-amino-4,7-bis(dimethylamino)-6-demethyl-6-deoxytetracycline sulfate, 1.3 g of sodium carbonate, 24 ml of DMPU, 8 ml of acetonitrile and
0.389 g of 4-bromobutyryl chloride to give 1.45 g of the desired product.

Example 104

[4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[(dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride

[0148] To a solution of 0.15 g of product from Example 99 in 4 ml of DMPU is added 0.85 g of dimethylamine (40%

in water). The reaction is stirred for 20 minutes followed by concentration in vacuo to remove any excess dimethylamine. The mixture is filtered and the filtrate added, dropwise, to 70 ml of isopropyl alcohol/diethyl ether (1:1). To this solution is added 1 ml of 1M hydrochloric acid/diethyl ether. The resulting precipitate is collected, washed with isopropyl alcohol and diethyl ether, and dried to give 0.11 g of the desired product.

5 MS(FAB): m/z 558 (M+H).

Example 105

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[4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,-5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[[(methylamino)acetyl]amino]-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride (331,256)

[0149] A mixture of 0.1258 g of product from Example 99, 5 ml of 40% methylamine in water and 5 ml of methyl alcohol, under Argon, is stirred at room temperature for 30 minutes. The excess methylamine is removed in vacuo and the residue diluted with a small volume of methyl alcohol. The diluted reaction solution is added dropwise to 100 ml of diethyl ether containing 1 ml of 1M hydrochloric acid in diethyl ether and 10 ml of isopropyl alcohol. The resulting solid is collected and dried to give 0.106 g of the desired product.

MS(FAB): m/z 544 (M+H).

[0150] Substantially following the methods described in detail herein above in Example 105, the compounds of this invention listed below in Examples 106-125 are prepared.

| 5 | MS(FAB): m/2 | 600(M+H) | 558(#+H) | 570(M+H) | 586(M+H) | 586(M+H) | 584(H+H) | 5 8 6 (M + H) |
|----|------------------------------------|---|---|--|--|---|---|--|
| 10 | Rx Tine | 0.5 hr. | ۲ م | ۲ ۲ | 2 h f. | ,2 hr. | 0.5 hr. | 2 pr |
| 15 | Reactant | Morphol ine | Ethylomine (70% in water) | Cyclopropylamine | Butylamine | Oiethylemine | Pyrrolidine | isobuty (amine |
| 20 | Starting Material Prod, of Exp. | o | o | 6 | 6 | ô. ô | 6 | ° ° |
| 25 | Star | | | | | | 115 | |
| 30 | | [75-(7alpha,10aalpha)]-W-[9-(Aminocarbonyl)-4,7-bis(di- methylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11- tetrahydroxy-10,12-dioxo-2-naphthacenyl]-4-morpholineacet- amide dihydrochloride. | [45.(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[(ethyl-amino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,-12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamidedihydrochloride. | -9-[I(Cyclopropylamino)acetyl]amino]- -1,4,4a,5,5a,6,11,12a-octahydro-3,10,- 11-dioxo-2-naphthacenecarboxamide di- | [45-(4elpha,12eelpha)]-4,7-Bis(dimethylamino)-9-[((butyl- amino)acetyl]amino]-1,4,4a,5,5a,6,11,12e-octahydro-3,10,12, 12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihy- drochloride. | [45-(4alpha,12aalpha)]-9.[[(Diethylamino)acetyl]amino]-4,7- bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,12,12, 12a-tètrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihy- drochloride. | [75-(7alpha,10aalpha).N-[9-(Aminocarbony!)-4,7-bis(dimethyl-amino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny!}-1-pyrrolidineacetamide dihy-drochloride. | [45.(4elphe,12eelphe)]-4,7-Bie(dimethylamino)-1,4,4e,5,5e-6,11,12e-octehydro-3,10,12,12e-tetrehydroxy-9-[[[(2-methyl-propyl)emino]ectyl]emino]-1,11-dioxo-2-nephthecenecerbox-emide dihydrochloride. |
| 35 | | carbonyl) :-octahydr :cenyl]-4- | sethylamir 11,12a-oc sephthacer | oropylamir b,6,11,126 haphthacer | methylamir ,11,128-oc nthacenece | rlamino)ac 11,12a-oct 1thacenece | ydro-1,8 | nethylamír krahydrox) ioxo-2-nej |
| 40 | * | 1-K-[9-(Amino a,7,10,10a,12 oxo-2-naphtha | 1-4,7-Bis(die ,4,4e,5,5e,6, ,11-dioxo-2-r | |]-4,7-Bis(dir ,4,4a,5,5a,6, -dioxo-2-napl | | .w-[9-(Aminoo ,10e,12-octat hthacenyl]-1: | 1-4,7-8is(dir 10,12,12s-te minol-1,11-di |
| 45 | E C | 10selphs) 5,5s,6,6 | Zaalpha amino]-1 ydroxy-1 | Zaalpha thylamino nydroxy-1 | Zeo(phe) amino]-1 oxy-1,11 | Zmalphm amino -1, axy-1,1 | 10sstphs) 5,6s,7,10 5xo-2-nsp | Zastpha) shydro-3, scetyl]s |
| 50 | | [75-(7alphe,10salpha)]- methylamino)-5,5a,6,6a tetrahydroxy-10,12-diox amide dihydrochloride. | [45-(4alpha,12aalpha)]- amino)acetyl]amino]-1,4 12,12a-tetrahydroxy-1,1 dihydrochloride. | [4S-(4alpha, 12aalpha)] 4,7-bis(dimethylamino) 12,12a-tetrahydroxy-1, hydrochloride. | [45-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-9-[((buamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamidedrochloride. | [45-(4alpha, 12aalpha)] bis(dimethylamino)-1,4 12a-tëtrahydroxy-1,11- drochloride. | (75-(7atphs, 10ssiphs).smino)-5,5s,6,6s,7,10,0xy-10,12-dioxo-2-nsphdrochloride. | [45-(4elpha,12estphe)] 6,11,12e-octehydro-3,1 propy[]emino]acetyl]em emide dihydrochloride. |
| 55 | m x x y y y | 106 | 107 | 108 | 109 | 0 - | Ξ | 112 |

| tphe, | . Heme [7S-(7alpha,10aalpha)]-W-[9-(Aminocarbonyl)-4,7-bis(di- methylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11- | -N-[9-(Aminocarbonyl)-4,7-bis(di ,7,10,10a,12-octahydro-1,8,10a,1 | or bonyto - 1 | , to | Starting Prod. 9 | g Material of Exp. | Reactent Piperidine | ж г е е | MS(FAB): n/Z 598(M+H) |
|--|--|--|--|---|---------------------|-----------------------|---------------------------------|------------------|-----------------------------|
| hydr hydr phe, sino) froxy | tetrahydroxy·10,12-dioxo-2·naphthacenyl]·1·piperidineacetemide dihydrochloride. [75.(7alpha,10alpha)]·W·(9·(Aminocarbonyl)·4,7-bis(di-methylamino)·5,5a,6,6a,7,10,10a,12·octahydro·1,8,10a,11·tetrahydroxy·10,12-dioxo-2·naphthacenyl]·1M·imidazole·1·acetamide dihydrochloride. | xo-2-naphthacenyl]-1-piperidinea -N-(9-(Aminocarbonyl)-4,7-bis(di ,7,10,10a,12-octahydro-1,8,10a,1 xo-2-naphthacenyl]-1N-imidazole- ide. | orthonyl)-4, octohydro-1 | ridineacet- 7-bis(di- ,8,10e,11- idazole-1- | | <u>.</u> | Imidazole | - - | 579(M+H) |
| (4S·(4ælphæ,12ææ 6,11,12æ·octahyd [[(propylamino)æ dihydrochloride. | (pha)] ro-3,1 cetyl] | -4,7-Bis(dimethylamino)-1,4,4e,5,5e 0,12,12a-tetrahydroxy-1,11-dioxo-9- amino]-2-naphthacenecarboxamide | thylamino). shydroxy.1, thacenecarb | 1,4,4a,5,5a. 11-dioxo-9. oxamide | | * | Propylemine. | 0.75 hr. | 570(н+н) |
| ipha, cetyl | [45.(4alpha,12aalpha)]-4,7.8is(dimethylamino)-9-[[dimamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide | 7-Bis(dimet a,5,5a,6,11 xo-2-naphth | thytamino)-' ,12a-octah acenecarbo | -4,7-8is(dimethylamino)-9-[[dimethyl- 4,4a,5,5a,6,11,12a-octahydro-3,10,12, dioxo-2-naphthacenecarboxamide disulfate | , | 66 | Dimethylamine | 0.5 hr. | 558(N+H) |
| ipha, cetyl rahyd | [45-(4alpha,12aalpha)]-4,7-Bis(dimethylamino).9-[[dimethyl-amino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dloxo-2-naphthacenecarboxamide. | -4,7-Bis(dimethylamino)-9-[[dim 4,4a,5,5a,6,11,12a-octahydro-3, dloxo-2-naphthacenecarboxamide. | thytemino). 1,12e-octah nacenecarbo | -4,7-Bis(dimethylamino)-9-[[dimethyl- 4,4a,5,5a,6,11,12a-octahydro-3,10,12, dloxo-2-naphthacenecarboxamide. | | 66 | Dimethylamine | . 0.5 hr. | 558(M+H) |
| [45-(4alpha, amino)acetyl 12a-tetrahyd chloride. | [45.(4alpha,12aalpha)].4,7.Bis(dimethylamino).9.[[(heamino).9.[[(heamino).4,4a,5,5a,6,11,12a.octahydro.3,12a.tetrahydroxy.1,11.dioxo.2.naphthacenecarboxamidechloride. | -4,7-Bis(dimethylamino)-9-[[(hexyl- 4,4a,5,5a,6,11,12a-octahydro-3,10,1 dioxo-2-naphthacenecarboxamide dihy | thylamino)-' 1,12a-octah nacenecarbo | -4,7-Bis(dimethylamino)-9-[[(hexyl- 4,4a,5,5a,6,11,12a-octahydro-3,10,12,- dioxo-2-naphthacenecarboxamide dihydro | | ° | n-Hexylonine | . hr. | 614(M+H) |
| [45-(4alpha, amino)-1-oxo 12,12a-tetra chloride. | [45.(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[2-(dimethyl- amino)-1-oxopropyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10, 12,12a-tetrahydroxy-1,11-dioxo-2-napthacenecarboxamide dihydro chloride. | 7-Bis(dimet -1,4,48,5,5 dioxo-2-nap | thylamino)-'Sa,6,11,12e Sthacenecar | -4,7-Bis(dimethylamino).9-[[2-(dimethyl-no]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,11-dioxo-2-napthacenecarboxamide dihydro- | ,10, ydro- | 102 | Dimethylamine (40% in mater) | 2.5 hr. | 572(M+H) |
| [4s-(4elphe, 12e-octahydr oxopropyllem chloride. | [45.(4alpha,12aalpha)]-4,7.Bis(dimethylamino)-1,4,4a,5,5a,6,1 12a-octahydro-3,10,12,12a-tetrahydroxy-9-[[2-(methylamino)-1- oxapropyllamino]-1,11-dioxo-2-naphthacenecarboxamide dihydro- chloride. | 7-Bis(dimet -tetrahydro xo-2-naphth | thylamino)- oxy-9-[(2-(| -4,7-Bis(dimethylamino)-1,4,4s,5,5s,6,11, 12s-tetrahydroxy-9-[[2-(methylamino)-1- dioxo-2-naphthacenecarboxamide dihydro- | 6,11, -1- ro- | 102 | Methylesine (40% in meter) | 2 4 | 558(H+H) |

| . 5 | MS(FAB): m/z | 598(M+H) | 586(M+H) | (H+H)009 | (H+H)009 | 620(м+н) |
|-----|---------------------------------|--|--|--|--|--|
| 10 | Rx Time | ; e - | 2 hr. | ne 2 hr. | 2 hr. | |
| 15 | Reactant | Pyrrolidine | Dimethylomine (40% in water) | K-Methylbutylosine | Amylemine | Benzylemine |
| 20 | Starting Material Prod. of Exp. | 102 | 103 | 0.0 | o o | 6 |
| 25 | Startin Prod. | thyl- lydr- inea- | s, 10, 1, hydro- | 10]. 10,12, 17dfo- | | |
| 30 | | [75.(7alpha,10aalpha)]-N.(9.(Aminocarbonyl)-4,7-bis(dimethyl-amino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydr-oxy-10,12-dioxo-2-naphthacenyl]-alpha-methyl-1-pyrrolidinea-cetamide dihydrochloride. | ,7-Bis(dimethylamino)-9-[[4-(dimethyl1,4,4a,5,5a,6,11,12a-octahydro-3,10,-dloxo-2-napthacenecarboxamide dihydro- | · [(Butylmethylamino)acetyljamino]. ,4,4a,5,5a,6,11,12a-octahydro·3,10,12, oxo-2-naphthacenecarboxamide dihydro- | ,7-Bis(dimethylamino)-1,4,4a,5,5a 12,12a-tetrahydroxy-1,11-dioxo-9- mino]-2-naphthacenecarboxamide | ,7-Bis(dimethylamino)-1,4,4a,5,5a- 12,12a-tetrahydroxy-1,11-dioxo-9- cetyljamino]-2-naphthacenecarboxamide |
| 35 | | ocerbonyl) hydro-1,8, lphe-methy | methylamin, ,5a,6,11,1 napthacene | methylamin 8,6,11,12a hthaceneca | methylamin trahydroxy aphthacene | methylamin trahydroxy no].2.naph |
| 40 | a a | ·N·(9·(Amin 10a,12·octa ithacenyl)·a de. | | | | -4,7-Bis(di '0,12,12a-te]acetyl]ami |
| 45 | ₩ E | [75.(7elphe,10eelphe)]-Wemino)-5,5e,6,6e,7,10,10 oxy-10,12-dioxo-2-naphth cetemide dihydrochloride | [4S.(4alpha,12aalpha)].4 amino).1.oxobutyl]amino) 12,12a.tetrahydroxy.1,11 chloride. | [45.(4alpha,12aalpha)]-9 4,7-bis(dimethylamino)-1 12a-tetrahydroxy-1,11-di chloride | [45-(4alpha,12aalpha))-4 6,11,12a-octahydro-3,10, [[[(pentylamino)acetyl]a dihydrochloride. | [45-(4alpha,12aalpha)]-4 6,11,12a-octahydro-3,10, [[[(phenylmethyl)amino]a dihydrochloride. |
| 50 | | (75-(7alphi amino)-5,5 oxy-10,12-cetamide d | [45-(4alpha amino)-1-0> 12,120-teti chloride. | [45.(4alphe 4,7-bis(dir 12a-tetrah) chloride | [4S-(4alpha,12aa 6,11,12a-octahyd [[[(pentylamino) dihydrochloride, | [45-(4alpha,12a 6,11,12a-octahy [[[(phenylmethy dihydrochloride |
| 55 | Example # | 121 | 122 | 123 | 124 | 125 |

Example 126

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[7S-(7alpha,10aalpha)]-N-[2-[[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10a,12-octahydro-1,8, 10a, 11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]amino]-2-oxoethyl]glycine phenylmethyl ester

[0151] To 0.30 g of benzylglycine hydrochloride in 3 ml of 1,3-dimethyl-2-imidazolidinone is added 0.60 g of sodium bicarbonate. The mixture is stirred at room temperature for 15 minutes and filtered. To the filtrate is added 0.20 g of product from Example 100. The reaction mixture is sirred at room temperature for 1 hour and then added to diethyl ether. The resulting solid is collected.

Example 127

[7S-(7alpha,10aalpha)]-N-[2-[[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10a,12-octahydro-1,8, 10a, 11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]amino]-2-oxoethyl]glycine

[0152] One-tenth of a gram of product from Example 126 in 10 ml of monomethyl ethylene glycol is reduced catalytically, in a Parr shaker, with 0.10 g of 10% palladium on carbon, at 30 psi of hydrogen, for 2 hours. The reaction mixture is filtered and the filtrate concentrated to give 0.050 g of the desired product.

CI-MS: m/z 588 (M+H).

General Procedure for the Preparation of Mannich Bases

[0153] A mixture of 0.5 g of product from Example 117, 3 ml of t-butyl alcohol, 0.55 ml of 37% formaldehyde, and 0.55 ml of pyrrolidine, morpholine or piperidine is stirred at room temperature for 30 minutes followed by heating at 100°C for 15 minutes. The reaction mixture is cooled to room temperature and triturated with diethyl ether and hexane. The solid is collected, washed with diethyl ether and hexane, and dried to give the desired product. In this manner the following compounds are made:

Example 128

 $\label{lem:control} \begin{tabular}{l} $ [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[(dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-N-(1-pyrrolidinyl-methyl)-2-naphthacenecarboxamide \end{tabular}$

Example 129

[4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[(dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-N-(4-morpholinyl-methyl)-2-naphthacenecarboxamide

Example 130

[4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[(dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-N-(1-piperidinylmethyl)-2-naphthacenecarboxamide

Example 131

[7S-(7alpha,10aalpha)]-N-[9-(Aminocarbonyl)-4,7-bis-(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8, 10a, 11-tetrahydroxy-10,12-dioxo-2-napthacenyl]-1-azetidineacetamide

[0154] The title compound is prepared by the procedure of Example 105 using 0.20 g of product form Example 99, 0.50 g of azetidine and 5 ml of DMPU to give 0.126 g of the desired product.

MS(FAB): m/z 570(M+H).

Example 132 (Comparative Example)

[4S-(4alpha,12aalpha)]-9-[[(Cyclobutylamino)-acetyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a, 6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide hydrochloride

[0155] To a solution of 0.200 g of 9-(bromoacetylamino)-7-dimethylamino-6-demethyl-6-deoxytetracycline in 2 ml of

1,3-demethyl-2-imidazolidinone is added 0.1 ml of cyclobutylamine. The resulting solution is stirred at room temperature for 45 minutes and then added to 50 ml of diethyl ether. An oil layer is formed and the diethyl ether layer is decanted and the oil is dissolved in 5 ml of 0.1 \underline{N} methanolic hydrogen chloride. The resulting solution is added to 50 ml of diethyl ether, yielding 0.050 g of solid.

MS(FAB): m/z 584(M+H)

Claims

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Claims for the following Contracting States: AT, BE, CH, Li, DE, DK, FR, GB, IT, LU, NL, PT, SE, IE

1. A compound of the formula:

R NH OH O OH O OH OH OH

wherein:

X is selected from amino, NR^1R^2 , or halogen; the halogen is selected from bromine, chlorine, fluorine or iodine; and when $X = NR^1R^2$ and $R^1 = hydrogen$,

 R^2 = methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; and when R^1 = methyl or ethyl,

 R^2 = methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl or 2-methylpropyl; and when R^1 = n-propyl,

40 R² = n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl or 2-methylpropyl;

and when R1 = 1-methylethyl,

 R^2 = n-butyl, 1-methylpropyl or 2-methylpropyl;

and when R1 = n-butvl.

 $R^2 = n$ -butyl, 1-methylpropyl or 2-methylpropyl;

and when R1 = 1-methylpropyl,

 $R^2 = 2$ -methylpropyl;

R is selected from R4(CH₂)_nCO- or R4' (CH₂)_nSO₂-;

and when $R = R^4(CH_2)_nCO$ - and n=0,

 R^4 is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C_1-C_6) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1-C_4) alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C_3-C_6) cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C_3-C_6) cycloalkyl group (substitution selected from (C_1-C_3) alkyl, cyano, amino or (C_1-C_3) acyl); (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) -alkylamino or carboxy); (C_7-C_9) -aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; α -amino- (C_1-C_4) alkyl group selected from aminome-

thyl, α -aminoethyl, α -aminopropyl or α -aminobutyl; carboxy(C_2 - C_4)-alkylamino group selected from aminoacetic acid, α -aminobutyric acid or α -aminopropionic acid and their optical isomers; (C_7 - C_9)aralkylamino group; (C_1 - C_4) alkoxycarbonylamino substituted (C_1 - C_4)alkyl group, substitution selected from phenyl or p-hydroxyphenyl; α -hydroxy(C_1 - C_3)alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxy-propyl; a-mercapto(C_1 - C_3)alkyl group selected from mercaptomethyl, α -mercaptoethyl, α -mercapto-1-methylethyl or α -mercaptopropyl; halo-(C_1 - C_3)alkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

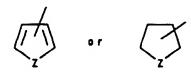
7 - N. O. S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

Z or Z^1 = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C_3-C_6) cycloalkylcarbonyl, (C_6-C_{10}) aroyl selected from benzoyl or naphthoyl, halo substituted (C_6-C_{10}) aroyl, (C_1-C_4) alkylbenzoyl, or (heterocycle)-carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

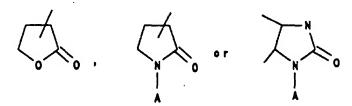


Z - N. O. S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

Z or Z^1 - N. O. S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C_1-C_4) alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxylcarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C_1-C_3) alkyl group, halogen, (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C_6-C_{10}) -aryl group (substitution selected from halo, (C_1-C_4) -alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy), halo (C_1-C_3) alkyl group, a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z - N.O.SorSe

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 (C_1-C_4) alkoxy group; C_6 -aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C_1-C_4) alkyl, nitro, cyano, thiol, amino, carboxy, $d(C_1-C_3)$ alkylamino); (C_7-C_{10}) aralkyloxy group; vinyloxy or substituted vinyloxy group (substitution selected from (C_1-C_4) alkyl, cyano, carboxy, or (C_6-C_{10}) aryl selected from phenyl, α -naphthyl or β -naphthyl); R^aR^b amino (C_1-C_4) alkoxy group, wherein R^aR^b is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)$ alkyl [straight or branched], -NH, -NOB [B is selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl, or 1,1-dimethylethyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)$ alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or $-(C_1-C_3)$ alkyl], $-(C_1-C_3)$ alkyl $-(C_1-C_3)$ alky

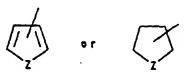
 R^4 is selected from hydrogen; amino; straight or branched (C_1-C_4) alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C_3-C_6) cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C_3-C_6) cycloalkyl group (substitution selected from (C_1-C_3) alkyl, cyano, amino or (C_1-C_3) acyl); (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -nathphyl; substituted (C_6-C_{10}) -aryl group (substitution selected from halo, (C_1-C_4) -alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) alralkyl group; acyloxy or haloacyoxy group seleted from acetyloxy, propionyloxy, chloroacetyloxy, trichloroacetyloxy, (C_3-C_6) cycloalkylcarbonyloxy, (C_6-C_{10}) aroyloxy selected from benzoyloxy or naphthoyloxy, halo substituted (C_6-C_{10}) aroyloxy, (C_1-C_4) alkylbenzoyloxy, or (heterocycle)-carbonyloxy, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

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Z - N. O. 'S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

z' or

Zorz¹ – N.O.Sorsa

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo(C_1-C_3)-alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C_1-C_4) alkoxy group; C_6 -aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C_1-C_4) -alkyl, nitro, cyano, thiol, amino, carboxy, di (C_1-C_3) -alkylamino); (C_7-C_{10}) aralkyloxy group; (C_1-C_3) alkylthio group selected from methylthio, propylthio or allylthio; C_6 -arylthio group selected from phenylthio or substituted phenylthio (substitution selected from halo, (C_1-C_4) alkyl, nitro, cyano, thiol, amino, carboxy, di (C_1-C_3) alkylamino); C_6 -arylsulfonyl group selected from phenylsulfonyl or substituted phenylsulfonyl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_8) aralkylthio group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

or a five membered aromatic ring with two N, O,S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O,S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; hydroxy group; mercapto group; mono- or di-straight or branched chain (C_1-C_6) -alkylamino group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl, 1,1-dimethylpthyl, 2-methylbutyl, 1,1-dimethylpropyl, 2,2-dimethylbutyl, 3-methylbutyl, n-hexyl, 1-methylpentyl, 1,1-dimethylbutyl, 2,2-dimethylbutyl, 2-methylpentyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl or 1-methyl-1-ethylpropyl amino; (C_2-C_5) azacycloalkyl group; carboxy (C_2-C_4) alkylamino group selected from aminoacetic acid, α -aminopropionic acid, α -aminobutyric acid and their optical isomers; α -hydroxy (C_1-C_3) alkyl group; selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; halo (C_1-C_3) alkyl group; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C_3-C_6) cycloalkylcarbonyl, (C_6-C_{10}) aroyl selected from benzoyl or naphthoyl, halo substituted (C_6-C_{10}) aroyl, (C_1-C_4) alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

Z = N, O, S or $S \bullet$

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo,(C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring

with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C_1-C_4) alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino; (C_1-C_4) alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, allyloxycarbonyl or straight or branched butoxycarbonyl; R^aR^b -amino (C_1-C_4) alkoxy group, wherein R^aR^b is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)$ -alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) -alkyl], O or S; or R^aR^b -aminoxy group, wherein R^aR^b is a straight or branched (C_1-C_4) -alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or

- $(CH_2)_2W(CH_2)_2$ - wherein W is selected from - $N(C_1-C_3)$ -alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) alkyl], O or S;

and when $R = R^{4'} (CH_2)_n SO_2$ - and n = 0,

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 R^4 ' is selected from amino; monosubstituted amino selected from straight or branched (C_1 - C_6)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl): straight or branched (C_1 - C_4)alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C_3 - C_6)cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C_3 - C_6)cycloalkyl group (substitution selected from (C_1 - C_3)alkyl, cyano, amino or (C_1 - C_3)acyl); (C_6 - C_1 0)aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C_6 - C_1 0)aryl group (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group; halo(C_1 - C_3)alkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

Z = N. O. S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

$$Z$$
 or $Z^1 = N$, Q , S or Se

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or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

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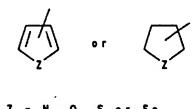
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(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo,(C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; R^aR^b amino(C_1 - C_4)alkoxy, group, wherein R^aR^b is a straight or branched (C_1 - C_4)-alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W-(CH_2)_2$ - wherein W is selected from -N(C_1 - C_3)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or $(C_1$ - C_3)-alkyl, 0 or S; or R^aR^b aminoxy group, wherein R^aR^b is a straight or branched (C_1 - C_4)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methyl- propyl or RaRb is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from -N(C_1 - C_3)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or $(C_1$ - C_3)alkyl], O or S;

and when $R = R^4$ (CH₂)_nSO₂- and n= 1-4,

R4' is selected from hydrogen; straight or branched (C1-C4)alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C1-C4)carboxyalkyl group; (C3-C6) cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C3-C6)cycloalkyl group (substitution selected from (C₁-C₃)alkyl, cyano, amino or (C₁-C₃)-acyl); (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6 - C_{10})aryl group (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; (C₁-C₄)alkoxy group; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C1-C3)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₇-C₁₀)aralkyloxy group; RaRbamino(C₁-C₄)alkoxy group, wherein RaRb is a straight or branched (C_1 - C_4)-alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_n$ - wherein W is selected from $-N(C_1-C_3)$ alkyl [straight or 2-methylpropyl or R^aR^b is (CH_2-C_3) alkyl (CH_2-C_3) and (CH_2-C_3) alkyl (CH_2-C_3) alkyl or branched], -NH, -NOB [B is selected from hydrogen or (C1-C3)alkyl], O or S; or RaRbaminoxy group, wherein RaRb is a straight or branched (C1-C4)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or RaRb is (CH2)n, n=2-6, or -(CH2)2W(CH2)2- wherein W is selected from -N(C1-C3) alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) alkyl], O or S; (C_1-C_3) alkylthio group selected from methylthio, ethylthio or n-propylthio; C_6 -arylthio group selected from phenylthio or substituted phenylthio (substitution selected from halo, (C₁-C₃)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₇-Ca) aralkylthio group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



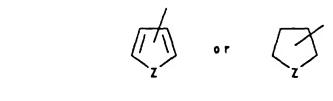
or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

$$Z^1$$
 or Z^1

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or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo-(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O,S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; hydroxy group, mercapto group; mono- or di- straight or branched (C_1 - C_6)alkylamino group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl, 1,1-dimethylethyl, 2-methylbutyl, 1,1-dimethylpropyl, 2,2-dimethylpropyl, 3-methylbutyl, n-hexyl, 1-methylpropyl amino; halo(C_1 - C_3)alkyl group; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C_3 - C_6)cycloalkylcarbonyl, (C_6 - C_{10})aroyl selected from benzoyl or naphthoyl, halo substituted (C_6 - C_{10})aroyl, (C_1 - C_4)alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

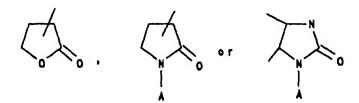


Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

Z or $Z^1 = N$, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C_1-C_4) alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, allyloxycarbonyl or straight or branched butoxycarbonyl;

 R^5 is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C_7 - C_9)aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

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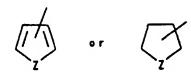
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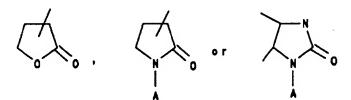
7 - N. O. Sor Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

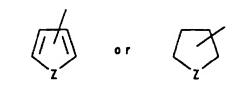
$$Z or Z^1 or Z^2$$

$$Z or Z^1 or N, 0, S or S$$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or -(C_1 - C_2 - C_2 - C_3 - C_1 - C_3 - C_1 - C_3 - C_3 - C_3 - C_4 - C_3 - C_4 - $C_$



Z = N, O, S or $S \bullet$

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eroatom:

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

Z or Z^1 - N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O het-

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or $-(CH_2)_nCOOR^7$ where n=0-4 and R^7 is selected from hydrogen; straight or branched (C_1-C_3) alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C_6-C_{10}) aryl selected from phenyl, α -naphthyl or β -naphthyl; with the proviso that R^5 and R^6 cannot both be hydrogen;

or R^5 and R^6 taken together are -(CH₂)₂W(CH₂)₂-, wherein W is selected from (CH₂)_n and n=0-1, -NH, -N(C₁-C₃)-alkyl [straight or branched], -N(C₁-C₄)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

2. The compound according to Claim 1, wherein:

X is selected from amino, NR^1R^2 , or halogen; the halogen is selected from bromine, chlorine, fluorine or iodine; and when $X = NR^1R^2$ and $R^1 = hydrogen$,

R² = methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; and when R¹ = methyl or ethyl,

 R^2 = methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl or 2-methylpropyl; R is selected from $R^4(CH_2)_nCO$ - or $R^4'(CH_2)_nSO_2$ -;

and when $R = R^4(CH_2)_nCO$ - and n=0,

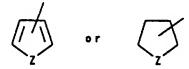
or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

$$Z$$
 or Z^1 = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected

from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C_3 - C_6)cycloalkylcarbonyl, (C_6 - C_{10})aroyl selected from benzoyl or naphthoyl, halo substituted (C_6 - C_{10})aroyl, (C_1 - C_4)alkylbenzoyl, or (heterocycle)-carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

Zor
$$Z^1$$
 = N.O.SorSe

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxyl trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C_1-C_4) alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxylcarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C_1-C_3) alkyl group, halogen, (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C_6-C_{10}) -aryl group (substitution selected from halo, (C_1-C_4) -alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy), halo (C_1-C_3) alkyl group, a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N.O.SorSa

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 (C_1-C_4) alkoxy group; C_6 -aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C_1-C_4) alkyl, nitro, cyano, thiol, amino, carboxy, $d(C_1-C_3)$ alkylamino); (C_7-C_{10}) aralkyloxy group; vinyloxy or substituted vinyloxy group (substitution selected from (C_1-C_4) alkyl, cyano, carboxy, or (C_6-C_{10}) aryl selected from phenyl, α -naphthyl or β -naphthyl); R^aR^b amino (C_1-C_4) alkoxy group, wherein R^aR^b is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2$ W $(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)$ alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) alkyl], 0 or S; or R^aR^b aminoxy group, wherein R^aR^b is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl,

1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from -N (C_1-C_3) alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) alkyl], O or S; and when $R=R^4(CH_2)_nCO$ - and n=1-4,

 R^4 is selected from hydrogen; (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; amino; monosubstituted amino selected from straight or branched (C_1-C_6) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl) amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); acyloxy or haloacyloxy group selected from acetyl, propionyl, chloroacetyl, trichloroacetyl, (C_3-C_6) -cycloalkylcarbonyl, (C_6-C_{10}) aroyl selected from benzoyl or naphthoyl, halo substituted (C_6-C_{10}) aroyl, (C_1-C_4) -alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

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Z - N, O, S or So

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or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

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$$z^1$$
 or z^1 - N. O. S or So

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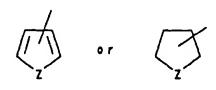
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or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_6)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C1-C4)alkoxy group; RaRbamino(C1-C4)alkoxy group, wherein RaRb is a straight or branched (C1-C4)alkyl selected from methyl, ethyl, npropyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or RaRb is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂wherein W is selected from -N(C₁-C₃)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁- C_3)alkyl], O or S; or R^aR^b aminoxy group, wherein R^aR^b is a straight or branched (C_1 - C_4)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or RaRb is (CH2)n, n=2-6, or -(CH2)2W-(CH₂)₂-wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C_1-C_4) alkyl, nitro, cyano, thiol, amino, carboxy, di (C_1-C_3) alkylamino); (C_1-C_3) alkylthio group selected from methylthio, ethylthio, propylthio or allylthio; C6-arylthio group selected from phenylthio or substituted phenylthio (substitution selected from halo, (C_1-C_4) alkyl, nitro, cyano, thiol, amino, carboxy, di (C_1-C_3) alkylamino); C_6 arylsulfonyl group selected from phenylsulfonyl or substituted phenylsulfonyl (substitution selected from halo, (C₁-C₄)-alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N. O. S or Se

or a five membered aromatic ring with two N, O,S or Se heteroatoms optionally having a benzo or pyrido fused thereto:

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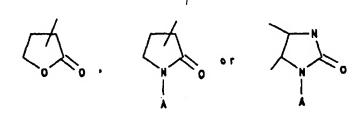
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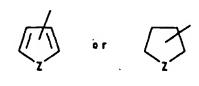
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or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C1-C4)alkoxy, trihalo(C1-C3)-alkyl, nitro, amino, cyano, (C1-C4)alkoxycarbonyl, (C1-C3)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O,S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; hydroxy group; α-hydroxy- (C_1-C_3) alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; halo(C₁-C₃)alkyl group; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C₃-C₆)cycloalkylcarbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl, (C₁-C₄) alkylbenzoyl,or (heterocycle)-carbonyl, the heterocycle selected from a five membered aromatic or saturated ring



with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C_1-C_4) alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino;

and when $R = R^{4'} (CH_2)_n SO_2$ - and n = 0,

 R^4 ' is selected from amino; monosubstituted amino selected from straight or branched $(C_1 - C_6)$ alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl) amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched $(C_1 - C_3)$ alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; $(C_6 - C_{10})$ aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted $(C_6 - C_{10})$ aryl group (substitution selected from halo, $(C_1 - C_4)$ alkoxy, trihalo $(C_1 - C_3)$ -alkyl, nitro, amino, cyano, $(C_1 - C_4)$ alkoxycarbonyl, $(C_1 - C_3)$ alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z - N. O. S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; and when $R = R^4$ (CH₂)_nSO₂- and n= 1-4,

 R^4 is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C_1-C_6) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxy group; (C_1-C_3) alkylamino or carboxy); (C_1-C_4) alkoxy group; $(C_6-\alpha)$ alkylamino, carboxy, di (C_1-C_3) alkylamino); (C_7-C_{10}) aralkyloxy group; (C_1-C_4) carboxyalkyl group;

 R^5 is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C_7 - C_9)aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

$$Z$$
 or Z^1 - N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or -(C_1 - C_2 - C_2 - C_2 - C_3 - C_1 - C_1 - C_3 - C_1 - $C_$

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

Z or Z^1 = N, O, S or Se

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or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

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from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or $(CH_2)_nCOOR^7$ where n=0-4 and R^7 is selected from hydrogen; straight or branched (C_1-C_3) alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl', or (C_6-C_{10}) aryl selected from phenyl, α -naphthyl or β -naphthyl; with the proviso that R^5 and R^6 cannot both be hydrogen;

(A is selected from hydrogen; straight or branched (C1-C4)alkyl; C6-aryl; substituted C6-aryl (substitution selected

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or R^5 and R^6 taken together are -(CH_2)₂W(CH_2)₂-, wherein W is selected from (CH_2)_n and n=0-1, -NH, -N(C_1 - C_3)-alkyl [straight or branched], -N(C_1 - C_4)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

3. The compound according to Claim 1, wherein:

X is selected from amino, NR1R2, or halogen;

the halogen is selected from bromine, chlorine, fluorine or iodine;

and when $X = NR^1R^2$ and $R^1 = hydrogen$,

 R^2 = methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; and when R^1 = methyl or ethyl,

R² = methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl or 2-methylpropyl;

R is selected from $R^4(CH_2)_nCO$ - or $R^4'(CH_2)_nSO_2$ -;

and when $R = R^4(CH_2)_nCO$ - and n=0,

 R^4 is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C_1 - C_6)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_3 - C_6)cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C_3 - C_6)cycloalkyl group (substitution selected from (C_1 - C_3)alkyl, cyano, amino or (C_1 - C_3) acyl); (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6 - C_{10})aryl group (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, α -aminopropyl or α -aminobutyl; carboxy(C_2 - C_4)-alkylamino group selected from aminoacetic acid, α -aminobutyric acid or α -aminopropionic acid and their optical isomers; (C_7 - C_9)aralkylamino group; (C_1 - C_4)alkyl group, substitution selected from phenyl or p-hydroxyphenyl; α -hydroxy(C_1 - C_3)alkyl group selected from phenyl or p-hydroxyphenyl; α -hydroxy(C_1 - C_3)alkyl group selected from

hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; halo(C_1 - C_3)alkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

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fused thereto:

7 - N O S OF S

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring

Z or $Z^1 = N$, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched $(C_1\text{-}C_4)$ alkyl; $C_6\text{-}$ aryl; substituted $C_6\text{-}$ aryl (substitution selected from halo, $(C_1\text{-}C_4)$ alkoxy, trihalo $(C_1\text{-}C_3)$ -alkyl, nitro, amino, cyano, $(C_1\text{-}C_4)$ alkoxycarbonyl, $(C_1\text{-}C_3)$ alkylamino or carboxy); $(C_7\text{-}C_9)$ aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, $(C_3\text{-}C_6)$ cycloalkylcarbonyl, $(C_6\text{-}C_{10})$ aroyl selected from benzoyl or naphthoyl, halo substituted $(C_6\text{-}C_{10})$ aroyl, $(C_1\text{-}C_4)$ alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z - N. O. Sor Si

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

Z or Z¹ - N. O. S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) -alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C_1-C_4) alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxylcarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group substitution selected from (C_1-C_3) alkyl group, halogen, (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkyl group, a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z - N.O.S or Se

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 (C_1-C_4) alkoxy group; C_6 -aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C_1-C_4) alkyl, nitro, cyano, thiol, amino, carboxy, $di(C_1-C_3)$ alkylamino); (C_7-C_{10}) aralkyloxy group; vinyloxy or substituted vinyloxy group (substitution selected from (C_1-C_4) alkyl, cyano, carboxy, or (C_6-C_{10}) aryl selected from phenyl, α -naphthyl or β -naphthyl); R^aR^b amino (C_1-C_4) alkoxy group, wherein R^aR^b is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2$ W(CH_2)2- wherein W is selected from $-N(C_1-C_3)$ alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or $-NC_1-C_3$ alkyl], O or S; or $-N^a$ 0 or S; or $-N^a$ 1 or S a straight or branched $-N^a$ 2 or S a straight or branched $-N^a$ 3 or S a straight or branched $-N^a$ 4 or S a straight or branched $-N^a$ 5 or S a straight or branched $-N^a$ 6 or S a straight or branched $-N^a$ 7 or S a straight or branched $-N^a$ 8 or S a straight or branched $-N^a$ 9 or S a straight

1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from -N (C_1-C_3) alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) alkyl], O or S; and when $R=R^4(CH_2)_nCO$ - and n=1-4,

 R^4 is selected from hydrogen; (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; amino; monosubstituted amino selected from straight or branched (C_1-C_6) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl) amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3--triazolyl) or 4-(1,2,4-triazolyl); (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); acyloxy or haloacyloxy group selected from acetyl, propionyl, chloroacetyl, trichloroacetyl, (C_3-C_6) cycloalkylcarbonyl, (C_6-C_{10}) aroyl selected from benzoyl or naphthoyl, halo substituted (C_6-C_{10}) aroyl, (C_1-C_4) alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



o r



Z = N. O. Sar Se

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or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

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Z or Z^1 = N. O. S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

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(A is selected from hydrogen; straight or branched (C1-C4)alkyl; C6-aryl; substituted C6-aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) -alkylamino or carboxy); (C7-C9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxy group; C₆aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); RaRbamino(C₁-C₄)alkoxy group, wherein RaRb is a straight or branched (C1-C4)aikyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or RaRb is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or RaRbaminoxy group, wherein RaRb is a straight or branched (C1-C4)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)$ alkyl [straight or 2-methylpropyl or $-N(C_1-C_3)$] alkyl [straight or 2-methylpropyl or $-N(C_1-C_3)$] or branched], -NH, -NOB [B is selected from hydrogen or (C1-C3)alkyl], O or S; (C1-C3)alkylthio group selected from methylthio, ethylthio, propylthio or allylthio; C6-arylthio group selected from phenylthio or substituted phenylthio (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino): C₆arylsulfonyl group selected from phenylsulfonyl or substituted phenylsulfonyl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

Z - N. O. S or Se

or a five membered aromatic ring with two N, O,S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

$$z = z^1 - N$$
, 0, 5 or 50

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O het-

eroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O,S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; hydroxy group; α -hydroxy-(C_1 - C_3)alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; halo-(C_1 - C_3)alkyl group; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C_3 - C_6)cycloalkylcarbonyl, (C_6 - C_1 0)aroyl selected from benzoyl or naphthoyl, halo substituted (C_6 - C_1 0)aroyl, (C_1 - C_4) alkylbenzoyl, or (heterocycle)-carbonyl, the heterocycle selected from a five membered aromatic or saturated ring

with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

$$Z^1$$
 or Z^1

a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C_1-C_4) alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino; and when $R = R^4$ (CH₂)_nSO₂- and n = 0,

R4' is selected from amino; monosubstituted amino selected from straight or branched $(C_1\text{-}C_6)$ alkylamino, cyclopropylamino, cyclobutylamino, beńzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched $(C_1\text{-}C_3)$ alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; $(C_6\text{-}C_{10})$ aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted $(C_6\text{-}C_{10})$ aryl group (substitution selected from halo, $(C_1\text{-}C_4)$ alkoxy, trihalo $(C_1\text{-}C_3)$ -alkyl, nitro, amino, cyano, $(C_1\text{-}C_4)$ alkoxycarbonyl, $(C_1\text{-}C_3)$ alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

$$Z^1$$
 or Z^1

$$Z$$
 or Z^1 = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected

from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; and when $R = R^4$ '(CH_2) $_nSO_2$ - and C_1 -and C_2 - C_3 -and C_1 - C_4 - C_1 - C_4

 R^4 ' is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C_1 - C_6)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; R^aR^b amino(C_1 - C_4)alkoxy group, wherein R^aR^b is a straight or branched (C_1 - C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH_2)_n, R^aR^b is a straight or branched in the selected from hydrogen or (R^aR^b) or R^aR^b is a straight or branched (R^aR^b) is a straight or branched (R^aR^b) is a selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (R^aR^b) is R^aR^b is (R^aR^b), R^aR^b), R^aR^b is (R^aR^b), R^aR^b is (R^aR^b), R^aR^b , $R^$

 R^5 is selected from hydrogen; straight or branched (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C_7-C_9) aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

Z - N, O, S or Se

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or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

Z or $Z^1 = N$. O. S or Sa

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo,(C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or -(CH₂)_nCOOR⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; R⁶ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; (C₇-C₉)aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

Z - N, O, S or Se

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a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

7 pr 7¹ = N. O. S pr Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo,(C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or $(CH_2)_nCOOR^7$ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C_1-C_3) alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C_6-C_{10}) aryl selected from phenyl, α -naphthyl or β -naphthyl; with the proviso that R⁵ and R⁶ cannot both be hydrogen;

or R^5 and R^6 taken together are -(CH₂)₂W(CH₂)₂-, wherein W is selected from (CH₂)_n and n=0-1, -NH, -N(C₁-C₃)-alkyl [straight or branched], -N(C₁-C₄)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

4. The compound according to Claim 1, wherein:

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X is selected from amino, NR1R2, or halogen;

the halogen is selected from bromine, chlorine, fluorine or iodine;

and when $X = NR^1R^2$ and $R^1 = hydrogen$,

 R^2 = methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; and when R^1 = methyl or ethyl,

R² = methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl or 2-methylpropyl;

R is selected from $R^4(CH_2)_nCO$ - or $R^4(CH_2)_nSO_2$ -;

and when $R = R^4(CH_2)_nCO$ - and n=0,

 R^4 is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C_1 - C_6)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1 - C_2)alkyl group selected from methyl or ethyl; (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6 - C_{10})aryl group (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); carboxy(C_2 - C_4)alkylamino group selected from aminoacetic acid, α -aminobutyric acid or α -aminopropionic acid and their optical isomers; α -hydroxy-(C_1 - C_3)alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methyl- ethyl or α -hydroxypropyl; halo(C_1 - C_3)alkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

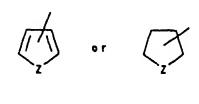


Z = N. O. S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C_1-C_4) alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxylcarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C_1-C_3) alkyl group, halogen, (C_6-C_{10}) aryl group selected from phenyl, α -naththyl, β -naphthyl, substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy), halo- (C_1-C_3) alkyl group, a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



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 $\begin{array}{l} (C_1\text{-}C_4)\text{alkoxy group}; C_6\text{-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C_1\text{-}C_4)\text{alkyl, nitro, cyano, thiol, amino, carboxy, di(C_1\text{-}C_3)\text{alkylamino})}; (C_7\text{-}C_{10})\text{aralkyloxy group; vinyloxy or substituted vinyloxy group (substitution selected from (C_1\text{-}C_4)\text{alkyl, cyano, carboxy, or (C_6\text{-}C_{10})\text{aryl selected from phenyl, } \alpha\text{-naphthyl or }\beta\text{-naphthyl}); R^aR^b\text{amino}(C_1\text{-}C_4)\text{alkoxy group, wherein } R^aR^b\text{ is a straight or branched}(C_1\text{-}C_4)\text{alkyl selected from methyl, ethyl, n-propyl, } 1\text{-methylethyl, n-butyl, } 1\text{-methylpropyl, or } 2\text{-methylpropyl or } R^aR^b\text{ is } (CH_2)_n, n=2\text{-}6, \text{ or -(CH2)2W(CH2)2- wherein } W\text{ is selected from -N(C_1\text{-}C_3)\text{alkyl [straight or branched], -NH, -NOB } B\text{ is selected from methyl, ethyl, n-propyl, } 1\text{-methylethyl, n-butyl, } 1\text{-methylpropyl, or } 2\text{-methylpropyl or } R^aR^b\text{ is } (CH_2)_n, n=2\text{-}6, \text{ or -(CH_2)_2W(CH_2)_2- wherein } W\text{ is selected from -N(C_1\text{-}C_3)\text{alkyl [straight or branched], -NH, -NOB } B\text{ is selected from hydrogen or } (C_1\text{-}C_3)\text{alkyl}, \text{ O or } S; \text{ and when } R=R^4(CH_2)_n\text{-CO- and } n=1\text{-}4, \\ \end{array}$

R⁴ is selected from hydrogen; (C₁-C₄)alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl or 2-methylpropyl; amino; monosubstituted amino selected from straight or branched (C₁-C₆) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); acyloxy or haloacyloxy group selected from acetyl, propionyl, chloroacetyl, trichloroacetyl, (C₃-C₆)-cycloalkylcarbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl, (C₁-C₄)-alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

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Z - N, O, S or Se

a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

 $7 \text{ or } 7^{1} = N. O. S \text{ or } Sa$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1 - C_4) alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring

with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C_1-C_4) alkoxy group; R^aR^b -amino (C_1-C_4) alkoxy group, wherein R^aR^b is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or RaRb is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ -

wherein W is selected from -N(C_1 - C_3)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1 - C_3)alkyl], O or S; or RaRbaminoxy group, wherein RaRb is a straight or branched (C_1 - C_4)-alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or RaRb is (CH₂)_n, n=2-6, or -(CH₂)₂W-(CH₂)₂- wherein W is selected from -N(C_1 - C_3)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1 - C_3)-alkyl], O or S; α -hydroxy(C_1 - C_3)alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methyl- ethyl or α -hydroxypropyl; halo(C_1 - C_3)alkyl group; (C_1 - C_4)alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino;

and when $R = R^{4'} (CH_2)_n SO_2$ and n = 0,

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 $R^{4'}$ is selected from amino; monosubstituted amino selected from straight or branched $(C_1 - C_6)$ alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched $(C_1 - C_2)$ alkyl group selected from methyl or ethyl; $(C_6 - C_{10})$ aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted $(C_6 - C_{10})$ aryl group (substitution selected from halo, $(C_1 - C_4)$ alkoxy, trihalo $(C_1 - C_3)$ alkyl, nitro, amino, cyano, $(C_1 - C_4)$ alkoxycarbonyl, $(C_1 - C_3)$ alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

Z - N. O. S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

Z or Z^1 = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected

from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; and when $R = R^4$ (CH_2)_nSO₂- and n= 1-4,

 $R^{4'}$ is selected from hydrogen; straight or branched (C_1-C_2) alkyl group selected from methyl or ethyl; R^{5} is selected from hydrogen; straight or branched (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C_7-C_9) aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z - N. D. S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or -(CH_2) $_n$ COOR 7 where n=0-4 and R 7 is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C_6 - C_1 0)aryl group selected from phenyl, α -naphthyl or β -naphthyl; R 6 is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6 - C_1 0)aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C_7 - C_9)aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally

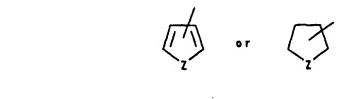
having a benzo or pyrido ring fused thereto:

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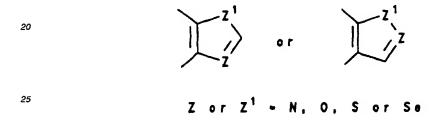
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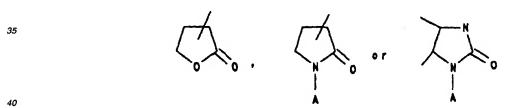
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or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or $(CH_2)_nCOOR^7$ where n=0-4 and R^{7'} is selected from hydrogen; straight or branched (C_1-C_3) alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl: or (C_6-C_{10}) aryl selected from phenyl, α -naphthyl or β -naphthyl; with the proviso that R⁵ and R⁶ cannot both be hydrogen;

or R⁵ and R⁶ taken together are -(CH₂)₂W(CH₂)₂-, wherein W is selected from (CH₂)_n and n=0-1, -NH, -N(C₁-C₃)-alkyl [straight or branched], -N(C₁-C₄)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

55 5. The compound according to Claim 1, wherein: X is selected from amino, NR¹R², or halogen; the halogen is selected from bromine, chlorine, fluorine or iodine; and when X = NR¹R² and R¹ = methyl or ethyl, R2 = methyl or ethyl,

R is selected from R4(CH₂)_nCO- or R4' (CH₂)_nSO₂-;

and when $R = R^4(CH_2)_nCO$ - and n=0,

 ${\sf R}^4$ is selected from hydrogen; straight or branched (${\sf C_1}$ - ${\sf C_2}$)alkyl group selected from methyl or ethyl; (${\sf C_6}$ - ${\sf C_{10}}$)aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6 - C_{10}) aryl group (substitution selected from halo, (C₁-C₄)alkoxy, nitro, amino, or (C₁-C₂)alkoxycarbonyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O or S heteroatom optionally having a benzo or pyrido ring fused thereto:





or a five membered aromatic ring with two N, O, or S heteroatoms optionally having a benzo or pyrido ring fused





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or a five membered saturated ring with one or two N, O or S heteroatoms and an adjacent appended O heteroatom:







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(A is selected from hydrogen; straight or branched (C₁-C₂)alkyl; C₆-aryl)

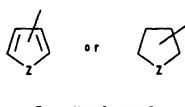
(C₁-C₄)alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxylcarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group, [substitution selected from (C_1-C_2) alkyl group, (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted $(C_{6}-C_{10})$ C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, (C₁-C₄)alkoxycarbonyl, halo-(C₁-C₃)alkyl group]; (C1-C4)alkoxy group; C6-aryloxy group selected from phenoxy or substituted phenoxy, (substitution selected from halo, (C₁-C₄)alkyl); (C₇-C₁₀)aralkyloxy group; vinyloxy or substituted vinyloxy group (substitution selected from (C₁-C₂)-alkyl; RaRb amino(C₁-C₄)alkoxy group, wherein RaRb is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl; or RaRbaminoxy group, wherein RaRb is a straight or branched (C1-C₄)-alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl;

and when $R = R^4(CH_2)_nCO$ - and n=1-4,

R4 is selected from hydrogen; (C1-C2)alkyl group selected from methyl or ethyl; amino; monosubstituted amino selected from straight or branched (C1-C6)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phe-

nylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl or 1-(1,2,3-triazolyl); (C_6-C_{10}) -aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C_6-C_{10}) aryl group, (substitution selected from halo, (C_1-C_4) alkoxy, nitro, amino, (C_1-C_4) alkoxycarbonyl); acyloxy or haloacyloxy group selected from acetyl, propionyl or chloroacetyl; (C_1-C_4) alkoxy group; (C_1-C_4) alkoxy group; (C_1-C_4) alkoxy group; (C_1-C_4) alkoxy group, wherein (C_1-C_4) alkoxy group; (C_1-C_4) alkoxy group, wherein (C_1-C_4) alkoxy group, or 2-methylpropyl or (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or RaRb is selected from hydrogen or (C_1-C_3) alkyl], (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or RaRb is (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or RaRb is (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or RaRb is (C_1-C_4) alkyl selected from hydrogen or (C_1-C_3) alkyl (C_1-C_3) alkyl (C_1-C_3) alkyl (C_1-C_3) alkyl (C_1-C_4) alkoxycarbonylamino group selected from hydrogen or (C_1-C_3) alkyl (C_1-C_3) alkyl group; (C_1-C_4) alkoxycarbonylamino or propoxycarbonylamino; and when (C_1-C_2) and (C_1-C_3) and (C_1-C_3) and (C_1-C_4) alkoxycarbonylamino or propoxycarbonylamino; and when (C_1-C_4) and $(C_1-C_$

 $R^{4'}$ is selected from straight or branched (C_1 - C_2)alkyl group selected from methyl or ethyl; (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6 - C_{10})aryl group, (substitution selected from halo, (C_1 - C_4)alkoxy, nitro, (C_1 - C_4)alkoxycarbonyl); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, or S heteroatom optionally having a benzo or pyrido ring fused thereto:



Z - N, O or S

or a five membered aromatic ring with two N, O, or S heteroatoms optionally having a benzo or pyrido ring fused thereto:

and when $R = R^{4'} (CH_2)_n SO_2$ - and n = 1-4,

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R4' is selected from hydrogen, straight or branched (C1-C2)alkyl group selected from methyl or ethyl;

 R^5 is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl;

;

 R^6 is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; with the proviso that R^5 and R^6 cannot both be hydrogen;

or R^5 and R^6 taken together are -(CH₂)₂W(CH₂)₂-, wherein W is selected from (CH₂)_n and n=0-1, -NH, -N(C₁-C₃)-alkyl [straight or branched], -N(C₁-C₄)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

6. A compound of the formula:

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wherein:

Y is NO2;

R is selected from $R^4(CH_2)_nCO$ - or $R^4(CH_2)_nSO_2$ -;

and when $R = R^4(CH_2)_nCO$ - and n=0,

R4 is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C1-C6)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C1-C4)alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C₃-C₆)cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C3-C6)cycloalkyl group (substitution selected from (C_1-C_3) alkyl, cyano, amino or (C_1-C_3) acyl); (C_6-C_{10}) aryl group selected from phenyl, α naphthyl or β-naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; α -amino(C_1 - C_4)alkyl group selected from aminomethyl, α -aminoethyl, α -aminopropyl or α -aminobutyl; carboxy(C_2 - C_4)alkylamino group selected from aminoacetic acid, α -aminobutyric acid or α -aminopropionic acid and their optical isomers; (C_7-C_9) aralkylamino group; (C_1-C_4) alkoxycarbonylamino substituted (C_1 - C_4)alkyl group, substitution selected from phenyl or p-hydroxyphenyl; α -hydroxy(C_1 - C_3)alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; α -mercapto(C₁-C₃)alkyl group selected from mercaptomethyl, α -mercaptoethyl, α -mercapto-1-methylethyl or α-mercaptopropyl; halo(C₁-C₃)alkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z - N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring

fused thereto:

$$z$$
 or $z^1 - N$, 0 , S or S

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C_3-C_6) cycloalkylcarbonyl, (C_6-C_{10}) aroyl selected from benzoyl or naphthoyl, halo substituted (C_6-C_{10}) aroyl, (C_1-C_4) alkylbenzoyl, or (heterocycle)-carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

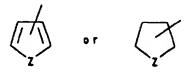
or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

Z or Z^1 = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1-C_4)alkoxy, trihalo(C_1-C_3)-alkyl, nitro, amino, cyano, (C_1-C_4)alkoxycarbonyl, (C_1-C_3)alkylamino or carboxy); (C_7-C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C_1-C_4)alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxylcarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C_1-C_3)alkyl group, halogen, (C_6-C_{10})aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C_6-C_{10})-aryl group (substitution selected from halo, (C_1-C_4)-alkoxy, trihalo(C_1-C_3)alkyl, nitro, amino, cyano, (C_1-C_4)alkoxycarbonyl, (C_1-C_3)alkylamino or carboxy), halo(C_1-C_3)alkyl group, a heterocycle group selected from a five membered aromatic

or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



];

 (C_1-C_4) alkoxy group; C_6 -aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C_1-C_4) alkyl, nitro, cyano, thiol, amino, carboxy, $di(C_1-C_3)$ alkylamino); (C_7-C_{10}) aralkyloxy group; vinyloxy or substituted vinyloxy group (substitution selected from (C_1-C_4) alkyl, cyano, carboxy, or (C_6-C_{10}) aryl selected from phenyl, α -naphthyl or β -naphthyl); R^aR^b amino (C_1-C_4) alkoxy group, wherein R^aR^b is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)$ alkyl [straight or branched], -NH, -NOB [B is selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl, or 1,1-dimethylethyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)$ -alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) -alkyl], -NH, -NOB [B is selected from hydrogen or $-N(C_1-C_3)$ -alkyl], -NH, -NOB [B is selected from hydrogen or $-N(C_1-C_3)$ -alkyl], -NH, -NOB [B is selected from hydrogen or $-N(C_1-C_3)$ -alkyl], -NH, -NOB [B is selected from hydrogen or $-N(C_1-C_3)$ -alkyl], -NH, -NOB [B is selected from hydrogen or $-N(C_1-C_3)$ -alkyl], -NH, -NOB [B is selected from hydrogen or $-N(C_1-C_3)$ -alkyl], -NH, -NOB [B is selected from hydrogen or $-N(C_1-C_3)$ -alkyl], -NH, -NOB [B is selected from hydrogen or $-N(C_1-C_3)$ -alkyl], -NH, -NOB [B is selected from hydrogen or $-N(C_1-C_3)$ -alkyl], -NH, -NOB [B is selected from hydrogen or $-N(C_1-C_3)$ -alkyl], -NH, -NOB [B is selected from hydrogen or $-N(C_1-C_3)$ -alkyl], -NH, -NDB [B is selected from hydrogen or $-N(C_1-C_3)$ -alkyl], -NH

$R = R^4(CH_2)_nCO$ - and n=1-4,

 R^4 is selected from hydrogen; amino; straight or branched (C_1-C_4) alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C_3-C_6) cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C_3-C_6) cycloalkyl group (substitution selected from (C_1-C_3) alkyl, cyano, amino or (C_1-C_3) acyl); (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group; acyloxy or haloacyloxy group selected from acetyl, propionyl, chloroacetyl, trichloroacetyl, (C_3-C_6) cycloalkylcarbonyl, (C_6-C_{10}) aroyl selected from benzoyl or naphthoyl, halo substituted (C_6-C_{10}) aroyl, (C_1-C_4) alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

$$Z$$
 or Z^1 = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring

with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C_1-C_4) alkoxy group; C_6 -aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C_1-C_4) -alkyl, nitro, cyano, thiol, amino, carboxy, $di(C_1-C_3)$ -alkylamino); (C_7-C_{10}) aralkyloxy group; (C_1-C_3) alkylthio group selected from methylthio, ethylthio, propylthio or allylthio; C_6 -arylthio group selected from phenylthio or substituted phenylthio (substitution selected from halo, (C_1-C_4) alkyl, nitro, cyano, thiol, amino, carboxy, $di(C_1-C_3)$ alkylamino); C_6 -arylsulfonyl group selected from phenylsulfonyl or substituted phenylsulfonyl (substitution selected from halo, (C_1-C_4) -alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) -alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_8) aralkylthio group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z - N, O, S or Se

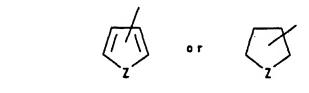
or a five membered aromatic ring with two N, O,S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

 $7 \text{ or } Z^1 = N. O. S \text{ or } Sa$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O,S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; hydroxy group; mercapto group; mono- or di-straight or branched chain (C_1-C_6) -alkylamino group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl, 1,1-dimethylbutyl, 2,2-dimethylbutyl, 1,1-dimethylpropyl, 2,2-dimethylbutyl, 1,3-dimethylbutyl, 1,3-dimethylbutyl or 1-methyl-1-ethylpropyl amino; (C_2-C_5) azacycloalkyl group; carboxy (C_2-C_4) alkylamino group selected from aminoacetic acid, α -aminopropionic acid, α -aminobutyric acid and their optical

isomers; α -hydroxy(C_1 - C_3)alkyl group selected from hydroxy- methyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; halo(C_1 - C_3)-alkyl group; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C_3 - C_6)-cycloalkylcarbonyl, (C_6 - C_{10})aroyl selected from benzoyl or naphthoyl, halo substituted (C_6 - C_{10})aroyl, (C_1 - C_4)alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



$$Z = N, O, S \text{ or } Se$$

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C_1-C_4) alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino; (C_1-C_4) alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, allyloxycarbonyl or straight or branched butoxycarbonyl; R^aR^b -amino (C_1-C_4) alkoxy group, wherein R^aR^b is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ -wherein R^aR^b is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ -

wherein W is selected from -N(C_1 - C_3)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1 - C_3)alkyl], O or S;

and when $R = R^4$ (CH₂)_nSO₂- and n = 0,

R⁴ is selected from amino; monosubstituted amino selected from straight or branched (C_1 - C_6)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1 - C_4)alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C_3 - C_6)cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C_3 - C_6)cycloalkyl group (substitution selected from (C_1 - C_3)alkyl, cyano, amino or (C_1 - C_3)acyl); (C_6 - C_1 0)aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C_6 - C_1 0)aryl group (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group; halo(C_1 - C_3)alkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

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Z - N, O, S or Se

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or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

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$$\downarrow \downarrow \downarrow \downarrow z$$
 or $\downarrow \downarrow \downarrow z$

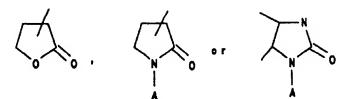
Z or Z¹ - N, O, S or Se

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or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

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(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) -alkylamino or carboxy); (C_7-C_9) -aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring

with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; R^aR^b amino(C_1 - C_4)alkoxy group, wherein R^aR^b is a straight or branched (C_1 - C_4)-alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or RaRb is (CH_2)_n, n=2-6, or -(CH_2)₂W-(CH_2)₂- wherein W is selected from -N(C_1 - C_3)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1 - C_3)-alkyl], O or S; or R^aR^b aminoxy group, wherein R^aR^b is a straight or branched (C_1 - C_4)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methyl- propyl or R^aR^b is (CH_2)_n, n=2-6, or -(CH_2)₂W(CH_2)₂- wherein W is selected from -N(C_1 - C_3)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1 - C_3)alkyl], O or S;

and when $R = R^{4'} (CH_2)_n SO_2$ - and n = 1-4,

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R4' is selected from hydrogen; straight or branched (C1-C4)alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C1-C4)carboxyalkyl group; (C3-C6) cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C3-C6)cycloalkyl group (substitution selected from (C1-C3)alkyl, cyano, amino or (C1-C3)acyl); (C6-C10)aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6 - C_{10})aryl group (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; (C1-C4)alkoxy group; C6-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C_1-C_3) alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₁-C₁₀)aralkyloxy group; RaRbamino(C₁-C₄)-alkoxy group, wherein RaRb is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or AaRb is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_n$ - wherein W is selected from $-N(C_1-C_3)$ alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or RaRbaminoxy group, wherein RaRb is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)$ alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) alkyl], O or S; (C_1-C_3) alkylthio group selected from methylthio, ethylthio or n-propylthio; C $_6$ -arylthio group selected from phenylthio or substituted phenylthio (substitution selected from halo, (C₁-C₃)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₇-C₈)aralkylthio group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

Z - N. O. S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

Z or Z^1 - N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O het-

eroatom:

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo- (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O,S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; hydroxy group, mercapto group; mono- or di- straight or branched (C_1-C_6) -alkylamino group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl, 1,1-dimethylethyl, 2-methylbutyl, 1,1-dimethylpropyl, 2,2-dimethylpropyl, 3-methylbutyl, n-hexyl, 1-methylpentyl, 1,1-dimethylbutyl, 2,2-dimethylbutyl, 2,2-dimethylbutyl, 1,3-dimethylbutyl or 1-methyl-1-ethylpropyl amino; halo (C_1-C_3) alkyl group; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C_3-C_6) cycloalkylcarbonyl, (C_6-C_{10}) aroyl selected from benzoyl or naphthoyl, halo substituted (C_8-C_{10}) aroyl, (C_1-C_4) alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

Z = N. O. S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

$$Z \text{ or } Z^1 = N, Q, S \text{ or } Se$$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo,(C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C_1-C_4) alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, allyloxycarbonyl or straight or branched butoxycarbonyl;

 R^5 is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C_7 - C_9)aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

2 - N, O, S or Se

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or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

Z or Z' - N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

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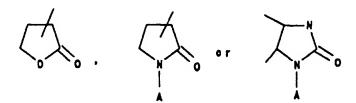
(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or $\cdot(CH_2)_nCOOR^7$ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; R⁶ is selected from hydrogen; straight or branched (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C_7-C_9) -aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N. O. S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or -(C_1 - C_2 - C_3 - C_4 - $C_$

or R^5 and R^6 taken together are -(CH₂)₂W(CH₂)₂-, wherein W is selected from (CH₂)_n and n=0-1, -NH, -N(C₁-C₃)-alkyl [straight or branched], -N(C₁-C₄)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

7. The compound according to Claim 6, wherein:

Y is NO2;

R is selected from $R^4(CH_2)_nCO$ - or $R^4(CH_2)_nSO_2$ -; and when $R = R^4(CH_2)_nCO$ - and n=0,

R⁴ is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C_1 - C_6)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1 - C_4)alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl or 2-methylpropyl; (C_3 - C_6)-cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C_3 - C_6)cycloalkyl group (substitution selected from (C_1 - C_3)alkyl, cyano, amino or (C_1 - C_3)acyl); (C_6 - C_1 0)aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C_6 - C_1 0)aryl group (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); α-amino(C_1 - C_4)alkyl group selected from aminomethyl, α-aminoptopyl or α-aminoptopyl; carboxy(C_2 - C_4)alkylamino group selected from aminoacetic acid,α-aminobutyric acid or α-aminopropionic acid and their optical isomers; (C_7 - C_9)aralkylamino group; (C_1 - C_4) alkoxycarbonylamino substituted (C_1 - C_4)alkyl group, substitution selected from phenyl or p-hydroxyphenyl; α-hydroxy(C_1 - C_3)alkyl group selected from hydroxymethyl, α-hydroxyethyl or α-hydroxy-1-methylethyl or α-hydroxypropyl; halo(C_1 - C_3)alkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

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7 - N. O. S or Se

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or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

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Z or Z' - N, O, S or Si

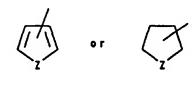
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or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

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(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C_3-C_6) cycloalkylcarbonyl, (C_6-C_{10}) aroyl selected from benzoyl or naphthoyl, halo substituted (C_6-C_{10}) aroyl, (C_1-C_4) alkylbenzoyl, or (heterocycle)-carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z - N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected

from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C_1 - C_4)alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxylcarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C_1 - C_3)alkyl group, halogen, (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C_6 - C_{10})aryl group (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3) alkylamino or carboxy), halo-(C_1 - C_3)alkyl group, a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z - N, O, S or Se

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 (C_1-C_4) alkoxy group; C_6 -aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C_1-C_4) alkyl, nitro, cyano, thiol, amino, carboxy, $d(C_1-C_3)$ alkylamino); (C_7-C_{10}) aralkyloxy group; vinyloxy or substituted vinyloxy group (substitution selected from (C_1-C_4) alkyl, cyano, carboxy, or (C_6-C_{10}) aryl selected from phenyl, α -naphthyl or β -naphthyl); R^aR^b amino (C_1-C_4) alkoxy group, wherein R^aR^b is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)$ alkyl [straight or branched], -NH, -NOB [B is selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)$ alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or $-(C_1-C_3)$ alkyl], $-(C_1-C_$

 R^4 is selected from hydrogen; (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; amino; monosubstituted amino selected from straight or branched (C_1-C_6) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl) amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3--triazolyl) or 4-(1,2,4-triazolyl); (C_6-C_{10}) aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); acyloxy or haloacyloxy group selected from acetyl, propionyl, chloroacetyl, trichloroacetyl, (C_3-C_6) -cycloalkylcarbonyl, (C_6-C_{10}) aroyl selected from benzoyl or naphthoyl, halo substituted (C_6-C_{10}) aroyl, (C_1-C_4) -alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z - N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring

fused thereto:

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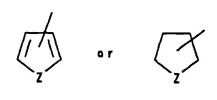
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or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N. O. S or Se heteroatoms, or a six membered saturated ring

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxy group; RaRbamino(C1-C4)alkoxy group, wherein RaRb is a straight or branched (C1-C4)alkyl selected from methyl, ethyl, npropyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or RaRb is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂wherein W is selected from -N(C_1 - C_3)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1 - C_3)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1 - C_3)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1 - C_3)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1 - C_3)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1 - C_3)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1 - C_3)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1 - C_3)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1 - C_3)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1 - C_3)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1 - C_3)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1 - C_3)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1 - C_3)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1 - C_3)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1 - C_3)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1 - C_3)-alkyl [straight or branched], -NH, -NDB [straight or branched], -N C_3)alkyl], O or S; or R^aR^b aminoxy group, wherein R^aR^b is a straight or branched (C_1 - C_4)-alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W- $(CH_2)_2$ - wherein W is selected from -N(C_1 - C_3)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)-alkyl], O or S; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C_1-C_4) alkyl, nitro, cyano, thiol, amino, carboxy, $di(C_1-C_3)$ alkylamino); (C_1-C_3) alkylthio group selected from methylthio, ethylthio, propylthio or allylthio; C6-arylthio group selected from phenylthio or substituted phenylthio (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); C₆arylsulfonyl group selected from phenylsulfonyl or substituted phenylsulfonyl (substitution selected from halo, (C1-C₄)alkoxy, trihalo-(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



7 = N. O. S or Sa

or a five membered aromatic ring with two N, O,S or Se heteroatoms optionally having a benzo or pyrido fused thereto:

$$Z$$
 or $Z^1 = N$. O. S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy): (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O,S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; hydroxy group; α -hydroxy- (C_1-C_3) alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; halo (C_1-C_3) alkyl group; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C_3-C_6) cycloalkylcarbonyl, (C_6-C_{10}) aroyl selected from benzoyl or naphthoyl, halo substituted (C_6-C_{10}) aroyl, (C_1-C_4) alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring

with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

$$Z$$
 or $Z^1 = N$, Q , S or S

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C_1-C_4) alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino; and when $R = R^4$ $(CH_2)_nSO_2$ - and R = 0,

 R^4 ' is selected from amino; monosubstituted amino selected from straight or branched (C_1 - C_6)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6 - C_{10}) aryl group (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

Z = N. O. S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

$$Z \circ r Z^1 = N. \circ r S \circ r S \circ r$$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo,(C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom;

and when $R = R^4 (CH_2)_n SO_2$ - and n = 1-4,

 R^4 ' is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C_1 - C_6)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6 - C_{10})aryl group (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxy group; (C_1 - C_3)alkylamino or carboxy); (C_1 - C_4)alkyl, nitro cyano, thiol, amino, carboxy, di(C_1 - C_3) alkylamino); (C_7 - C_{10})aralkyloxy group; (C_1 - C_4)carboxyalkyl group;

R⁵ is selected from hydrogen; straight or branched (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C_7-C_9) aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N.O.S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

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Z or $Z^1 = N$, Q, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring

with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or -(CH₂)_nCOOR⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl;

 R^6 is selected from hydrogen; straight or branched (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C_7-C_9) -aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

Z or $Z^1 = N$, O, S or Se

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or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

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(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or $(CH_2)_nCOOR^7$ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C_1-C_3) alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C_6-C_{10}) aryl selected from phenyl, α -naphthyl or β -naphthyl; with the proviso that R⁵ and R⁶ cannot both be hydrogen;

or R^5 and R^6 taken together are - $(CH_2)_2W(CH_2)_2$ -, wherein W is selected from $(CH_2)_n$ and n=0-1, -NH, -N(C_1 - C_3)-alkyl [straight or branched], -N(C_1 - C_4)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

The compound according to Claim 6, wherein: Y is NO₂;

R is selected from $R^4(CH_2)_nCO$ - or $R^4'(CH_2)_nSO_2$ -; and when $R = R^4(CH_2)_nCO$ - and n=0,

R⁴ is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C_1 - C_6)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_3 - C_6)cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C_3 - C_6)cycloalkyl group (substitution selected from (C_1 - C_3)alkyl, cyano, amino or (C_1 - C_3)acyl); (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6 - C_{10})aryl group (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3) alkylamino or carboxy); α -amino-(C_1 - C_4)alkyl group selected from aminomethyl, α -aminobutyl; carboxy(C_2 - C_4)-alkylamino group selected from aminoacetic acid, α -aminobutyric acid or α -aminopropionic acid and their optical isomers; (C_7 - C_9)aralkylamino group; (C_1 - C_4)-alkoxycarbonylamino substituted (C_1 - C_4)alkyl group, substitution selected from phenyl or p-hydroxyphenyl; α -hydroxy(C_1 - C_3)alkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

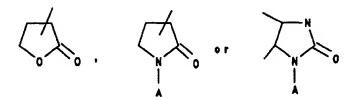


Z - N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

Z or $Z^1 = N$, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C_3-C_6) cycloalkylcarbonyl, (C_6-C_{10}) aroyl selected from benzoyl or naphthoyl, halo substituted (C_6-C_{10}) aroyl, (C_1-C_4) alkylbenzoyl, or (heterocycle)-carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z • N.O.S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

Z or Z^1 - N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C_1-C_4) alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxylcarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C_1-C_3) alkyl group, halogen, (C_6-C_1) aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C_6-C_1) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy), halo (C_1-C_3) -alkyl group, a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N. O. Sor Se

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 $(C_1\text{-}C_4)$ alkoxy group; C_6 -aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, $(C_1\text{-}C_4)$ alkyl, nitro, cyano, thiol, amino, carboxy, $\text{di}(C_1\text{-}C_3)$ alkylamino); $(C_7\text{-}C_{10})$ aralkyloxy group; vinyloxy or substituted vinyloxy group (substitution selected from $(C_1\text{-}C_4)$ alkyl, cyano, carboxy, or $(C_6\text{-}C_{10})$ aryl selected from phenyl, α -naphthyl or β -naphthyl); R^aR^b amino $(C_1\text{-}C_4)$ alkoxy group, wherein R^aR^b is a straight or branched $(C_1\text{-}C_4)$ alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1\text{-}C_3)$ alkyl [straight or branched], -NH, -NOB [B is selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1\text{-}C_3)$ alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or $(C_1\text{-}C_3)$ alkyl], O or S; and when $R = R^4(CH_2)_nCO$ - and n=1-4,

 R^4 is selected from hydrogen; (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; amino; monosubstituted amino selected from straight or branched (C_1-C_6) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl) amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); acyloxy or haloacyloxy group selected from acetyl, propionyl, chloroacetyl, trichloroacetyl, (C_3-C_6) cycloalkylcarbonyl, (C_6-C_{10}) aroyl selected from benzoyl or naphthoyl, halo substituted (C_6-C_{10}) aroyl, (C_1-C_4) alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

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Z - N. O. S or Se

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or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

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Z ar Z¹ = N. Q. S or Si

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C7-C9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C1-C4)alkoxy group; C6aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); RaRbamino(C₁-C₄)alkoxy group, wherein RaRb is a straight or branched (C1-C4)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)$ -alkyl [straight or $-(C_1-C_3)$ -alkyl [straight] branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or RaRbaminoxy group, wherein RaRb is a straight or branched (C_1 - C_4)-alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)$ alkyl [straight or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)$ alkyl [straight or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)$ alkyl [straight or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)$ alkyl [straight or 2-methylpropyl or 2-methylpropyl or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)$ alkyl [straight or 2-methylpropyl or 2-methylprop or branched], -NH, -NOB [B is selected from hydrogen or (C1-C3)alkyl], O or S; (C1-C3)alkylthio group selected from methylthio, ethylthio, propylthio or allylthio; C6-arylthio group selected from phenylthio or substituted phenylthio (substitution selected from halo, (C_1-C_2) alkyl, nitro, cyano, thiol, amino, carboxy, di (C_1-C_3) alkylamino); C_{6} arylsulfonyl group selected from phenylsulfonyl or substituted phenylsulfonyl (substitution selected from halo, (C₁- C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom

optionally having a benzo or pyrido ring fused thereto:

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or a five membered aromatic ring with two N, O,S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O,S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; hydroxy group; α -hydroxy (C_1 - C_3)alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; halo(C_1 - C_3)alkyl group; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C_3 - C_6)cycloalkylcarbonyl, (C_6 - C_{10})-aroyl selected from benzoyl or naphthoyl, halo substituted (C_6 - C_{10})aroyl, (C_1 - C_4) alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z - N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

Z or $Z^1 = N$, O, S or $S\theta$

a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

- (A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring
 - with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino;
 - and when $R = R^4$ (CH₂)_nSO₂- and n = 0,

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 R^4 ' is selected from amino; monosubstituted amino selected from straight or branched (C_1-C_6) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z - N. O. S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

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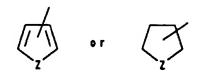
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(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo,(C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom;

with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; and when $R = R^4$ (CH_2)_nSO₂- and n = 1-4, R^4 is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C_1 - C_6)alkylami-

 R^4 is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C_1-C_6) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; R^aR^b amino (C_1-C_4) alkoxy group, wherein R^aR^b is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)$ -alkyl [straight or branched], -NH, -NOB [B is selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or $-R^aR^b$ is $-R^aR^b$ i

R⁵ is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C_7 - C_9)aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N, O, S or Se

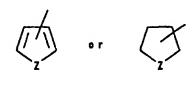
or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

z or Z¹ - N, O, S or So

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or $-(CH_2)_nCOOR^7$ where n=0-4 and R^7 is selected from hydrogen; straight or branched (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl;

 R^6 is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6 - C_1 0)aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C_7 - C_9)aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z - N. O. S or Se

a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

$$Z$$
 or Z^1 or Z^1 or Z^1

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo,(C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or $(CH_2)_nCOOR^7$ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C_1-C_3) alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C_6-C_{10}) aryl selected from phenyl, α -naphthyl or β -naphthyl; with the proviso that R⁵ and R⁶ cannot both be hydrogen;

or R^5 and R^6 taken together are - $(CH_2)_2W(CH_2)_2$ -, wherein W is selected from $(CH_2)_n$ and n=0-1, -NH, -N(C₁-C₃)-alkyl [straight or branched], -N(C₁-C₄)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

The compound according to Claim 6, wherein: Y is NO₂;

R is selected from $R^4(CH_2)_nCO$ - or $R^4(CH_2)_nSO_2$ -; and when $R = R^4(CH_2)_nCO$ - and n=0,

 R^4 is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C_1 - C_6)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1 - C_2)alkyl group selected from methyl or ethyl; (C_6 - C_1)aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6 - C_1)aryl group (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); carboxy(C_2 - C_4)alkylamino group selected from aminoacetic acid, α -aminobutyric acid or α -aminopropionic acid and their optical isomers; α -hydroxy-(C_1 - C_3)alkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

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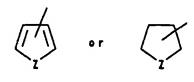
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or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C_1 - C_4)alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxylcarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C_1 - C_3)alkyl group, halogen, (C_1 - C_1 0)aryl group selected from phenyl, α -naththyl, α -naphthyl, substituted (α 0)aryl group (substitution selected from halo, (α 1)alkoxy, trihalo(α 1)alkyl, nitro, amino, cyano, (α 1)alkoxycarbonyl, (α 1)alkylamino or carboxyl, halo-(α 1)alkyl group, a heterocycle group selected from a five membered aromatic or

saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z - N. O. S or Se

 (C_1-C_4) alkoxy group; C_6 -aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C_1-C_4) alkyl, nitro, cyano, thiol, amino, carboxy, di (C_1-C_3) alkylamino); (C_7-C_{10}) aralkyloxy group; vinyloxy or substituted vinyloxy group (substitution selected from (C_1-C_4) alkyl, cyano, carboxy, or (C_6-C_{10}) aryl selected from phenyl, α -naphthyl or β -naphthyl); R^aR^b amino (C_1-C_4) alkoxy group, wherein R^aR^b is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n^r$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)$ alkyl [straight or branched], -NH, -NOB [B is selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)$ alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or $-(C_1-C_3)$ alkyl], O or $-(C_1-C_3)$ alkyl], or $-(C_1-C_3)$ alk

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 R^4 is selected from hydrogen; (C_1-C_4) alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl or 2-methylpropyl; amino; monosubstituted amino selected from straight or branched (C_1-C_6) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6-C_{10}) -aryl group (substitution selected from halo, (C_1-C_4) -alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); acyloxy or haloacyloxy group selected from acetyl, propionyl, chloroacetyl, trichloroacetyl, (C_3-C_6) cycloalkylcarbonyl, (C_6-C_{10}) aroyl selected from benzoyl or naphthoyl, halo substituted (C_6-C_{10}) aroyl, (C_1-C_4) alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

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Z - N, D, S or Se

a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

 $7 \text{ or } 7^1 = N. O. S \text{ or Se}$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C_1-C_4) alkoxy group; R^aR^b amino (C_1-C_4) alkoxy group, wherein R^aR^b is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-

propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ -wherein W is selected from $-N(C_1-C_3)$ -alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) -alkyl], O or S; or R^aR^b -aminoxy group, wherein R^aR^b is a straight or branched (C_1-C_4) -alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W-(CH_2)_2$ -wherein W is selected from $-N(C_1-C_3)$ alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) -alkyl], O or S; a-hydroxy(C_1-C_3)alkyl group selected from hydroxy- methyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; halo (C_1-C_3) alkyl group; (C_1-C_4) alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino;

and when $R = R^{4}(CH_2)_nSO_2$ and n = 0,

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 R^4 ' is selected from amino; monosubstituted amino selected from straight or branched (C_1-C_6) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl (1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1-C_2) alkyl group selected from methyl or ethyl; (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

Z - N. O. S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

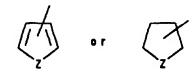
$$\downarrow \downarrow \downarrow \downarrow \downarrow z$$

Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; and when $R = R^4$ $(CH_2)_nSO_2$ - and n = 1-4,

 R^4 ' is selected from hydrogen; straight or branched (C_1 - C_2)alkyl group selected from methyl or ethyl: R^5 is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C_7 - C_9)aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z - N. O. S or Se

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or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

Z or Z¹ - N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or $-(CH_2)_nCOOR^7$ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl group selected from methyl, ethyl, n-propyl or

R^b is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C_7 - C_9)aralkyl group; a hetero-

cycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

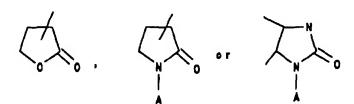


Z - N. O. S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

Z or $Z^1 = N$, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or $(CH_2)_nCOOR^{7'}$ where n=0-4 and R^{7'} is selected from hydrogen; straight or branched (C_1-C_3) alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C_6-C_{10}) aryl selected from phenyl, α -naphthyl or β -naphthyl; with the proviso that R⁵ and R⁶ cannot both be hydrogen;

or R^5 and R^6 taken together are -(CH_2)₂W(CH_2)₂-, wherein W is selected from (CH_2)_n and n=0-1, -NH, -N(C_1 - C_3)-alkyl [straight or branched], -N(C_1 - C_4)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

10. The compound according to Claim 6, wherein:

Y is NO2

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R is selected from $R^4(CH_2)_nCO$ - or $R^4'(CH_2)_nSO_2$ -;

and when $R = R^4(CH_2)_nCO$ - and n=0,

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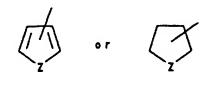
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R4 is selected from hydrogen; straight or branched (C1-C2)alkyl group selected from methyl or ethyl;

 (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, nitro, amino, or (C_1-C_2) alkoxycarbonyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O or S heteroatom optionally having a benzo or pyrido ring fused thereto:



Z - N. O or S

or a five membered aromatic ring with two N, O or S heteroatoms optionally having a benzo or pyrido ring fused thereto:

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7 - N. O or S

or a five membered saturated ring with one or two N, O or S heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C₁-C₂)alkyl; C₆-aryl)

 (C_1-C_4) alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxylcarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group, [substitution selected from (C_1-C_2) alkyl group, halogen, (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, (C_1-C_4) alkoxycarbonyl, halo (C_1-C_3) alkyl group]; (C_1-C_4) alkoxy group; (C_3-C_4) alkoxy group; (C_3-C_4) alkoxy group; (C_3-C_4) alkyl); (C_7-C_1) aralkyloxy group; vinyloxy or substituted phenoxy, (substitution selected from (C_1-C_2) alkyl; (C_3-C_4) alkoxy group; vinyloxy or substituted vinyloxy group (substitution selected from (C_1-C_2) alkyl; (C_3-C_4) alkyl group; vinyloxy group, wherein (C_3-C_4) alkyl selected from methyl, 1-methylethyl, n-butyl; or (C_3-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl;

and when $R = R^4(CH_2)_nCO$ - and n=1-4,

 R^4 is selected from hydrogen; (C_1-C_2) alkyl group selected from methyl or ethyl; amino; monosubstituted amino selected from straight or branched (C_1-C_6) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylamino, cyclopropylamino, ethyl(1-methylethyl)amino, monomethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylamino, ethyl(1-methylethyl)amino, ethyl(1-methylethyl)amino, ethyl(1-methylethyl)amino, ethylamino, ethyl(1-methylethyl)amino, ethyl(1-methylethyl)amino, ethyl(1-methylethyl)amino, ethylamino, ethyl(1-methylethyl)amino, ethylamino, ethyl(1-methylethyl)amino, ethylamino, ethylam

ylbenzylamino, pipendinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl or 1-(1,2,3-triazolyl); $(C_6 \cdot C_{10})$ -aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted $(C_6 \cdot C_{10})$ aryl group, (substitution selected from halo, $(C_1 \cdot C_4)$ alkoxy, nitro, amino, $(C_1 \cdot C_4)$ alkoxycarbonyl); acyloxy or haloacyloxy group selected from acetyl, propionyl or chloroacetyl; $(C_1 \cdot C_4)$ alkoxy group; R^aR^b amino $(C_1 \cdot C_4)$ alkoxy group, wherein R^aR^b is a straight or branched $(C_1 \cdot C_4)$ alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1 \cdot C_3)$ alkyl [straight or branched], -NH, -NOB [B is selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W-(CH_2)_2$ - wherein W is selected from $-N(C_1 \cdot C_3)$ -alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or $(C_1 \cdot C_3)$ alkyl], O or S; halo $(C_1 \cdot C_3)$ -alkyl group; $(C_1 \cdot C_4)$ alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino;

and when $R = R^{4'} (CH_2)_n SO_2$ - and n = 0,

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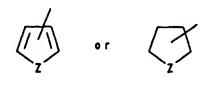
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 $R^{4'}$ is selected from straight or branched (C_1 - C_2)alkyl group selected from methyl or ethyl; (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6 - C_{10})aryl group, (substitution selected from halo, (C_1 - C_4)alkoxy, nitro, (C_1 - C_4)alkoxycarbonyl); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, or S heteroatom optionally having a benzo or pyrido ring fused thereto:



Z - N, O or S

or a five membered aromatic ring with two N, O, or S heteroatoms optionally having a benzo or pyrido ring fused thereto:

$$Z'$$
 or Z'

Z or Z' = N, O or S

and when $R = R^{4'} (CH_2)_n SO_2$ - and n = 1-4,

R4' is selected from hydrogen, straight or branched (C1-C2)alkyl group selected from methyl or ethyl;

 R^5 is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl;

;

 R^6 is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; with the proviso that R^5 and R^6 cannot both be hydrogen;

or R^5 and R^6 taken together are -(CH_2)₂W(CH_2)₂-, wherein W is selected from (CH_2)_n and n=0-1, -NH, -N(C_1 - C_3)-alkyl [straight or branched], -N(C_1 - C_4)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

11. The compound according to Claim 1, [4S-(4α,12aα)]-4,7-Bis(dimethylamino)-9-(formylamino)-1,4,4a,5,5a, 6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4α,12aα)]-4,7-Bis

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(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide monohydrochloride; $[4S-(4\alpha, 12a\alpha)]$ -9-(Acetylamino)-4, 7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3, 10,12,12a-tetrahydroxy-1,11-dioxo- $[4S-(4\alpha,12a\alpha)]-4,7$ -Bis(dimethylamino)-1,4,4a,5, 5a,6,11,12a-octahydro-2-naphthacenecarboxamide; 3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(trifluoroacetyl)amino]-2-naphthacenecarboxamide sulfate; [4S-(4a, 12aa)]-7-(Diethylamino)-4-(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamidesulfate (1:2); [4S-(4α,12aα)]-9-(Acetylamino)-7-(diethylamino)-4-(dimethylamino)-1,4, 4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1, 11-dioxo-2-naphthacenecarboxamide sulfate (1:2); [4S-(4α,12aα)]-7-(Diethylamino)-4-(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12aoctahydro-3,10,12 12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4α,12aα)]-9-(Acetylamino)-7-(diethylamino)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naph- $[4S-(4\alpha,12a\alpha)]-4-(Dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-$ 3,10,12,12a-tetrahydroxy-7-iodo-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4α,12aα)]-4-(Dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-iodo-1,11-dioxo-2-naphthacenecarboxamide sulfate; [4S-(4α,12aα)]-4,7-Bis(dimethylamino) -1,4,4a,5,5a, 6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(methoxyacetyl)amino]-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4α,12aα)]-9-[(4-Bromo-1-oxobutyl) amino]-4,7-bis (dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; $[4S-(4\alpha,12a\alpha)]-4,7$ -Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(1-oxo-2-propenyl)amino]-2-naph-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate; [4S-(4α,12aα)]-9-(Benzoylamino)-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11, 12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4alpha,12aalpha)]-4,7-Bis (dimethylamino)-1,4,4a,5, 5a,6,11,12a-octahydro-3,10, 12,12a-tetrahydroxy-9-[(4-methoxybenzoyl)amino]-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4alpha, 12aalpha))]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro3,10,12,12a-tetrahydroxy-9-[(2-methylbenzoyl)amino]-1, 11-dioxo-2-naphthacenecarboxamide; [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-9-[(2-fluorobenzoyl) amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(pentafluorobenzoyl)amino]-1,11-dioxo-2-naphthacenecarboxamide hydrochloride; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10, 12,12a-tetrahydroxy-1,11-dioxo-9-[[3-(trifluoromethyl) benzoyl]amino]-2-naphthacenecarboxamide; [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-9-[(2-furanylcarbonyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(2-thienylcarbonyl)amino]-2-naphthacenecarboxamide; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(4-nitrobenzoyl)amino)-1,11-dioxo-2-naphthacenecarboxamide: [4S-(4a,12aa)]-9-[(4-AminobenzovI)amino]-4,7-bis-dimethylamino)-1,4,4a,5,5a,6,11,12aoctahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamidesulfate; $[4S-(4\alpha,12a\alpha)]-4.7$ -Bis (dimethylamino)-9-[[(4-dimethylamino)benzoyl]amino]-1,4, 4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1, 11-dioxo-2-naphthacenecarboxamide; [7S-(7\alpha,10a\alpha)]-[2-[[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a, 7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]amino]-2-oxoethyl]carbamic acid 1,1-dimethylethyl ester; [4S-(4a,12aa)]-9-[(Aminoacetyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a, 6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide mono(trifluoroacetate); [4S-(4α,12aα)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6, 11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(phenylsulfonyl)amino]-2-naphthacenecarboxamide; [4S-(4\alpha,12a\alpha)]-9-[[(4-Chlorophenyl)sulfonyl]-amino]-4,7-bis (dimethylamino)-1,4,4a,5,5a,6,11,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; 4,7-Bis(dimethylamino)-1,4,4a,5, 5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(3-nitrophenyl)sulfonyl] amino-1,11-dioxo-2-naphthacenecaboxamide; [4S-(4α,12aα)]-4,7-Bis(dimethylamino)-1,4,4a, 5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[[(4-nitrophenyl)sulfonyl]amino]-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4α,12aα)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(2-thieny|sulfony|)amino]-2-naphthacenecarboxamide; [4S-(4α,12aα)]-9-[[(2-(Acetylamino)-4-methyl-5-thiazoly| sulfonyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-1,11-dioxo-[4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[(ethylsulfonyl)amino]-1,4,4a, 2-naphthacenecarboxamide; 12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4α,12aα)]-5,5a,6,11,12a-octahydro-3,10, 4,7-B is (dimethylamino)-9-(formylamino)-1,4,4a,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-N-1,12a-octahydro-3,10,12a-tetrahydroxy-1,11-dioxo-N-1,12a-octahydro-3,10,12a-tetrahydroxy-1,11-dioxo-N-1,12a-octahydro-3,10,12a-tetrahydroxy-1,11-dioxo-N-1,12a-octahydro-3,10,12a-tetrahydroxy-1,11-dioxo-N-1,12a-octahydro-3,10,12a-tetrahydroxy-1,11-dioxo-N-1,12a-octahydro-3,10,12a-tetrahydroxy-1,11-dioxo-N-1,12a-octahydro-3,10,12a-tetrahydroxy-1,11-dioxo-N-1,12a-octahydro-3,10,12a-tetrahydroxy-1,11-dioxo-N-1,12a-octahydro-3,10,12a-tetrahydroxy-1,11-dioxo-N-1,12a-octahydro-3,10,12a-tetrahydroxy-1,11-dioxo-N-1,12a-octahydro-3,10,12a-tetrahydroxy-1,11-dioxo-N-1,12a-octahydro-3,10,12a-o $(1-pyrrolidiny|methy|)-2-naphthacenecarboxamide; [4S-(4<math>\alpha$,12a α)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-1,4,4a,5,5a,6,11,4,4a,5,5a,6,11,4,4a,5,5a,6,11,4,4a,5,5a,6,11,4,4a,5,5a,6,11,4,4a,5,5a,6,11,4,4a,5,5a,6,11,4,4a,5,5a,6,11,4,4a,5,5a,6,11,4a, octahydro-3,10,12,12a-tetrahydroxy-9-[(methanesulfonyl)amino]-1,11-dioxo-2-naphthacenecarboxamide; [4S- $(4\alpha,12a\alpha)$]-4,7-Bis(dimethylamino) -1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[[

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(phenylmethoxy)acetyl]amino]-2-naphthacenecarboxamide; [7S-(7a,10aa)]-[[9-(Aminocarbonyl)-4.7-bis(dimethvlamino)-5.5a.6.6a.7,10,10a.12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyllamino]oxoacetic acid ethyl ester; [4S-(4a, 12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12.12a-tetrahydroxy-9-[(hydroxyacetyl)-amino]-1, 11-dioxo-2-naphthacenecarboxamide; [4S-(4α, 12aα)]-4,7-Bis(dimethylamino)-9-[[(methylamino)acetyl]-amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide hydrochloride; [4S-(4\alpha,12a\alpha)]-4-(Dimethylamino)-9-(acetylamino)-1,4,4a,5,5a, 6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-iodo-1,11-dioxo-2-naphthacenecarboxamide sulfate: [7S-(7α. 10aα)]-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a, 11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]carbamic acid methyl ester; [7S-(7α,10aα)]-[9-(Aminocarbonyl)-4, 7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1, 8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]carbamic acid (2-diethylamino)ethyl ester; [7S-(7α,10aα)]-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7, 10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]carbamic acid ethenyl ester; [7S-(7α,10aα)]-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]carbamic acid 2-propenyl ester; [4S-(4α,12aα)]-4,7-Bis(dimethylamino)-9-[[(dimethylamino) acetyl]amino]-1,4,4a,5,5a,6, 11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate; [4S-(4α,12aα)]-4,7-Bis-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-9-[(methoxyacetyl)amino]-1,11-dioxo-2-naphthacenecarboxamide hydrochloride; [4S-(4α,12aα)]-9-[(4-Bromo-1-oxobutyl)amino]-4,7-bis(dimethylamino)-1,4, 4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1, 11-dioxo-2-naphthacenecarboxamide sulfate; [4S-(4α, 12aα)]-9-[[(Acetyloxy)acetyl]amino]-4,7-bis(dimethylamino)-1,4,4a, 5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; (Benzoylamino)-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5, 5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[[3-(trifluoromethyl)benzoyl]amino]-2-naphthacenecarboxamide hydrochloride; [4S-(4α,12aα)]-9-[(4-Aminobenzoyl)amino]-4,7-bis(dimethylamino)-1,4,4a, 5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1, 11-dioxo-2-naphthacenecarboxamide; [4S-(4α,12aα)]-4, 7-Bis(dimethylamino)-9-[[(4-dimethylamino)benzoyl]-amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide hydrochloride; [7S-(7α,10aα)]-[2-[[9-(Aminocarbonyl)-4, 7-bis(dimethylamino)-5,5a, 6,6a,7,10,10a,12-octahydro-1, 8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-amino]-2-oxoethyl]carbamic acid 1,1-dimethylethyl ester hydrochloride; [4S-(4α,12aα)]-9-[(Aminoacetyl)amino]-4, 7-bis-dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3, 10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-9-[(ethylsulfonyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, rahydroxy-1,11-dioxo-2-naphthacenecarboxamide hydrochloride; [4S-(4α,12aα)]-4,7-Bis(dimethylamino)-1,4,4a, 5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(methanesulfonyl)amino]-1,11-dioxo-2-naphthacenecarboxamide sulfate; [4S-(4α,12aα)]-4,7-Bis-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-1,11-dioxo-9-[[(phenylmethoxy)acetyl]-amino]-2-naphthacenecarboxamide hydrochloride; [4S-(4α,12aα)]-4.7-Bis(dimethylamino)-1,4,4a,5,5a, 6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(hydroxyacetyl)amino]-1,11-dioxo-2-naphthacenecarboxamide sulfate; [4S-(4a,12aa)]-4-(Dimethylamino)-9-(acetylamino)-1,4,4a,5,5a, 6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-7-iodo-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4α,12aα)]-4,7-Bis(dimethylamino)-9-[[(dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [7S-(7α,10aα)]-[9-(Aminocarbonyl)-4,7-bis-(dimethylamino)-5,5a, 6,6a,7,10,10a,12-octahydro-1,8, 10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]carbamic acid methyl ester [7S-(7α,10aα)]-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a, 11-tetrahydroxy-10.12-dioxo-2-naphthacenyl]carbamic acid (2-diethylamino)ethyl ester hydrochloride; [7S-(7\alpha, 10aα)]-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8, 10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]carbamic acid ethenyl ester sulfate; [7S-(7α,10aα)]-[9-(Aminocarbonyl)-4,7-bis (dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]carbamic acid 2-propenyl ester hydrochloride; [4S-(4α,12aα]-4,7-Bis(dimethylamino)-9-[[(diethylamino) acetyl]amino]-1.4.4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate; [4S-(4α,12aα]-4,7-Bis(dimethylamino)-9-[[(diethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12atetrahydroxy-1.11-dioxo-2-naphthacenecarboxamide hydrochloride; [4S-(4α,12aα]-4,7-Bis(dimethylamino)-9-[[(diethylamino)acetyl]amino]-1,4,4a,5, 5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[(dimethylamino)acetyl]-amino]-1,4,4a, 5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride; [4S-(4α,12aα)]-4,7-Bis(dimethylamino)-9-(chloroacetylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3, 10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4alpha,12aalpha)]-9-[(Chloroacetyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride: [4S-(4alpha,12aalpha)]-9-[(Bromoacetyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5, 5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride; [4S-(4alpha,

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12aalpha)]-9-[(Bromoacetyl)amino]-4,7-bis-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3.10.-12.12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide (free base); [4S-(4alpha,12aalpha)]-9-[(Bromoacetyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11, 12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide monohydrobromide; [4S-(4alpha, 12aalpha)]-9-[(2-Bromo-1-oxopropyl)amino]-4,7-bis-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide hydrobromide; [4S-(4alpha,12aalpha)]-9-[(2-Bromo-1-oxopropyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11, 12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide hydrobromide; 12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11, 12a-octahydro-3,10,12,12a-tetrahydroxy-9-[[(methylamino) acetyllamino]-1.11-dioxo-2-naphthacenecarboxamide dihydrochloride; [7S-(7alpha,10aalpha)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-4-morpholineacetamide dihydrochloride; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[(ethylamino)acetyllamino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride; [4S-(4alpha, 12aalpha)]-9-[[(Cyclopropylamino)acetyl]amino]-4,7-bis-(dimethylamino)-1.4.4a.5.5a.6.11,12aoctahydro-3.10,12, 12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[(butylamino)acetyl]amino]-1,4,4a,5,5a,6, 11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride; [4S-(4alpha, 12aalpha)]-9-[[(Diethylamino)acetyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride; [7S-(7alpha,10aalpha)]-N-[9-(Aminocarbonyl)-4,7-bis (dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-1-pyrrolidineacetamide dihydrochloride; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a, 5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[[(2-methylpropyl)amino]acetyl]amino]-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride; [7S-(7alpha, 10aalpha)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a, 12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-1-piperidineacetamide dihydrochloride; [7S-(7alpha,10aalpha)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10, 10a,12-octahydro-1,8,10a, 11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-1H-imidazole-1-acetamide dihydrochloride; [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,-5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[[(propylamino)acetyl]amino]-2-naphthacenecarboxamide dihydrochloride; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[dimethylamino)acetyl]amino]-1,4, 4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1, 11-dioxo-2-naphthacenecarboxamide; [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-9-[[(hexylamino)-acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochlo-[4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[2-(dimethylamino)-1-oxopropyl]amino]-1,4,-4a,5,5a, 6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1, 11-dioxo-2-napthacenecarboxamide dihydrochloride; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,-5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[[2-(methylamino)-1-oxopropyl]amino]-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride; [7S-(7alpha, 10aalpha)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-alpha-methyl-1-pyrrolidineacetamide dihydrochloride: [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-9-[[4-(dimethylamino)-1-oxobutyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-napthacenecarboxamide dihydrochloride; [4S-(4alpha,12aalpha)]-9-[[(Butylmethylamino)acetyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[[(pentylamino)acetyl]amino]-2-naphthacenecarboxamide dihydrochloride; [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[[(phenylmethyl)amino]acetyl]amino]-2-naphthacenecarboxamide dihydrochloride; [7S-(7alpha,10aalpha)]-N-[2-[[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7, 10a, 12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]amino]-2-oxoethyl]glycine; [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-9-[[(dimethylamino)-acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-1,11-dioxo-N-(1-pyrrolidinylmethyl)-2-naphthacenecarboxamide; [4S-(4alpha,12aalpha)]-4,7-Bis-(dimethylamino)-9-[(dimethylamino)acetyl]amino]-1,4, 4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1, 11-dioxo-N-(4-morpholinylmethyl)-2-naphthacenecarbox amide; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[(dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-N-(1-piperidinylmethyl)-2-naphthacenecarboxamide; [7S-(7alpha, 10aalpha)]-N-[9-(Aminocarbonyl-4.7-bis (dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-napthacenyl]-1-azetidineacetamide; [4S-(4alpha,12aalpha)]-9-[[(Cyclobutylamino)acetyl]-amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a, 6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide hydrochloride.

12. A compound according to Claim 6, [4S-(4α,12aα)]-4-(Dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-nitro-1,11-dioxo-2-naphthacenecarboxamide sulfate.

13. A method of producing a compound of the formula:

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N(CH₃)₂ Him HIIII 10 RNH

according to Claim 1, wherein X=NR¹R², which comprises reacting a 9-amino-7-(substituted amino)-6-demethyl-6-deoxytetracycline of the formula:

with an acyl halide of the formula R-halide, an acylanhydride of the formula R-anhydride, a mixed acyl anhydride of the formula R-anhydride, a sulfonyl halide of the formula R-halide, or a sulfonyl anhydride of the formula Ranhydride in the presence of a suitable acid scavenger in a suitable solvent.

14. A method of producing a compound of the formula:

M(CH3)2 35 HIIII HIIII 40 RNI 0 0

45 according to Claim 1, wherein X is a halogen, which comprises reacting a 9-(acyl or sulfonylamino)-6-demethyl-6-deoxytetracycline of the formula:

with a halogenating agent.

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15. A method of producing a compound of the formula:

RNH OH OH OH OH

according to Claim 6, which comprises reacting a 9-(acyl or sulfonylamino)-6-demethyl-6-deoxytetracycline of the formula:

RNH OH O OH O O

with a metal nitrate and a strong acid.

16. A method of producing a compound of the formula:

RNH OH OH OH O

according to Claim 6, which comprises reacting a compound of the formula:

with nitric acid and a strong acid.

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17. A method of producing a compound of the formula:

according to Claim 1, wherein $X = NR^1R^2$, which comprises reacting a compound of the formula:

according to Claim 6 with the appropriate (C_1-C_4) straight or branched aldehyde or ketone in the presence of an acid and hydrogen.

45 18. A method of producing a compound of the formula:

according to Claim 1, wherein $X = NR^1R^2$ or halogen, which comprises reacting a 9-(substituted amino)-7-(halo or substituted amino)-6-demethyl-6-deoxytetracycline of the formula:

according to Claim 1 with a primary or secondary amine in the presence of formaldehyde.

- 19. A method for the prevention, treatment or control of bacterial infections in warm-blooded animals which comprises 15 administering to said animal a pharmacologically effective amount of a compound according to Claim 1.
 - 20. A pharmaceutical composition of matter comprising a compound according to Claim 1 in association with a pharmaceutically acceptable carrier.

Claims for the following Contracting States: ES, GR

1. A method of producing a compound of the formula:

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wherein:

X is selected from amino, NR1R2, or halogen; the halogen is selected from bromine, chlorine, fluorine or iodine; 45 and when $X = NR^1R^2$ and $R^1 = hydrogen$,

R2 = methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; and when R1 = methyl or ethyl, =

R² = methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl or 2-methylpropyl;

50 and when $R^1 = n$ -propyl,

 $R^2 = n$ -propyl, 1-methylethyl, n-butyl, 1-methylpropyl or 2-methylpropyl;

and when R1 = 1-methylethyl,

 $R^2 = n$ -butyl, 1-methylpropyl or 2-methylpropyl;

and when $R^1 = n$ -butyl,

55 $R^2 = n$ -butyl, 1-methylpropyl or 2-methylpropyl;

and when R1 = 1-methylpropyl,

 $R^2 = 2$ -methylpropyl;

R is selected from R4 (CH₂)_nCO- or R4'(CH₂)_nSO₂-;

and when $R = R^4(CH_2)_nCO$ - and n=0,

R4 is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C1-C6)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₄)alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C3-C6)cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C3-C6)cycloalkyl group (substitution selected from (C_1-C_3) alkyl, cyano, amino or (C_1-C_3) acyl); (C_6-C_{10}) aryl group selected from phenyl, α naphthyl or β -naphthyl; substituted (C_6 - C_{10})aryl group (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; α -amino-(C_1 - C_4)alkyl group selected from aminomethyl, α -aminoethyl, α -aminopropyl or α -aminobutyl; carboxy(C_2 - C_4)-alkylamino group selected from aminoacetic acid, α -aminobutyric acid or α -aminopropionic acid and their optical isomers; (C_7-C_9) aralkylamino group; (C_1-C_4) alkoxycarbonylamino substituted (C_1 - C_4)alkyl group, substitution selected from phenyl or p-hydroxyphenyl; α -hydroxy(C_1 - C_3)alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; α -mercapto(C_1 - C_2)alkyl group selected from mercaptomethyl, α -mercaptoethyl, α -mercapto-1-methylethyl or α-mercaptopropyl; halo-(C₁-C₃)alkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

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Z - N, O, S or S

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or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

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Z or $Z^1 = N$. O. S or Se

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or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

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(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C_3 - C_6)cycloalkylcarbonyl, (C_6 - C_{10})aroyl selected from benzoyl or naphthoyl, halo substituted (C_6 - C_{10})aroyl, (C_1 - C_4)alkylbenzoyl, or (heterocycle)-carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

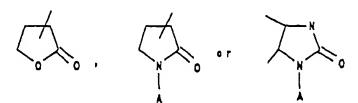


.Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

Z or Z^1 - N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C_1-C_4) alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxylcarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C_1-C_3) alkyl group, halogen, (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C_6-C_{10}) -aryl group (substitution selected from halo, (C_1-C_4) -alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkyl group, a heterocycle group selected from a five membered aromatic

or saturated ring with, one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z - X, O, S or Se

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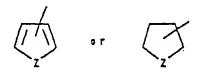
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 (C_1-C_4) alkoxy group; C_6 -aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C_1-C_4) alkyl, nitro, cyano, thiol, amino, carboxy, $d(C_1-C_3)$ alkylamino); (C_7-C_{10}) aralkyloxy group; vinyloxy or substituted vinyloxy group (substitution selected from (C_1-C_4) alkyl, cyano, carboxy, or (C_6-C_{10}) aryl selected from phenyl, α -naphthyl or β -naphthyl); R^aR^b amino (C_1-C_4) alkoxy group, wherein R^aR^b is a straight or branched (C_1-C_4) alkyl selected from methyl,ethyl,n-propyl,1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or- $(CH_2)_2W(CH_2)_2$ - wherein W is selected from -N(C_1 - C_3)alkyl [straight or branched], -NH, -NOB [B is selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl, or 1,1-dimethylethyl or R^aR^b is $(CH_2)_n$, n=2-6, or $(CH_2)_2W(CH_2)_2$ - wherein W is selected from -N(C_1 - C_3)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) alkyl], O or S; and when $R=R^4(CH_3)_nCO$ - and n=1-4,

R⁴ is selected from hydrogen; amino; straight or branched (C_1 - C_4)alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C_3 - C_6)cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C_3 - C_6)cycloalkyl group (substitution selected from (C_1 - C_3)alkyl, cyano, amino or (C_1 - C_3)acyl); (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -nathphyl; substituted(C_6 - C_{10})-aryl group (substitution selected from halo, (C_1 - C_4)-alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)alralkyl group; acyloxy or haloacyoxy group selected from acetyloxy; propionyloxy, chloroacetyloxy, trichloroacetyloxy, (C_3 - C_6)cycloalkylcarbonyloxy, (C_6 - C_{10}) aroyloxy selected from benzoyloxy or naphthoyloxy, halo substituted (C_6 - C_{10})aroyloxy, (C_1 - C_4)alkylbenzoyloxy, or (heterocycle)-carbonyloxy, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



7 - N. O. S ar S.

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

 Z^1 or Z^1

Z or Z^{T} = N, Q, S or Se

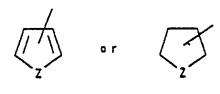
or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C_1 - C_4)alkoxy group; C_6 -aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C_1 - C_4)-alkyl, nitro, cyano, thiol, amino, carboxy, di(C_1 - C_3)-alkylamino); (C_7 - C_1 0)aralkyloxy group; (C_1 - C_3 0)alkylthio group selected from methylthio, propylthio or allylthio; C_6 -arylthio group selected from phenylthio or substituted phenylthio, amino, carboxy, di(C_1 - C_3 0)alkylamino); C_6 -arylsulfonyl group selected from phenylsulfonyl or substituted phenylsulfonyl (substitution selected from halo, (C_1 - C_4 0)alkoxy, trihalo(C_1 - C_3 0)alkyl, nitro, amino, cyano, (C_1 - C_4 0)alkoxycarbonyl, (C_1 - C_3 0)alkylamino or carboxy); (C_7 - C_8 0) aralkylthio group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

or a five membered aromatic ring with two N, O,S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O,S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; hydroxy group; mercapto group; mono- or di-straight or branched chain (C_1-C_6) -alkylamino group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl, 1,1-dimethylethyl, 2-methylbutyl, 1,1-dimethylpropyl, 2,2-dimethylpropyl, 3-methylbutyl, n-hexyl, 1-methylpentyl, 1,1-dimethylbutyl, 2,2-dimethylbutyl, 2-methylpentyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl or 1-methyl-1-ethylpropyl amino; (C_2-C_5) azacycloalkyl group; carboxy (C_2-C_4) alkylamino group selected from aminoacetic acid, α -aminopropionic acid, α -aminobutyric acid and their optical isomers; α -hydroxy (C_1-C_3) alkyl group; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C_3-C_6) cycloalkylcarbonyl, (C_6-C_{10}) aroyl selected from benzoyl or naphthoyl, halo substituted (C_6-C_{10}) aroyl, (C_1-C_4) alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N. O. S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C_1-C_4) alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino; (C_1-C_4) alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, allyloxycarbonyl or straight or branched butoxycarbonyl; R^aR^b -amino (C_1-C_4) alkoxy group, wherein R^aR^b is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)$ -alkyl [straight or branched], -NH, -NOB [B is selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ -wherein W is selected from $-N(C_1-C_3)$ -alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) -alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) -alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) -alkyl], O or S;

and when $R = R^{4'} (CH_2)_n SO_2$ and n = O,

 R^4 ' is selected from amino; monosubstituted amino selected from straight or branched (C_1-C_6) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1-C_4) alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C_3-C_6) cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C_3-C_6) cycloalkyl group (substitution selected from (C_1-C_3) alkyl, cyano, amino or (C_1-C_3) acyl); (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group; halo (C_1-C_3) alkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



7 - N O S OF SA

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

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$$\begin{array}{c} \begin{array}{c} z^1 \\ \end{array} \\ \end{array} \quad \text{or} \quad \begin{array}{c} z^1 \\ \end{array} \\ \end{array}$$

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or a five membered saturated ring with one or two N, o, S or Se heteroatoms and an adjacent appended O heteroatom:

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(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; R^aR^b amino(C_1 - C_4)alkoxy, group, wherein R^aR^b is a straight or branched (C_1 - C_4)-alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH_2)_n, n=2-6, or -(CH_2)₂W-(CH_2)₂-wherein W is selected from -N(C_1 - C_3)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1 - C_3)-alkyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methyl- propyl or R^aR^b is (CH_2)_n, n=2-6, or -(CH_2)₂W(CH_2)₂- wherein W is selected from -N(C_1 - C_3)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1 - C_3)alkyl], O or S:

and when $R = R^{4'}(CH_2)_nSO_2$ - and n = 1-4,

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R4' is selected from hydrogen; straight or branched (C1-C4)alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C1-C4)carboxyalkyl group; (C3-C6) cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C3.C6)cycloalkyl group (substitution selected from (C₁-C₃)alkyl, cyano, amino or (C₁-C₃)-acyl); (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6 - C_{10})aryl group (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; (C_1-C_4) alkoxy group; C_6 -aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C1-C3)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₇-C₁₀) aralkyloxy group; RaRbamino(C₁-C₄)alkoxy group, wherein RaRb is a straight or branched (C1-C4)-alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or RaRb is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)_n- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C1-C3)alkyl], O or S; or RaRbaminoxy group, wherein RaRb is a straight or branched (C1-C4)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or RaRb is (CH2)n, n=2-6, or -(CH2)2W(CH2)2- wherein W is selected from -N(C1-C3) alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) alkyl], O or S; (C_1-C_3) alkylthio group selected from methylthio, ethylthio or n-propylthio; C6-arylthio group selected from phenylthio or substituted phenylthio (substitution selected from halo, (C₁-C₃)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₇-C₈)aralkylthio group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

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7 - N. O. S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

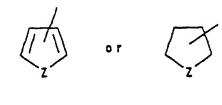
$$Z^1$$
 or Z^2

 $7 \text{ or } 7^1 = N. O. S \text{ or } Sa$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo-(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O,S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; hydroxy group, mercapto group; mono- or di- straight or branched (C_1-C_6) alkylamino group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl, 1,1-dimethylethyl, 2-methylbutyl, 1,1-dimethylpropyl, 2,2-dimethylpropyl, 3-methylbutyl, n-hexyl, 1-methylpropyl amino; halo (C_1-C_3) alkyl group; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C_3-C_6) cycloalkylcarbonyl, (C_6-C_{10}) aroyl selected from benzoyl or naphthoyl, halo substituted (C_6-C_{10}) aroyl, (C_1-C_4) alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N, O, S or S o

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

ZorZ' = N, O, SorSe

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1 - C_4) alkoxy, trihalo (C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C_1-C_4) alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, allyloxycarbonyl or straight or branched butoxycarbonyl;

 R^5 is selected from hydrogen; straight or branched (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C_7-C_9) aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

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Z - N. O. S or Sa

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or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

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tionally having a benzo or pyrido ring fused thereto:

Z er Z1 - N. O. S er Se

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or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

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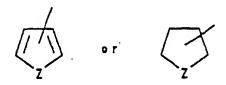
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(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or $\cdot(CH_2)_nCOOR^7$ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; R⁶ is selected from hydrogen; straight or branched (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or

1-methylethyl; $(C_6 - C_{10})$ aryl group selected from phenyl, α -naphthyl or β -naphthyl; $(C_7 - C_9)$ -aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom op-

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Z = N. O. S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

Z er Z¹ - N, O, S or Se

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or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

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(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) -alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or $-(CH_2)_nCOOP^{7}$ where n=0-4 and R^{7} is selected from hydrogen; straight or branched (C_1-C_3) alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C_6-C_{10}) aryl selected from phenyl, α -naphthyl or β -naphthyl; with the proviso that R^5 and R^6 cannot both be hydrogen:

or R^5 and R^6 taken together are - $(CH_2)_2W(CH_2)_2$ -, wherein W is selected from $(CH_2)_n$ and n=0-1, -NH, -N (C_1-C_3) -alkyl [straight or branched], -N (C_1-C_4) alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes;

said method comprising one of the following steps (a) to (c):

(a) the step of producing a compound of the formula:

as defined above, wherein X=NR¹R², which comprises reacting a 9-amino-7-(substituted amino)-6-demethyl-6-deoxytetracycline of the formula:

with an acyl halide of the formula R-halide, an acylanhydride of the formula R-anhydride, a mixed acyl anhydride of the formula R-anhydride, a sulfonyl halide of the formula R-halide, or a sulfonyl anhydride of the formula R-anhydride in the presence of a suitable acid scavenger in a suitable solvent; (b) the step of producing a compound of the formula:

as defined above, wherein X is a halogen, which comprises reacting a 9-(acyl or sulfonylamino)-6-demethyl-6-deoxytetracycline of the formula:

with a halogenating agent; (c) the step of producing a compound of the formula:

as defined above, wherein $X = NR^1R^2$, which comprises reacting a compound of the formula:

wherein R is as defined above with the appropriate (C_1-C_4) straight or branched aldehyde or ketone in the presence of an acid and hydrogen; and optionally comprising a step of producing a compound of the formula:

as defined above, wherein X = NR¹R² or halogen, which comprises reacting a 9-(substituted amino)-7- (halo or substituted amino)-6-demethyl-6-deoxytetracycline of the formula:

as defined above with a primary or secondary amine in the presence of formaldehyde.

2. The method according to Claim 1, wherein: X is selected from amino, NR¹R², or halogen; the halogen is selected from bromine, chlorine, fluorine or iodine; and when X = NR¹R² and R¹ = hydrogen,

 R^2 = methyl, ethyl, n-propyl, 1-methylethyl, n-butyl,-1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; and when R^1 = methyl or ethyl,

R² = methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl or 2-methylpropyl;

R is selected from R⁴(CH₂)_nCO- or R⁴'(CH₂)_nSO₂-;

and when $R = R^4(CH_2)_nCO$ - and n=0,

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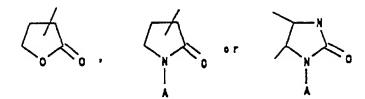
R⁴ is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C_1-C_6) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1-C_4) alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl or 2-methylpropyl; (C_3-C_6) -cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C_3-C_6) cycloalkyl group (substitution selected from (C_1-C_3) alkyl, cyano, amino or (C_1-C_3) acyl); (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); α -amino (C_1-C_4) alkyl group selected from aminomethyl, α -aminopropyl or α -aminobutyl; carboxy (C_2-C_4) alkylamino group selected from aminoacetic acid, α -aminobutyric acid or α -aminopropionic acid and their optical isomers; (C_7-C_9) aralkylamino group; (C_1-C_4) alkoxycarbonylamino substituted (C_1-C_4) alkyl group, substitution selected from phenyl or α -hydroxyphenyl; α -hydroxy-thyl group selected from hydroxymethyl, α -hydroxy-thyl or a-hydroxy-1-methylethyl or α -hydroxy-propyl; halo (C_1-C_3) alkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

Z = N. O. S er Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

 $7 \text{ or } 7^1 = N \text{ O. S or Sa}$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C_3 - C_6) cycloalkylcarbonyl, (C_6 - C_{10})aroyl selected from benzoyl or naphthoyl, halo substituted (C_6 - C_{10})aroyl, (C_1 - C_4)alkylbenzoyl, or (heterocycle)-carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



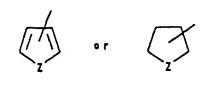
Z - N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C1-C4)alkyl; C6-aryl; substituted C6-aryl (substitution selected

from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C_1-C_4) alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxylcarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C_1-C_3) alkyl group, halogen, (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C_6-C_{10}) -aryl group (substitution selected from halo, (C_1-C_4) -alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy), halo (C_1-C_3) alkyl group, a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z - N, O, S or Se

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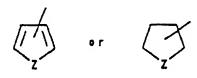
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];

 (C_1-C_4) alkoxy group; C_6 -aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C_1-C_4) alkyl, nitro, cyano, thiol, amino, carboxy, $di(C_1-C_3)$ alkylamino); (C_7-C_{10}) aralkyloxy group; vinyloxy or substituted vinyloxy group (substitution selected from (C_1-C_4) alkyl, cyano, carboxy, or (C_6-C_{10}) aryl selected from phenyl, α -naphthyl or β -naphthyl); R^aR^b amino (C_1-C_4) alkoxy group, wherein R^aR^b is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2$ W $(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)$ alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) alkyl], O or S; or R^aR^b aminoxy group, wherein R^aR^b is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl,

1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from -N (C_1-C_3) alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) alkyl], O or S; and when $R = R^4(CH_2)_nCO$ - and n=1-4,

 R^4 is selected from hydrogen; (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; amino; monosubstituted amino selected from straight or branched (C_1-C_6) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl) amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); acyloxy or haloacyloxy group selected from acetyl, propionyl, chloroacetyl, trichloroacetyl, (C_3-C_6) -cycloalkylcarbonyl, (C_6-C_{10}) aroyl selected from benzoyl or naphthoyl, halo substituted (C_6-C_{10}) aroyl, (C_1-C_4) -alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z - N, O, S or Se

fused thereto:

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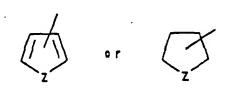
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or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O het-

(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C1-C4) alkoxy group; RaRbamino(C1-C4)alkoxy group, wherein RaRb is a straight or branched (C1-C4)alkyl selected from methyl, ethyl, npropyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or RaRb is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂wherein W is selected from -N(C₁-C₃)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁- C_3)alkyl], O or S; or RaRbaminoxy group, wherein RaRb is a straight or branched (C_1 - C_4)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or RaRb is (CH2)n, n=2-6, or -(CH2)2W-(CH₂)₂-wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₁-C₃)alkylthio group selected from methylthio, ethylthio, propylthio or allylthio; C_6 -arylthio group selected from phenylthio or substituted phenylthio nylthio (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); C₆arylsulfonyl group selected from phenylsulfonyl or substituted phenylsulfonyl (substitution selected from halo, (C₁-C₄)-alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



or a five membered aromatic ring with two N, O,S or Se heteroatoms optionally having a benzo or pyrido fused thereto:

$$Z^1$$
 or Z^1

$$Z$$
 or $Z^1 = N$. O. S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O,S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; hydroxy group; α -hydroxy-(C_1 - C_3)alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; halo(C_1 - C_3)alkyl group; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C_3 - C_6)cycloalkylcarbonyl, (C_6 - C_{10})aroyl selected from benzoyl or naphthoyl, halo substituted (C_6 - C_{10})aroyl, (C_1 - C_4) alkylbenzoyl,or (heterocycle)-carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

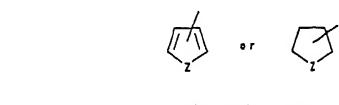
$$Z$$
 or Z^1 - N. O. S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C_1-C_4) alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino;

and when $R = R^{4'} (CH_2)_n SO_2$ and n = 0,

 R^4 ' is selected from amino; monosubstituted amino selected from straight or branched (C_1-C_6) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N, O, S or Se

$$z$$
 or $z^1 = N$, z or z^1

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; and when $R = R^4$ $(CH_2)_0SO_2$ - and $R = R^4$

 R^4 is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C_1-C_6) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxy group; (C_1-C_3) alkylamino or carboxy); (C_1-C_4) alkyl, nitro cyano, thiol, amino, carboxy, di (C_1-C_3) alkylamino); (C_7-C_{10}) aralkyloxy group; (C_1-C_4) carboxyalkyl group;

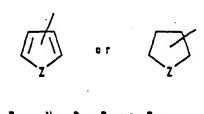
 R^5 is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C_7 - C_9)aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

$$z^1$$
 or z^1 or z^2

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

$$\sqrt{\frac{1}{N}}$$
 or $\sqrt{\frac{N}{N}}$

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or $-(CH_2)_nCOOR^7$ where n=0-4 and R^7 is selected from hydrogen; straight or branched (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C_7-C_9) -aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



$$z$$
 or z^1 or z^1

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or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

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(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or (C_1 - C_2)alkyl selected from hydrogen; straight or branched (C_1 - C_3)alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C_6 - C_1 0)aryl selected from phenyl, α -naphthyl or β -naphthyl; with the proviso that R⁵ and R⁶ cannot both be hydrogen;

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or R^5 and R^6 taken together are -(CH₂)₂W(CH₂)₂-, wherein W is selected from (CH₂)_n and n=0-1, -NH, -N(C₁-C₃)-alkyl [straight or branched], -N(C₁-C₄)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

3. The method according to Claim 1, wherein:

X is selected from amino, NR1R2, or halogen;

the halogen is selected from bromine, chlorine, fluorine or iodine;

and when $X = NR^1R^2$ and $R^1 = hydrogen$,

 R^2 = methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; and when R^1 = methyl or ethyl,

 $R^2 = methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl or 2-methylpropyl;$

R is selected from $R^4(CH_2)_nCO$ - or $R^4(CH_2)_nSO_2$ -;

and when $R = R^4(CH_2)_nCO$ - and n=0,

 R^4 is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C_1 - C_6)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_3 - C_6)cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C_3 - C_6)cycloalkyl group (substitution selected from (C_1 - C_3)alkyl, cyano, amino or (C_1 - C_3)acyl); (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6 - C_{10})aryl group (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); α -amino-(C_1 - C_4)alkyl group selected from aminomethyl, α -aminobutyric acid or α -aminopropionic acid and their optical isomers; (C_7 - C_9)aralkylamino group; (C_1 - C_4)alkoxycarbonylamino substituted (C_1 - C_4)alkyl group, substitution selected from phenyl or p-hydroxyphenyl; α -hydroxy(C_1 - C_3)alkyl group selected from

hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; halo(C_1 - C_3)alkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

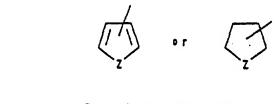


or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

$$Z \text{ or } Z^1 = N, O, S \text{ or } S \in S$$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

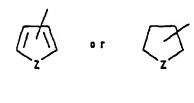
(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C_3 - C_6)cycloalkylcarbonyl, (C_6 - C_{10})aroyl selected from benzoyl or naphthoyl, halo substituted (C_6 - C_{10})aroyl, (C_1 - C_4)alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended o heteroatom:

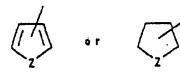
(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C_1-C_4) alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxylcarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group substitution selected from (C_1-C_3) alkyl group, halogen, (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy), halo- (C_1-C_3) alkyl group, a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



];

 (C_1-C_4) alkoxy group; C_6 -aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C_1-C_4) alkyl, nitro, cyano, thiol, amino, carboxy, $d(C_1-C_3)$ alkylamino); (C_7-C_{10}) aralkyloxy group; vinyloxy or substituted vinyloxy group (substitution selected from (C_1-C_4) alkyl, cyano, carboxy, or (C_6-C_{10}) aryl selected from phenyl, α -naphthyl or β -naphthyl); R^aR^b amino (C_1-C_4) alkoxy group, wherein R^aR^b is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)$ alkyl [straight or branched], -NH, -NOB [B is selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)$ alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or $-(C_1-C_3)$ alkyl], $-(C_1-C_3)$ alkyl [straight or branched], $-(C_1-C_3)$ alkyl [stra

 R^4 is selected from hydrogen; (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; amino; monosubstituted amino selected from straight or branched (C_1-C_6) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl) amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3--triazolyl) or 4-(1,2,4-triazolyl); (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); acyloxy or haloacyloxy group selected from acetyl, propionyl, chloroacetyl, trichloroacetyl, (C_3-C_6) cycloalkylcarbonyl, (C_6-C_{10}) aroyl selected from benzoyl or naphthoyl, halo substituted (C_6-C_{10}) aroyl, (C_1-C_4) alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



- W O 5 ar 5

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) -alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

carboxy); (C_7-C_9) araikyl group selected from benzyl, 1-pnenyletnyl, z-pnenyletnyl or pnenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C_1-C_4) alkoxy group; C_6 -aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C_1-C_4) alkyl, nitro, cyano, thiol, amino, carboxy, $di(C_1-C_3)$ alkylamino); R^aR^b amino (C_1-C_4) alkoxy group, wherein R^aR^b is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2$ $W(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)$ alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or

 (C_1-C_3) alkyl], O or S; or RaRbaminoxy group, wherein RaRb is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or RaRb is $(CH_2)_n$, n=2-6, or $(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)$ alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) alkyl], O or S; (C_1-C_3) alkylthio group selected from methylthio, ethylthio, propylthio or allylthio; C_6 -arylthio group selected from phenylthio or substituted phenylthio (substitution selected from halo, (C_1-C_4) alkyl, nitro, cyano, thiol, amino, carboxy, di (C_1-C_3) alkylamino); C_6 -arylsulfonyl group selected from phenylsulfonyl or substituted phenylsulfonyl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



$$Z$$
 or Z^1 = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen: straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O,S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; hydroxy group; α -hydroxy-(C_1 - C_3)alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; halo-(C_1 - C_3)alkyl group; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C_3 - C_6)cycloalkylcarbonyl, (C_6 - C_1 0)aroyl selected from benzoyl or naphthoyl, halo substituted (C_6 - C_1 0)aroyl, (C_1 - C_4) alkylbenzoyl, or (heterocycle)-carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z - K, O, S or Se

$$Z$$
 or Z^1 - N, O, S or Se

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a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

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(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C_1-C_4) alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino;

and when $R = R^{4'} (CH_2)_n SO_2$ - and n = 0,

 R^4 ' is selected from amino; monosubstituted amino selected from straight or branched (C_1-C_6) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



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Z = N. O. S or Se

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$$Z$$
 or Z^1 - N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; and when $R = R^4(CH_2)_nSO_2$ - and n = 1-4,

 R^4 is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C_1-C_6) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; R^aR^b amino (C_1-C_4) alkoxy group, wherein R^aR^b is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)$ -alkyl [straight or branched (C_1-C_4) -alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)$ alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or $-(C_1-C_3)$ alkyl], O or S;

 R^5 is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C_7 - C_9)aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

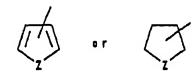
$$Z^1$$
 or Z^1

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl, substituted C_6 -aryl (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or -(CH_2) $_nCOOR^7$ where n=0-4 and R 7 is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl:

 R^6 is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C_7 - C_9)aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



$$z$$
 or z^1 or z^1

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or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

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(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or $(CH_2)_nCOOR^7$ where n=0-4 and R^7 is selected from hydrogen; straight or branched (C_1-C_3) alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C_6-C_{10}) aryl selected from phenyl, α -naphthyl or β -naphthyl; with the proviso that R^5 and R^6 cannot both be hydrogen;

or R^5 and R^6 taken together are -(CH_2)₂W(CH_2)₂-, wherein W is selected from (CH_2)_n and n=0-1, -NH, -N(C_1 - C_3)-alkyl [straight or branched], -N(C_1 - C_4)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

4. The method according to Claim 1, wherein:

X is selected from amino, NR¹R², or halogen;

the halogen is selected from bromine, chlorine, fluorine or iodine;

and when $X = NR^{1}R^{2}$ and $R^{1} = hydrogen$,

 R^2 = methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; and when R^1 = methyl or ethyl,

R² = methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl or 2-methylpropyl;

R is selected from R^4 (CH₂)_nCO- or R^4 (CH₂)_nSO₂-;

and when $R = R^4(CH_2)_nCO$ - and n=0,

R⁴ is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C_1-C_6) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1-C_2) alkyl group selected from methyl or ethyl; (C_6-C_{10}) aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); carboxy(C_2-C_4)alkylamino group selected from aminoacetic acid, α-aminobutyric acid or α-aminopropionic acid and their optical isomers; α-hydroxy- (C_1-C_3) alkyl group selected from hydroxymethyl, α-hydroxyethyl or α-hydroxy-1-methyl- ethyl or α-hydroxypropyl; halo((C_1-C_3) alkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



7 . W. O. S. nr Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

7 ar 7 - N. C. S or Sa

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O het-

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) -alkylamino or carboxy); (C_7-C_9) -aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring

with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C_1-C_4) alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxylcarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C_1-C_3) alkyl group, halogen, (C_6-C_{10}) aryl group selected from phenyl, α -naththyl, β -naphthyl, substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkyl group, a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N. O. S or Se

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 $(C_1-C_4) \text{alkoxy group}; \ C_6-\text{aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C_1-C_4) \text{alkyl, nitro, cyano, thiol, amino, carboxy, di(C_1-C_3) \text{alkylamino})}; \ (C_7-C_{10}) \text{aralkyloxy group}; \text{vinyloxy or substituted vinyloxy group (substitution selected from (C_1-C_4) \text{alkyl, cyano, carboxy, or (C_6-C_{10}) \text{aryl selected from phenyl, α-naphthyl or β-naphthyl)}; \ R^aR^b \text{amino}(C_1-C_4) \text{alkyxy group, wherein } R^aR^b \text{ is a straight or branched (C_1-C_4) \text{alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, $n=2-6$, or $-(CH_2)_2W(CH_2)_2$- wherein W is selected from $-N(C_1-C_3) \text{alkyl}$ [straight or branched], $-NH$, $-NOB$ [B is selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, $n=2-6$, or $-(CH_2)_2W(CH_2)_2$- wherein W is selected from $-N(C_1-C_3) \text{alkyl}$ [straight or branched], $-NH$, $-NOB$ [B is selected from hydrogen or $(C_1-C_3) \text{alkyl}]$, O or S;}$

and when $R = R^4(CH_2)_nCO$ - and n=1-4,

R⁴ is selected from hydrogen; (C_1-C_4) alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl or 2-nethylpropyl; amino; monosubstituted amino selected from straight or branched (C_1-C_6) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); acyloxy or haloacyloxy group selected from acetyl, propionyl, chloroacetyl, trichloroacetyl, (C_3-C_6) -cycloalkylcarbonyl, (C_6-C_{10}) aroyl selected from benzoyl or naphthoyl, halo substituted (C_6-C_{10}) aroyl, (C_1-C_4) -alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

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Z - N, O, S or Se

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a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

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$$z^1$$
 or z^1 or z^2

Z or z^1 - N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered amount or ing with one to three N, O, S or Se heteroatoms, or a six membered saturated ring

with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C_1-C_4) alkoxy group; R^aR^b amino (C_1-C_4) alkoxy group, wherein R^aR^b is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ -wherein W is selected from $-N(C_1-C_3)$ -alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) -alkyl], O or S; or R^aR^b -aminoxy group, wherein R^aR^b is a straight or branched (C_1-C_4) -alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W-(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)$ alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) -alkyl], O or S; α -hydroxy(C_1-C_3)alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methyl- ethyl or α -hydroxypropyl; halo(C_1-C_3)alkyl group; (C_1-C_4) alkoxycarbonylamino or propoxycarbonylamino;

and when $R = R^4'$ (CH_2)_n SO_2 - and n = 0, R^4' is selected from amino; monosubstituted amino selected from straight or branched (C_1 - C_6)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1 - C_2)alkyl group selected from methyl or ethyl; (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6 - C_{10})aryl group (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3) alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one

N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N. O. S or Se

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or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

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$$\begin{array}{c} \begin{array}{c} 2^1 \\ \end{array} \\ \end{array} \qquad \qquad \begin{array}{c} 2^1 \\ \end{array}$$

Z or Z^1 = N. O. S or Se

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or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

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(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; and when $R = R^{4'}$ $(CH_2)_nSO_2$ - and n = 1-4,

and when R⁴' is select R⁵ is select

R4' is selected from hydrogen; straight or branched (C_1 - C_2)alkyl group selected from methyl or ethyl; R5 is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C_7 - C_9)aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

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Z - N. O. S or Se

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or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

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7 ar 71 - N. O. S or Sa

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or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

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(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

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or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or - $(CH_2)_nCOOR^7$ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl;

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 R^6 is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C_7 - C_9)aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

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Z - N. O. S or Se

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or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

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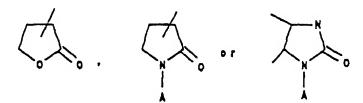
Z or $Z^1 = N$. O. S or Se

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or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

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(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or (CH₂)_nCOOR^{7'} where n=0-4 and R^{7'} is selected from hydrogen; straight or branched (C₁-C₃)alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl selected from phenyl, α-naphthyl or β-naphthyl; with the proviso that R⁵ and R⁶ cannot both be hydrogen;

or R^5 and R^6 taken together are - $(CH_2)_2W(CH_2)_2$ -, wherein W is selected from $(CH_2)_n$ and n=0-1, -NH, -N(C₁-C₃)-alkyl [straight or branched], -N(C₁-C₄)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

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5. The method according to Claim 1, wherein:

X is selected from amino, NR1R2, or halogen;

the halogen is selected from bromine, chlorine, fluorine or iodine; and when $X = NR^1R^2$ and $R^1 = methyl$ or ethyl,

 R^2 = methyl or ethyl,

R is selected from R4(CH₂)_nCO- or R4'(CH₂)_nSO₂-;

and when R = R4(CH2)nCO- and n=0,

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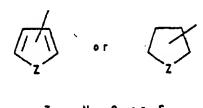
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 R^4 is selected from hydrogen; straight or branched (C_1 - C_2)alkyl group selected from methyl or ethyl; (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6 - C_{10})aryl group (substitution selected from halo, (C_1 - C_4)alkoxy, nitro, amino, or (C_1 - C_2)alkoxycarbonyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O or S heteroatom optionally having a benzo or pyrido ring fused thereto:



or a five membered aromatic ring with two N, O, or S heteroatoms optionally having a benzo or pyrido ring fused thereto:

or a five membered saturated ring with one or two N, O or S heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C₁-C₂)alkyl; C₆-aryl)

 (C_1-C_4) alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxylcarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group, [substitution selected from (C_1-C_2) alkyl group, (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, (C_1-C_4) alkoxycarbonyl, halo- (C_1-C_3) alkyl group]; (C_1-C_4) alkoxy group; (C_1-C_4) alkyl); (C_2-C_{10}) aralkyloxy group selected from phenoxy or substituted phenoxy, (substitution selected from halo, (C_1-C_4) alkyl); (C_2-C_{10}) aralkyloxy group; vinyloxy or substituted vinyloxy group (substitution selected from (C_1-C_2) -alkyl; (C_1-C_4) alkoxy group, wherein (C_1-C_4) -alkyl selected from methyl, n-propyl, 1-methylethyl, n-butyl; or (C_1-C_4) -alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl; and when (C_1-C_4) -and (C_1-C_4)

 R^4 is selected from hydrogen; (C_1-C_2) alkyl group selected from methyl or ethyl; amino; monosubstituted amino selected from straight or branched (C_1-C_6) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl) amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl or 1-(1,2,3-triazolyl); (C_6-C_{10}) -aryl group selected

from phenyl, α -naphthyl or β -naphthyl; substituted (C_6 - C_{10})aryl group, (substitution selected from halo, (C_1 - C_4) alkoxy, nitro, amino, (C_1 - C_4)alkoxycarbonyl); acyloxy or haloacyloxy group selected from acetyl, propionyl or chloroacetyl; (C_1 - C_4)alkoxy group; RaRbamino(C_1 - C_4)alkoxy group, wherein RaRb is a straight or branched (C_1 - C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or RaRb is (CH_2) $_n$ ' n=2-6, or -(CH_2) $_2$ W(CH_2) $_2$ - wherein W is selected from -N(C_1 - C_3)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1 - C_3)alkyl], O or S; or RaRbaminoxy group, wherein RaRb is a straight or branched (C_1 - C_4)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or RaRb is (CH_2) $_n$, n=2-6, or -(CH_2) $_2$ W-(CH_2) $_2$ - wherein W is selected from -N(C_1 - C_3)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1 - C_3)alkyl], O or S; halo (C_1 - C_3)-alkyl group; (C_1 - C_4)alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino;

and when $R = R^4'(CH_2)_nSO_2$ - and n = 0,

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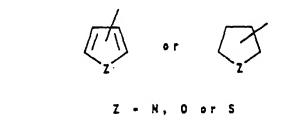
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 $R^{4'}$ is selected from straight or branched (C_1 - C_2)alkyl group selected from methyl or ethyl; (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6 - C_{10})aryl group, (substitution selected from halo, (C_1 - C_4)alkoxy, nitro, (C_1 - C_4)alkoxycarbonyl); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, or S heteroatom optionally having a benzo or pyrido ring fused thereto:



or a five membered aromatic ring with two N, O, or S heteroatoms optionally having a benzo or pyrido ring fused thereto:

and when $R = R^{4'} (CH_2)_n SO_2$ - and n = 1-4,

 R^4 is selected from hydrogen, straight or branched (C_1 - C_2)alkyl group selected from methyl or ethyl; R^5 is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl;

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 R^6 is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; with the proviso that R^5 and R^6 cannot both be hydrogen;

or R^5 and R^6 taken together are -(CH₂)₂W(CH₂)₂-, wherein W is selected from (CH₂)_n and n=0-1, -NH, -N(C₁-C₃)-alkyl [straight or branched], -N(C₁-C₄)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

55 6. A method of producing a compound of the formula:

wherein:

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Y is NO₂;

R is selected from R4(CH₂)_nCO- or R4' (CH₂)_nSO₂-;

and when $R = R^4(CH_2)_nCO$ - and n=0,

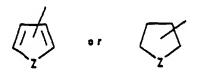
R4 is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C1-C6)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethvlamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₄)alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C3-C6)cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C3-C6)cycloalkyl group (substitution selected from (C_1-C_3) alkyl, cyano, amino or (C_1-C_3) acyl); (C_6-C_{10}) aryl group selected from phenyl, α naphthyl or β-naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; α -amino(C_1 - C_4)alkyl group selected from aminomethyl, α -aminoethyl, α -aminopropyl or α -aminobutyl; carboxy(C_2 - C_4)alkylamino group selected from aminoacetic acid, α -aminobutyric acid or α -aminopropionic acid and their optical isomers; (C_7-C_9) aralkylamino group; (C_1-C_4) alkoxycarbonylamino substituted (C_1 - C_4)alkyl group, substitution selected from phenyl or p-hydroxyphenyl; α -hydroxy(C₁-C₃)alkyl group selected from hydroxymethyl, α-hydroxyethyl or α-hydroxy-1-methylethyl or α-hydroxypropyl; α -mercapto(C_1 - C_2)alkyl group selected from mercaptomethyl, α -mercaptoethyl, α -mercapto-1-methylethyl or α-mercaptopropyl; halo(C₁-C₃)alkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

Z = N. O. S ar Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C_3-C_6) cycloalkylcarbonyl, (C_6-C_{10}) aroyl selected from benzoyl or naphthoyl, halo substituted (C_6-C_{10}) aroyl, (C_1-C_4) alkylbenzoyl, or (heterocycle)-carbonyl, the heteroacetyl or naphthoyl, halo substituted (C_6-C_{10}) aroyl, (C_1-C_4) alkylbenzoyl, or (heterocycle)-carbonyl, the heteroacetyl or naphthoyl, halo substituted (C_6-C_{10}) aroyl, (C_1-C_4) alkylbenzoyl, or (heterocycle)-carbonyl, the

erocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally

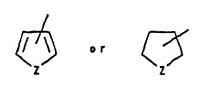


having a benzo or pyrido ring fused thereto:

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C_1-C_4) alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxylcarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C_1-C_3) alkyl group, halogen, (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C_6-C_{10}) -aryl group (substitution selected from halo, (C_1-C_4) -alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy), halo (C_1-C_3) alkyl group, a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



 (C_1-C_4) alkoxy group; C_6 -aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C_1-C_4) alkyl, nitro, cyano, thiol, amino, carboxy, $di(C_1-C_3)$ alkylamino); (C_7-C_{10}) aralkyloxy group; vinyloxy or substituted vinyloxy group (substitution selected from (C_1-C_4) alkyl, cyano, carboxy, or (C_6-C_{10}) aryl selected from phenyl, α -naphthyl or β -naphthyl); R^aR^b amino (C_1-C_4) alkoxy group, wherein R^aR^b is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)$ alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) alkyl], O or S; or R^aR^b aminoxy group, wherein R^aR^b is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl, or 1,1-dimethylethyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from -

];

 $N(C_1-C_3)$ -alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) alkyl], O or S; and when $R = R^4(CH_2)_nCO$ - and n=1-4,

R⁴ is selected from hydrogen; amino; straight or branched (C_1 - C_4)alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C_3 - C_6)cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C_3 - C_6)cycloalkyl group (substitution selected from (C_1 - C_3)alkyl, cyano, amino or (C_1 - C_3)acyl); (C_6 - C_{10})aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted(C_6 - C_{10})aryl group (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group; acyloxy or haloacyloxy group selected from acetyl, propionyl, chloroacetyl, trichloroacetyl, (C_3 - C_6)cycloalkylcarbonyl, (C_6 - C_{10})aroyl selected from benzoyl or naphthoyl, halo substituted (C_6 - C_{10})aroyl, (C_1 - C_4)alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

Z = N, O, S or Se

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or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

 $7 \text{ or } 7^1 = N. 0. S \text{ or Se}$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C_1-C_4) alkoxy group; C_6 -aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C_1-C_4) -alkyl, nitro, cyano, thiol, amino, carboxy, di (C_1-C_3) -alkylamino); (C_7-C_{10}) aralkyloxy group; (C_1-C_3) alkylthio group selected from methylthio, ethylthio, propylthio or allylthio; C_6 -arylthio group selected from phenylthio or substituted phenylthio (substitution selected from halo, (C_1-C_4) alkyl, nitro, cyano, thiol, amino, carboxy, di (C_1-C_3) alkylamino); C_6 -arylsulfonyl group selected from phenylsulfonyl or substituted phenylsulfonyl (substitution selected from halo, (C_1-C_4) -alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_8) aralkylthio group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

or a five membered aromatic ring with two N, O,S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O,S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; hydroxy group; mercapto group; mono- or di-straight or branched chain (C_1-C_6) -alkylamino group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl, 1,1-dimethylbutyl, 2-methylbutyl, 1,1-dimethylpropyl, 2,2-dimethylbutyl, n-hexyl, 1-methylpentyl, 1,1-dimethylbutyl, 2,2-dimethylbutyl, 2-methylpentyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl or 1-methyl-1-ethylpropyl amino; (C_2-C_5) azacycloalkyl group; carboxy (C_2-C_4)

alkylamino group selected from aminoacetic acid, α -aminopropionic acid, α -aminobutyric acid and their optical isomers; α -hydroxy(C_1 - C_3)alkyl group selected from hydroxy- methyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; halo(C_1 - C_3)-alkyl group; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C_3 - C_6)-cycloalkylcarbonyl, (C_6 - C_{10})aroyl selected from benzoyl or naphthoyl, halo substituted (C_6 - C_{10})aroyl, (C_1 - C_4)alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

Z = N, O, S or Se

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or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

Z or $Z^1 - N$, O, S or S o

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C_1-C_4) alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino; (C_1-C_4) alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, allyloxycarbonyl or straight or branched butoxycarbonyl; R^aR^b -amino (C_1-C_4) alkoxy group, wherein R^aR^b is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or

 $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)$ -alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) alkyl], O or S; or RaRbaminoxy group, wherein RaRb is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or RaRb is $(CH_2)_n$,

n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; and when $R = R^4$ '(CH₂)_nSO₂- and n = 0,

 R^4 ' is selected from amino; monosubstituted amino selected from straight or branched (C_1 - C_6)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1 - C_4)alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C_3 - C_6)cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C_3 - C_6)cycloalkyl group (substitution selected from (C_1 - C_3)alkyl, cyano, amino or (C_1 - C_3)acyl); (C_6 - C_{10})aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C_6 - C_{10})aryl group (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group; halo(C_1 - C_3)alkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

$$Z$$
 or Z^1 - N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; R^aR^b amino (C_1-C_4) alkoxy

group, wherein RaRb is a straight or branched (C_1 - C_4)-alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or RaRb is (CH_2)_n, n=2-6, or -(CH_2)₂W-(CH_2)₂- wherein W is selected from -N(C_1 - C_3)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1 - C_3)-alkyl], O or S; or RaRbaminoxy group, wherein RaRb is a straight or branched (C_1 - C_4)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methyl- propyl or RaRb is (CH_2)_n, n=2-6, or -(CH_2)₂W(CH_2)₂- wherein W is selected from -N(C_1 - C_3)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1 - C_3)alkyl], O or S:

and when $R = R^{4}(CH_{2})_{n}SO_{2}$ - and n = 1-4,

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 R^4 is selected from hydrogen; straight or branched (C_1-C_4) alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C₁-C₄)carboxyalkyl group; (C₃-C₆) cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C₂-C₆)cycloalkyl group (substitution selected from (C1-C3)alkyl, cyano, amino or (C1-C3)acyl); (C6-C10)aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6 - C_{10})aryl group (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; (C1-C4)alkoxy group; C6-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₃)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₇-C₁₀)aralkyloxy group; R^aR^bamino(C₁-C₄)-alkoxy group, wherein R^aR^b is a straight or branched (C_1 - C_4)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_n$ - wherein W is selected from $-N(C_1-C_3)$ alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], 0 or S; or R^aR^barninoxy group, wherein RaRb is a straight or branched (C1-C4)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or RaRb is (CH2)n, n=2-6, or -(CH2)2W(CH2)2- wherein W is selected from -N(C1-C3) alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) alkyl], O or S; (C_1-C_3) alkylthio group selected from methylthio, ethylthio or n-propylthio; C6-arylthio group selected from phenylthio or substituted phenylthio (substitution selected from halo, (C₁-C₃)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₇-C₈)aralkylthio group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

Z - N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having'a benzo or pyrido ring fused thereto:

Z or Z¹ = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O het-

eroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo-(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O,S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; hydroxy group, mercapto group; mono- or di- straight or branched (C_1 - C_6)-alkylamino group selected from methyl, ethyl, n-propyl, 1-meth-

ylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl, 1,1-dimethylethyl, 2-methylbutyl, 1,1-dimethylpropyl, 2,2-dimethylpropyl, 3-methylbutyl, n-hexyl, 1-methylpropyl, 1,1-dimethylbutyl, 2,2-dimethylbutyl, 2,2-dimethylbutyl, 1,3-dimethylbutyl or 1-methyl-1-ethylpropyl amino; halo(C_1 - C_3)alkyl group; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C_3 - C_6)cycloalkylcarbonyl, (C_6 - C_{10})aroyl selected from benzoyl or naphthoyl, halo substituted (C_6 - C_{10})aroyl, (C_1 - C_4)alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

$$z^{1}$$
 or z^{1}

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) -alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C_1-C_4) alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, allyloxycarbonyl or straight or branched butoxycarbonyl;

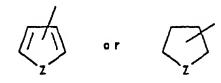
 R^5 is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C_7 - C_9)aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or -(C_1 - C_2)alkyl group selected from hydrogen; straight or branched (C_1 - C_3 -alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C_6 - C_1 0)aryl group selected from phenyl, α -naphthyl or β -naphthyl;

 R^6 is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C_7 - C_9)-aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



7 - N. O. S ar Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

Z er Z' - N, O, S er S

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or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or - $(CH_2)_nCOOR^7$ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C_1 - C_3)alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C_6 - C_{10})aryl selected from phenyl, α -naphthyl or β -naphthyl; with the proviso that R⁵ and R⁶ cannot both be hydrogen;

or R^5 and R^6 taken together are -(CH_2)₂W(CH_2)₂-, wherein W is selected from (CH_2)_n and n=0-1, -NH, -N(C_1 - C_3)-

alkyl [straight or branched], -N(C_1 - C_4)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes; said method comprising one of the following steps (d) or (e)

(d) the step of producing a compound of the formula:

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as defined above, which comprises reacting a 9-(acyl or sulfonylamino) -6-demethyl-6-deoxytetracycline of the formula:

with a metal nitrate and a strong acid; or (e) the step of producing a compound of the formula:

as defined above which comprises reacting a compound of the formula:

with nitric acid and a strong acid.

7. The method according to Claim 6, wherein:

Y is NO₂;

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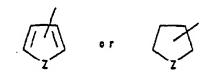
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R is selected from $R^4(CH_2)_nCO$ - or $R^4(CH_2)_nSO_2$ -; and when $R = R^4(CH_2)_nCO$ - and n=0,

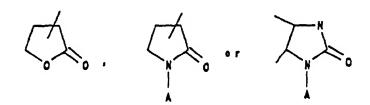
R⁴ is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C_1 - C_6)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1 - C_4)alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl or 2-methylpropyl; (C_3 - C_6)-cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C_3 - C_6)cycloalkyl group (substitution selected from (C_1 - C_3)alkyl, cyano, amino or (C_1 - C_3)acyl); (C_6 - C_{10})aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C_6 - C_{10})aryl group (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); α-amino(C_1 - C_4)alkyl group selected from aminomethyl, α-aminoptopyl or α-aminoptopyl; carboxy(C_2 - C_4)alkylamino group selected from aminoacetic acid, α-aminobutyric acid or α-aminopropionic acid and their optical isomers; (C_7 - C_9)aralkylamino group; (C_1 - C_4) alkoxycarbonylamino substituted (C_1 - C_4)alkyl group, substitution selected from phenyl or p-hydroxyphenyl; α-hydroxy(C_1 - C_3)alkyl group selected from hydroxymethyl, α-hydroxyethyl or α-hydroxy-1-methylethyl or α-hydroxypropyl: halo(C_1 - C_3)alkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, C_1 S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



- Z N. O. S or S:
- or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

Z or Z¹ - N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched $(C_1$ - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, $(C_1$ - C_4)alkoxy, trihalo $(C_1$ - C_3)-alkyl, nitro, amino, cyano, $(C_1$ - C_4)alkoxycarbonyl, $(C_1$ - C_3)alkylamino or carboxy); $(C_7$ - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, $(C_3$ - C_6)cycloalkylcarbonyl, $(C_6$ - C_{10})aroyl selected from benzoyl or naphthoyl, halo substituted $(C_6$ - C_{10})aroyl, $(C_1$ - C_4)alkylbenzoyl, or (heterocycle)-carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

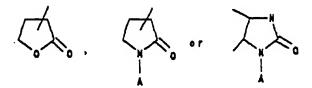


Z = N. O. S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

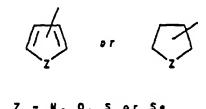
Z or Z^1 - N. O. S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C_1-C_4) alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxylcarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C_1-C_3) alkyl group, halogen, (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy), halo- (C_1-C_3) alkyl group, a heterocycle group selected from a five membered aromatic or

saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



};

 (C_1-C_4) alkoxy group; C_6 -aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C_1-C_4) alkyl, nitro, cyano, thiol, amino, carboxy, $di(C_1-C_3)$ alkylamino); (C_7-C_{10}) aralkyloxy group; vinyloxy or substituted vinyloxy group (substitution selected from (C_1-C_4) alkyl, cyano, carboxy, or (C_6-C_{10}) aryl selected from phenyl, α -naphthyl or β -naphthyl); R^aR^b amino (C_1-C_4) alkoxy group, wherein R^aR^b is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or RaRb is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)$ alkyl [straight or branched], -NH, -NOB [B is selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or $-R^aR^b$ is $-(CH_2)_n$, $-R^a$ 0 or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)$ alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)$ alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or $-(C_1-C_3)$ alkyl], O or S; and when $-(C_1-C_3)_n$ 0 and $-(C_1-C_3)_n$ 1.

 R^4 is selected from hydrogen; (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; amino; monosubstituted amino selected from straight or branched (C_1-C_6) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl) amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3--triazolyl) or 4-(1,2,4-triazolyl); (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); acyloxy or haloacyloxy group selected from acetyl, propionyl, chloroacetyl, trichloroacetyl, (C_3-C_6) -cycloalkylcarbonyl, (C_6-C_{10}) aroyl selected from benzoyl or naphthoyl, halo substituted (C_6-C_{10}) aroyl, (C_1-C_4) -alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



7 - N. D. S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

$$z$$
 or z^1 or z^1

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or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

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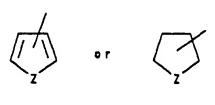
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(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl: substituted C₆-aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C1-C4)alkoxy group; RaRb-

amino(C_1 - C_4)alkoxy group, wherein RaRb is a straight or branched(C_1 - C_4)alkyl selected from methyl, ethyl, npropyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or RaRb is (CH2)n, n=2-6, or -(CH2)2W(CH2)2wherein W is selected from -N(C_1 - C_3)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1 - C_3)alkyl], O or S; or R^aR^b aminoxy group, wherein R^aR^b is a straight or branched (C_1-C_4)-alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or RaRb is (CH₂)_n, n=2-6, or -(CH₂)₂W-(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C1-C3)-alkyl], O or S; C6-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₁-C₃)alkylthio group selected from methylthio, ethylthio, propylthio or allylthio; C₆-arylthio group selected from phenylthio or substituted phenylthio (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); C₆arylsulfonyl group selected from phenylsulfonyl or substituted phenylsulfonyl (substitution selected from halo, (C1-C₄)alkoxy, trihalo-(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring, fused thereto:

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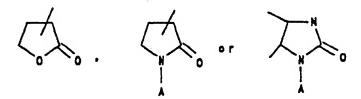
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or a five membered aromatic ring with two N, O,S or Se heteroatoms optionally having a benzo or pyrido fused thereto:

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

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(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O,S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; hydroxy group; α -hydroxy- (C_1-C_3) alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxy-propyl; α -hydroxy-1-methylethyl or α -hydroxy-1-me

With one of two N, O, S of Se fleteroatoms and an adjacent appended O fleteroatom, hydroxy group, α-hydroxy- (C_1-C_3) alkyl group selected from hydroxymethyl, α-hydroxyethyl or α-hydroxy-1-methylethyl or α-hydroxypropyl; halo (C_1-C_3) alkyl group; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C_3-C_6) cycloalkylcarbonyl, (C_6-C_{10}) aroyl selected from benzoyl or naphthoyl, halo substituted (C_6-C_{10}) aroyl, (C_1-C_4) alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z - N. O. S or S

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

$$z$$
 or z^1 or z^1 or z^2

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or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

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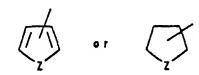
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(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C_1-C_4) alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino;

and when $R = R^4(CH_2)_nSO_2$ - and n = O,

 R^4 ' is selected from amino; monosubstituted amino selected from straight or branched (C_1-C_6) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) -alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



- N. O. S or Se

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or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

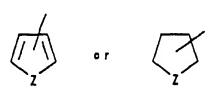
$$Z$$
 or Z^1 = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; and when R = R⁴(C_{12})₀SO₂- and n= 1-4,

 $R^{4'}$ is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C_1 - C_6)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6 - C_1)aryl group (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_1 - C_4)alkoxy group; C_6 -aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C_1 - C_4)alkyl, nitro cyano, thiol, amino, carboxy, di(C_1 - C_3) alkylamino); (C_7 - C_1 0)aralkyloxy group; (C_1 - C_4)carboxyalkyl group;

 R^5 is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C_7 - C_9)aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N.O.S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring

fused thereto:

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Z or $Z^1 = N$, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, 0, S or Se heteroatoms and an adjacent appended O heteroatom; or $-(CH_2)_nCOOR^7$ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl;

 R^6 is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C_7 - C_9)-aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

45 Z = N, O, S or 3

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

$$Z$$
 or Z^1 or Z^1 or Z^2

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or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

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(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or $(CH_2)_nCOOR^{7'}$ where n=0-4 and R^{7'} is selected from hydrogen; straight or branched (C_1-C_3) alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C_6-C_{10}) aryl selected from phenyl, α -naphthyl or β -naphthyl; with the proviso that R⁵ and R⁶ cannot both be hydrogen;

or R^5 and R^6 taken together are -(CH₂)₂W(CH₂)₂-, wherein W is selected from (CH₂)_n and n=0-1, -NH, -N(C₁-C₃)-alkyl [straight or branched], -N(C₁-C₄)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

The method according to Claim 6, wherein: Y is NO₂;

R is selected from $R^4(CH_2)_nCO$ - or $R^4(CH_2)_nSO_2$ -; and when $R = R^4(CH_2)_nCO$ - and n=0,

R⁴ is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C_1 - C_6)alkylamino, cyclopropylamino, cyclobutylanino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_3 - C_6)cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C_3 - C_6)cycloalkyl group (substitution selected from (C_1 - C_3)alkyl, cyano, amino or (C_1 - C_3)acyl); (C_6 - C_{10})aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C_6 - C_{10})aryl group (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3) alkylamino or carboxy); α-amino-(C_1 - C_4)alkyl group selected from aminomethyl, α-aminobutyric acid or α-aminopropionic acid and their optical isomers; (C_7 - C_9)aralkylamino group; (C_1 - C_4)-alkoxycarbonylamino substituted (C_1 - C_4)alkyl group, substitution selected from phenyl or p-hydroxyphenyl; α-hydroxy(C_1 - C_3)alkyl group selected from hydroxymethyl, α-hydroxyethyl or α-hydroxy-1-methylethyl or α-hydroxypropyl; halo(C_1 - C_3)alkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

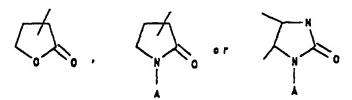


Z - N. O. S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

Z or Z^1 = N. O. S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1-C_4)alkoxy, trihalo(C_1-C_3)-alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C_3-C_6) cycloalkylcarbonyl, (C_6-C_{10}) aroyl selected from benzoyl or naphthoyl, halo substituted (C_6-C_{10}) aroyl, (C_1-C_4) alkylbenzoyl, or (heterocycle)-carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N.O.S or Se

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or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

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Z or Z1 - N. O. S or Se

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2 or 2' - N, O, 5 or 50

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or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

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(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) -alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring

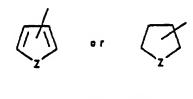
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or a six membered aromatic ring with one to three N, O, S or Se neteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C_1-C_4) alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxylcarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C_1-C_3) alkyl group, halogen, (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkyl group, a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

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 $(C_1\text{-}C_4)$ alkoxy group; C_6 -aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, $(C_1\text{-}C_4)$ alkyl, nitro, cyano, thiol, amino, carboxy, $\text{di}(C_1\text{-}C_3)$ alkylamino); $(C_7\text{-}C_{10})$ aralkyloxy group; vinyloxy or substituted vinyloxy group (substitution selected from $(C_1\text{-}C_4)$ alkyl, cyano, carboxy, or $(C_6\text{-}C_{10})$ aryl selected from phenyl, α -naphthyl or β -naphthyl); R^aR^b amino $(C_1\text{-}C_4)$ alkoxy group, wherein R^aR^b is a straight or branched $(C_1\text{-}C_4)$ alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)$ - wherein W is selected from $-N(C_1\text{-}C_3)$ alkyl [straight or branched], -NH, -NOB [B is selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1\text{-}C_3)$ alkyl [straight or branched], -NH, -NOB (B is selected from hydrogen or $(C_1\text{-}C_3)$ alkyl], O or S; and when $R = R^4(CH_2)_nCO$ - and n=1-4,

 R^4 is selected from hydrogen; (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; amino; monosubstituted amino selected from straight or branched (C_1-C_6) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl) amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); acyloxy or haloacyloxy group selected from acetyl, propionyl, chloroacetyl, trichloroacetyl, (C_3-C_6) cycloalkylcarbonyl, (C_6-C_{10}) aroyl selected from benzoyl or naphthoyl, halo substituted (C_6-C_{10}) aroyl, (C_1-C_4) alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, 0, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



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Z = N. O. S or Se

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or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

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Z or Z1 - N. O. S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C1-C4)alkyl; C6-aryl; substituted C6-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C7-C9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C1-C4)alkoxy group; C6aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); RaRbamino(C₁-C₄)alkoxy group, wherein RaRb is astraightorbranched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)$ -alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or RaRbaminoxy group, wherein RaRb is a straight or branched (C1-C4)-alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)$ alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C1-C3)alkyl], O or S; (C1-C3)alkylthio group selected from methylthio, ethylthio, propylthio or allylthio; C6-arylthio group selected from phenylthio or substituted phenylthio (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); C₆-arylsulfonyl group selected from phenylsulfonyl or substituted phenylsulfonyl (substitution selected from halo, (C1-C2) alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally

having a benzo or pyrido ring fused thereto:

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or a five membered aromatic ring with two N, O,S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O,S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; hydroxy group; α -hydroxy (C_1 - C_3)alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; halo(C_1 - C_3)alkyl group; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C_3 - C_6)cycloalkylcarbonyl, (C_6 - C_1 0)-aroyl selected from benzoyl or naphthoyl, halo substituted (C_6 - C_1 0)aroyl, (C_1 - C_4) alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

1 - K, O, O O.

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

$$Z \text{ or } Z^1 - N, 0, S \text{ or } Se$$

a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C_1-C_4) alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino;

and when $R = R^{4'} (CH_2)_n SO_2$ - and n = 0,

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 R^4 ' is selected from amino; monosubstituted amino selected from straight or branched (C_1-C_6) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

Z - N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

$$Z^{1}$$
 or Z^{1}

Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom;

and when $R = R^4'(CH_2)_nSO_2$ - and n = 1-4,

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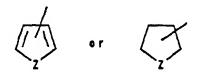
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 R^4 is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C_1 - C_6)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; R^aR^b amino(C_1 - C_4)alkoxy group, wherein R^aR^b is a straight or branched (C_1 - C_4)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or RaRb is (CH_2)_n, n=2-6, or -(CH_2)₂W(CH_2)₂- wherein W is selected from -N(C_1 - C_3)-alkyl [straight or branched (C_1 - C_4)-alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH_2)_n, n=2-6, or -(CH_2)₂W(CH_2)₂- wherein W is selected from -N(C_1 - C_3)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1 - C_3)-alkyl], O or S;

 R^5 is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C_7 - C_9)aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



2 - N. O. S or Se

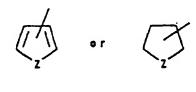
or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

Z or $Z^1 - N$, O, S or S

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or -(C_1 - C_2 - C_3 - C_1 - C_3 - $C_$

 R^6 is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C_7 - C_9)aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z - N, O, S or Se

a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or $(CH_2)_nCOOR^7$ where n=0-4 and R^{7'} is selected from hydrogen; straight or branched (C_1-C_3) alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C_6-C_{10}) aryl selected from phenyl, α -naphthyl or β -naphthyl; with the proviso that R⁵ and R⁶ cannot both be hydrogen;

or R^5 and R^6 taken together are -(CH₂)₂W(CH₂)₂-, wherein W is selected from (CH₂)_n and n=0-1, -NH, -N(C₁-C₃)-alkyl [straight or branched], -N(C₁-C₄)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

 $\textbf{9.} \quad \text{The method according to Claim 6, wherein:} \\$

Y is NO2;

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R is selected from $R^4(CH_2)_nCO$ - or $R^4'(CH_2)_nSO_2$ -;

and when $R = R (CH_2)_n CO$ - and n=0,

 R^4 is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C_1 - C_6)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1 - C_2)alkyl group selected from methyl or ethyl; (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6 - C_{10})aryl group (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); carboxy(C_2 - C_4)alkylamino group selected from aminoacetic acid, α -aminobutyric acid or α -aminopropionic acid and their optical isomers; α -hydroxy-(C_1 - C_3)alkyl group selected from hydroxymethyl, α -hydroxy-l-methylethyl or α -hydroxy-l-methylethyl or α -hydroxypropyl; halo(C_1 - C_3)alkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z - N. O. S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

$$Z$$
 or Z^1 - N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C_1-C_4) alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxylcarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C_1-C_3) alkyl group, halogen, (C_6-C_{10}) aryl group selected from phenyl, α -naththyl, β -naphthyl, substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy), halo- (C_1-C_3) alkyl group, a heterocycle group selected from a five membered aromatic or

saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

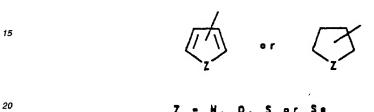


Z = N, O, S or S

 $(C_1\text{-}C_4)$ alkoxy group; C_6 -aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, $(C_1\text{-}C_4)$ alkyl, nitro, cyano, thiol, amino, carboxy, $di(C_1\text{-}C_3)$ alkylamino); $(C_7\text{-}C_{10})$ aralkyloxy group; vinyloxy or substituted vinyloxy group (substitution selected from $(C_1\text{-}C_4)$ alkyl, cyano, carboxy, or $(C_6\text{-}C_{10})$ aryl selected from phenyl, α -naphthyl or β -naphthyl); R^aR^b amino $(C_1\text{-}C_4)$ alkoxy group, wherein R^aR^b is a straight or branched $(\dot{C}_1\text{-}C_4)$ alkyl selected from methyl,ethyl,n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1\text{-}C_3)$ alkyl [straight or branched], -NH, -NOB [B is selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from -N($C_1\text{-}C_3$)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or $(C_1\text{-}C_3)$ alkyl], O or S; and when $R=R^4(CH_2)_nCO$ - and n=1-4,

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 R^4 is selected from hydrogen; (C_1-C_4) alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl or 2-methylpropyl; amino; monosubstituted amino selected from straight or branched (C_1-C_6) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6-C_{10}) -aryl group (substitution selected from halo, (C_1-C_4) -alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); acyloxy or haloacyloxy group selected from acetyl, propionyl, chloroacetyl, trichloroacetyl, (C_3-C_6) cycloalkylcarbonyl, (C_6-C_{10}) aroyl selected from benzoyl or naphthoyl, halo substituted (C_6-C_{10}) aroyl, (C_1-C_4) alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



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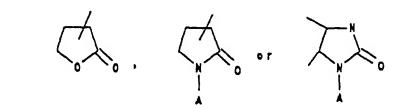
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25 a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C_1-C_4) alkoxy group; R^aR^b -amino (C_1-C_4) alkoxy group, wherein R^aR^b is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ -

wherein W is selected from -N(C_1 - C_3)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1 - C_3)alkyl], O or S; or RaRbaminoxy group, wherein RaRb is a straight or branched (C_1 - C_4)-alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or RaRb is (CH_2)_n, n=2-6, or -(CH_2)₂W-(CH_2)₂- wherein W is selected from -N(C_1 - C_3)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1 - C_3)-alkyl], O or S; α -hydroxy(C_1 - C_3)alkyl group selected from hydroxy- methyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; halo(C_1 - C_3)alkyl group; (C_1 - C_4)alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino;

and when $R = R^{4'} (CH_2)_n SO_2$ - and n = O,

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R is selected from amino; monosubstituted amino selected from straight or branched (C_1 - C_6)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1 - C_2)alkyl group selected from methyl or ethyl; (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6 - C_{10})aryl group (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3) alkylamino or carboxy); a heterocycle group selected from a five memberedaromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

7 - N. O. S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

Z or $Z^1 = N$, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom;

and when $R = R^{4}(CH_{2})_{n}SO_{2}$ - and n = 1-4,

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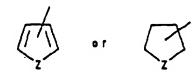
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R4 is selected from hydrogen; straight or branched (C1-C2)alkyl group selected from methyl or ethyl;

 R^5 is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6 - C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C_7 - C_9)aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

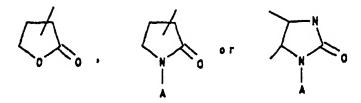


Z . N. O. S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

$$Z \text{ or } Z^1 - N, 0, S \text{ or } S$$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or -(C_1 - C_2 - C_3 - C_3 - C_4 - $C_$

R⁶ is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C_7 - C_9)aralkyl group; a hetero-

cycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N. O. S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

 Z^1 or Z^1

Z or $Z^1 - N$, Q, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo,(C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or (C_1 - C_2)alkyl selected from hydrogen; straight or branched (C_1 - C_3)alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C_6 - C_1 0)aryl selected from phenyl, α -naphthyl or β -naphthyl; with the proviso that R⁵ and R⁶ cannot both be hydrogen;

or R^5 and R^6 taken together are -(CH₂)₂W(CH₂)₂-, wherein W is selected from (CH₂)_n and n=0-1, -NH, -N(C₁-C₃)-alkyl [straight or branched], -N(C₁-C₄)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

10. The method according to Claim 6, wherein:

Y is NO₂,

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R is selected from R4(CH₂)_nCO- or R4'(CH₂)_nSO₂-;

and when $R = R^4(CH_2)_nCO$ - and n=0,

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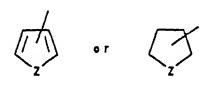
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R4 is selected from hydrogen; straight or branched (C₁-C₂)alkyl group selected from methyl or ethyl;

 (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, nitro, amino, or (C_1-C_2) alkoxycarbonyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O or S heteroatom optionally having a benzo or pyrido ring fused thereto:

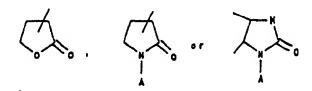


Z - N. O or S

or a five membered aromatic ring with two N, O or S heteroatoms optionally having a benzo or pyrido ring fused thereto:

7 - N. O or S

or a five membered saturated ring with one or two N, O or S heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₂)alkyl; C₆-aryl)

 (C_1-C_4) alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxylcarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group, [substitution selected from (C_1-C_2) alkyl group, halogen, (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, (C_1-C_4) alkoxycarbonyl, halo (C_1-C_3) alkyl group]; (C_1-C_4) alkoxy group; C_6 -aryloxy group selected from phenoxy or substituted phenoxy, (substitution selected from halo, (C_1-C_4) -alkyl); (C_7-C_{10}) aralkyloxy group; vinyloxy or substituted vinyloxy group (substitution selected from (C_1-C_4) -alkyl; (C_7-C_{10}) aralkyloxy group, wherein RaRb is a straight or branched (C_1-C_4) -alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, n-butyl, 1-methylpropyl, or 2-methylpropyl;

and when $R = R^4(CH_2)_nCO$ - and n=1-4,

 R^4 is selected from hydrogen; (C_1-C_2) alkyl group selected from methyl or ethyl; amino; monosubstituted amino selected from straight or branched (C_1-C_6) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phe-

nylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl or 1-(1,2,3-triazolyl); (C_6 - C_{10})-aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C_6 - C_{10})aryl group, (substitution selected from halo, (C_1 - C_4) alkoxy, nitro, amino, (C_1 - C_4)alkoxycarbonyl); acyloxy or haloacyloxy group selected from acetyl, propionyl or chloroacetyl; (C_1 - C_4)alkoxy group; RaRbamino(C_1 - C_4)alkoxy group, wherein RaRb is a straight or branched (C_1 - C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or RaRb is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C_1 - C_3)alkyl [straight or branched], -NH, -NOB [B is selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or RaRb is (CH₂)_n, n=2-6, or -(CH₂)₂W-(CH₂)₂- wherein W is selected from -N(C_1 - C_3)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1 - C_3)alkyl], O or S; halo (C_1 - C_3)-alkyl group; (C_1 - C_4)alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino;

and when $R = R^4(CH_2)_nSO_2$ and n = 0,

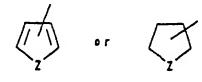
 R^4 ' is selected from straight or branched (C_1-C_2) alkyl group selected from methyl or ethyl; (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6-C_{10}) aryl group, (substitution selected from halo, (C_1-C_4) alkoxy, nitro, (C_1-C_4) alkoxycarbonyl); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, or S heteroatom optionally having a benzo or pyrido ring fused thereto:

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Z - N, O or !

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or a five membered aromatic ring with two N, O, or S heteroatoms optionally having a benzo or pyrido ring fused thereto:

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ZorZ¹ = N, OorS

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and when $R = R^{4}(CH_{2})_{n}SO_{2}$ and n = 1-4,

R4' is selected from hydrogen, straight or branched (C1-C2)alkyl group selected from methyl or ethyl;

 R^5 is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or, 1-methylethyl;

;

 R^6 is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; with the proviso that R^5 and R^6 cannot both be hydrogen;

or R^5 and R^6 taken together are -(CH_2)₂W(CH_2)₂-, wherein w is selected from (CH_2)_n and n=0-1, -NH, -N(C_1 - C_3)-alkyl [straight or branched], -N(C_1 - C_4)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

11. The method according to Claim 1 for producing [4S-(4α,12aα)]-4,7-Bis (dimethylamino)-9-(formylamino)-1,4,4a, 5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; $[4S-(4\alpha, 12a\alpha)]$ -4,7-Bis(dimethylamino)-9-(fomlylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate; $[4S-(4\alpha,12a\alpha)]-4,7$ -Bis(dimethylamino)-9-(formylamino)-1,4,4a,5,5a. 5 6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide monohydrochloride; [4S-(4α,12aα)]-9-(Acetylamino)-4, 7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3, 10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4\alpha,12a\alpha)]-4,7-Bis (dimethylamino) -1,4,4a,5, 5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(trifluoroacetyl)amino]-2-naphthacenecarboxamide sulfate; [4S-(4a, 12aα)]-7-(Diethylamino)-4-(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tet-10 rahydroxy-1,11-dioxo-2-naphthacenecarboxamidesulfate (1:2); [4S-(4a,12aa)]-9-(Acetylamino)-7-(diethylamino)-4-(dimethylamino)-1,4, 4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1, 11-dioxo-2-naphthacenecarboxamide sulfate (1:2); [4S-(4α,12aα)]-7-(Diethylamino)-4-(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12aoctahydro-3,10,12 12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4\alpha,12a\alpha)]-9-(Acetylamino)-7-(diethylamino)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naph-15 thacenecarboxamide; [4S-(4α,12aα)]-4-(Dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-iodo-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4α,12aα)]-4-(Dimethylamino)-9- (formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-iodo-1,11-dioxo-2-naphthacenecarboxamide sulfate; [4S-(4α,12aα)]-4,7-Bis(dimethylamino) -1,4,4a,5,5a, 6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(methoxyacetyl)amino]-1,11-dioxo-2-naphthacenecarboxam-20 ide; [4S-(4a,12aa)]-9-[(4-Bromo-1-oxobutyl) amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; $[4S-(4\alpha,12a\alpha)]-4,7-Bis(dimethylamino)-$ 1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(1-oxo-2-propenyl)amino]-2-naphthacenecarboxamide; [4S- $(4\alpha,12a\alpha)$]-9-[[(Acetyloxy) acetyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-thacenecarboxamide; octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate; [4S-(4α,12aα)]-9-(Ben-25 zoylamino)-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11, 12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4alpha,12aalpha)]-4,7-Bis (dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10, 12,12a-tetrahydroxy-9-[(4-methoxybenzoyl)amino)-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4alpha, 12aalpha))]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(2-methylbenzoyl)amino]-1, 11-dioxo-2-naphthacenecarboxamide; [4S-(4alpha, 12aalpha)]-4,7-Bis (dimethylamino)-9-[(2-fluor-30 obenzoyl) amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(pentafluorobenzoyl)amino]-1,11-dioxo-2-naphthacenecarboxamide hydrochloride; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10, 12,12a-tetrahydroxy-1,11-dioxo-9-[[3-(trifluoromethyl) benzoyl]amino]-2-naphthacenecarboxamide; [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-9-[(2-furanyl-35 carbonyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(2-thienylcarbonyl)amino]-2-naphthacenecarboxamide; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(4-nitrobenzoyl)amino]-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4a,12aa)]-9-[(4-Aminobenzoyl)amino]-4,7-bis-dimethylamino)-1,4,4a,5,5a,6,11,12a-40 octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamidesulfate; $[4S-(4\alpha,12a\alpha)]-4,7-Bis$ 4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahy-(dimethylamino)-9-[[(4-dimethylamino)benzoyl]amino]-1,4, droxy-1, 11-dioxo-2-naphthacenecarboxamide; [7S-(7α,10aα)]-[2-[[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a, 7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]amino}-2-oxoethyl]carbamic acid 1,1-dimethylethyl ester; [4S-(4\alpha,12a\alpha)]-9-[(Aminoacetyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a, 45 6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide mono(trifluoroacetate) : [4S-(4\alpha,12a\alpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6, 11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(phenylsulfonyl)amino]-2-naphthacenecarboxamide; [4S-(4\alpha,12a\alpha)]-9-[[(4-Chlorophenyl)sulfonyl]-amino]-4,7-bis (dimethylamino)-1,4,4a,5,5a,6,11,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; 4,7-Bis(dimethylamino)-1,4,4a,5, 5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(3-nitrophenyl)sulfonyl] 50 amino-1,11-dioxo-2-naphthacenecaboxamide; [4S-(4α,12aα)]-4,7-Bis(dimethylamino)-1,4,4a, 5a,6,11, 12a-octahydro-3,10,12,12a-tetrahydroxy-9-[[(4-nitrophenyl)sulfonyl]amino]-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4α,12aα)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(2-thienylsulfonyl) amino]-2-naphthacenecarboxamide; [4S-(4α,12aα)]-9-[[(2-(Acetylamino)-4-methyl-5-thiazolylsulfonyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-1,11-dioxo-55 2-naphthacenecarboxamide; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[(ethylsulfonyl)amino]-1,4,4a, 5,5a,6,11,12a-octahydro-3,10, 12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4α,12aα)]-4,7-Bis(dimethylamino)-9-(formylamino)-1,4,4a,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-N-(1-pyrrolidinylmethyl)-2-naphthacenecarboxamide; [4S-(4α,12aα)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-

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octahydro-3,10,12,12a-tetrahydroxy-9-[(methanesulfonyl)amino]-1,11-dioxo-2-naphthacenecarboxamide; -1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[[$(4\alpha,12a\alpha)$]-4,7-Bis(dimethylamino) (phenylmethoxy)acetyl]amino]-2-naphthacenecarboxamide; [7S-(7a,10aa)]-[[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]amino]oxoacetic acid ethyl ester; $[4S-(4\alpha, 12a\alpha)]-4,7$ -Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(hydroxyacetyl)-amino]-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4α, 12aα)]-4,7-Bis(dimethylamino)-9-[[(methylamino)acetyi]-amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo- $2-naphthacenecarboxamide \quad \ \, hydrochloride; \quad [4S-(4\alpha,12a\alpha)]-4-(Dimethylamino)-9-(acetylamino)-1,4,4a,5,5a, \\$ 6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-iodo-1,11-dioxo-2-naphthacenecarboxamide sulfate; [7S-(7α, 10aα)]-[9-(Aminocarbonyl) -4,7-bis (dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a, 11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]carbamic acid methyl ester; [7S-(7α,10aα)]-[9-(Aminocarbonyl)-4, 7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1, 8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]carbamic acid (2-diethylamino)ethyl ester; [7S-(7α,10aα)]-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7, 10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]carbamic acid ethenyl ester; [7S-(7α,10aα)]-[9-(Aminocarbonyl)-4,7-bis (dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]carbamic acid 2-propenyl ester; [4S-(4\alpha,12a\alpha)]-4,7-Bis(dimethylamino)-9-[[(dimethylamino) acetyl]amino]-1,4,4a,5,5a,6, 11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate; [4S-(4α,12aα)]-4,7-Bis-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-9-[(methoxyacetyl)amino]-1,11-dioxo-2-naphthacenecarboxamide hydrochloride; [4S-(4α,12aα)]-9-[(4-Bromo-1-oxobutyl)amino]-4,7-bis (dimethylamino) -1,4, 4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1, 11-dioxo-2-naphthacenecarboxamide sulfate; [4S-(4a, 12aa)]-9-[[(Acetyloxy)acetyl]amino]-4,7-bis(dimethylamino)-1,4,4a, 5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4α,12aα)]-9-(Benzoylamino)-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5, 5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[[3-(trifluoromethyl)benzoyl]amino]-2-naphthacenecarboxamide hydrochloride; [4S-(4\alpha,12a\alpha)]-9-[(4-Aminobenzoyl)amino]-4,7-bis(dimethylamino)-1,4,4a, 5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1, 11-dioxo-2-naphthacenecarboxamide; [4S-(4α,12aα)]-4, 7-Bis(dimethylamino)-9-[[(4-dimethylamino)benzoyl]-amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide hydrochloride; [7S-(7\alpha,10a\alpha)]-[2-[[9-(Aminocarbonyl)-4, 7-bis(dimethylamino)-5,5a, $6,6a,7,10,10a,12-octahydro-1,\quad 8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-amino]-2-oxoethyl] carbamic and the second of the second of$ acid 1,1-dimethylethyl ester hydrochloride; [4S-(4α,12aα)]-9-[(Aminoacetyl)amino]-4, 7-bis-dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3, 10,12, 12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-9-[(ethylsulfonyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1, 11-dioxo-2-naphthacenecarboxamide hydrochloride; [4S-(4α,12aα)]-4,7-Bis(dimethylamino)-1,4,4a, 5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(methanesulfonyl)amino]-1,11-dioxo-2-naphthacenecarboxamide sulfate: [4S-(4α,12aα)]-4,7-Bis-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12 a-tetrahydroxy-1,11-dioxo-9-[[(phenylmethoxy)acetyl]-amino]-2-naphthacenecarboxamide hydrochloride; [4S-(4α,12aα)]-4.7-Bis(dimethylamino)-1.4,4a,5,5a, 6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(hydroxyacetyl)amino]-1,11-dioxo-2-naphthacenecarboxamide sulfate; [4S-(4a,12aa)]-4-(Dimethylamino)-9-(acetylamino)-1,4,4a,5,5a, 6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-7-iodo-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4α,12aα)]-4,7-B is (dimethylanino)-9-[[(dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahy-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahy-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahy-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahy-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahy-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahy-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahy-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahy-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahy-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12a-tetrahy-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12a-tetrahy-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12a-tetrahy-1,4,4a,5,5a,6,11,4,4a,5,5a,6,11,4,4a,6,11,4a,6,11,droxy-1,11-dioxo-2-naphthacenecarboxamide; [7S-(7α,10aα)]-[9-(Aminocarbonyl)-4,7-bis-(dimethylamino)-5,5a, 6,6a,7,10,10a,12-octahydro-1,8, 10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]carbamic acid methyl ester [7S-(7α,10aα)]-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a, 11-tetrahydroxy-10.12-dioxo-2-naphthacenyllcarbamic acid (2-diethylamino)ethyl ester hydrochloride; [7S-(7a, 10aα)]-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8, 10a,11-tetrahydroxy- $10,12-dioxo-2-naphthacenyl] carbamic \ acid \ ethenyl \ ester \ sulfate; \ [7S-(7\alpha,10a\alpha)]-[9-(Aminocarbonyl)-4,7-bis]$ 10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]car-(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8, bamic acid 2-propenyl ester hydrochloride; [4S-(4a,12aa]-4,7-Bis(dimethylamino)-9-[[(diethylamino) acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate; [4S- $(4\alpha, 12a\alpha]$ -4,7-Bis(dimethylamino)-9-[[(diethylamino) acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacènecarboxamide hydrochloride; [4S-(4α,12aα]-4,7-Bis(dimethylamino)-9-[[(diethylamino)acetyl]amino]-1,4,4a,5, 5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4alpha,12aalpha)]-4,7-Bis (dimethylamino)-9-[[(dimethylamino)acetyl]-amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride; [4S-(4α,12aα)]-4,7-Bis(dimethylamino)-9-(chloroacetylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3, 10,12,12atetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4alpha,12aalpha)]-9-[(Chloroacetyl)amino]-4,7-bis (dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxam-

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dihydrochloride; [4S-(4alpha,12aalpha)]-9-[(Bromoacetyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5, 5a. 6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide [4Sdihvdrochloride: (4alpha,12aalpha)]-9-[(Bromoacetyl)amino]-4,7-bis-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,-12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide (free base); [4S-(4alpha,12aalpha)]-9-[(Bromoacetyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11, 12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide monohydrobromide; [4S-(4alpha, 12aalpha)]-9-[(2-Bromo-1-oxopropyl)amino]-4,7-bis-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide hydrobromide; [4S-(4alpha,12aalpha)]-9-[(2-Bromo-1-oxopropyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11, 12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide hydrobromide; [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11, 12a-octahydro-3,10,12,12a-tetrahydroxy-9-[[(methylamino)acetyl]amino]-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride; [7S-(7alpha, 10aalpha)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-4-morpholineacetamide dihydrochloride; [4S-(4alpha,12aalpha)]-4,7-Bis (dimethylamino)-9-[[(ethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride; [4S-(4alpha, 12aalpha)]-9-[[(Cyclopropylamino)acetyl] amino]-4,7-bis-(dimethylamino)-1,4,4a,5,5a,6,11,12aoctahydro-3,10,12, 12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[(butylamino)acetyl] amino]-1,4,4a,5,5a,6, 11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihy-12aalpha)]-9-[[(Diethylamino)acetyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a, drochloride; [4S-(4alpha, 6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride; [7S-(7alpha,10aalpha)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a, 11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-1-pyrrolidineacetamide dihydrochloride; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a, 5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[[(2-methylpropyl)amino] acetyl]amino]-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride; [7S-(7alpha, 10aalpha)]-N-[9-(Aminocarb--4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-1-piperidineacetamide dihydrochloride; [7S-(7alpha,10aalpha)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10, 10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-1H-imidazole-1-acetamide dihydrochloride; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,-5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[[(propylamino)acetyl]amino]-2-naphthacenecarboxamide dihydrochloride; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[dimethylamino)acetyl]amino]-1,4, 4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1, 11-dioxo-2-naphthacenecarboxamide; [4S-(4alpha, 12aalpha)]-4,7-Bis (dimethylamino)-9-[[(hexylamino)-acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[2-(dimethylamino)-1-oxopropyl]amino]-1,4,-4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1, 2-napthacenecarboxamide dihydrochloride; [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,-5,5a, 6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[[2-(methylamino)-1-oxopropyl]amino]-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride; [7S-(7alpha, 10aalpha)]-N-[9-(Aminocarbonyl) -4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-alpha-methyl-1-pyrrolidineacetamide dihydrochloride; [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-9-[[4-(dimethylamino)-1-oxobutyl] amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-napthacenecarboxamide dihydrochloride; [4S-(4alpha,12aalpha)]-9-[[(Butylmethylamino)acetyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a, 6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[[(pentylamino)acetyl]amino]-2-naphthacenecarboxamide dihydrochloride; [4S-(4alpha, 12aalpha)]-4,7-Bis (dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3, 10,12,12a-tetrahydroxy-1,11-dioxo-9-[[(phenylmethyl)amino] acetyl]amino]-2-naphthacenecarboxamide dihydrochloride; [7S-(7alpha,10aalpha)]-N-[2-[[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]ami-12aalpha)]-4,7-Bis(dimethylamino)-9-[[(dimethylamino)-acetyl]amino]no]-2-oxoethyl]glycine; [4S-(4alpha, 1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-1,11-dioxo-N-(1-pyrrolidinylmethyl)-2-naphthacenecarboxamide; [4S-(4alpha,12aalpha)]-4,7-Bis-(dimethylamino)-9-[[(dimethylamino)acetyl]amino]-1,4, 4a,5,5a, 6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1, 11-dioxo-N-(4-morpholinylmethyl)-2-naphthacenecarbox amide; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[(dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-N-(1-piperidinylmethyl)-2-naphthacenecarboxamide; [7S-(7alpha, 10aalpha)]-N-[9-(Aminocarbonyl-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-napthacenyl]-1-azetidineacetamide; [4S-(4alpha,12aalpha)]-9-[[(Cyclobutylamino)acetyl] amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12aoctahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2naphthacenecarboxamide hydrochloride.

- 12. A method according to Claim 6 for producing [4S-(4α,12aα)]-4-(Dimethylamino)-9-(formylamino)1,4,4a,5,5a, 6,11,12a-octahydro-3,10,12,12atetrahydroxy-7-nitro-1,11-dioxo-2-naphthacenecarboxamide sulfate.
- 13. Process for preparing a pharmaceutical composition comprising a compound obtainable by the method according to Claim 1, said process comprising the step of associating a compound as defined in Claim 1 with a pharmaceutically acceptable carrier.

Patentansprüche

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Patentansprüche für folgende Vertragsstaaten: AT, BE, CH, DE, DK, FR, GB, IE, IT, LI, LU, NL, PT, SE

1. Verbindung der Formel:

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wobei:

X ausgewählt ist aus Amino, NR^1R^2 oder Halogen und Halogen ausgewählt ist aus Brom, Chlor, Fluor oder lod; und für den Fall, dass $X = NR^1R^2$ und $R^1 = Wasserstoff$ ist, dann ist

 R^2 = Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl; und für den Fall, dass R^1 = Methyl oder Ethyl ist, dann ist

R² = Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl;

und für den Fall, dass R¹ = n-Propyl ist, dann ist

R² = n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl;

und für den Fall, dass R1 = 1-Methylethyl ist, dann ist

 $R^2 = n$ -Butyl, 1-Methylpropyl oder 2-Methylpropyl;

und für den Fall, dass R1 = n-Butyl ist, dann ist

 $R^2 = n$ -Butyl, 1-Methylpropyl oder 2-Methylpropyl;

und für den Fall, dass R' = 1-Methylpropyl ist, dann ist

 $R^2 = 2$ -Methylpropyl;

R ausgewählt ist aus R⁴(CH₂)_nCO- oder R⁴'(CH₂)_nSO₂-;

und für den Fall, dass $R = R^4(CH_2)_nCO$ - und n = 0 ist, dann wird

R⁴ ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C₁-C₄)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl; einer (C₃-C₆)-Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl, Cyclopentyl oder Cyclohexyl; einer substituierten (C₃-C₆)-Cycloalkylgruppe (wobei eine Substitution ausgewählt wird aus (C₁-C₃)-Alkyl, Cyano, Amino oder (C₁-C₃)-Acyl); einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus

Halogen, (C_1-C_4) =Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl; einer α-Amino- (C_1-C_4) -alkylgruppe, ausgewählt aus Aminomethyl, α-Aminoethyl, α-Aminopropyl oder α-Aminobutyl; einer Carboxy- (C_2-C_4) -alkylaminogruppe, ausgewählt aus Aminoessigsäure, α-Aminobutyr-säure und α-Aminopropionsäure und deren optischen Isomeren; einer (C_7-C_9) -Aralkylaminogruppe; einer (C_1-C_4) -Alkoxycarbonylamino-substituierten (C_1-C_4) -Alkylgruppe, wobei eine Substitution ausgewählt wird aus Phenyl oder p-Hydroxyphenyl; einer α-Hydroxy- (C_1-C_3) -alkylgruppe, ausgewählt aus Hydroxymethyl, α-Hydroxyethyl oder α-Hydroxy-1-methylethyl oder α-Hydroxypropyl; einer α-Mercapto- (C_1-C_3) -alkylgruppe, ausgewählt aus Mercaptomethyl, α-Mercaptoethyl, α-Mercapto-1-methylethyl oder α-Mercaptopropyl; einer Halogen- (C_1-C_3) -alkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

$$\begin{array}{c|c}
 & z^1 \\
 &$$

. Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4) -Alkyl; C_6 -Aryl; substituiertem C_6 -Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl) oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Acyl- oder einer Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, $(C_3$ - C_6)-Cycloalkylcarbonyl, $(C_6$ - C_{10})-Aroyl, das ausgewählt wird aus Benzoyl oder Naphthoyl, Halogen-substituiertem $(C_6$ - C_{10})-Aroyl, $(C_1$ - C_4)-Alkylbenzoyl, oder (Heterocyclus)carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z = N, O, S oder Se

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl; substituiertem C_6 -Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- öder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C_1 - C_4)-Alkoxycarbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, geradkettigem oder verzweigtem Butoxycarbonyl oder Allyloxycarbonyl; einer Vinyl- oder substituierten Vinylgruppe [wobei die Substitution ausgewählt wird aus einer (C_1 - C_3)-Alkylgruppe, Halogen, einer (C_6 - C_{10})-Arylgruppe, ausgewählt wird aus Phenyl, α -Naphthyl, β -Naphthyl, einer substituierten (C_6 - C_{10})-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Triahalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-alkylgruppe, einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzooder Pyridoring aufweist:



oder



Z = N, O, S oder Se,

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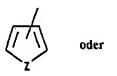
einer (C_1-C_4) -Alkoxygruppe; C_6 -Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, einer Di- (C_1-C_3) -alkylamino); einer (C_7-C_{10}) -Aralkyloxygruppe; einer Vinyloxy- oder substituierten Vinyloxygruppe (wobei eine Substitution ausgewählt wird aus (C_1-C_4) -Alkyl, Cyano, Carboxy, oder (C_6-C_{10}) -Aryl, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl); einer α -Raph-Amino- (C_1-C_4) -alkoxygruppe, wobei α -Raphe ein geradkettiges oder verzweigtes (C_1-C_4) -Alkyl, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl ist, oder α -Raphe für (CH_2) -steht, wobei α -steh

und für den Fall, daß $R = R^4(CH_2)_nCO$ - und n = 1-4, dann wird

R⁴ ausgewählt aus Wasserstoff; Amino, einer geradkettigen oder verzweigten (C_1-C_4) -Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl; einer (C_3-C_6) -Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl, Cyclopentyl, oder Cyclohexyl; einer substituierten (C_3-C_6) -Cycloalkylgruppe (wobei eine Substitution ausgewählt wird aus (C_1-C_3) -Alkyl, Cyano, Amino, oder (C_1-C_3) -Acyl); einer (C_6-C_{10}) -Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C_6-C_{10}) -Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe; einer Acyloxy- oder Halogenacyloxygruppe, ausgewählt aus Acetyloxy, Propionyloxy, Chloracetyloxy, Trichloracetyloxy, (C_3-C_6) -Cycloalkylcarbonyloxy, (C_6-C_{10}) -Aroyloxy, ausgewählt aus Benzoyloxy oder Naphtoyloxy, Halogen-substituiertem (C_6-C_{10}) -Aroyloxy, (C_1-C_4) -Alkylbenzoyloxy, oder (Heterocyclus)carbonyloxy, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring, mit einem N-, O-, Soder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

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Z = N, O, S oder Se,

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oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

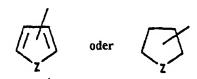
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Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl; substituiertem C_6 -Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C_1 - C_4)-Alkoxygruppe; einer C_6 -Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C_1 - C_3)-alkylamino); einer (C_7 - C_{10})-Aralkyloxygruppe; einer (C_1 - C_3)-Alkylthiogruppe, ausgewählt aus Methylthio, Ethylthio, Propylthio oder Phenylthio; einer C_6 -Arylthiogruppe, ausgewählt aus Phenylthio oder einem substituierten Phenylthio (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C_1 - C_3)-alkylamino); einer C_6 -Arylsulfonylgruppe, ausgewählt aus Phenylsulfonyl oder substituiertem Phenylsulfonyl (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_8)-Aralkylthiogruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benz- oder Pyridoring aufweist:



Z = N. O. S oder Se.

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl; substituiertem C_6 -Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Hydroxygruppe; einer Mercaptogruppe; einer mono- oder di-geradkettigen oder -verzweigten (C_1 - C_6)-Alkylaminogruppe, ausgewählt aus Methyl-, Ethyl-, n-Propyl-, 1-Methylethyl-, n-Butyl-, 1-Methylpropyl-, 2-Methylpropyl-, 1,1-Dimethylethyl-, 2-Methylbutyl-, 1,1-Dimethylpropyl-, 3-Methylbutyl-, n-Hexyl-, 1-Methylpentyl-, 1,1-Dimethyl-, 2,2-Dimethylbutyl-, 2-Methylpentyl-, 1,2-Dimethylbutyl-, 1,3-Dimethylbutyl- oder 1-Methyl-1-ethylpropylamino; einer (C_2 - C_5)-Azacycloalkylgruppe; einer Carboxy-(2-4-)-alkylaminogruppe, ausgewählt aus Aminoessigsäure, α -Aminopropionsäure, α -Aminobutyrsäure und deren optischen Isomeren; einer α -Hydroxy-(C_1 - C_3)-alkylgruppe, ausgewählt aus Hydroxymethyl, α -Hydroxyethyl oder α -Hydroxy-1-methylethyl oder α -Hydroxypropyl; einer Halogen-(C_1 - C_3)-alkylgruppe; einer Acyl- oder Halogenacyl oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C_1 - C_6 -)-Cycloalkylcarbonyl, (C_6 - C_{10} -)-Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C_6 - C_{10} -)-Aroyl, (C_1 - C_4 -)-Alkylbenzoyl oder (Heterocyclus)carbonyl, bei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring it einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteratomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbarten gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl; substituiertem C_6 -Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy; einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C_1-C_4) -Alkoxycarbonylaminogruppe, ausgewählt aus tert-Butoxycarbonylamino, Allyloxycarbonylamino, Methoxycarbonylamino, Ethoxycarbonylamino oder Propoxycarbonylamino; einer (C_1-C_4) -Alkoxycarbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, geradkettigem oder verzweigtem Propoxycarbonyl, Allyloxycarbonyl oder geradkettigem oder verzweigtem Butoxycarbonyl; einer R^aR^b -Amino- (C_1-C_4) -Alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C_1-C_4) -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder wobei R^aR^b für $(CH_2)_n$, n=2 bis 6, steht, oder für- $(CH_2)_2W(CH_2)_2$ - steht, wobei W ausgewählt wird aus -N (C_1-C_3) -Alkyl], O oder S; oder eine R^aR^b -Aminoxygruppe, wobei R^aR^b geradkettiges oder verzweigtes (C_1-C_4) -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder R^aR^b steht für $(CH_2)_n$, n=2 bis 6, oder für- $(CH_2)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus -N (C_1-C_3) -Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C_1-C_3) -Alkyl], O oder S; und für den Fall, dass $R=R^4$ $(CH_2)_nSO_2$ - und R=00, dann wird

R4' ausgewählt aus Amino, monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C_1 - C_6)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C_1 - C_4)-Alkylgruppe, die ausgewählt ist aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1,-Dimethylethyl; einer (C_3 - C_6)-Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl, Cyclopentyl oder Cyclohexyl; einer substituierten (C_3 - C_6)-Cycloalkylgruppe, (wobei eine Substitution ausgewählt wird aus (C_1 - C_3)-Alkyl, Cyano, Amino oder (C_1 - C_3)-Acyl); einer (C_6 - C_{10})-Arylgruppe, ausgewählt wird aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierte (C_6 - C_{10})-Arylgruppe (wobei eine Substitution ausgwählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe; einer Halogen-(C_1 - C_3)-alkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z = N, O, S oder Se

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oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteratomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbarten gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4) -Alkyl; C_6 -Aryl; substituiertem C_6 -Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigem aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer RaRb-Amino- (C_1-C_4) -alkoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes (C_1-C_4) -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder RaRb steht für $(CH_2)_n$, n=2 bis 6, oder - $(CH_2)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus -N(C_1-C_3)-alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C_1-C_3) -Alkyl], O oder S; oder einer RaRb-Aminoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes (C_1-C_4) -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder RaRb ist $(CH_2)_n$, n=2 bis 6, oder - $(CH_2)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus -N(C_1-C_3)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C_1-C_3) -Alkyl], O oder S;

und für den Fall, dass $R = R^4$ (CH_2)_nSO₂- und n = 1 bis 4, dann wird R^4 ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C_1 - C_4)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl; einer (C_1 - C_4)-Carboxyalkylgruppe; einer (C_3 - C_6)-Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl, Cyclopentyl oder Cyclohexyl; einen substituierten (C_3 - C_6)-Cycloalkylgruppe (wobei eine Substitution ausgewählt wird aus (C_1 - C_3)-Alkyl, Cyano, Amino oder (C_1 - C_3)-Acyl); einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C_6 - C_{10})-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-Alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl; einer

 (C_1-C_4) -Alkoxygruppe; einer C_6 -Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_3) -Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di- (C_1-C_4) -alkylamino); einer (C_7-C_{10}) -Aralkyloxygruppe; einer R^aR^b -Amino- (C_1-C_4) -alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C_1-C_4) -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder R^aR^b ist $(CH_2)_n$, n=2 bis 6, oder $-(CH_2)_2W(CH_2)_n$ -, wobei W ausgewählt wird aus $-N(C_1-C_3)$ -Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C_1-C_3) -Alkyl], O oder S; oder einer R^aR^b -Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C_1-C_4) -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist $(CH_2)_n$, n=2 bis 6, oder $-(CH_2)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus $-(C_1-C_3)$ -Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder $-(C_1-C_3)$ -Alkyl, O oder S; einer $-(C_1-C_3)$ -Alkylthiogruppe, ausgewählt aus Methylthio, Ethylthio oder n-Propylthio; einer $-(C_1-C_3)$ -Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di- $-(C_1-C_3)$ -Alkylamino); einer $-(C_1-C_3)$ -Aralkylthiogruppe; einer heterocyclische Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

$$Z = N, O, S$$
 oder Se

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist kann:

$$\begin{array}{c|c}
 & z^1 \\
\hline
 & z^1
\end{array}$$

Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatomen:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4) -Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Hydroxygruppe, einer Mercaptogruppe; einer mono- oder di-geradkettig oder verzweigten (C_1 - C_6)-Alkylaminogruppe, ausgewählt aus Methyl-, n-Propyl-, 1-Methylethyl-, n-Butyl-, n-But

thylpropyl-, 2-Methylpropyl-, 1,1-Dimethylethyl-, 2-Methylbutyl-, 1,1-Dimethylpropyl-, 2,2-Dimethylpropyl-, 3-Methylbutyl-, n-Hexyl-, 1-Methylpentyl-, 1,1-Dimethylbutyl-, 2,2-Dimethylbutyl-, 2-Methylpentyl-, 1,2-Dimethylbutyl-, 1,3-Dimethylbutyl- oder 1-Methyl-1-ethylpropylamino; einer Halogen- (C_1-C_3) -alkylgruppe; einer Acyl- oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C_3-C_6) -Cycloalkylcarbonyl, (C_6-C_{10}) -Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C_6-C_{10}) -Aroyl, (C_1-C_4) -Alkylbenzoyl oder (Heterocyclus)carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

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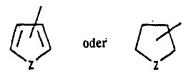
Z = N, O, S oder Se.

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

$$Z$$
 oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se Heteroatomen und einem benachbart gebundenen O-Heteroatom:

- (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),
- oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C₁-C₄)-Alkoxycarbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, geradkettigem oder verzweigtem Propoxycarbonyl, Allyloxycarbonyl oder geradkettigem oder verzweigtem Butoxycarbonyl;
- R⁵ ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer (C₇-C₉)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:



Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

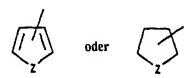
$$Z$$
 oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder - $(CH_2)_nCOOR^7$, wobei n = 0 bis 4 und R⁷ ausgewählt ist aus Wasserstoff; einer geradkettigen oder verzweigten (C_1-C_3) -Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder einer (C_6-C_{10}) -Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl;

R⁶ ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer (C_7 - C_9)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

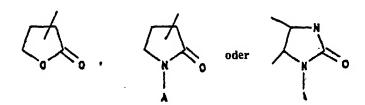


Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

$$Z$$
 oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



- (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),
 - oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder -(CH₂)_nCOOR⁷, wobei n = 0 bis 4 und R⁷ ausgewählt ist aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₃)-Alkyl, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; (C₆-C₁₀)-Aryl, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; mit der Maßgabe, dass R⁵ und R⁶ nicht beide Wasserstoff sein können;
- oder R⁵ und R⁶ bedeuten zusammen -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus (CH₂)_n und n = 0 bis 1, -NH, -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -N(C₁-C₄)-Alkoxy, Sauerstoff, Schwefel oder substituierte Kongenäre, die ausgewählt werden aus (L- oder D-)Prolin, Ethyl(L- oder D-)prolinat, Morpholin, Pyrrolidin oder Piperidin; und die pharmakologisch unbedenklichen organischen und anorganischen Salze oder Metallkomplexe davon.
- 50 2. Die Verbindung nach Anspruch 1, wobei:

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X ausgewählt wird aus Amino, NR¹R² oder Halogen; wobei das Halogen ausgewählt wird aus Brom, Chlor, Fluor oder lod:

und für den Fall, dass X = NR¹R² und R¹ = Wasserstoff ist, dann ist

R² = Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl;

und für den Fall, dass R1 = Methyl oder Ethyl, dann ist

R² = Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl;;

R ausgewählt wird aus R4(CH₂)_nCO- oder R4'(CH₂)_nSO₂-;

und für den Fall, dass $R = R^4(CH_2)_nCO$ - und n = 0, dann wird

R⁴ ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C₁-C₄)-Alkylgruppe, ausgewählt aus Methyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl; einer (C3-C6)-Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl, Cyclopentyl oder Cyclohexyl; einer substituierten (C3-C6)-Cycloalkylgruppe (wobei eine Substitution ausgewählt wird aus (C1-C₃)-Alkyl, Cyano, Amino oder (C₁-C₃)-Acyl); einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer α-Amino-(C₁-C₄)-alkylgruppe, ausgewählt aus Aminomethyl, α-Aminoethyl, α-Aminopropyl oder α-Aminobutyl; einer Carboxy-(C₁-C₄)-alkylaminogruppe, ausgewählt aus Aminoessigsäure, α-Aminobutyrsäure oder α-Aminopropionsäure und deren optischen Isomeren; einer (C₇-C₉)-Aralkylaminogruppe; einer (C₁-C₄)-Alkoxycarbonylamino-substituierten (C1-C4)-Alkylgruppe, wobei eine Substitution ausgewählt wird aus Phenyl oder p-Hydroxyphenyl; einer α -Hydroxy-(C_1 - C_3)-alkylgruppe, ausgewählt aus Hydroxymethyl, α -Hydroxyethyl oder α -Hydroxy-1-methylethyl oder α -Hydroxypropyl; einer Halogen- (C_1-C_3) -alkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

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$$Z = N, O, S$$
 oder Se,

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oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

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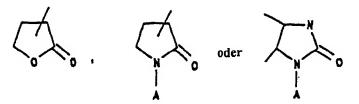
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$$Z$$
 oder $Z^1 = N$, O, S oder Se,

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oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

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(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4) -Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Acyl- oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C_3-C_6) -Cycloalkylcarbonyl, (C_6-C_{10}) -Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C_6-C_{10}) -Aroyl, (C_1-C_4) -Alkylbenzoyl oder (Heterocyclus)carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigem aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

Z = N, O, S oder S,

oder einem fünfgliedrigen Ring mit zwei N-, O-, S- oder Se-Heteratomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

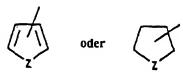
$$\begin{array}{c|c}
 & z^1 \\
 & z^2
\end{array}$$
 oder

$$Z$$
 oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4) -Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C_1 - C_4)-Alkoxycarbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, geradkettigem oder verzweigtem Butoxycarbonyl oder Allyloxycarbonyl; einer Vinyl- oder substituierten Vinylgruppe [wobei eine Substitution ausgewählt wird aus einer (C_1 - C_3)-Alkylgruppe, Halogen, einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl, β -Naphthyl, einer substituierten (C_6 - C_{10})-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-alkylgruppe, einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:



Z = N, O, S oder Sel;

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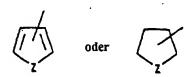
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einer (C1-C4)-Alkoxygruppe; einer C6-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C1-C4)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C1-C₃)-alkylamino); einer (C₇-C₁₀)-Aralkyloxygruppe; einer Vinyloxy- oder substituierten Vinyloxygruppe (wobei eine Substitution ausgewählt wird aus (C₁-C₄)-Alkyl, Cyano, Carboxy, oder (C₆-C₁₀)-Aryl, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl); einer RaRb-Amino-(C1-C4)-alkoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes (C1-C4)-Alkyl ist, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b für $(CH_2)_n$ steht, wobei n=2 bis 6, oder für $-(CH_2)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH,-NOB [wobei B ausgewählt wird aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; oder einer RaRb-Aminoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes (C1-C4)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder $R^{a}R^{b}$ für $(CH_{2})_{n}$ steht, wobei n = 2 bis 6, oder für- $(CH_{2})_{2}W(CH_{2})_{2}$ - steht, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C1-C3)-Alkyl], O oder S; und für den Fall, daß $R = R^4(CH_2)_nCO$ - und n = 1-4, dann wird R4 ausgewählt aus Wasserstoff; einer (C1-C3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder Methylethyl; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-lmidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer (C6-C10)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer Acyloxy- oder Halogenacyloxygruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trichloracetyl, (C3-C6)-Cycloalkylcarbonyl, (C6-C10)-Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C6-C10)-Aroyl, (C1-C4)-Alkylbenzyl, oder (Heterocyclus)-carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-He-



Z = N, O, S oder Se;

teroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:



Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteratomen und einem benachbart gebundenen O-Heteroatom:

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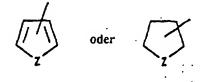
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(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer, (C1-C4)-Alkoxygruppe; einer RaRb-Amino-(C1-C4)-alkoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes (C1-C4)-Alkyl ist, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder R^aR^b ist $(CH_2)_n$, n=2 bis 6, oder $-(CH_2)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus -N(C1-C3)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C1-C3)-Alkyl], O oder S; oder einer RaRb-Aminoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes (C1-C4)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist $(CH_2)_n$, n=2 bis 6, oder $-(CH_2)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus- $N(C_1-C_3)$ -Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C1-C3)-Alkyl], O oder S; einer C6-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C1-C4)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C1-C3)-alkylamino); einer (C1-C3)-Alkylthiogruppe, ausgewählt aus Methylthio, Ethylthio, Propylthio oder Allylthio; einer Ce-Arylthiogruppe, ausgewählt aus Phenylthio oder substituiertem Phenylthio, wobei eine Substitution ausgewählt wird aus Halogen, (C1-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₃)-alkylamino); einer C₆-Arylsulfonylgruppe, ausgewählt aus Phenylsulfonyl oder substituiertem Phenylsulfonyl (wobei eine Substitution ausgewählt wird aus Halogen, (C1-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, ,Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteratom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z = N, O, S oder Se

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

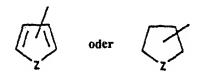
$$\begin{array}{c|c}
 & z^1 \\
 & z^2
\end{array}$$

Z oder $Z^1 = N$, O, S oder Se

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4) -Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Hydroxygruppe; einer α -Hydroxy-(C_1 - C_3)-alkylgruppe, ausgewählt aus Hydroxymethyl, α -Hydroxyethyl oder α -Hydroxy-1-methylethyl oder α -Hydroxypropyl; einer Halogen-(C_1 - C_3)-alkylgruppe; einer Acyl- oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C_3 - C_6)-Cycloalkylcarbonyl, (C_6 - C_{10})-Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C_6 - C_{10})-Aroyl, (C_1 - C_4)-Alkylbenzoyl, oder (Heterocyclus)-carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:



$$Z = N, O, S oder Se,$$

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

- (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C7-C9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl), oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei
 N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C₁-C₄)-Alkoxycarbonylaminogruppe, ausgewählt aus tert-Butoxycarbonylamino, Allyloxycarbonylamino, Methoxycarbonylamino, Ethoxycarbonylamino oder Propoxycarbonylamino;
 - und für den Fall, dass $R = R^4 (CH_2)_n SO_2$ und n = 0, dann wird

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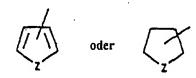
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R4' ausgewählt aus Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C_1 - C_6)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C_6 - C_{10})-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); eine heterocyclische Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatom und einem benachbart gebundenen O-Heteroatom:

- (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl; substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),
 - oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom;
 - und für den Fall, dass $R = R^4'(CH_2)_nSO_2$ ist und n = 1 bis 4, dann wird

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- R⁴ ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C_1 - C_6)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt wird aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C_6 - C_{10})-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_1 - C_4)-Alkoxygruppe; einer C_6 -Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy, (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C_1 - C_3)-alkylamino); einer (C_7 - C_{10})-Aralkyloxygruppe; einer (C_1 - C_4)-Carboxyalkylgruppe;
- R^5 ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer (C_7 - C_9)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

$$\begin{array}{c|c}
 & z^1 \\
 &$$

Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem

benachbart gebundenen O-Heteroatom:

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(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4) -Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

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oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder - $(CH_2)_nCOOR^7$, wobei n = 0 bis 4 und R⁷ ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C_1-C_4) -Alkylgruppe,ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder einer (C_6-C_{10}) -Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl;

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 R^6 ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer (C_7 - C_9)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigtem Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

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Z = N, O, S oder Se,

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oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

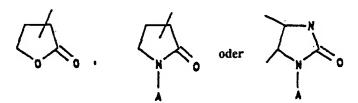
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$$Z \text{ oder } Z^1 = N, O, S \text{ oder Se}$$

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

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(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl; substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro; Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C7-C9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder $(CH_2)_nCOOR^7$, wobei n = 0 bis 4, und R 7 wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_3) -Alkyl, das ausgewählt wird aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder (C_6-C_{40}) -Aryl, das ausgewählt wird aus Phenyl, α -Naphthyl oder β -Naphthyl; mit der Maßgabe, dass R 5 und R 6 nicht beide Wasserstoff sein können;

oder R^5 und R^6 bedeuten zusammen - $(CH_2)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus $(CH_2)_n$ und n=0 bis 1, -NH, -N(C_1 - C_3)-Alkyl [geradkettig oder verzweigt], -N(C_1 - C_4)-Alkoxy, Sauerstoff, Schwefel oder substituierte Kongenäre ausgewählt werden aus (L-oder D-)Prolin, Ethyl-(L- oder -D-)prolinat, Morpholin, Pyrrolidin oder Piperidin; und die pharmakologisch unbedenklichen organischen und anorganischen Salze oder Metallkomplexe.

3. Die Verbindung nach Anspruch 1, wobei:

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X ausgewählt wird aus Amino, NR¹R² oder Halogen; wobei das Halogen ausgewählt wird aus Brom, Chlor, Fluor oder lod;

und für den Fall, dass X = NR¹R² und R¹ = Wasserstoff ist, dann ist

R² = Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl; und für den Fall, dass R¹ = Methyl oder Ethyl ist, dann ist

R2 = Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl;

R ausgewählt wird aus R4(CH2)nCO- oder R4'(CH2)nSO2-;

annellierten Benzo- oder Pyridoring aufweisen kann:

und für den Fall, dass $R = R^4(CH_2)_nCO$ - und n = 0 ist, dann wird

R4 ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C1-C6)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C1-C2)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C3-C6)-Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl, Cyclopentyl oder Cyclohexyl; einer substituierten (C3-C₆)-Cycloalkylgruppe (wobei eine Substitution ausgewählt wird aus (C₁-C₃)-Alkyl, Cyano, Amino oder (C₁-C₃)-Acyl); einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer α-Amino-(C₁-C₄)-alkylgruppe, ausgewählt aus Aminomethyl, α-Aminoethyl, α-Aminopropyl oder α-Aminobutyl; einer Carboxy-(C₁- C_A)-alkylaminogruppe, ausgewählt aus Aminoessigsäure, α -Aminobutyrsäure oder α -Aminopropionsäure und deren optischen Isomeren; einer (C7-C9)-Aralkylaminogruppe; einer (C1-C4)-Alkoxycarbonylamino-substituierten (C_1-C_4) -Alkylgruppe, wobei eine Substitution ausgewählt wird aus Phenyl oder p-Hydroxyphenyl; einer α -Hydroxy-(C₁-C₃)-alkylgruppe, ausgewählt aus Hydroxymethyl, α-Hydroxyethyl oder α-Hydroxy-1-methylethyl oder α-Hydroxypropyl; einer Halogen-(C₁-C₃)-alkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen

oder Z

Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

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Z oder $Z^1 = N$, O, S oder Se

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4) -Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl), oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Acyl- oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C_3-C_6) -Cycloalkylcarbonyl, (C_6-C_{10}) -Aroyl, das ausgewählt wird aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C_6-C_{10}) -Aroyl, (C_1-C_4) -Alkylbenzoyl oder (Heterocyclus)carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigem aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzooder Pyridoring aufweist:

Z = N, O, S oder Se,

oder einem fünfgliedrigen Ring mit zwei N-, O-, S- oder Se-Heteratomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

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(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C1-C4)-Alkoxy, Trihalogen-(C1-C3)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl), oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C1-C4)-Alkoxycarbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, geradkettigem oder verzweigtem Propoxylcarbonyl, geradkettigem oder verzweigtem Butoxycarbonyl oder Allyloxycarbonyl; einer Vinyl- oder substituierten Vinylgruppe, wobei eine Substitution ausgewählt wird aus einer (C₁-C₃)-Alkylgruppe, Halogen, einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl, β -Naphthyl, einer substituierten (C_6 - C_{10})-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy), einer Halogen- (C_1-C_3) -alkylgruppe, einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

Z = N, O, S oder Se,

einer (C₁-C₂)-Alkoxygruppe; einer C₆-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, einer Di- (C_1-C_3) -alkylamino; einer (C_7-C_{10}) -Aralkyloxygruppe; einer Vinyloxy- oder substituierten Vinyloxygruppe (wobei eine Substitution ausgewählt wird aus (C1-C4)-Alkyl, Cyano, Carboxy), oder (C6-C10)-Aryl, das ausgewählt wird aus Phenyl, α-Naphthyl oder β-Naphthyl); einer RaRb-Amino-(C₁-C₄)-alkoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes (C1-C4)-Alkyl ist, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder RaRb ist (CH2)n, wobei n = 2 bis 6, oder -(CH2)2W(CH2)2-, wobei W ausgewählt wird aus -N(C1-C3)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C1-C₃)-Alkyl], O oder S; oder einer R^aR^b-Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder RaRb für (CH₂)_n steht, wobei n = 2 bis 6, oder für-(CH₂)₂W(CH₂)₂- steht, wobei W ausgewählt wird aus -N(C1-C3)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C1-C3)-Alkyl], O oder S;

und für den Fall, daß $R = R^4(CH_2)_nCO$ - und n = 1-4, dann wird

R4 ausgewählt aus Wasserstoff; einer (C1-C3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C1-C6)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt ist aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, $\hbox{1-lmidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer (C_6-C_{10})-Arylgruppe, ausgewählt ausgewählt ausgewählt.}$ Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C_6 - C_{10})-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C1-C4)-Alkoxy, Trihalogen-(C1-C3)-alkyl, Nitro, Amino, Cyano, (C1-C4)-Alkoxycarbonyl, (C1-C4)-Alkoxycarbony C₃)-Alkylamino oder Carboxy); einer Acyloxy oder Halogenacyloxygruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trichloracetyl, (C₃-C₆)-Cycloalkylcarbonyl, (C₆-C₁₀)-Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C₆-C₁₀)-Aroyl, (C₁-C₄)-Alkylbenzoyl, oder (Heterocyclus)-carbonyl, wobei der Heterocyclus

ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z = N, O, S oder Se

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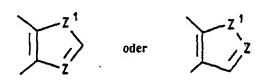
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oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:



$$Z$$
 oder $Z^1 = N$, O, S oder Se

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteratomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C₁-C₄)-Alkoxygruppe; einer C₆-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₂)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₃)-alkylamino; einer RaRb-Amino-(C₁-C₄)-alkoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes (C1-C4)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder R^aR^b ist $(CH_2)_n$, n=2 bis 6, oder- $(CH_2)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus -N(C1-C3)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C1-C3)-Alkyl], O oder S; oder einer RaRb-Aminoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes (C1-C4)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist $(CH_2)_n$, n=2 bis 6, oder- $(CH_2)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus -N (C_1-C_3) -Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C1-C3)-Alkyl], O oder S; einer (C1-C3)-Alkylthiogruppe, ausgewählt aus Methylthio, Ethylthio, Propylthio oder Allylthio; einer C6-Arylthiogruppe, ausgewählt aus Phenylthio oder substituiertem Phenylthio (wobei eine Substitution ausgewählt wird aus Halogen, (C₁- $\textbf{C_4)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C_1-C_3)-alkylamino); einer (C_1-C_3)-Alkylthiogruppe, ausgewählt aus der die eine Ausgewählt ausgewählt aus der die eine Ausgewählt aus der$ aus Methylthio, Ethylthio, Propylthio oder Allylthio; einer C6-Arylthiogruppe, ausgewählt aus Phenylthio oder substituiertem Phenylthio, wobei eine Substitution ausgewählt wird aus Halogen, (C1-C4)-Alkyl, Nitro, Cyano, Thiol,

Amino, Carboxy, Di-(C₁-C₃)-alkylamino); einer C₆-Arylsulfonylgruppe, ausgewählt aus Phenylsulfonyl oder substituiertem Phenylsulfonyl (wobei eine Substituien ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteratom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



$$Z = N, O, S$$
 oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

$$Z$$
 oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl; substitutiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Hydroxygruppe; einer α -Hydroxy-(C_1 - C_3)-alkylgruppe, ausgewählt aus Hydroxymethyl, α -Hydroxyethyl oder α -Hydroxy-1-methylethyl oder α -Hydroxypropyl; einer Halogen-(C_1 - C_3)-alkylgruppe; einer Acyl- oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C_3 - C_6)-Cycloalkylcarbonyl, (C_6 - C_{10})-Aroyl, das ausgewählt wird aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C_6 - C_{10})-Aroyl, (C_1 - C_4)-Alkylbenzoyl, oder (Heterocyclus)- carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:



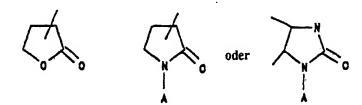
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:



$$Z$$
 oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C_1 - C_4)-Alkoxycarbonylaminogruppe, ausgewählt aus tert-Butoxycarbonylamino, Allyloxycarbonylamino, Methoxycarbonylamino, Ethoxycarbonylamino oder Propoxycarbonylamino; und für den Fall, dass $R = R^4$ (CH_2)_n SO_2 - und n = 0, dann wird

 R^4 ' ausgewählt aus Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C_1 - C_4)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt wird aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C_6 - C_{10})-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z = N, O, S oder Se,

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oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

$$Z$$
 oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatom und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl, substitutiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C7-C9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom;

und für den Fall, dass $R = R^4(CH_2)_nSO_2$ - ist und n = 1 bis 4, dann wird

R4' ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, das ausgewählt ist aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt wird aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C1-C3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer RaRb-Amino-(C1-C4)-alkoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes (C1-C4)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist $(CH_2)_n$, n = 2 bis 6, oder- $(CH_2)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus - $N(C_1-C_3)$ -alkyl [geradkettig oder verzweigt], -NH, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C1-C3)-Alkyl], O oder S; oder einer RaRb-Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C_1 - C_4)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder R^aR^b ist $(CH_2)_n$, n=2 bis 6, oder -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-alkyl [geradkettig oder verzweigt], -NH, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C1-C3)-Alkyl], O oder S; R5 wird ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C1-C3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer (C₇-C₉)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem

N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

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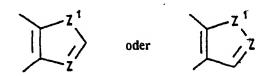
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Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4) -Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder - $(CH_2)_nCOOR^7$, wobei n=0 bis 4 und R^7 ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C_1-C_3) -Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder (C_6-C_{10}) -Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl;

 R^6 wird ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer (C_7 - C_9)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigtem Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

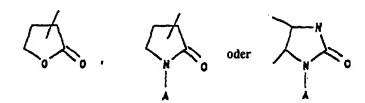


Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

$$Z$$
 oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



- (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),
 - oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder $(CH_2)_nCOOR^{7'}$, wobei n = 0 bis 4, und R^{7'} wird ausgewählt aus Wasserstoff; einem geradkettigen oder verzweigten (C_1-C_3) -Alkyl, das ausgewählt wird aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder einem (C_6-C_{10}) -Aryl, das ausgewählt wird aus Phenyl, α -Naphthyl oder β -Naphthyl; mit der Maßgabe, dass R⁵ und R⁶ nicht gleichzeitig Wasserstoff sein können;
- oder R⁵ und R⁶ bedeuten zusammen -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus (CH₂)_n und n = 0 bis 1, -NH, -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -N(C₁-C₄)-Alkoxy, Sauerstoff, Schwefel oder substituierte Kongenäre, die ausgewählt werden aus (L- oder D-)Prolin, Ethyl-(L- oder -D-)prolinat, Morpholin, Pyrrolidin oder Piperidin; und die pharmakologisch unbedenklichen organischen und anorganischen Salze oder Metallkomplexe.
- 50 4. Die Verbindung nach Anspruch 1, wobei:

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X ausgewählt wird aus Amino, NR¹R² oder Halogen; wobei das Halogen ausgewählt wird aus Brom, Chlor, Fluor oder lod:

und für den Fall, dass $X = NR^1R^2$ und $R^1 = Wasserstoff$ ist, dann ist

R² = Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl;

und für den Fall, dass R1 = Methyl oder Ethyl, dann ist

R² = Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl;

R wird ausgewählt aus R4(CH₂)_nCO- oder R4'(CH₂)_nSO₂-;

und für den Fall, dass $R = R^4(CH_2)_nCO$ - und n = 0, dann wird

 R^4 ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C_1 - C_6)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt wird aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C_1 - C_2)-Alkylgruppe, ausgewählt aus Methyl oder Ethyl, einer (C_6 - C_{10})-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Mkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer Carboxy-(C_2 - C_4)-alkylaminogruppe, ausgewählt aus Aminopessigsäure, α -Aminobutyrsäure oder α -Aminopropionsäure und deren optische Isomere; einer α -Hydroxy-(C_1 - C_3)-alkylgruppe, ausgewählt aus Hydroxymethyl, α -Hydroxyethyl oder α -Hydroxy-1-methylethyl oder α -Hydroxypropyl; einer Halogen-(C_1 - C_3)-alkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

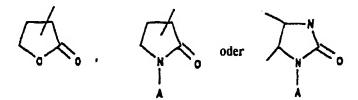
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

$$\begin{array}{c|c}
 & z^1 \\
 & z^1 \\
 & z^1
\end{array}$$

$$Z$$
 oder $Z^1 = N$, O, S oder Se

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C₁-C₄)-Alkoxycarbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, einem geradkettigen oder verzweigten Propoxylcarbonyl, einem geradkettigen oder verzweigten Butoxycarbonyl oder Allyloxycarbonyl; einer Vinyl oder substituierten Vinylgruppe [wobei eine Substitution ausgewählt

wird aus einer (C_1 - C_3)-Alkylgruppe], Halogen, einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Vinyl, α -Naphthyl, β -Naphthyl, einer substitutierten (C_6 - C_{10})-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, einer (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-Alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy), einer Halogen-(C_1 - C_3)-alkylgruppe, einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen gesättigten Ring, mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

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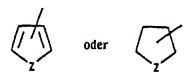
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Z = N, O, S oder Se];

einer (C_1-C_4) -Alkoxygruppe; einer C_6 -Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, einer Di- (C_1-C_3) -alkylamino; einer (C_7-C_{10}) -Aralkyloxygruppe; einer Vinyloxy- oder substituierten Vinyloxygruppe (wobei eine Substitution ausgewählt wird aus (C_1-C_4) -Alkyl, Cyano, Carboxy, oder (C_6-C_{10}) -Aryl, das ausgewählt wird aus Phenyl, α -Naphthyl oder β -Naphthyl); einer RaRb-Amino- (C_1-C_4) -alkoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes (C_1-C_4) -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder RaRb ist $(CH_2)_n$, wobei n=2 bis 6, oder- $(CH_2)_2$ W($CH_2)_2$ -, wobei W ausgewählt wird aus -N(C_1-C_3)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [wobei B ausgewählt wird aus Wasserstoff oder einem (C_1-C_3) -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder RaRb ist $(CH_2)_n$, wobei n=2 bis 6, oder - $(CH_2)_2$ W($CH_2)_2$ -, wobei W ausgewählt wird aus -N (C_1-C_3) -Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C_1-C_3) -Alkyl], O oder S;

und für den Fall, daß $R = R^4(CH_2)_nCO$ - und n = 1-4, dann wird R^4 ausgewählt aus Wasserstoff; Amino, einer (C_1-C_3) -Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl; Amino; monosubstituiertem Amino, das ausgewählt ist aus geradkettigem oder verzweigtem (C_1-C_6) -Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt ist aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl) amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer (C_6-C_{10}) -Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C_6-C_{10}) -Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer Acyloxy oder Halogenacyloxygruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trichloracetyl, (C_3-C_6) -Cycloalkylcarbonyl, (C_6-C_{10}) -Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C_6-C_{10}) -Aroyl, (C_1-C_4) -Alkylbenzyl, oder (Heterocyclus)carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z = N, O, S oder Se,

oder einem fünfgliedrigen Ring mit zwei N-, O-, S- oder Se-Heteratomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

$$\begin{array}{c|c}
z^1 & \\
z^2 & \\
z^2 & \\
z^2 & \\
z^3 & \\
z^4 & \\
z^4 & \\
z^5 & \\
z^6 & \\
z^6 & \\
z^6 & \\
z^7 & \\
z^8 &$$

Z oder $Z^1 = N$, O, S oder Se

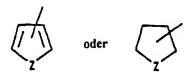
oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl, substitutiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C7-C9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C_1-C_4) -Alkoxygruppe, einer R^aR^b -Amino- (C_1-C_4) -alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C_1-C_4) -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist $(CH_2)_n$, wobei n=2 bis 6, oder $(CH_2)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus $N(C_1-C_3)$ -Alkyl [geradkettig oder verzweigt], NH, NOB [wobei B ausgewählt wird aus Wasserstoff oder (C_1-C_3) -Alkyl], O oder S; oder einer R^aR^b -Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C_1-C_4) -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder R^aR^b ist $(CH_2)_n$, wobei n=2 bis 6, oder $(CH_2)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus $N(C_1-C_3)$ -Alkyl [geradkettig oder verzweigt], NH, NOB [B wird ausgewählt aus Wasserstoff oder (C_1-C_3) -Alkyl], O oder S; einer α -Hydroxy- (C_1-C_3) -alkylgruppe, ausgewählt aus Hydroxymethyl, α -Hydroxyethyl oder α -Hydroxy-1-methylethyl oder α -Hydroxypropyl; einer Halogen- (C_1-C_3) -alkylgruppe; einer (C_1-C_4) -Alkoxycarbonylamino, Methoxycarbonylamino, Ethoxycarbonylamino oder Propoxycarbonylamino;

und für den Fall, daß $R = R^4(CH_2)_nSO_2$ - und n = 0, dann wird

 R^4 ' ausgewählt aus Amino, monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C_1 - C_6)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C_1 - C_2)-Alkylgruppe, ausgewählt aus Methyl oder Ethyl; einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; eine substituierte (C_6 - C_{10})-Arylgruppe, wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); eine heterocyclische Gruppe, ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z = N, O, S oder Se,

oder einem fünfgliedrigen Ring mit zwei N-, O-, S- oder Se-Heteratomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

$$\begin{array}{c|c}
 & z^1 \\
 & z^1 \\
 & z^1
\end{array}$$

$$Z$$
 oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen oder einem benachbart gebunden O-Heteroatom;

und für den Fall, dass $R = R^{4'} (CH_2)_n SO_2$ - und n = 1 bis 4, dann wird

 R^4 ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C_1 - C_2)-Alkylgruppe, ausgewählt aus Methyl oder Ethyl;

 R^5 wird ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer (C_7 - C_9)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

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Z = N, O, S oder Se,

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oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

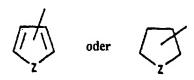
Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4) -Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder - $(CH_2)_nCOOR^7$, wobei n = 0 bis 4 und R⁷ ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C_1-C_3) -Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder (C_6-C_{10}) -Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl;

 R^6 ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer (C_7 - C_9)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:



Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

$$Z^1$$
 oder Z^1

Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl; substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder - $(CH_2)_nCOOR^7$, wobei n = 0 - 4 ist und R 7 ausgewählt wird aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_3)-Alkyl, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder (C_6 - C_{10})-Aryl, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; mit der Maßgabe, dass R 5 und R 6 nicht beide Wasserstoff sein können;

oder R^5 und R^6 bedeuten zusammen - $(CH_2)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus $(CH_2)_n$ und n=0-1, -NH, -N(C_1 - C_3)-Alkyl [geradkettig oder verzweigt], -N(C_1 - C_4)-Alkoxy, Sauerstoff, Schwefel oder substituierte Kongenäre, die ausgewählt werden aus (L- oder D-)Prolin, Ethyl-(L- oder D-)prolinat, Morpholin, Pyrrolidin oder Piperidin; und die pharmakologisch unbedenklichen organischen und anorganischen Salze oder Metallkomplexe davon.

5. Die Verbindung nach Anspruch 1, wobei

X ausgewählt wird aus Amino, NR¹R² oder Halogen; wobei das Halogen ausgewählt wird aus Brom, Chlor, Fluor oder lod;

und für den Fall, dass $X = NR^1R^2$ und $R^1 = Methyl oder Ethyl ist, dann ist$

 R^2 = Methyl oder Ethyl;

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R ausgewählt wird aus R⁴(CH₂)_nCO- oder R⁴(CH₂)_nSO₂-;

und für den Fall, dass $R = R^4(CH_2)_nCO$ - und n = 0, dann wird

 R^4 ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C_1 - C_2)-Alkylgruppe, ausgewählt aus Methyl oder Ethyl; einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C_6 - C_{10})-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Nitro, Amino oder (C_1 - C_2)-Alkoxycarbonyl); einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, oder S-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

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Z = N, O oder S,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, oder S-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

$$Z \text{ oder } Z^1 = N, O \text{ oder } S,$$

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O- oder S-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_2) -Alkyl; C_6 -Aryl), einer (C_1-C_4) -Alkoxycarbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, geradkettigem oder verzweigtem Propoxylcarbonyl, geradkettigem oder verzweigtem Butoxycarbonyl oder Allyloxycarbonyl; einer Vinyl oder subsitulierten Vinylgruppe (wobei eine Substitution ausgewählt wird aus einer (C_1-C_2) -Alkylgruppe, einer (C_6-C_{10}) -Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkoxy, (C_1-C_4) -Alkoxycarbonyl, Halogen, (C_1-C_3) -Alkylgruppe); einer (C_1-C_4) -Alkoxygruppe; einer (C_1-C_4) -Alkoxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei die Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkyl; einer (C_7-C_9) -Aralkyloxygruppe; einer Vinyloxy-oder substituierten Vinyloxygruppe (wobei eine Substitution ausgewählt wird aus (C_1-C_2) -Alkyl; einer (C_1-C_4) -Alkyl; einer $(C_1-C_$

und für den Fall, dass $R = R^4(CH_2)_nCO$ - und n = 1 bis 4 ist, dann wird

R⁴ ausgewählt aus Wasserstoff; einer $(C_1\text{-}C_2)$ -Alkylgruppe, ausgewählt aus Methyl oder Ethyl; Amino; monosubstituiertem Amino, das ausgewählt ist aus geradkettigem oder verzweigtem $(C_1\text{-}C_6)$ -Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt ist aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, oder 1-(1,2,3-Triazolyl); einer $(C_6\text{-}C_{10})$ -Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten $(C_6\text{-}C_{10})$ -Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, $(C_1\text{-}C_4)$ -Alkoxy, Nitro, Amino, $(C_1\text{-}C_4)$ -Alkoxycarbonyl); einer Acyloxy oder Halogenacyloxygruppe, ausgewählt aus Acetyl, Propionyl oder Chloracetyl; einer $(C_1\text{-}C_4)$ -Alkoxygruppe; carbonyl, einer RaRb-Amino- $(C_1\text{-}C_4)$ -alkoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes $(C_1\text{-}C_4)$ -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl ist, oder RaRb ist $(CH_2)_n$, wobei n=2 bis 6, oder -

 $(CH_2)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus -N(C_1 - C_3)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [wobei B ausgewählt wird aus Wasserstoff oder $(C_1$ - C_3)-Alkyl], O oder S; oder einer RaRb-Aminoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes $(C_1$ - C_4)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl; oder RaRb ist $(CH_2)_n$ steht, wobei n=2 bis 6, oder - $(CH_2)_2W$ $(CH_2)_2$ -, wobei W ausgewählt wird aus -N(C_1 - C_3)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder $(C_1$ - C_3)-Alkyl], O oder S; einer Halogen- $(C_1$ - C_3)-alkylgruppe; einer $(C_1$ - C_4)-Alkoxycarbonylaminogruppe, ausgewählt aus tert-Butoxycarbonylamino, Allyloxycarbonylamino, Methoxycarbonylamino, Ethoxycarbonylamino oder Propoxycarbonylamino;

und für den Fall, daß $R = R^4'(CH_2)_nSO_2$ - und n = 0, dann wird R^4' ausgewählt aus einer geradkettigen oder verzweigten (C_1-C_2) -Alkylgruppe, ausgewählt aus Methyl oder Ethyl; einer (C_6-C_{10}) -Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substitutierten (C_6-C_{10}) -Arylgruppe, (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkoxy, Nitro, (C_1-C_4) -Alkoxycarbonyl); einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring, mit einem N-, O- oder S-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

oder Z

Z = N, O oder S

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O- oder S-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

oder Z

Z oder $Z^1 = N$, O oder S

und für den Fall, dass $R = R^4(CH_2)_nSO_2$ - und n = 1 bis 4, dann wird

R⁴' ausgewählt aus Wasserstoff, einer geradkettigen oder verzweigten (C₁-C₂)-Alkylgruppe, ausgewählt aus Methyl oder Ethyl;

 R^5 wird ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl;

 R^6 wird ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; mit der Maßgabe, dass R^5 und R^6 nicht beide Wasserstoff sein können; oder R^5 und R^6 sind zusammen - $\{CH_2\}_2W(CH_2\}_2$ -, wobei W ausgewählt wird aus $\{CH_2\}_n$ und n=0 bis 1, -NH, -N $\{C_1$ - $C_3\}$ -Alkyl [geradkettig oder verzweigt], -N $\{C_1$ - $C_4\}$ -Alkoxy, Sauerstoff, Schwefel oder substituierte Kongenäre, die ausgewählt werden aus $\{L-0\}$ -Prolin, Ethyl $\{L-0\}$ -Oprolinat, Morpholin, Pyrrolidin oder Piperidin; und die pharmakologisch unbedenklichen organischen und anorganischen Salze oder Metallkomplexe davon.

6. Verbindung der Formel:

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wobei:

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Y für NO2 steht;

R ausgewählt ist aus R⁴(CH₂)_nCO- oder R⁴'(CH₂)_nSO₂-;

und für den Fall, dass $R = R^4(CH_2)_nCO$ - und n = 0 ist, dann wird

R4 ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C1-C6)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C₁-C₄)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl; einer (C3-C6)-Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl, Cyclopentyl oder Cyclohexyl; einer substituierten (C₃-C₆)-Cycloalkylgruppe (wobei eine Subsitution ausgewählt wird aus (C_1 - C_3)-Alkyl, Cyano, Amino oder (C_1 - C_3)-Acyl); einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β-Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)=Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl; einer α -Amino-(C_1 - C_4)-alkylgruppe, ausgewählt aus Aminomethyl, α -Aminoethyl, α -Aminopropyl oder α-Aminobutyl; einer Carboxy-(C2-C4)-alkylaminogruppe, ausgewählt aus Aminoessigsäure, α-Aminobutyrsäure und α -Aminopropionsäure und deren optischen Isomeren; einer (C_7 - C_9)-Aralkylaminogruppe; einer (C_1 - C_4)-Alkoxycarbonylamino-substituierten (C₁-C_a)-Alkylgruppe, wobei eine Substitution ausgewählt wird aus Phenyl oder p-Hydroxyphenyl; einer α -Hydroxy-(C_1 - C_3)-alkylgruppe, ausgewählt aus Hydroxymethyl, α -Hydroxyethyl oder α -Hydroxy-1-methylethyl oder α -Hydroxypropyl; einer α -Mercapto- (C_1-C_3) -alkylgruppe, ausgewählt aus Mercaptomethyl, α-Mercaptoethyl, α-Mercapto-1-methylethyl oder α-Mercaptopropyl; einer Halogen-(C₁-C₃)-alkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4) -Alkyl; C_6 -Aryl; substituiertem C_6 -Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl) oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Acyl- oder einer Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, $(C_3$ - C_6)-Cycloalkylcarbonyl, $(C_6$ - C_{10})-Aroyl, das ausgewählt wird aus Benzoyl oder Naphthoyl, Halogen-substituiertem $(C_6$ - C_{10})-Aroyl, $(C_1$ - C_4)-Alkylbenzoyl, oder (Heterocyclus)carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

$$\begin{array}{c} z^1 \\ \hline \\ z \end{array} \qquad \text{oder} \qquad \begin{array}{c} z^1 \\ \hline \\ \end{array}$$

$$Z$$
 oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem

benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl; substituiertem C_6 -Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C_1 - C_4)-Alkoxycarbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, geradkettigem oder verzweigtem Propoxycarbonyl, geradkettigem oder verzweigtem Butoxycarbonyl oder Allyloxycarbonyl; einer Vinyl- oder substituierten Vinylgruppe [wobei die Substitution ausgewählt wird aus einer (C_1 - C_3)-Alkylgruppe, Halogen, einer (C_6 - C_{10})-Arylgruppe, ausgewählt wird aus Phenyl, α -Naphthyl, β -Naphthyl, einer substituierten (C_6 - C_{10})-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Triahalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-alkylgruppe, einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzooder Pyridoring aufweist:

Z = N, O, S oder Se,

einer (C_1 - C_4)-Alkoxygruppe; C_6 -Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, einer Di-(C_1 - C_3)-alkylamino); einer (C_7 - C_{10})-Aralkyloxygruppe; einer Vinyloxy- oder substituierten Vinyloxygruppe (wobei eine Substitution ausgewählt wird aus (C_1 - C_4)-Alkyl, Cyano, Carboxy, oder (C_6 - C_{10})-Aryl, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl); einer RaRb-Amino-(C_1 - C_4)-alkoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes (C_1 - C_4)-Alkyl, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl ist, oder RaRb für (C_1 - C_3)-steht, wobei n = 2 bis 6, oder für -(C_1 - C_3)-V(C_1 - C_3)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C_1 - C_3)-Alkyl], O oder S; oder einer RaRb-Aminoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes (C_1 - C_4)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl, oder RaRb für (C_1 - C_3)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C_1 - C_3)-Alkyl], O oder S;

und für den Fall, daß $R = R^4(CH_2)_nCO$ - und n = 1-4, dann wird R^4 ausgewählt aus Wasserstoff; Amino, einer geradkettigen oder verzweigten (C_1-C_4) -Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl; einer (C_3-C_6) -Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl, Cyclopentyl, oder Cyclohexyl; einer substituierten (C_3-C_6) -Cycloalkylgruppe (wobei eine Substitution ausgewählt wird aus (C_1-C_3) -Alkyl, Cyano, Amino, oder (C_1-C_3) -Acyl); einer (C_6-C_{10}) -Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C_6-C_{10}) -Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgrup-

pe; einer Acyloxy- oder Hałogenacyloxygruppe, ausgewählt aus Acetyloxy, Propionyloxy, Chloracetyloxy, Trichloracetyloxy, (C_3-C_6) -Cycloalkylcarbonyloxy, (C_6-C_{10}) -Aroyloxy, ausgewählt aus Benzoyloxy oder Naphtoyloxy, Halogen-substituiertem (C_6-C_{10}) -Aroyloxy, (C_1-C_4) -Alkylbenzoyloxy, oder (Heterocyclus)carbonyloxy, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring, mit einem N-, O-, Soder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

$$Z = N, O, S$$
 oder Se,

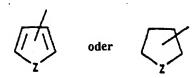
oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

$$Z$$
 oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl; substituiertem C₆-Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C_1-C_4) -Alkoxygruppe; einer C_6 -Aryloxygruppe, ausgewählt aus Phenoxy oder substitutiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di- (C_1-C_3) -alkylamino); einer (C_7-C_{10}) -Aralkyloxygruppe; einer (C_1-C_3) -Alkylthiogruppe, ausgewählt aus Methylthio, Ethylthio, Propylthio oder Phenylthio; einer C_6 -Arylthiogruppe, ausgewählt aus Phenylthio oder einem substituierten Phenylthio (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di- (C_1-C_3) -alkylamino); einer C_6 -Arylsulfonylgruppe, ausgewählt aus Phenylsulfonyl oder substituiertem Phenylsulfonyl (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_8) -Aralkylthiogruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benz- oder Pyridoring aufweist:



Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

$$Z$$
 oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl; substituiertem C₆-Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Hydroxygruppe; einer Mercaptogruppe; einer mono- oder di-geradkettigen oderverzweigten (C_1 - C_6)-Alkylaminogruppe, ausgewählt aus Methyl-, Ethyl-, n-Propyl-, 1-Methylethyl-, n-Butyl-, 1-Methylpropyl-, 2-Methylpropyl-, 2-Methylpropyl-, 1,1-Dimethylethyl-, 2-Methylbutyl-, 1-Dimethylpropyl-, 2,2-Dimethylpropyl-, 3-Methylbutyl-, n-Hexyl-, 1-Methylpentyl-, 1,1-Dimethyl-, 2,2-Dimethylbutyl-, 2-Methylpentyl-, 1,2-Dimethylbutyl-, 1,3-Dimethylbutyl- oder 1-Methyl-1-ethylpropylamino; einer (C_2 - C_5)-Azacycloalkylgruppe; einer Carboxy-(2-4-)-alkylaminogruppe, ausgewählt aus Aminoessigsäure, α -Aminopropionsäure, α -Aminobutyrsäure und deren optischen Isomeren; einer α -Hydroxy-(C_1 - C_3)-alkylgruppe, ausgewählt aus Hydroxymethyl, α -Hydroxyethyl oder α -Hydroxy-1-methylethyl oder α -Hydroxypropyl; einer Halogen-(C_1 - C_3)-alkylgruppe; einer Acyl- oder Halogenacyl oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C_1 - C_6 -)-Cycloalkylcarbonyl, (C_6 - C_{10} -)-Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C_6 - C_{10} -)-Aroyl, (C_1 - C_4 -)-Alkylbenzoyl oder (Heterocyclus)carbonyl, bei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring it einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z = N, O, S oder Se,

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oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteratomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbarten gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4) -Alkyl; C_6 -Aryl; substituiertem C_6 -Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy; einer (C_7-C_9) -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C_1 - C_4)-Alkoxycarbonylaminogruppe, ausgewählt aus tert-Butoxycarbonylamino, Allyloxycarbonylamino, Methoxycarbonylamino, Ethoxycarbonylamino oder Propoxycarbonylamino; einer (C_1 - C_4)-Alkoxycarbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, geradkettigem oder verzweigtem Propoxycarbonyl, Allyloxycarbonyl oder geradkettigem oder verzweigtem Butoxycarbonyl; einer R^aR^b -Amino-(C_1 - C_4)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C_1 - C_4)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder wobei R^aR^b für (CH_2) $_n$, n=2 bis 6, steht, oder für -(CH_2) $_2$ W(CH_2) $_2$ - steht, wobei W ausgewählt wird aus -N(C_1 - C_3)-Alkyl], O oder S; oder eine R^aR^b -Aminoxygruppe, wobei R^aR^b geradkettiges oder verzweigtes (C_1 - C_4)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder R^aR^b steht für (CH_2) $_n$, n=2 bis 6, oder für -(CH_2) $_2$ W(CH_2) $_2$ -, wobei W ausgewählt wird aus -N(C_1 - C_3)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C_1 - C_3)-Alkyl], O oder S; und für den Fall, dass $R=R^4$ (CH_2) $_n$ SO $_2$ - und R=00, dann wird

R⁴' ausgewählt aus Amino, monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten

 (C_1-C_4) -Alkylgruppe, die ausgewählt ist aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1,-Dimethylethyl; einer (C_3-C_6) -Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl, Cyclopentyl oder Cyclohexyl; einer substituierten (C_3-C_6) -Cycloalkylgruppe, (wobei eine Substitution ausgewählt wird aus (C_1-C_3) -Alkyl, Cyano, Amino oder (C_1-C_3) -Acyl); einer (C_6-C_{10}) -Arylgruppe, ausgewählt wird aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierte (C_6-C_{10}) -Arylgruppe (wobei eine Substitution ausgwählt wird aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe; einer Halogen- (C_1-C_3) -alkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

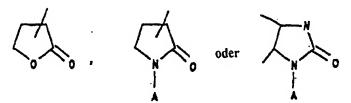


Z = N, O, S oder Se

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteratomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

$$Z$$
 oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbarten gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4) -Alkyl; C_6 -Aryl; substituiertem C_6 -Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigem aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer R^aR^b -Amino- (C_1-C_4) -alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C_1-C_4) -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b steht für $(CH_2)_n$, n=2 bis 6, oder- $(CH_2)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus- $N(C_1-C_3)$ -alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C_1-C_3) -Alkyl], O oder S; oder einer R^aR^b -Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C_1-C_4) -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist $(CH_2)_n$, n=2 bis 6, oder- $(CH_2)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus - $N(C_1-C_3)$ -Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C_1-C_3) -Alkyl], O oder S;

und für den Fall, dass $R = R^{4'} (CH_2)_n SO_2$ - und n = 1 bis 4, dann wird

R4' ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₄)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl; einer (C1-C4)-Carboxyalkylgruppe; einer (C₂-C₆)-Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl, Cyclopentyl oder Cyclohexyl; einen substituierten (C3-C6)-Cycloalkylgruppe (wobei eine Substitution ausgewählt wird aus (C1-C3)-Alkyl, Cyano, Amino oder (C_1 - C_3)-Acyl); einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C6-C10)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C1-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-Alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl; einer (C₁-C₄)-Alkoxygruppe; einer C₆-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₃)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₄)-alkylamino); einer (C7-C10)-Aralkyloxygruppe; einer RaRb-Amino-(C1-C4)-alkoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder R^aR^b ist $(CH_2)_n$, n = 2 bis 6, oder $-(CH_2)_2W(CH_2)_n$, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; oder einer RaRb-Aminoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes (C1-C4)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist $(CH_2)_n$, n=2 bis 6, oder $-(CH_2)_2W(CH_2)_2$, wobei W ausgewählt wird aus $-(C_1-C_3)$ -Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C1-C3)-Alkyl], O oder S; einer (C1-C3)-Alkylthiogruppe, ausgewählt aus Methylthio, Ethylthio oder n-Propylthio; einer C₆-Arylthiogruppe, ausgewählt aus Phenylthio oder substituiertem Phenylthio (eine Substitution wird ausgewählt aus Halogen, (C₁-C₃)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C1-C3)-alkylamino); einer (C7-C8)-Aralkylthiogruppe; einer heterocyclische Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

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Z = N, O, S oder Se

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oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist kann:

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$$Z$$
 oder $Z^1 = N$, O, S oder Se,

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oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatomen:

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(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Hydroxygruppe, einer Mercaptogruppe; einer mono- oder di-geradkettig oder verzweigten (C_1 - C_6)-Alkylaminogruppe, ausgewählt aus Methyl-, Ethyl-, n-Propyl-, 1-Methylethyl-, n-Butyl-, 1-Methylpropyl-, 2-Methylpropyl-, 2-Methylpropyl-, 2-Methylpropyl-, 3-Methylbutyl-, n-Hexyl-, 1-Methylpentyl-, 1,1-Dimethylbutyl-, 2,2-Dimethylputyl-, 2-Methylpentyl-, 1,2-Dimethylbutyl-, 1,3-Dimethylbutyl- oder 1-Methyl-1-ethylpropylamino; einer Halogen-(C_1 - C_3)-alkylgruppe; einer Acyl- oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C_3 - C_6)-Cycloalkylcarbonyl, (C_6 - C_{10})-Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C_6 - C_{10})-Aroyl, (C_1 - C_4)-Alkylbenzoyl oder (Heterocyclus)carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

$$Z = N, O, S$$
 oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

$$\begin{array}{c|c}
 & Z^1 \\
 &$$

$$Z$$
 oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

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(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C₁-C₄)-Alkoxycarbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, geradkettigem oder verzweigtem Propoxycarbonyl, Allyloxycarbonyl oder geradkettigem oder verzweigtem Butoxycarbonyl;

R⁵ ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer (C₇-C₉)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

oder Z

Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

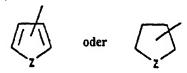
oder No oder

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder - $(CH_2)_nCOOR^7$, wobei n = 0 bis 4 und R⁷ ausgewählt ist aus Wasserstoff; einer geradkettigen oder verzweigten (C_1-C_3) -Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder einer (C_6-C_{10}) -Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl;

R6 ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus

Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer (C_7 - C_9)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

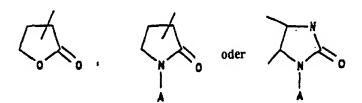


Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

$$Z$$
 oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder - $(CH_2)_nCOOR^7$, wobei n = 0 bis 4 und R⁷ ausgewählt ist aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_3)-Alkyl, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; (C_6 - C_{10})-Aryl, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; mit der Maßgabe, dass R⁵ und R⁶ nicht beide Wasserstoff sein können;

oder R^5 und R^6 bedeuten zusammen - $(CH_2)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus $(CH_2)_n$ und n=0 bis 1, -NH, -N(C_1 - C_3)-Alkyl [geradkettig oder verzweigt], -N(C_1 - C_4)-Alkoxy, Sauerstoff, Schwefel oder substituierte Kongenäre, die ausgewählt werden aus (L- oder D-)Prolin, Ethyl(L- oder D-)prolinat, Morpholin, Pyrrolidin oder Piperidin; und die pharmakologisch unbedenklichen organischen und anorganischen Salze oder Metallkomplexe davon.

 Die Verbindung nach Anspruch 6, wobei Y für NO₂ steht;
 R ausgewählt wird aus R⁴(CH₂)_nCO- oder R⁴(CH₂)_nSO₂-;

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und für den Fall, dass $R = R^4(CH_2)_nCO$ - und n = 0, dann wird

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R⁴ ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C₁-C₄)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl; einer (C3-C6)-Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl, Cyclopentyl oder Cyclohexyl; einer substituierten (C3-C6)-Cycloalkylgruppe (wobei eine Substitution ausgewählt wird aus (C1- $C_3)-Alkyl,\ Cyano,\ Amino\ oder\ (C_1-C_3)-Acyl);\ einer\ (C_6-C_{10})-Arylgruppe,\ ausgewählt\ aus\ Phenyl,\ \alpha-Naphthyl\ oder$ β-Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁- $\textbf{C_4)-Alkoxy, Trihalogen-(C_1-C_3)-alkyl, Nitro, Amino, Cyano, (C_1-C_4)-Alkoxycarbonyl, (C_1-C_3)-Alkylamino oder Caracteria (C_1-C_3)-alkylamino oder (C_1-C_3)-alkylamino oder (C_1-C_3)-alkylamino oder (C_1-C_3)-alkylamino oder (C_1-C_3)-alkylamino (C_1-C_3)-alk$ boxy); einer α-Amino-(C₁-C₄)-alkylgruppe, ausgewählt aus Aminomethyl, α-Aminoethyl, α-Aminopropyl oder α-Aminobutyl; einer Carboxy-(C₁-C₄)-alkylaminogruppe, ausgewählt aus Aminoessigsäure, α-Aminobutyrsäure oder α-Aminopropionsäure und deren optischen Isomeren; einer (C₇-C₉)-Aralkylaminogruppe; einer (C₁-C₄)-Alkoxycarbonylamino-substituierten (C1-C4)-Alkylgruppe, wobei eine Substitution ausgewählt wird aus Phenyl oder p-Hydroxyphenyl; einer α-Hydroxy-(C₁-C₃)-alkylgruppe, ausgewählt aus Hydroxymethyl, α-Hydroxyethyl oder α-Hydroxy-1-methylethyl oder α -Hydroxypropyl; einer Halogen- (C_1-C_3) -alkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

Z oder $Z^1 = N$, O, S oder Se.

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4) -Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cy-

ano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Acyl- oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C_3-C_6) -Cycloalkylcarbonyl, (C_6-C_{10}) -Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C_6-C_{10}) -Aroyl, (C_1-C_4) -Alkylbenzoyl oder (Heterocyclus)carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigem aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

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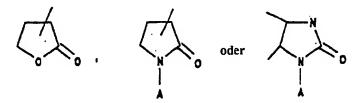
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Z = N, O, S oder S

oder einem fünfgliedrigen Ring mit zwei N-, O-, S- oder Se-Heteratomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

$$Z$$
 oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C_1-C_4) -Alkoxycarbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, geradkettigem oder verzweigtem Butoxycarbonyl oder Allyloxycarbonyl; einer Vinyl- oder substituierten Vinylgruppe [wobei eine Substitution ausgewählt wird aus einer (C_1-C_3) -Alkylgruppe, Halogen, einer (C_6-C_{10}) -Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl, β -Naphthyl, einer substituierten (C_6-C_{10}) -Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxyl, einer Halogen- (C_1-C_3) -alkylgruppe, einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder

Pyridoring aufweisen kann:

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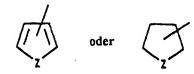
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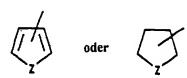
Z = N, O, S oder Sel;

einer (C_1 - C_4)-Alkoxygruppe; einer C_6 -Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C_1 - C_3)-alkylamino); einer (C_7 - C_{10})-Aralkyloxygruppe; einer Vinyloxy- oder substituierten Vinyloxygruppe (wobei eine Substitution ausgewählt wird aus (C_1 - C_4)-Alkyl, Cyano, Carboxy, oder (C_6 - C_{10})-Aryl, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl); einer RaRb-Amino-(C_1 - C_4)-alkoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes (C_1 - C_4)-Alkyl ist, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder RaRb für (CH_2)₀ steht, wobei n = 2 bis 6, oder für -(CH_2)₂W(CH_2)₂-,

wobei W ausgewählt wird aus -N(C_1 - C_3)-Alkyl [geradkettig oder verzweigt], -NH,-NOB [wobei B ausgewählt wird aus Wasserstoff oder (C_1 - C_3)-Alkyl], O oder S; oder einer RaRb-Aminoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes (C_1 - C_4)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl; 1-Methylpropyl, 2-Methylpropyl oder RaRb für (CH_2)_n steht, wobei n = 2 bis 6, oder für-(CH_2)₂W(CH_2)₂- steht, wobei W ausgewählt wird aus -N(C_1 - C_3)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C_1 - C_3)-Alkyl], O oder S;

und für den Fall, daß $R = R^4(CH_2)_nCO$ - und n = 1-4, dann wird

R⁴ ausgewählt aus Wasserstoff; einer (C_1-C_3) -Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder Methylethyl; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C_1-C_6) -Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer (C_6-C_{10}) -Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C_6-C_{10}) -Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer Acyloxy- oder Halogenacyloxygruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trichloracetyl, (C_3-C_6) -Cycloalkylcarbonyl, (C_6-C_{10}) -Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C_6-C_{10}) -Aroyl, (C_1-C_4) -Alkylbenzyl, oder (Heterocyclus)-carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z = N, O, S oder Se;

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

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Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteratomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer, (C₁-C₄)-Alkoxygruppe; einer RaRb-Amino-(C₁-C₄)-alkoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes (C1-C4)-Alkyl ist, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder R^aR^b ist $(CH_2)_n$, n=2 bis 6, oder $-(CH_2)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus -N(C1-C3)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; oder einer RaRb-Aminoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes (C1-C4)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist $(CH_2)_n$, n = 2 bis 6, oder $-(CH_2)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus- $N(C_1-C_3)$ -Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C1-C3)-Alkyl], O oder S; einer C₆-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C1-C4)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C1-C3)-alkylamino); einer (C1-C3)-Alkylthiogruppe, ausgewählt aus Methylthio, Ethylthio, Propylthio oder Allylthio; einer C6-Arylthiogruppe, ausgewählt aus Phenylthio oder substituiertem Phenylthio, wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₃)-alkylamino); einer C₆-Arylsulfonylgruppe, ausgewählt aus Phenylsulfonyl oder substituiertem Phenylsulfonyl (wobei eine Substitution ausgewählt wird aus Halogen, (C1-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteratom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist;

Z = N, O, S oder Se

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

Z oder $Z^1 = N$, O, S oder Se

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4) -Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Hydroxygruppe; einer α -Hydroxy-(C_1 - C_3)-alkylgruppe, ausgewählt aus Hydroxymethyl, α -Hydroxyethyl oder α -Hydroxy-1-methylethyl oder α -Hydroxypropyl; einer Halogen-(C_1 - C_3)-alkylgruppe; einer Acyl- oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C_3 - C_6)-Cycloalkylcarbonyl, (C_6 - C_{10})-Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C_6 - C_{10})-Aroyl, (C_1 - C_4)-Alkylbenzoyl, oder (Heterocyclus)-carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

$$Z$$
 oder $Z^1 = N$, O, S oder Se.

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

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(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C7-C9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C_1 - C_4)-Alkoxycarbonylaminogruppe, ausgewählt aus tert-Butoxycarbonylamino, Allyloxycarbonylamino, Methoxycarbonylamino, Ethoxycarbonylamino oder Propoxycarbonylamino; und für den Fall, dass $R = R^4$ (CH_2)_n SO_2 - und n = 0, dann wird

R⁴' ausgewählt aus Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C_1-C_6) -Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C_1-C_3) -Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C_6-C_{10}) -Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C_6-C_{10}) -Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); eine heterocyclische Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatom und einem benachbart gebundenen O-Heteroatom:

- (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl; substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),
 - oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom;
 - und für den Fall, dass $R = R^4(CH_2)_nSO_2$ ist und n = 1 bis 4, dann wird

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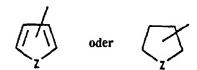
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- R4' ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem $(C_1\text{-}C_6)$ -Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt wird aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten $(C_1\text{-}C_3)$ -Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer $(C_6\text{-}C_{10})$ -Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten $(C_6\text{-}C_{10})$ -Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, $(C_1\text{-}C_4)$ -Alkoxy, Trihalogen- $(C_1\text{-}C_3)$ -alkyl, Nitro, Amino, Cyano, $(C_1\text{-}C_4)$ -Alkoxycarbonyl, $(C_1\text{-}C_3)$ -Alkylamino oder Carboxy); einer $(C_1\text{-}C_4)$ -Alkoxygruppe; einer $(C_6\text{-}C_{10})$ -Aralkyloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy, (wobei eine Substitution ausgewählt wird aus Halogen, $(C_1\text{-}C_4)$ -Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di- $(C_1\text{-}C_3)$ -alkylamino); einer $(C_7\text{-}C_{10})$ -Aralkyloxygruppe; einer $(C_1\text{-}C_4)$ -Carboxyalkylgruppe;
- R^5 ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer (C_7 - C_9)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

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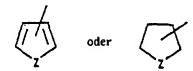
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- oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder - $(CH_2)_nCOOR^7$, wobei n=0 bis 4 und R^7 ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C_1-C_4) -Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder einer (C_6-C_{10}) -Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl;
- R⁶ ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer (C₇-C₉)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigtem Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:



Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

$$\begin{array}{c|c} z^1 & \\ \hline \end{array}$$
 oder
$$\begin{array}{c|c} z^1 \\ \hline \end{array}$$

Z oder $Z^1 = N$, O, S oder Se

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

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(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl; substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

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oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder $(CH_2)_nCOOR^7$, wobei n=0 bis 4, und R^7 wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_3) -Alkyl, das ausgewählt wird aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder (C_6-C_{40}) -Aryl, das ausgewählt wird aus Phenyl, α -Naphthyl oder β -Naphthyl; mit der Maßgabe, dass R^5 und R^6 nicht beide Wasserstoff sein können;

oder R^5 und R^6 bedeuten zusammen - $(CH_2)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus $(CH_2)_n$ und n=0 bis 1, -NH, -N (C_1-C_3) -Alkyl [geradkettig oder verzweigt], -N (C_1-C_4) -Alkoxy, Sauerstoff, Schwefel oder substituierte Kongenäre ausgewählt werden aus (L-oder D-)Prolin, Ethyl-(L- oder -D-)prolinat, Morpholin, Pyrrolidin oder Piperidin; und die pharmakologisch unbedenklichen organischen und anorganischen Salze oder Metallkomplexe.

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8. Die Verbindung nach Anspruch 6, wobei

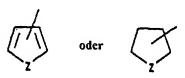
Y für NO2 steht;

R ausgewählt wird aus $R^4(CH_2)_nCO$ - oder $R^4'(CH_2)_nSO_2$ -; und für den Fall, dass $R = R^4(CH_2)_nCO$ - und n = 0 ist, dann wird

annellierten Benzo- oder Pyridoring aufweisen kann:

30 R^4 ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C1-C4)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C3-C6)-35 Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl, Cyclopentyl oder Cyclohexyl; einer substituierten (C3-C₆)-Cycloalkylgruppe (wobel eine Substitution ausgewählt wird aus (C₁-C₃)-Alkyl, Cyano, Amino oder (C₁-C₃)-Acyl); einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C₆- C_{10})-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer α-Amino-(C₁-C₄)-al-40 kylgruppe, ausgewählt aus Aminomethyl, α-Aminoethyl, α-Aminopropyl oder α-Aminobutyl; einer Carboxy-(C₁- C_4)-alkylaminogruppe, ausgewählt aus Aminoessigsäure, α -Aminobutyrsäure oder α -Aminopropionsäure und deren optischen Isomeren; einer (C₇-C₆)-Aralkylaminogruppe; einer (C₁-C₄)-Alkoxycarbonylamino-substituierten (C_1-C_4) -Alkylgruppe, wobei eine Substitution ausgewählt wird aus Phenyl oder p-Hydroxyphenyl; einer α -Hydroxy-(C₁-C₃)-alkylgruppe, ausgewählt aus Hydroxymethyl, α-Hydroxyethyl oder α-Hydroxy-1-methylethyl oder α-Hy-45 droxypropyl; einer Halogen-(C1-C3)-alkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen

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Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen

annellierten Benzo- oder Pyridoring aufweist:

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Z oder $Z^1 = N$, O, S oder Se

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

o oder

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4) -Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Acyl- oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C_3-C_6) -Cycloalkylcarbonyl, (C_6-C_{10}) -Aroyl, das ausgewählt wird aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C_6-C_{10}) -Aroyl, (C_1-C_4) -Alkylbenzoyl oder (Heterocyclus)carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigem aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z = N, O, S oder Se,

oder einem fünfgliedrigen Ring mit zwei N-, O-, S- oder Se-Heteratomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

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(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C₁-C₄)-Alkoxycarbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, geradkettigem oder verzweigtem Propoxylcarbonyl, geradkettigem oder verzweigtem Butoxycarbonyl oder Allyloxycarbonyl; einer Vinyl- oder substituierten Vinylgruppe,

wobei eine Substitution ausgewählt wird aus einer (C_1-C_3) -Alkylgruppe, Halogen, einer (C_6-C_{10}) -Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl, β -Naphthyl, einer substituierten (C_6-C_{10}) -Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy), einer Halogen- (C_1-C_3) -alkylgruppe, einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

Z = N, O, S oder Se,

einer (C_1-C_4) -Alkoxygruppe; einer C_6 -Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, einer Di- (C_1-C_3) -alkylamino; einer (C_7-C_{10}) -Aralkyloxygruppe; einer Vinyloxy- oder substituierten Vinyloxygruppe (wobei eine Substitution ausgewählt wird aus (C_1-C_4) -Alkyl, Cyano, Carboxy), oder (C_6-C_{10}) -Aryl, das ausgewählt wird aus Phenyl, α -Naphthyl oder β -Naphthyl); einer RaRb-Amino- (C_1-C_4) -alkoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes (C_1-C_4) -Alkyl ist, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder RaRb ist $(CH_2)_n$, wobei n=2 bis 6, oder $(CH_2)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus $-N(C_1-C_3)$ -Alkyl [geradkettig oder verzweigt], -NH, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C_1-C_3) -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder RaRb für $(CH_2)_n$ steht, wobei n=2 bis 6, oder für - $(CH_2)_2W(CH_2)_2$ - steht, wobei W ausgewählt wird aus $-N(C_1-C_3)$ -Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C_1-C_3) -Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C_1-C_3) -Alkyl], O oder S;

und für den Fall, daß $R = R^4(CH_2)_nCO$ - und n = 1-4, dann wird

R⁴ ausgewählt aus Wasserstoff; einer (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C_1 - C_6)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt ist aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C_6 - C_{10})-Arylgruppe (wobei eine Substitution ausgewählt

wird aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer Acyloxy oder Halogenacyloxygruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trichloracetyl, (C_3-C_6) -Cycloalkylcarbonyl, (C_6-C_{10}) -Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C_6-C_{10}) -Aroyl, (C_1-C_4) -Alkylbenzoyl, oder (Heterocyclus)-carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

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Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

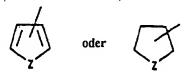
Z oder $Z^1 = N$, O, S oder Se

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteratomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C_1 - C_4)-Alkoxygruppe; einer C_6 -Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C_1 - C_3)-alkylamino; einer RaRb-Amino-(C_1 - C_4)-alkoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes (C_1 - C_4)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder RaRb ist (CH_2)_n, n = 2 bis 6, oder — (CH_2)₂W(CH_2)₂-, wobei W ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylpropyl oder Verzweigtes (C_1 - C_3)-Alkyl], O oder S; oder einer RaRb-Aminoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes (C_1 - C_4)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder RaRb ist (CH_2)_n, n = 2 bis 6, oder — (CH_2)₂W(CH_2)₂-, wobei W ausgewählt wird aus —N(C_1 - C_3)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C_1 - C_3)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C_1 - C_3)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C_1 - C_3)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C_1 - C_3)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C_1 - C_3)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C_1 - C_3)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C_1 - C_3)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C_1 - C_3)-Alkyl [gera

einer (C_1-C_3) -Alkylthiogruppe, ausgewählt aus Methylthio, Ethylthio, Propylthio oder Allylthio; einer C_6 -Arylthiogruppe, ausgewählt aus Phenylthio oder substituiertem Phenylthio (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di- (C_1-C_3) -alkylamino); einer (C_1-C_3) -Alkylthiogruppe, ausgewählt aus Methylthio, Ethylthio, Propylthio oder Allylthio; einer C_6 -Arylthiogruppe, ausgewählt aus Phenylthio oder substituiertem Phenylthio, wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di- (C_1-C_3) -alkylamino); einer C_6 -Arylsulfonylgruppe, ausgewählt aus Phenylsulfonyl oder substituiertem Phenylsulfonyl (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteratom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

$$\begin{array}{c|c} z^1 & \text{oder} & \\ \hline \end{array}$$

$$Z$$
 oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4) -Alkyl; C_6 -Aryl; substitutiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Hydroxygruppe; einer α -Hydroxy-(C_1 - C_3)-alkylgruppe, ausgewählt aus Hydroxymethyl, α -Hydroxyethyl oder α -Hydroxy-1-methylethyl oder α -Hydroxypropyl; einer Halogen-(C_1 - C_3)-alkylgruppe; einer Acyl- oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C_3 - C_6)-Cycloalkylcarbonyl, (C_6 - C_{10})-Aroyl, das ausgewählt wird aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C_6 - C_{10})-Aroyl, (C_1 - C_4)-Alkylbenzoyl, oder (Heterocyclus)- carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:



Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

$$Z$$
 oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

- (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),
 - oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C_1 - C_4)-Alkoxycarbonylaminogruppe, ausgewählt aus tert-Butoxycarbonylamino, Allyloxycarbonylamino, Methoxycarbonylamino, Ethoxycarbonylamino oder Propoxycarbonylamino; und für den Fall, dass $R = R^4$ (CH_2)_nSO₂- und n = 0, dann wird
 - R⁴ ausgewählt aus Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₄)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt wird aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Wethyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z = N, O, S oder Se,

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oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

$$\begin{array}{c|c}
 & z^1 \\
 & z^1 \\
 & z^1
\end{array}$$

$$Z$$
 oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatom und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

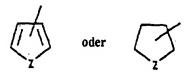
oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom;

und für den Fall, dass $R = R^4'(CH_2)_nSO_2$ - ist und n = 1 bis 4, dann wird

 R^4 ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, das ausgewählt ist aus geradkettigem oder verzweigtem (C_1 - C_6)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt wird aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer R^aR^b -Amino-(C_1 - C_4)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C_1 - C_4)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist (CH_2)_n, n=2 bis 6, oder — (CH_2)₂W(CH_2)₂-, wobei W ausgewählt wird aus —N(C_1 - C_3)-Alkyl], O oder S; oder einer R^aR^b -Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C_1 - C_4)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder R^aR^b ist (CH_2)_n, n=2 bis 6, oder —(CH_2)₂W(CH_2)₂-, wobei W ausgewählt wird aus —N(C_1 - C_3)-alkyl [geradkettig oder verzweigt], -NH, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C_1 - C_3)-alkyl [geradkettig oder verzweigt], -NH, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C_1 - C_3)-Alkyl], O oder S;

 R^5 wird ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer (C_7 - C_9)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen

aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z = N, O, S oder Se,

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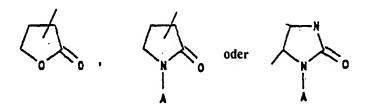
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oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl, substitutiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder — $(CH_2)_nCOOR^7$, wobei n = 0 bis 4 und R⁷ ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C_1-C_3) -Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder (C_6-C_{10}) -Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl;

 R^6 wird ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer (C_7 - C_9)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigtem Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:



Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

 $\begin{array}{c|c}
 & z^1 \\
 & z^1
\end{array}$

Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

o oder

- (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),
 - oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder (CH₂)_nCOOR^{7'}, wobei n = 0 bis 4, und R^{7'} wird ausgewählt aus Wasserstoff; einem geradkettigen oder verzweigten (C₁-C₃)-Alkyl, das ausgewählt wird aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder einem (C₆-C₁₀)-Aryl, das ausgewählt wird aus Phenyl, α-Naphthyl oder β-Naphthyl; mit der Maßgabe, dass R⁵ und R⁶ nicht gleichzeitig Wasserstoff sein können;
- oder R⁵ und R⁶ bedeuten zusammen (CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus (CH₂)_n und n = 0 bis 1, -NH, -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -N(C₁-C₄)-Alkoxy, Sauerstoff, Schwefel oder substituierte Kongenäre, die ausgewählt werden aus (L- oder D-)Prolin, Ethyl-(L- oder -D-)prolinat, Morpholin, Pyrrolidin oder Piperidin; und die pharmakologisch unbedenklichen organischen und anorganischen Salze oder Metallkomplexe.
- 50 9. Die Verbindung nach Anspruch 6, wobei

Y für NO2 steht;

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R wird ausgewählt aus $R^4(CH_2)_nCO$ - oder $R^4(CH_2)_nSO_2$ -; und für den Fall, dass $R = R^4(CH_2)_nCO$ - und n = 0, dann wird

P4 ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt wird aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C₁-C₂)-Alkylgruppe, ausgewählt aus Methyl oder Ethyl, einer (C₆-C₁₀)-Arylgruppe, ausgewählt au

gewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C_6 - C_{10})-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer Carboxy-(C_2 - C_4)-alkylaminogruppe, ausgewählt aus Aminoessigsäure, α -Aminobutyrsäure oder α -Aminopropionsäure und deren optische Isomere; einer α -Hydroxy-(C_1 - C_3)-alkylgruppe, ausgewählt aus Hydroxymethyl, α -Hydroxyethyl oder α -Hydroxy-1-methylethyl oder α -Hydroxypropyl; einer Halogen-(C_1 - C_3)-alkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

$$Z$$
 oder $Z^1 = N$, O , S oder Se

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C_1-C_4) -Alkoxycarbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, einem geradkettigen oder verzweigten Propoxylcarbonyl, einem geradkettigen oder verzweigten Butoxycarbonyl oder Allyloxycarbonyl; einer Vinyl oder substituierten Vinylgruppe [wobei eine Substitution ausgewählt wird aus einer (C_1-C_3) -Alkylgruppe], Halogen, einer (C_6-C_{10}) -Arylgruppe, ausgewählt aus Vinyl, α -Naphthyl, α -Naphthyl, einer substitutierten (C_6-C_{10}) -Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, einer (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -Alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy), einer Halogen- (C_1-C_3) -alkylgruppe, einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen gesättigten Ring, mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



oder



Z = N, O, S oder Se;

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einer (C_1-C_4) -Alkoxygruppe; einer C_6 -Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, einer Di- (C_1-C_3) -alkylamino; einer (C_7-C_{10}) -Aralkyloxygruppe; einer Vinyloxy- oder substituierten Vinyloxygruppe (wobei eine Substitution ausgewählt wird aus (C_1-C_4) -Alkyl, Cyano, Carboxy, oder (C_6-C_{10}) -Aryl, das ausgewählt wird aus Phenyl, α -Naphthyl oder β -Naphthyl); einer RaRb-Amino- (C_1-C_4) -alkoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes (C_1-C_4) -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder RaRb ist $(CH_2)_n$, wobei n=2 bis 6, oder - $(CH_2)_2$ W($CH_2)_2$ -, wobei W ausgewählt wird aus $-N(C_1-C_3)$ -Alkyl [geradkettig oder verzweigt], -NH, -NOB [wobei B ausgewählt wird aus Wasserstoff oder einem (C_1-C_3) -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, $(CH_2)_1$ -Nethylethyl, $(CH_2)_2$ -Nobei W ausgewählt wird aus Methyl, einem (C_1-C_3) -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, $(CH_2)_2$ -Nobei W ausgewählt wird aus (C_1-C_4) -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, $(CH_2)_2$ -Nobei W ausgewählt wird aus (C_1-C_4) -Alkyl igeradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C_1-C_3) -Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C_1-C_3) -Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C_1-C_3) -Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C_1-C_3) -Alkyl], O oder S;

und für den Fall, daß $R = R^4(CH_2)_nCO$ - und n = 1-4, dann wird

 R^4 ausgewählt aus Wasserstoff; Amino, einer (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl; Amino; monosubstituiertem Amino, das ausgewählt ist aus geradkettigem oder verzweigtem (C_1 - C_6)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt ist aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl) amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C_6 - C_{10})-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer Acyloxy oder Halogenacyloxygruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trichloracetyl, (C_3 - C_6)-Cycloalkylcarbonyl, (C_6 - C_{10})-Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C_6 - C_{10})-Aroyl, (C_1 - C_4)-Alkylbenzyl, oder (Heterocyclus)carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

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oder



Z = N, O, S oder Se,

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oder einem fünfgliedrigen Ring mit zwei N-, O-, S- oder Se-Heteratomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

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$$\begin{array}{c|c}
 & z^1 \\
 & z^1 \\
 & z^1
\end{array}$$

٠ 5

Z oder $Z^1 = N$, O, S oder Se

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4) -Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C7-C9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C_1-C_4) -Alkoxygruppe, einer R^aR^b -Amino- (C_1-C_4) -alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C_1-C_4) -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist $(CH_2)_n$, wobei n=2 bis 6, oder $(CH_2)_2W(CH_2)_2$ -, wobei $(CH_2)_2W(CH_2)_2$ -, wob

und für den Fall, daß R = $R^4'(CH_2)_nSO_2$ - und n = 0, dann wird R^4 ausgewählt aus Amino, monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C_1 - C_6)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C_1 - C_2)-Alkylgruppe, ausgewählt aus Methyl oder Ethyl; einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; eine substituierte (C_6 - C_{10})-Arylgruppe, wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); eine heterocyclische Gruppe, ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z = N, O, S oder Se,

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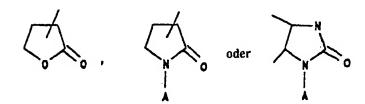
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oder einem fünfgliedrigen Ring mit zwei N-, O-, S- oder Se-Heteratomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:



Z oder $Z^{l} = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



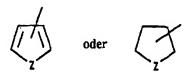
(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4) -Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen oder einem benachbart gebunden O-Heteroatom;

und für den Fall, dass $R = R^4'(CH_2)_nSO_2^-$ und n = 1 bis 4, dann wird

 R^4 ' ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C_1 - C_2)-Alkylgruppe, ausgewählt aus Methyl oder Ethyl;

 R^5 wird ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer (C_7 - C_9)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z = N, O, S oder Se,

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oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

$$\begin{array}{c|c} z^1 & & \\ \hline \end{array}$$
 oder
$$\begin{array}{c} z^1 \\ \hline \end{array}$$

Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4) -Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl(eine Substitution wird ausgewählt aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder — $(CH_2)_nCOOR^7$, wobei n = 0 bis 4 und R⁷ ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C_1-C_3) -Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder (C_8-C_{10}) -Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl;

 R^6 ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer (C_7 - C_9)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

Z = N, O, S oder Se.

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl; substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder - $(CH_2)_nCOOR^7$, wobei n = 0 - 4 ist und R 7 ausgewählt wird aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_3) -Alkyl, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder (C_6-C_{10}) -Aryl, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; mit der Maßgabe, dass R 5 und R 6 nicht beide Wasserstoff sein können;

oder R^5 und R^6 bedeuten zusammen — $(CH_2)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus $(CH_2)_n$ und n=0-1, -NH, -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -N(C₁-C₄)-Alkoxy, Sauerstoff, Schwefel oder substituierte Kongenäre, die ausgewählt werden aus (L- oder D-)Prolin, Ethyl-(L- oder D-)prolinat, Morpholin, Pyrrolidin oder Piperidin; und die pharmakologisch unbedenklichen organischen und anorganischen Salze oder Metallkomplexe davon.

10. Die Verbindung gemäß Anspruch 6, wobei

Y für NO₂ steht,

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R ausgewählt wird aus $R^4(CH_2)_nCO$ - oder $R^4(CH_2)_nSO_2$ -; und für den Fall, dass $R = R^4(CH_2)_nCO$ - und n = 0, dann wird

R⁴ ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C_1-C_2) -Alkylgruppe, ausgewählt aus Methyl oder Ethyl; einer (C_6-C_{10}) -Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C_6-C_{10}) -Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen; (C_1-C_4) -Alkoxy, Nitro, Amino oder (C_1-C_2) -Alkoxycarbonyl); einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, oder S-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:



$$Z = N$$
, O oder S,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, oder S-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

$$\begin{array}{c|c}
 & z^1 \\
 & oder
\end{array}$$

Z oder $Z^1 = N$, O oder S,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O- oder S-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_2) -Alkyl; C_6 -Aryl), einer (C_1-C_4) -Alkoxycarbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, geradkettigem oder verzweigtem Propoxylcarbonyl, geradkettigem oder verzweigtem Propoxylcarbonyl, geradkettigem oder verzweigtem Butoxycarbonyl oder Allyloxycarbonyl; einer Vinyl oder substituierten Vinylgruppe [wobei eine Substitution ausgewählt wird aus einer (C_1-C_2) -Alkylgruppe, einer (C_6-C_{10}) -Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl, β-Naphthyl, einer substituierten (C_6-C_{10}) -Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkoxy, (C_1-C_4) -Alkoxycarbonyl, Halogen, (C_1-C_3) -Alkylgruppe]; einer (C_1-C_4) -Alkoxygruppe; einer (C_1-C_4) -Alkoxygruppe; einer (C_1-C_4) -Alkyl; einer (C_1-C_2) -Aralkyloxygruppe; einer Vinyloxy-oder substituierten Vinyloxygruppe (wobei eine Substitution ausgewählt wird aus (C_1-C_2) -Alkyl; einer (C_1-C_4)

und für den Fall, dass $R = R^4(CH_2)_nCO$ - und n = 1 bis 4 ist, dann wird

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R4 ausgewählt aus Wasserstoff; einer (C1-C2)-Alkylgruppe, ausgewählt aus Methyl oder Ethyl; Amino; monosubstituiertem Amino, das ausgewählt ist aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt ist aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, oder 1-(1,2,3-Triazolyl); einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C_6 - C_{10})-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Nitro, Amino, (C1-C4)-Alkoxycarbonyl); einer Acyloxy oder Halogenacyloxygruppe, ausgewählt aus Acetyl, Propionyl oder Chloracetyl; einer (C₁-C₄)-Alkoxygruppe; carbonyl, einer RaRb-Amino-(C₁-C₄)-alkoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes (C1-C4)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl ist, oder R^aR^b ist $(CH_2)_n$, wobei n=2 bis 6, oder -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus —N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; oder einer RaRb-Aminoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl; oder RaRb ist (CH2)n steht, wobei n = 2 bis 6, oder - (CH2)2W (CH₂)₂-, wobei W ausgewählt wird aus —N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; einer Halogen-(C₁-C₃)-alkylgruppe; einer (C₁-C₄)-Alkoxycarbonylaminogruppe, ausgewählt aus tert-Butoxycarbonylamino, Allyloxycarbonylamino, Methoxycarbonylamino, Ethoxycarbonylamino oder Propoxycarbonylamino;

und für den Fall, daß $R = R^4'(CH_2)_nSO_2$ - und n = 0, dann wird R^4' ausgewählt aus einer geradkettigen oder verzweigten (C_1-C_2) -Alkylgruppe, ausgewählt aus Methyl oder Ethyl;

einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substitutierten (C_6 - C_{10})-Arylgruppe, (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Nitro, (C_1 - C_4)-Alkoxycarbonyl); einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring, mit einem N-, O- oder S-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z = N, O oder S

oder einem fünfgliedrigen aromatischen Ring mit zwei N-; O- oder S-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

$$\begin{array}{c|c}
 & z^1 \\
 & z^1
\end{array}$$
 oder

Z oder $Z^1 = N$, O oder S

und für den Fall, dass $R = R^4'(CH_2)_nSO_2$ - und n = 1 bis 4, dann wird

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 R^4 ausgewählt aus Wasserstoff, einer geradkettigen oder verzweigten (C_1 - C_2)-Alkylgruppe, ausgewählt aus Methyl oder Ethyl;

R⁵ wird ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl;

 R^6 wird ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; mit der Maßgabe, dass R^5 und R^6 nicht beide Wasserstoff sein können; oder R^5 und R^6 sind zusammen —(CH_2)₂W(CH_2)₂-, wobei W ausgewählt wird aus (CH_2)_n und CH_2 0 und CH_2 1 und CH_2 2 wobei W ausgewählt wird aus (CH_2 2)_n und CH_2 3 vobei W ausgewählt wird aus (CH_2 3)-Alkyl [geradkettig oder verzweigt], -N(C_1 - C_4 3)-Alkoxy, Sauerstoff, Schwefel oder substituierte Kongenäre, die ausgewählt werden aus (CH_2 3)-Prolin, Ethyl(CH_2 4)-Prolinat, Morpholin, Pyrrolidin oder Piperidin; und die pharmakologisch unbedenklichen organischen und anorganischen Salze oder Metallkomplexe davon.

11. Die Verbindung nach Anspruch 1, nämlich [4S(4a,12aa)]-4,7-Bis(dimethylamino)-9-(formylamino)-1,4.4a.5.5a. 6.11.12a-octahydro-3.10.12.12a-tetrahydroxy-1.11-dioxo-2-naphthacencarb-oxamid; [4S-(4a,12aa)]-4.7-Bis(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidsulfat; [4S-(4a,12aa)]-4,7Bis(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octa-hydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidmonohydrochlorid; [4S-(4a,12aa)]-9-(Acetylamino)-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3, 10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacen-[4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro3,10,12,12a-tetrahydroxy-1,11dioxo-9-[(trifluoroacetyl)amino]-2-naphthacencarboxamidsulfat; [4S-(4a,12aa)]-7-(Diethylamino)-4-(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6, 11,12-aoctahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidsulfat [4S-(4a,12aa)]-9-(Acetylamino)-7-(diethylamino)-4-(dimethylamino)-1,4,4a,5,5a, 6,11,12a-octahydro-3,10,12,12atetrahydroxy-1,11-dioxo-2-naphthacencarboxamidsulfat (1:2); [4S-(4a,12aa)]-7-(Diethylamino)-4(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-Tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-9-(Acetylamino)-7-(diethylamino)-4(dimethylamino)-1,4,4a, 5,5a,6,11,12a-octahydro3,10,12,12a-tetrahydroxy-1,11 -dioxo-2-naphthacencarboxamid; [4S(4a,12aa)]-4-(Dimethylamino)-9-(formylamio)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12atetrahydroxy7-iodo-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-4-(Dimethylamino)-9-(formylamino)1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12atetrahydroxy-7-iodo-1,11-dioxo-2-naphthacencarboxamidsulfat; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetr a-hydroxy-9-[(methoxyacetyl)amino]-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-9-[(4-Bromo-1-oxobutyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11, 12aoctahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-4,7-Bis(dimethyl-

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amino)-1,4.4a,5,5a,6.11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(1-oxo-2-propenyl)amino]-2naphthacencarboxamid; [4S-(4a,12aa)]-9-[[(Acetyloxy)acetyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6.11. 12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidsulfat; [4S-(4a,12aa)]-9-(Benzoylamino)-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(4-methoxybenzoyl)amino]-1,11dioxo-2-naphthacencarboxamid; [4S-(4alpha,12aalpha))]4,7-Bis (dimethylamino)-1,4,4a,5,5a,6,11,12a octahydro-3,10,12,12a-tetrahydroxy-9-[(2-methylbenzoyl)amino]-1,11-dio-[4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[(2-fluorobenzoyl)amino]xo-2-naphthacencarb-oxamid; 1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarb-oxamid; 12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9[(pentafluorobenzoyl)amino]-1,11-dioxo-2-naphthacencarboxamidhydrochlorid; [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11 -dioxo-9-[[3-(trifluoromethyl)benzoyl] amino]-2-naphthacencarboxamid; [45-(4alpha,12aalpha)]-4,7-Bis(dimethyl-amino)-9-[(2-furanylcarbonyl)amino]-1,4,4a, 5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy1,11-dioxo-9-[(2-thienylcarbonyl)amino]-2-naphtha cencarboxamid; [4S-(4alpha,12aalphaj]-4,7-Bis(dimethylamino)-1,4,4a, 5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(4-nitrobenzoyl)amino]-1,11-dioxo-2-naphthacencar-[4S-(4a,12aa)]-9-[(4-Aminobenzoyl)amino]-4,7-bis-dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydroboxamid: 3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidsulfat; [4S-(4a, 12aa)]-4,7-Bis(dimethylamino)-9-[[(4-dimethylamino)benzoyl]-amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [7S-(7a,10aa)]-[2-[[9-(Aminocarbonyl)-4,7-bis(dimethylamino)--5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-amino]-2-oxoethyl]carbaminsäure-1,1-dimethylethy-[4S-(4a,12aa)]-9-[(Aminoacetyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidmono-(trifluoroacetat); [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetra-hydroxy-1,11dioxo-9-[(phenylsulfonyl)amino]-2-naphthacencarboxamid; [4S-(4a,12aa)]-9-[(4-Chlorophenyl)sulfonyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5 [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a, a,-6,11,12atetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; 5,5a,6,11,12a-octahydro-3,10,12,12a-tetra-hydroxy-9-[(3nitrophenyl)sulfonyl]amino-1,11-dioxo-2-naphthacencaboxamid; [4S-(4a, 12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9[[(4-nitrophenyl)sulfonyl]amino]-1,11-dioxo-2naphthacencarboxamid; [4S-(4a, 12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-{(2-thienylsulfonyl)amino}-2naphthacencarboxamid; [4S-(4a,12aa)]-9-[[(2-(Acetylamino)-4-methyl-5-thiazolylsulfonyl]amulo]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-1,11-dioxo-2naphthacencarboxamid; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[(ethylsulfonyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-9-(formylamino)- 1.4,4a,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-N-(1-pyrrolidinylmethyl)-2-naphthacencarboxamid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetr ahydroxy-9-[(methanesulfonyl)amino] -1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetr a-hydroxy-1,11-dioxo-9-[[(phenylmethoxy)acetyl]amino]-2-naphthacencarboxamid; (7S-(7a,10aa)]-[[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12 octahydro-1,8,10a,11 -tetrahydroxy-10,12-dioxo-2-naphthacenyl]amino]oxoessigsäureethylester; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(hydroxyacetyl)amino]-1.11-dioxo-2-naphthacencarboxamid: [4s-(4a,12aa)]-4,7-Bis(dimethylamino)-9-[[(methylamino)acetyl]amino]-1.4,4a,5,5a,6,1 1,12a-octahydro-3,10,12,12atetrahydroxy-1,11-dioxo-2-naphthacencarboxamidhydrochlorid; [4S-(4a,12aa)]-4-(Dimethylamino)-9-(acetylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxyiodo-1,11 dioxo-2-naphthacencarboxamidsulfat; [7S-(7a,10aa)]-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a, 7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2naphthacenyl]carbaminsäuremethylester; [7S-(7a, 10aa)]-[9-(Aminocarbonyl)-4, 7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-carbaminsäure-(2diethylamino)ethylester; [7S-(7a,lOaa)] [9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]carbaminsäure ethenyl ester; [7S-(7a,10aa)]-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a, 12-octahydro-1,8,10a,11tetrahydroxy-10,12-dioxo-2-naphthacenyl]carbaminsäure 2-propenylester: [4S-(4a, 12aa)]-4,7-Bis(dimethylamino)-9-[[(dimethylamino)acetyl]-amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12atetrahydroxy-1,11-dioxo-2 naphthacencarboxamidsulfat; [4S-(4a,12aa)]-4,7-Bis-(dimethylamino)-1,4,4a,5,5a,6,-11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(methoxyacetyl)-amino]-1,11-dioxo-2-naphthacencarboxamid hydrochlorid; [4S-(4a,12aa)]-9[(4-Bromo-i-oxobutyl)amino]--4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidsulfat; [4S-(4a,12aa)]-9-[[(Acetyloxy)acetyl] amino]--4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphtha-

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cencarboxamid: [4S-(4a,12aa)]-9-(Benzoylamino)-4,7-bis(dimethylamino)1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidsulfat; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-1,11dioxo-9-[[3-(trifluoromethyl)benzoyl]amino]-2-naphthacencarboxamidhydrochlorid; [4S-(4a,12aa)]-9-(4-Aminobenzoyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid-[4S-(4a,12aa)]-4,7-Bis(dimethylamino)-9-[[(4-dimethylamino)benzoyl] amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12atetrahydroxy-1f11-dioxo-2-naphthacencarboxamidhydrochlorid; [7S-(7a,10aa)]-[2-[[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]amino]-2-oxoethyl]carbaminsäure-1,1-dimethylethylesterhydrochlorid; [4S-(4a,12aa)]-9-[(Aminoacetyl)amino]-4,7-bis-dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacen-[4S-(4alpha,12aalpha)]-4,7Bis(dimethylamino)-9-[(ethylsulfonyl)amino]-1,4,4a,5,5a,6,11,12aoctahydro3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidhydrochlorid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a tetrahydroxy-9-[(methanesulfonyl)amino]-1,11-dioxo-2naphthacencarboxamidsulfat; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-1,11-dioxo-9[[(phenylmethoxy)acetyl]amino]-2-naphthacencarboxamid hydrochlorid; [4S-(4a, 12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(hydroxyacetyl)amino]-1,11-dioxo-2-naphthacen carboxamidsulfate; [4S-(4a,12aa)]-4-(Dimethylamino)9-(acetylamino)-1,4,4a,5,5a, 6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-iodo-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-9-[[(dimethylamino)-acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [7S-(7a,10aa)]-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a, 6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-carbaminsäuremethylestersulfat; [7S-(7a,10aa)]-[9(Aminocarbonyl)-4,7-bis-(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a, 11-tetrahydroxy-10,12-dioxo-2naphthacenyl]-carbaminsäure(2-diethylamino)ethyl-esterhydrochlorid; 10aa)]-[9(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5 a,6,6a,7,10,10a,12-octahydro-1,8,10a,il-tetrahydroxy-10,12-dioxo-2naphthacenyl]carbaminsäureethenylestersulfat; [7S-(7a,10aa)]-[9-(Aminocarbonyl)- 4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]carbaminsäure-2-propenylesterhydrochlorid;[4S-(4a, 12aa]-4,7-Bis(dimethylamino)-9-[[(diethylamino)acetyl3amino]-1,4,4a, 5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidsulfat; [4S-(4a,12aa]-4,7-Bis(dimethylamino)-9-[[(diethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidhydrochlorid; [4S-(4a,12aa]-4,7Bis(dimethylamino)-9-[[(diethylamino) acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12atetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [4S-(4alpha,12aalpha)]4,7-Bis(dimethylamino)-9-[[(dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2naphthacen- carboxamid dihydrochlorid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)9-(chloroacetylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naph-(4S-(4alpha,12aalpha))-9-[(Chloroacetyl)amino] -4,7-bis(dimethylamino)-1,4,4a,5,5a, 6,11,12a-octahydro3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid dihydrochlorid; [4S-(4alpha, 12aalpha)1-9[(Bromoacetyl)amino]-4.7-bis-(dimethylamino)-1.4.4a.5.5a.6.11.12a-octahydro-3.10.12.12a-tetrahydroxy-1,11dioxo-2-naphthacencarboxamid dihydrochlorid; [4S(4alpha,12aalpha)]9-[(Bromoacetyl)-amino)-4,7-bis (dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro- 3,10,12,12a-tetrahydroxy1,11-dioxo-2-naphthacencarboxamid (freie Base); [4S-(4alpha,12aalpha)]-9-[(Bromoacetyl)amino]-4,7bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octabromid: hydro-3,10,12,12a-tetrahydroxy-1,11-dioxo2-naphthacencarboxamidmonohydro-[4S-(4alpha, 12aalpha)]-9-[(2-Bromo-1-oxopropyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-1,11-dioxo-2-naphthacen- carboxamidhydrobromid; [4S-(4alpha,12aalpha)]-9-[(2-Bromo-ioxopropyl)amino]-4,7-bis-(dimethylamino)-1,4,4a,5,5a,6,11, 12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid hydrobromide: [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12aoctahydro-3,10,12, 12a-tetrahydroxy-9 [[(methylamino)acetyl]amino]-1,11-dioxo-2-naphthacencarboxamiddihydro- chlorid; [7S-(7alpha,10aalpha)]-N-[9-(Aminocarbonyl)- 4,7-bis(dimethylamino)- 5,5a,6,6a,7,10,10a,12octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]- 4-morpholineacetamid dihydrochlorid; [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)- 9[[(ethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12aoctahydro3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid dihydrochlorid; [4S-(4alpha, 12aalpha)]-9-[[(Cyclopropylamino) acetyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro3,10,12, 12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamiddihydrochlorid; [4S(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-9-[[(butylamino)acetyl]amino]-1,4,4a,5,5a,6, 11,12aoctahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamiddi-hydro-[4S(4alpha, 12aalpha)]-9-[[(Diethylamino)-acetyl]amino]-4,7- bis(dimethylamino)-1,4,4a,5,5a, 6,11,12aoctahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacen- carboxamid dihydrochlorid; [7S(7alpha, 10aalpha)]-N-[9-(Aminocarbonyl)-4,7-bis- (dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro 1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-1pyrrolidinacetamiddihydrochlorid; [4S(4alpha,12aalpha)]-4,7-Bis-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy9[[(2-methylpropyl)amino]acetyl]amino]-

1.11-dioxo-2naphthacencarboxamiddihydro-chloride; [7S(7alpha, loaalpha)]-N-[9-(Aminocarbonyl)-4.7-bis(dimethylamino)- 5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyll- 1-piperididihydrochlorid: [7S(7alpha,loaalpha)]-N-[9(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a, 7,10,10a,12-octahydro1,8,10a,11 -tetrahydroxy-10,12-dioxo-2-naphthacenyl]-1H-imidazole-1-acetamid dihydrochlorid; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11dioxo-9-[[(propylamino)acetyl]amino]- 2-naphthacencarboxamiddihydro- chloride; [4S-(4alpha,12aalpha)]-4,7Bis(dimethylamino)-9-[[dimethylamino)-acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacen-carboxamid: [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9- [[(hexylamino) 1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetra-hydroxy-1,11-dioxo-2-naphthacenboxamiddihydrochlorid: [45-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)- 9-[[2- (dimethylamino)-1-oxopropyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a- tetrahydroxy-1,11-dioxo-2-napthacenecarboxamiddihydrochlorid;[4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a- octahydro-3,10,12,12a-tetrahydroxy-9-[[2(methylamino)-1-oxopropyl]amino]-1,11-dioxo-2-naphthacencarboxamiddihydrochlorid; [7S-(7alpha,loaalpha)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12- octahydro-1,8,10a,11-tetrahy droxy-10,12-dioxo-2-naphthacenyl]-alpha-methyl-l-pyrrolidinacetamiddihydrochlorid; [4S-(4alpha, 12aalpha)]-4,7-Bis [[4-(dimethylamino)-i-oxobutyl]amino]1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahy-(dimethylamino)-9droxy-1,11-dioxo-2-napthacenecarboxamiddihydrochlorid; [4S-(4alpha,12aalpha)]-9[[(Butylmethylamino)acetyl] amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro- 3,10,12,12atetrahydroxy-1,11-dioxo-2-naphthacencarboxamiddihydrochlorid; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12atetrahydroxy-1,11-dioxo-9-[[(pentylamino)acetyl]amino]2-naphthacencarboxamiddihydrochlorid; [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12aoctahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9 [[(phenylmethyl)amino]acetyl]amino]- 2-naphthacen- carboxamiddihydrochlorid; [7S-(7alpha, 10aalpha)]-N-[[9-(Aminocarbonyl)-4,7-bis-(dimethylamino)-5,5a,6,6a,7, 12-octahydro-1,8,10a, 11-tetrahydroxy10,12-dioxo-2-naphthacenyl]-amino]-2- oxoethyl]glycine; [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-9-[[(dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-1,11-dioxoN-(1-pyrrolidinylmethyl)-2naphthacencarboxamid; (4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9[[(dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1, il-dioxo-N(4-morpholinylmethyl)-2-naphthacencarboxamid; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9[[(dimethylamino)acetyl] amino]-1,4,4a,5,5a,6,11,12aoctahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-N(1-piperidinylmethyl)-2-naphthacencarboxamid; [7S-(7alpha, loaalpha)]-N- [9-(Aminocarbonyl-4,7bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-napthacenyl]i-azetidineacetamid; [4S-(4alpha, 12aalpha)]-9-[[(Cyclobutylamino)acetyl]- amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo2-naphthacencarboxamid hydrochlorid.

- 12. Die Verbindung gemäß Anspruch 6, nämlich [4S-(4α,12aα)]-4-(Dimethylamino)-9-(formylamino)-1,4,4a,5,5a,
 6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-nitro-1,11-dioxo-2-naphthacencarboxamidsulfat.
 - 13. Verfahren zur Herstellung einer Verbindung der Formel:

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gemäß Anspruch 1, wobei X = NR¹R², das eine Umsetzung eines 9-Amino-7-(substituiertes Amino)-6-demethyl-6-deoxytetracyclin der Formel:

mit einem Acylhalogenid der Formel R-Halogenid, einem Acylanhydrid der Formel R-Anhydrid, einem gemischten Acylanhydrid der Formel R-Anhydrid, einem Sulfonylhalogenid der Formel R-Halogenid, oder einem Sulfonylanhydrid der Formel R-Anhydrid, in Gegenwart eines geeigneten Säurefängers in einem geeigneten Lösungsmittel umfasst.

14. Verfahren zur Herstellung einer Verbindung der Formel:

gemäß Anspruch 1, wobei X für Halogen steht, wobei das Verfahren eine Umsetzung eines 9-(Acyl- oder Sulfonylamino)-6-demethyl-6-deoxytetracyclins der Formel:

mit einem Halogenierungsmittel umfasst.

15. Verfahren zur Herstellung einer Verbindung der Formel:

gemäß Anspruch 6, wobei das Verfahren eine Umsetzung eines 9-(Acyl- oder Sulfonylamino)-6-demethyl-6-deoxytetracyclins der Formel:

mit einem Metallnitrat und einer starken Säure umfasst.

16. Verfahren zur Herstellung einer Verbindung der Formel:

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gemäß Anspruch 6, das eine Umsetzung einer Verbindung der Formel:

$$\begin{array}{c} \text{NH} \\ \text{NH} \\ \text{NH} \\ \text{OH} \\$$

mit Salpetersäure und einer starken Säure umfasst.

17. Verfahren zur Herstellung einer Verbindung der Formel:

gemäß Anspruch 1, wobei X = NR¹R², das eine Umsetzung einer Verbindung der Formel:

 $\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & \\ & & \\ &$

gemäß Anspruch 6, mit dem geeigneten (C_1 - C_4)-geradkettigen oder verzweigten Aldehyden oder Keton in Gegenwart einer Säure und Wasserstoff umfasst.

18. Verfahren zur Herstellung einer Verbindung der Formel:

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gemäß Anspruch 1, wobei X = NR¹R² oder Halogen ist, das eine Umsetzung eines 9-(substituiertes Amino)-7-(Halogen oder substituiertes Amino)-6-demethyl-6-deoxytetracyclins der Formel:

gemäß Anspruch 1 mit einem primären oder sekundären Amin in Gegenwart von Formaldehyd umfasst.

19. Verfahren zur Prävention, Behandlung oder Bekämpfung von bakteriellen Infektionen in warmblütigen Tieren, das eine Verabreichung einer pharmakologisch wirksamen Menge einer Verbindung gemäß Anspruch 1 an das Tier umfasst. 20. Pharmazeutisches Mittel, umfassend eine Verbindung gemäß Anspruch 1 zusammen mit einem pharmazeutisch unbedenklichen Träger.

5 Patentansprüche für folgende Vertragsstaaten: ES, GR

1. Verfahren zur Herstellung einer Verbindung der Formel:

wobei:

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X ausgewählt ist aus Amino, NR^1R^2 oder Halogen und Halogen ausgewählt ist aus Brom, Chlor, Fluor oder lod; und für den Fall, dass $X = NR^1R^2$ und $R^1 = Wasserstoff$ ist, dann ist

 R^2 = Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl; und für den Fall, dass R^1 = Methyl oder Ethyl ist, dann ist

 R^2 = Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl;

und für den Fall, dass R¹ = n-Propyl ist, dann ist

 $R^2 = n\text{-Propyl}$, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl,

und für den Fall, dass R1 = 1-Methylethyl ist, dann ist

 $R^2 = n$ -Butyl, 1-Methylpropyl oder 2-Methylpropyl;

und für den Fall, dass R1 = n-Butyl ist, dann ist

R² = n-Butyl, 1-Methylpropyl oder 2-Methylpropyl;

und für den Fall, dass R¹ = 1-Methylpropyl ist, dann ist

 $R^2 = 2$ -Methylpropyl;

R ausgewählt ist aus R4(CH₂)_nCO- oder R4 (CH₂)_nSO₂-;

und für den Fall, dass $R = R^4(CH_2)_nCO$ - und n = 0 ist, dann wird

R⁴ ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C_1 - C_6)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C_1 - C_4)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl; einer (C_3 - C_6)-Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl, Cyclopentyl oder Cyclohexyl; einer substituierten (C_3 - C_6)-Cycloalkylgruppe (wobei eine Substitution ausgewählt wird aus (C_1 - C_3)-Alkyl, Cyano, Amino oder (C_1 - C_3)-Acyl); einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C_6 - C_{10})-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl; einer α-Amino-(C_1 - C_4)-alkylgruppe, ausgewählt aus Aminomethyl, α-Aminopropyl oder α-Aminobutyl; einer Carboxy-(C_2 - C_4)-alkylgruppe, ausgewählt aus Aminomethyl, α-Aminobutyr-säure und α-Aminopropionsäure und deren optischen Isomeren; einer (C_7 - C_9)-Aralkylaminogruppe; einer (C_1 - C_4)-Alkoxycarbonylamino-substituierten(C_1 - C_4)-Alkylgruppe, wobei eine Substitution ausgewählt wird aus Phenyl oder

p-Hydroxyphenyl; einer α -Hydroxy- $(C_1$ - $C_3)$ -alkylgruppe, ausgewählt aus Hydroxymethyl, α -Hydroxyethyl oder α -Hydroxypropyl; einer α -Mercapto- $(C_1$ - $C_3)$ -alkylgruppe, ausgewählt aus Mercaptomethyl, α -Mercaptoethyl, α -Mercapto-1-methylethyl oder α -Mercaptopropyl; einer Halogen- $(C_1$ - $C_3)$ -alkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

$$Z = N, O, S \text{ oder Se}$$

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

$$\begin{array}{c|c}
 & z^1 \\
 & z^1 \\
 & z^1
\end{array}$$

$$Z$$
 oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oden verzweigtem (C_1-C_4) -Alkyl; C_6 -Aryl; substituiertem C_6 -Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl) oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Acyl- oder einer Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, $(C_3$ - C_6)-Cycloalkylcarbonyl, $(C_6$ - C_{10})-Aroyl, das ausgewählt wird aus Benzoyl oder Naphthoyl, Halogen-substituiertem $(C_6$ - C_{10})-Aroyl, $(C_1$ - C_4)-Alkylbenzoyl, oder (Heterocyclus)carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

$$Z$$
 oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4) -Alkyl; C_6 -Aryl; substituiertem C_6 -Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C_1 - C_4)-Alkoxycarbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, geradkettigem oder verzweigtem Butoxycarbonyl oder Allyloxycarbonyl; einer Vinyl- oder substituierten Vinylgruppe [wobei die Substitution ausgewählt wird aus einer (C_1 - C_3)-Alkylgruppe, Halogen, einer (C_6 - C_{10})-Arylgruppe, ausgewählt wird aus Phenyl, α -Naphthyl, einer substituierten (C_6 - C_{10})-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Triahalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-alkylgruppe, einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzooder Pyridoring aufweist:



Z = N, O, S oder Se,

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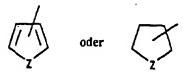
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einer (C_1-C_4) -Alkoxygruppe; C_6 -Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, einer Di- (C_1-C_3) -alkylamino); einer (C_7-C_{10}) -Aralkyloxygruppe; einer Vinyloxy- oder substituierten Vinyloxygruppe (wobei eine Substitution ausgewählt wird aus (C_1-C_4) -Alkyl, Cyano, Carboxy, oder (C_6-C_{10}) -Aryl, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl); einer R^aR^b -Amino- (C_1-C_4) -alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C_1-C_4) -Alkyl, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl ist, oder R^aR^b für $(CH_2)_n$ steht, wobei n=2 bis 6, oder für - $(CH_2)_2W(CH_2)_2$ - steht, wobei N0 ausgewählt wird aus Wasserstoff oder N1, -NOB [wobei B ausgewählt wird aus Wasserstoff oder N2, -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl, oder N3, -Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder N3, -Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder N3, -Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder N3, -Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder N3, -Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder N4, -Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder N4, -Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder N4, -Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder N4, -Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder N4, -Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder N5, -Alkyl [geradkettig oder verzweigt], -NH

und für den Fall, daß $R = R^4(CH_2)_nCO$ - und n = 1-4, dann wird

R⁴ ausgewählt aus Wasserstoff; Amino, einer geradkettigen oder verzweigten (C_1-C_4) -Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl; einer (C_3-C_6) -Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl, Cyclopentyl, oder Cyclohexyl; einer substituierten (C_3-C_6) -Cycloalkylgruppe (wobei eine Substitution ausgewählt wird aus (C_1-C_3) -Alkyl, Cyano, Amino, oder (C_1-C_3) -Acyl); einer (C_6-C_{10}) -Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C_6-C_{10}) -Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe; einer Acyloxy- oder Halogenacyloxygruppe, ausgewählt aus Acetyloxy, Propionyloxy, Chloracetyloxy, Trichloracetyloxy, (C_3-C_6) -Cycloalkylcarbonyloxy, (C_6-C_{10}) -Aroyloxy, ausgewählt aus Benzoyloxy oder Naphtoyloxy, Halogen-substituiertem (C_6-C_{10}) -Aroyloxy, (C_1-C_4) -Alkylbenzoyloxy, oder (Heterocyclus)carbonyloxy, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring, mit einem N-, O-, Soder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z = N, O, S oder Se,

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oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

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Z oder $Z^1 = N$, O, S oder Se,

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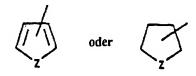
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oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl; substituiertem C_6 -Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C_1 - C_4)-Alkoxygruppe; einer C_6 -Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C_1 - C_3)-alkylamino); einer (C_7 - C_{10})-Aralkyloxygruppe; einer (C_1 - C_3)-Alkylthiogruppe, ausgewählt aus Methylthio, Ethylthio, Propylthio oder Phenylthio; einer C_6 -Arylthiogruppe, ausgewählt aus Phenylthio oder einem substituierten Phenylthio (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C_1 - C_3)-alkylamino); einer C_6 -Arylsulfonylgruppe, ausgewählt aus Phenylsulfonyl oder substituiertem Phenylsulfonyl (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_8)-Aralkylthiogruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benz- oder Pyridoring aufweist:



Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl; substituiertem C₆-Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

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oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Hydroxygruppe; einer Mercaptogruppe; einer mono- oder di-geradkettigen oder -verzweigten (C_1 - C_6)-Alkylaminogruppe, ausgewählt aus Methyl-, Ethyl-, n-Propyl-, 1-Methylethyl-, n-Butyl-, 1-Methylpropyl-, 2-Methylpropyl-, 2-Methylpropyl-, 2-Methylpropyl-, 3-Methylbutyl-, n-Hexyl-, 1-Methylpentyl-, 1,1-Dimethyl-, 2,2-Dimethylbutyl-, 2-Methylpentyl-, 1,2-Dimethylbutyl-, 1,3-Dimethylbutyl- oder 1-Methyl-1-ethylpropylamino; einer (C_2 - C_5)-Azacycloalkylgruppe; einer Carboxy-(2-4-)-alkylaminogruppe, ausgewählt aus Aminoessigsäure, α -Aminopropionsäure, α -Aminobutyrsäure und deren optischen Isomeren; einer α -Hydroxy-(C_1 - C_3)-alkylgruppe, ausgewählt aus Hydroxymethyl, α -Hydroxyethyl oder α -Hydroxy-1-methylethyl oder α -Hydroxypropyl; einer Halogen-(C_1 - C_3)-alkylgruppe; einer Acyl- oder Halogenacyl oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C_1 - C_6 -)-Cycloalkylcarbonyl, (C_6 - C_{10} -)-Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C_6 - C_{10} -)-Aroyl, (C_1 - C_4 -)-Alkylbenzoyl oder (Heterocyclus)carbonyl, bei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring it einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteratomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

$$\begin{array}{c|c} z^1 & \text{oder} & z^1 \\ \hline \end{array}$$

Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbarten gebundenen O-Heteroatom:

- (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl; substituiertem C₆-Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy; einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),
 - oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C_1 - C_4)-Alkoxycarbonylaminogruppe, ausgewählt aus tert-Butoxycarbonylamino, Allyloxycarbonylamino, Methoxycarbonylamino, Ethoxycarbonylamino oder Propoxycarbonylamino; einer (C_1 - C_4)-Alkoxycarbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, geradkettigem oder verzweigtem Propoxycarbonyl, Allyloxycarbonyl oder geradkettigem oder verzweigtem Butoxycarbonyl; einer RaRb-Amino-(C_1 - C_4)-alkoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes (C_1 - C_4)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder wobei RaRb für (C_1 - C_2)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C_1 - C_3)-Alkyl], O oder S; oder eine RaRb-Aminoxygruppe, wobei RaRb geradkettiges oder verzweigtes (C_1 - C_4)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder RaRb steht für (C_1 - C_2), n n = 2 bis 6, oder für -(C_1 - C_2)-Nobei W ausgewählt wird aus -N(C_1 - C_3)-Akyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C_1 - C_3)-Alkyl], O oder S;
 - und für den Fall, dass $R = R^{4}$ (CH_2)_n SO_2 und n = O, dann wird
 - R4' ausgewählt aus Amino, monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C_1-C_6) -Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C_1-C_4) -Alkylgruppe, die ausgewählt ist aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1,-Dimethylethyl; einer (C_3-C_6) -Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl, Cyclopentyl oder Cyclohexyl; einer substituierten (C_3-C_6) -Cycloalkylgruppe, (wobei eine Substitution ausgewählt wird aus (C_1-C_3) -Alkyl, Cyano, Amino oder (C_1-C_3) -Acyl); einer (C_6-C_{10}) -Arylgruppe, ausgewählt wird aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierte (C_6-C_{10}) -Arylgruppe (wobei eine Substitution ausgwählt wird aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe; einer Halogen- (C_1-C_3) -alkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

Z = N, O, S oder Se

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteratomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

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Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbarten gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4) -Alkyl; C_6 -Aryl; substitutiertem C_6 -Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigem aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer RaRb-Amino- (C_1-C_4) -alkoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes (C_1-C_4) -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder RaRb steht für $(CH_2)_n$, n=2 bis 6, oder - $(CH_2)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus -N(C_1-C_3)-alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C_1-C_3) -Alkyl], O oder S; oder einer RaRb-Aminoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes (C_1-C_4) -Alkyl ist; das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder RaRb ist $(CH_2)_n$, n=2 bis 6, oder - $(CH_2)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus -N(C_1-C_3)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C_1-C_3) -Alkyl], O oder S;

und für den Fall, dass $R = R^{4}$ (CH₂)_nSO₂- und n = 1 bis 4, dann wird R^4 ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C_1 - C_4)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl; einer (C₁-C₄)-Carboxyalkylgruppe; einer (C₃-C₆)-Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl, Cyclopentyl oder Cyclohexyl; einen substituierten (C₃-C₆)-Cycloalkylgruppe (wobei eine Substitution ausgewählt wird aus (C₁-C₃)-Alkyl, Cyano, Amino oder (C_1 - C_3)-Acyl); einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C6-C10)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C1-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-Alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₀)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl; einer (C₁-C₄)-Alkoxygruppe; einer C₆-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₃)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₄)-alkylamino); einer (C7-C10)-Aralkyloxygruppe; einer RaRb-Amino-(C1-C4)-alkoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes (C1-C4)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder R^aR^b ist $(CH_2)_n$, n=2 bis 6, oder $-(CH_2)_2W(CH_2)_n$ -, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyll, O oder S; oder einer RaRb-Aminoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes (C1-C4)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist $(CH_2)_n$, n=2 bis 6, oder $-(CH_2)_2W(CH_2)_2$, wobei W ausgewählt wird aus $-(C_1-C_3)$ -Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C1-C3)-Alkyl], O oder S; einer (C1-C3)-Alkylthiogruppe, ausgewählt aus Methylthio, Ethylthio oder n-Propylthio; einer C6-Arylthiogruppe, ausgewählt aus Phenylthio oder substituiertem Phenylthio (eine Substitution wird ausgewählt aus Halogen; (C1-C3)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C1-C3)-alkylamino); einer (C7-C8)-Aralkylthiogruppe; einer heterocyclische Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen gesättigten Ring mit einem N-, O-, S- oder Se-Hete-

roatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

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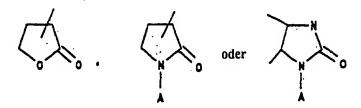
Z = N, O, S oder Se

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist kann:



Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatomen:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Hydroxygruppe, einer Mercaptogruppe; einer mono- oder di-geradkettig oder verzweigten (C_1 - C_6)-Alkylarninogruppe, ausgewählt aus Methyl-, Ethyl-, n-Propyl-, 1-Methylethyl-, n-Butyl-, 1-Methylpropyl-, 2-Methylpropyl-, 1,1-Dimethylptyl-, 1,1-Dimethylpropyl-, 2-Dimethylpropyl-, 3-Methylbutyl-, n-Hexyl-, 1-Methylpentyl-, 1,1-Dimethylbutyl-, 2,2-Dimethylbutyl-, 2-Methylpentyl-, 1,2-Dimethylbutyl-, 1,3-Dimethylbutyl- oder 1-Methyl-1-ethylpropylamino; einer Halogen-(C_1 - C_3)-alkylgruppe; einer Acyl- oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C_3 - C_6)-Cycloalkylcarbonyl, (C_6 - C_{10})-Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C_6 - C_{10})-Aroyl, (C_1 - C_4)-Alkylbenzoyl oder (Heterocyclus)carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

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Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

$$\begin{array}{c|c}
 & z^1 \\
 & \text{oder} \\
 & z^1
\end{array}$$

Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C₁-C₄)-Alkoxycarbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, geradkettigem oder verzweigtem Propoxycarbonyl, Allyloxycarbonyl oder geradkettigem oder verzweigtem Butoxycarbonyl;

R⁵ ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer (C_7 - C_9)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

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Z = N, O, S oder Se,

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oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

$$\begin{array}{c|c}
 & z^1 \\
 & z^1
\end{array}$$
 oder

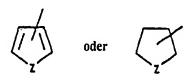
Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

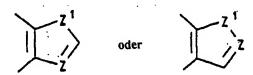
oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder - $(CH_2)_nCOOR^7$, wobei n = 0 bis 4 und R⁷ ausgewählt ist aus Wasserstoff; einer geradkettigen oder verzweigten (C_1-C_3) -Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder einer (C_6-C_{10}) -Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl;

R⁶ ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer (C_7 - C_9)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



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Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder - $(CH_2)_nCOOR^7$, wobei n = 0 bis 4 und R 7 ausgewählt ist aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_3) -Alkyl, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; (C_6-C_{10}) -Aryl, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; mit der Maßgabe, dass R 5 und R 6 nicht beide Wasserstoff sein können;

oder R^5 und R^6 bedeuten zusammen - $(CH_2)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus $(CH_2)_n$ und n=0 bis 1, -NH, -N(C_1 - C_3)-Alkyl [geradkettig oder verzweigt], -N(C_1 - C_4)-Alkoxy, Sauerstoff, Schwefel oder substituierte Kongenäre, die ausgewählt werden aus (L- oder D-)Prolin, Ethyl(L- oder D-)prolinat, Morpholin, Pyrrolidin oder Piperidin; und die pharmakologisch unbedenklichen organischen und anorganischen Salze oder Metallkomplexe davon; wobei das Verfahren eine der folgenden Stufen (a) bis (c) umfasst:

(a) die Stufe der Herstellung der oben definierten Verbindung der folgenden Formel:

wobei, $X = NR^1R^2$, die eine Umsetzung eines 9-Amino-7-(substituiertes Amino)-6-demethyl-6-deoxytetracyclins der folgenden Formel:

mit einem Acylhalogenid der Formel R-Halogenid, einem Acylanhydrid der Formel R-Anhydrid, einem gemischten Acylanhydrid der Formel R-Anhydrid, einem Sulfonylhalogenid der Formel R-Halogenid oder einem Sulfonylanhydrid der Formel R-Anhydrid in Gegenwart eines geeigneten Säure-Scavengers in einem geeigneten Lösungsmittel, umfasst;

(b) die Stufe der Herstellung der oben definierten Verbindung der folgenden Formel:

wobei X für Halogen steht, die eine Umsetzung eines 9-(Acyl- oder Sulfonylamino)-6-demethyl-6-deoxytetracyclins der folgenden Formel:

mit einem Halogenierungsmittel, umfasst;

(c) die Stufe der Herstellung der oben definierten Verbindung der folgenden Formel:

wobei $X = NR^1R^2$, die eine Umsetzung einer Verbindung der folgenden Formel:

wobei R wie oben definiert ist, mit einem geeigneten (C1-C4)-geradkettigen oder verzweigten Aldehyd oder 15 Keton in Gegenwart einer Säure und Wasserstoff, umfasst;

sowie gegebenenfalls eine Stufe umfasst zur Herstellung einer oben definierten Verbindung der folgenden Formel:

wobei, X = NR1R2 oder Halogen, die eine Umsetzung eines oben definierten 9-(substituiertes Amino)-7-(Ha-30 logen oder substituiertes Amino)-6-demethyl-6-deoxytetracyclins der folgenden Formel:

45 mit einem primären oder sekundären Amin in Gegenwart von Formaldehyd umfasst.

2. Das Verfahren nach Anspruch 1, wobei:

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X ausgewählt wird aus Amino, NR1R2 oder Halogen; wobei das Halogen ausgewählt wird aus Brom, Chlor, Fluor oder lod;

50 und für den Fall, dass X = NR1R2 und R1 = Wasserstoff ist, dann ist

> R2 = Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl; und für den Fall, dass R1 = Methyl oder Ethyl, dann ist

R² = Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl;;

R ausgewählt wird aus R4(CH₂)_nCO- oder R4'(CH₂)_nSO₂-;

und für den Fall, dass $R = R^4(CH_2)_nCO$ - und n = O, dann wird

 R^4 ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁·C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino,

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Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C_1 - C_4)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl; einer (C_3 - C_6)-Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl, Cyclopentyl oder Cyclohexyl; einer substituierten (C_3 - C_6)-Cycloalkylgruppe (wobei eine Substitution ausgewählt wird aus (C_1 - C_3)-Alkyl, Cyano, Amino oder (C_1 - C_3)-Acyl); einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C_6 - C_{10})-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer α-Amino-(C_1 - C_4)-alkylgruppe, ausgewählt aus Aminoethyl, α-Aminoethyl, α-Aminopropyl oder α-Aminobutyl; einer Carboxy-(C_1 - C_4)-alkylgruppe, ausgewählt aus Aminoessigsäure, α-Aminobutyrsäure oder α-Aminopropionsäure und deren optischen Isomeren; einer (C_7 - C_9)-Aralkylaminogruppe; einer (C_1 - C_4)-Alkylgruppe, wobei eine Substitution ausgewählt wird aus Phenyl oder α-Hydroxyphenyl; einer α-Hydroxy-(C_1 - C_3)-alkylgruppe, ausgewählt aus Hydroxymethyl, α-Hydroxyethyl oder α-Hydroxy-1-methylethyl oder α-Hydroxypropyl; einer Halogen-(C_1 - C_3)-alkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Acyl- oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C₃-C₆)-Cycloalkylcarbonyl, (C₆-C₁₀)-Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-sub-

stituiertem (C_6 - C_{10})-Aroyl, (C_1 - C_4)-Alkylbenzoyl oder (Heterocyclus)carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigem aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

Z = N, O, S oder S,

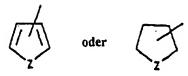
oder einem fünfgliedrigen Ring mit zwei N-, O-, S- oder Se-Heteratomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

$$Z$$
 oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C_1 - C_4)-Alkoxycarbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, geradkettigem oder verzweigtem Butoxycarbonyl oder Allyloxycarbonyl; einer Vinyl- oder substituierten Vinylgruppe [wobei eine Substitution ausgewählt wird aus einer (C_1 - C_3)-Alkylgruppe, Halogen, einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl, β -Naphthyl, einer substituierten (C_6 - C_{10})-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxyl, einer Halogen-(C_1 - C_3)-alkylgruppe, einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:



Z = N, O, S oder Sel:

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einer (C_1-C_4) -Alkoxygruppe; einer C_6 -Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di- (C_3) -alkylamino); einer (C_7-C_{10}) -Aralkyloxygruppe; einer Vinyloxy- oder substituierten Vinyloxygruppe (wobei eine Substitution ausgewählt wird aus (C_1-C_4) -Alkyl, Cyano, Carboxy, oder (C_6-C_{10}) -Aryl, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl); einer α -Ra-Amino- (C_1-C_4) -alkoxygruppe, wobei α -Ba-b ein geradkettiges oder verzweigtes (C_1-C_4) -Alkyl ist, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder α -Ba-b ein α -Ba-b ein geradkettig oder verzweigt], -NH, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C_1-C_3) -Alkyl], O oder S; oder einer α -Ba-Aminoxygruppe, wobei α -Ba-b ein geradkettiges oder verzweigtes α -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder α -Ba-b für α -Ba-b ein geradkettig oder verzweigt], -NH, -Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder α -Ba-b für α -Ba-b ein geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder α -Ca-b-Alkyl], O oder S;

und für den Fall, daß $R = R^4(CH_2)_nCO$ - und n = 1-4, dann wird

R⁴ ausgewählt aus Wasserstoff; einer (C_1-C_3) -Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder Methylethyl; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C_1-C_6) -Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer (C_6-C_{10}) -Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C_6-C_{10}) -Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer Acyloxy- oder Halogenacyloxygruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trichloracetyl, (C_3-C_6) -Cycloalkylcarbonyl, (C_6-C_{10}) -Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C_6-C_{10}) -Aroyl, (C_1-C_4) -Alkylbenzyl, oder (Heterocyclus)-carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



ode



Z = N, O, S oder Se;

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

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Z oder $Z^1 = N$, O, S oder Se,

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oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteratomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer, (C₁-C₄)-Alkoxygruppe; einer R^aR^b-Amino-(C₁-C₄)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C1-C4)-Alkyl ist, ausgewählt aus Methyl; Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder R^aR^b ist $(CH_2)_n$, n=2 bis 6, oder $-(CH_2)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus -N(C1-C3)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C1-C3)-Alkyl], O oder S; oder einer RaRb-Aminoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes (C1-C4)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder RaRb ist (CH₂)_n, n = 2 bis 6, oder -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus - N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; einer C6-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C1-C4)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C1-C3)-alkylamino); einer (C1-C3)-Alkylthiogruppe, ausgewählt aus Methylthio, Ethylthio, Propylthio oder Allylthio; einer C6-Arylthiogruppe, ausgewählt aus Phenylthio oder substituiertem Phenylthio, wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₃)-alkylamino); einer C₆-Arylsulfonylgruppe, ausgewählt aus Phenylsulfonyl oder substituiertem Phenylsulfonyl (wobei eine Substitution ausgewählt wird aus Halogen, (C1-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, ,Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteratom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

Z = N, O, S oder Se

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

Z oder $Z^1 = N$, O, S oder Se

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem

benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C7-C9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Hydroxygruppe; einer α -Hydroxy-(C_1 - C_3)-alkylgruppe, ausgewählt aus Hydroxymethyl, α -Hydroxyethyl oder α -Hydroxy-1-methylethyl oder α -Hydroxypropyl; einer Halogen-(C_1 - C_3)-alkylgruppe; einer Acyl- oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C_3 - C_6)-Cycloalkylcarbonyl, (C_6 - C_{10})-Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C_6 - C_{10})-Aroyl; (C_1 - C_4)-Alkylbenzoyl, oder (Heterocyclus)-carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

$$Z = N$$
, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

$$Z$$
 oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C7-C9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

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- oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C_1 - C_4)-Alkoxycarbonylaminogruppe, ausgewählt aus tert-Butoxycarbonylamino, Allyloxycarbonylamino, Methoxycarbonylamino, Ethoxycarbonylamino oder Propoxycarbonylamino; und für den Fall, dass $R = R^4(CH_2)_nSO_2$ und n = 0, dann wird
 - R⁴ ausgewählt aus Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C_1 - C_6)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C_6 - C_{10})-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); eine heterocyclische Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

$$\begin{array}{c|c}
 & z^1 \\
 & z^1 \\
 & z^2
\end{array}$$

Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatom und einem benachbart gebundenen O-Heteroatom:

- (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl; substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),
 - oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom;
 - und für den Fall, dass $R = R^4'(CH_2)_nSO_2^-$ ist und n = 1 bis 4, dann wird

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- R4' ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C_1 - C_6)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt wird aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C_6 - C_{10})-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_1 - C_4)-Alkoxygruppe; einer C_6 -Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy, (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C_1 - C_3)-alkylamino); einer (C_7 - C_{10})-Aralkyloxygruppe; einer (C_1 - C_4)-Carboxyalkylgruppe;
- R⁵ ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer (C_7 - C_9)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem

benachbart gebundenen O-Heteroatom:

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oder N

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4) -Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder - $(CH_2)_nCOOR^7$, wobei n = 0 bis 4 und R⁷ ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C_1-C_4) -Alkylgruppe,ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder einer (C_6-C_{10}) -Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl;

 R^6 ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer (C_7 - C_9)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigtem Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:



Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

Z oder $Z^1 = N$, O, S oder Se

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl; substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C7-C9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder $(CH_2)_nCOOR^7$, wobei n=0 bis 4, und R^7 wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_3) -Alkyl, das ausgewählt wird aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder (C_6-C_{40}) -Aryl, das ausgewählt wird aus Phenyl, α -Naphthyl oder β -Naphthyl; mit der Maßgabe, dass R^5 und R^6 nicht beide Wasserstoff sein können;

oder R^5 und R^6 bedeuten zusammen - $(CH_2)_2$ W($CH_2)_2$ -, wobei W ausgewählt wird aus $(CH_2)_n$ und n=0 bis 1, -NH, -N(C_1 - C_3)-Alkyl [geradkettig oder verzweigt], -N(C_1 - C_4)-Alkoxy, Sauerstoff, Schwefel oder substituierte Kongenäre ausgewählt werden aus (L-oder D-)Prolin, Ethyl-(L- oder -D-)prolinat, Morpholin, Pyrrolidin oder Piperidin; und die pharmakologisch unbedenklichen organischen und anorganischen Salze oder Metallkomplexe.

25 3. Das Verfahren nach Anspruch 1, wobei:

X ausgewählt wird aus Amino, NR¹R² oder Halogen; wobei das Halogen ausgewählt wird aus Brom, Chlor, Fluor oder lod:

und für den Fall, dass X = NR¹R² und R¹ = Wasserstoff ist, dann ist

R² = Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl;

und für den Fall, dass R1 = Methyl oder Ethyl ist, dann ist

R² = Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl;

R ausgewählt wird aus R4(CH₂)_nCO- oder R4'(CH₂)_nSO₂-;

und für den Fall, dass $R = R^4(CH_2)_nCO$ - und n = 0 ist, dann wird

R⁴ ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C_1 - C_6)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C_1 - C_4)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C_3 - C_6)-Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl, Cyclopentyl oder Cyclohexyl; einer substituierten (C_3 - C_6)-Cycloalkylgruppe (wobei eine Substitution ausgewählt wird aus (C_1 - C_3)-Alkyl, Cyano, Amino oder (C_1 - C_3)-Acyl); einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C_6 -

C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer α-Amino-(C₁-C₄)-alkylgruppe, ausgewählt aus Aminomethyl, α-Aminoptopyl oder α-Aminoptopyl; einer Carboxy-(C₁-C₄)-alkylaminogruppe, ausgewählt aus Aminoessigsäure, α-Aminobutyrsäure oder α-Aminopropionsäure und deren optischen Isomeren; einer (C₇-C₉)-Aralkylaminogruppe; einer (C₁-C₄)-Alkoxycarbonylamino-substituierten (C₁-C₄)-Alkylgruppe, wobei eine Substitution ausgewählt wird aus Phenyl oder p-Hydroxyphenyl; einer α-Hydroxy-(C₁-C₃)-alkylgruppe, ausgewählt aus Hydroxymethyl, α-Hydroxyethyl oder α-Hydroxy-1-methylethyl oder α-Hy-

droxypropyl; einer Halogen-(C₁-C₃)-alkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einem annellierten Benzo- oder Pyridoring aufweisen kann:

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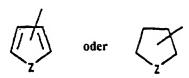
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Z = N, O, S oder Se,

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oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

Z oder $Z^1 = N$, O, S oder Se

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Acyl- oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C_3-C_6) -Cycloalkylcarbonyl, (C_6-C_{10}) -Aroyl, das ausgewählt wird aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C_6-C_{10}) -Aroyl, (C_1-C_4) -Alkylbenzoyl oder (Heterocyclus)carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigem aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

$$Z = N$$
, O, S oder Se,

oder einem fünfgliedrigen Ring mit zwei N-, O-, S- oder Se-Heteratomen, der gegebenenfalls einen annellierten

Benzo- oder Pyridoring aufweisen kann:

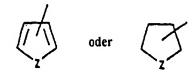
$$\begin{array}{c|c}
 & Z^1 \\
 & Oder
\end{array}$$

$$Z$$
 oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4) -Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C_1 - C_4)-Alkoxycarbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, geradkettigem oder verzweigtem Butoxycarbonyl oder Allyloxycarbonyl; einer Vinyl- oder substituierten Vinylgruppe, wobei eine Substitution ausgewählt wird aus einer (C_1 - C_3)-Alkylgruppe, Halogen, einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl, β -Naphthyl, einer substituierten (C_6 - C_{10})-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylgruppe, einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzooder Pyridoring aufweist:



Z = N, O, S oder Se,

einer (C_1-C_4) -Alkoxygruppe; einer C_6 -Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, einer Di- (C_1-C_3) -alkylamino; einer (C_7-C_{10}) -Aralkyloxygruppe; einer Vinyloxy- oder substituierten Vinyloxygruppe (wobei eine Substitution ausgewählt wird aus (C_1-C_4) -Alkyl, Cyano, Carboxy), oder (C_6-C_{10}) -Aryl, das ausgewählt wird aus Phenyl, α -Naphthyl oder β -Naphthyl); einer RaRb-Amino- (C_1-C_4) -alkoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes (C_1-C_4) -Alkyl ist, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder RaRb ist $(CH_2)_n$, wobei n=2 bis 6, oder $(CH_2)_2$ W($CH_2)_2$ -, wobei W ausgewählt wird aus -N(C_1 - C_3)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C_1-C_2) -Alkyl [geradkettig oder verzweigt], -NH, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C_1-C_2) -Alkyl [geradkettig oder verzweigt], -NH, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C_1-C_2) -Alkyl [geradkettig oder verzweigt], -NH, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C_1-C_2) -Alkyl [geradkettig oder verzweigt], -NH, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C_1-C_2) -Alkyl [wobei B ausgewählt wird aus Wasserstoff oder (C_1-C_2) -Alkyl [wobei B ausgewählt wird aus Wasserstoff oder (C_1-C_2) -Alkyl [wobei B ausgewählt wird aus Wasserstoff oder (C_1-C_2) -Alkyl [wobei B ausgewählt] wird aus Wasserstoff oder (C_1-C_2) -Alkyl [wobei B ausgewählt] wird aus Wasserstoff oder (C_1-C_2) -Alkyl [wobei B ausgewählt] wird aus Wasserstoff oder (C_1-C_2) -Alkyl [wobei B ausgewählt] wird aus Wasserstoff oder (C_1-C_2) -Alkyl [wobei B ausgewählt] wird aus Wasserstoff oder (C_1-C_2) -Alkyl [wobei B ausgewählt] wird aus Wasserstoff oder (C_1-C_2) -Alkyl [wobei B ausgewählt] wird aus Wasserstoff oder (C_1-C_2) -Alkyl [wobei B ausgewählt] wird a

 C_3)-Alkyl], O oder S; oder einer RaRb-Aminoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes (C_1 - C_4)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder RaRb für (CH_2)_n steht, wobei n = 2 bis 6, oder für - (CH_2)₂W(CH_2)₂- steht, wobei W ausgewählt wird aus -N(C_1 - C_3)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C_1 - C_3)-Alkyl], O oder S;

und für den Fall, daß $R = R^4(CH_2)_nCO$ - und n = 1-4, dann wird

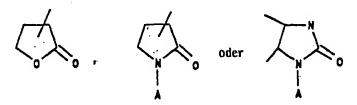
R⁴ ausgewählt aus Wasserstoff; einer (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyloder 1-Methylethyl; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C_1 - C_6)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt ist aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C_6 - C_{10})-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer Acyloxy oder Halogenacyloxygruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trichloracetyl, (C_3 - C_6)-Cycloalkylcarbonyl, (C_6 - C_{10})-Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C_6 - C_{10})-Aroyl, (C_1 - C_4)-Alkylbenzoyl, oder (Heterocyclus)-carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

$$Z = N, O, S$$
 oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

$$Z$$
 oder $Z^1 = N$, O, S oder Se

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteratomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4) -Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

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oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C1-C4)-Alkoxygruppe; einer C6-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C1-C2)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₃)-alkylamino; einer RaRb-Amino-(C₁-C₄)-alkoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes (C1-C4)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder RaRb ist (CH₂)_n, n = 2 bis 6, oder - (CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus -N(C1-C3)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C1-C3)-Alkyl], O oder S; oder einer RaRb-Aminoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder $R^{a}R^{b}$ ist $(CH_{2})_{n}$, n = 2 bis 6, oder - $(CH_{2})_{2}W(CH_{2})_{2}$ -, wobei W ausgewählt wird aus -N $(C_{1}-C_{3})$ -Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C1-C3)-Alkyl], O oder S; einer (C1-C3)-Alkylthiogruppe, ausgewählt aus Methylthio, Ethylthio, Propylthio oder Allylthio; einer C6-Arylthiogruppe, ausgewählt aus Phenylthio oder substituiertem Phenylthio (wobei eine Substitution ausgewählt wird aus Halogen, (C1-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₃)-alkylamino); einer (C₁-C₃)-Alkylthiogruppe, ausgewählt aus Methylthio, Ethylthio, Propylthio oder Allylthio; einer C6-Arylthiogruppe, ausgewählt aus Phenylthio oder substituiertem Phenylthio, wobei eine Substitution ausgewählt wird aus Halogen, (C1-C4)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C1-C3)-alkylamino); einer C6-Arylsulfonylgruppe, ausgewählt aus Phenylsulfonyl oder substituiertem Phenylsulfonyl (wobei eine Substitution ausgewählt wird aus Halogen, (C1-C4)-Alkoxy, Trihalogen-(C1-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteratom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

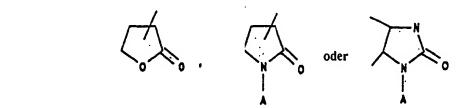
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

$$\begin{array}{c} \begin{array}{c} z^1 \\ \end{array} \\ \begin{array}{c} \end{array} \\ \end{array}$$
 oder

Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



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(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl; substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Hydroxygruppe; einer α -Hydroxy-(C_1 - C_3)-alkylgruppe, ausgewählt aus Hydroxymethyl, α -Hydroxyethyl oder α -Hydroxy-1-methylethyl oder α -Hydroxypropyl; einer Halogen-(C_1 - C_3)-alkylgruppe; einer Acyl- oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C_3 - C_6)-Cycloalkylcarbonyl, (C_6 - C_{10})-Aroyl, das ausgewählt wird aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C_6 - C_{10})-Aroyl, (C_1 - C_4)-Alkylbenzoyl, oder (Heterocyclus)- carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

oder z

Z = N, O, S oder Se,

25 oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

$$\begin{array}{c|c}
 & z^1 \\
 & z^1 \\
 & z^1
\end{array}$$
 oder

Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

o oder

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4) -Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C_1 - C_4)-Alkoxycarbonylaminogruppe, ausgewählt aus tert-Butoxycarbonylamino, Allyloxycarbonylamino, Methoxycarbonylamino, Ethoxycarbonylamino oder Propoxycarbonylamino; und für den Fall, dass $R = R^4$ (CH_2) C_3 und C_4 und C_5 und C_5 und C_6 und C_6

 R^4 ausgewählt aus Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C_1 - C_4)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt wird aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C_6 - C_{10})-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

Z = N, O, S oder Se,

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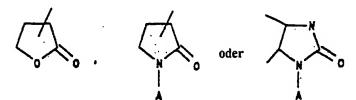
oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

Z oder $Z^1 = N$, O, S oder Se,

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oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatom und einem benachbart gebundenen O-Heteroatom:



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(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4) -Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl), oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; und für den Fall, dass $R = R^4(CH_2)_nSO_2$ - ist und n = 1 bis 4, dann wird

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 $R^{4'}$ ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, das ausgewählt ist aus geradkettigem oder verzweigtem (C_1 - C_6)-Alkylamino, Cyclopropylamino, Cycloputylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt wird aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl;

einer R^aR^b -Amino- (C_1-C_4) -alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C_1-C_4) -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist $(CH_2)_n$, n=2 bis 6, oder $(CH_2)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus -N (C_1-C_3) -alkyl [geradkettig oder verzweigt], -NH, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C_1-C_3) -Alkyl], O oder S; oder einer R^aR^b -Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C_1-C_4) -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder R^aR^b ist $(CH_2)_n$, n=2 bis 6, oder - $(CH_2)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus -N (C_1-C_3) -alkyl [geradkettig oder verzweigt], -NH, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C_1-C_3) -Alkyl], O oder S;

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 R^5 wird ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer (C_7 - C_9)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

$$\begin{array}{c} Z^1 \\ Z \\ \end{array} \qquad oder \qquad \begin{array}{c} Z^1 \\ Z \\ \end{array}$$

$$Z$$
 oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

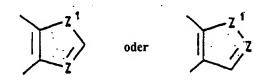
oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder - $(CH_2)_nCOOR^7$, wobei n = 0 bis 4 und R⁷ ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C_1-C_3) -Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder (C_8-C_{10}) -Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl;

 R^6 wird ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer (C_7 - C_9)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigtem Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:



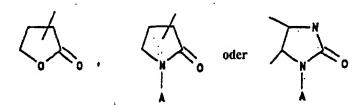
Z = N, O, S oder Se

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



$$Z$$
 oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder $(CH_2)_nCOOR^{7'}$, wobei n=0 bis 4, und $R^{7'}$ wird ausgewählt aus Wasserstoff; einem geradkettigen oder verzweigten (C_1-C_3) -Alkyl, das ausgewählt wird aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder einem (C_6-C_{10}) -Aryl, das ausgewählt wird aus Phenyl, α -Naphthyl oder β -Naphthyl; mit der Maßgabe, dass R^5 und R^6 nicht gleichzeitig Wasserstoff sein können;

oder R⁵ und R⁶ bedeuten zusammen - $(CH_2)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus $(CH_2)_n$ und n = 0 bis 1, -NH, -N (C_1-C_3) -Alkyl [geradkettig oder verzweigt], -N (C_1-C_4) -Alkoxy, Sauerstoff, Schwefel oder substituierte Kongenäre, die ausgewählt werden aus (L- oder D-)Prolin, Ethyl-(L- oder -D-)prolinat, Morpholin, Pyrrolidin oder Piperidin; und die pharmakologisch unbedenklichen organischen und anorganischen Salze oder Metallkomplexe.

55 4. Das Verfahren nach Anspruch 1, wobei:

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X ausgewählt wird aus Amino, NR¹R² oder Halogen; wobei das Halogen ausgewählt wird aus Brom, Chlor, Fluor oder Iod;

und für den Fall, dass X = NR1R2 und R1 = Wasserstoff ist, dann ist

 R^2 = Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl; und für den Fall, dass R^1 = Methyl oder Ethyl, dann ist

R² = Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl;

R wird ausgewählt aus R4(CH₂)_nCO- oder R4'(CH₂)_nSO₂-;

und für den Fall, dass $R = R^4(CH_2)_nCO$ - und n = 0, dann wird

 R^4 ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C_1 - C_6)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt wird aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C_1 - C_2)-Alkylgruppe, ausgewählt aus Methyl oder Ethyl, einer (C_6 - C_{10})-Arylgruppe (wobei eine Substitution ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (β - β -Alkylamino, Cyano, (β - β -Alkoxycarbonyl, (β - β -Alkylamino oder Carboxy); einer Carboxy-(β -alkylaminogruppe, ausgewählt aus Aminoessigsäure, β -Aminobutyrsäure oder β -Aminopropionsäure und deren optische Isomere; einer β -Hydroxy-(β -alkylgruppe, ausgewählt aus Hydroxymethyl, β -Hydroxyethyl oder β -Hydroxy-1-methylethyl oder β -Hydroxypropyl; einer Halogen-(β - β -alkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

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$$Z = N, O, S$$
 oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

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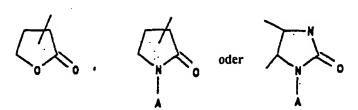
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$$Z$$
 oder $Z^1 = N$, O, S oder Se

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

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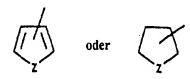
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(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4) -Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C_1-C_4) -Alkoxycarbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, einem geradkettigen oder verzweigten Butoxycarbonyl oder Allyloxycarbonyl; einer Vinyl oder substituierten Vinylgruppe [wobei eine Substitution ausgewählt wird aus einer (C_1-C_3) -Alkylgruppe], Halogen, einer (C_6-C_{10}) -Arylgruppe, ausgewählt aus Vinyl, α -Naphthyl, β -Naphthyl, einer substitutierten (C_6-C_{10}) -Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, einer (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -Alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylgruppe, einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen gesättigten Ring, mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z = N, O, S oder Se;

einer (C_1-C_4) -Alkoxygruppe; einer C_6 -Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, einer Di- (C_1-C_3) -alkylamino; einer (C_7-C_{10}) -Aralkyloxygruppe; einer Vinyloxy- oder substituierten Vinyloxygruppe (wobei eine Substitution ausgewählt wird aus (C_1-C_4) -Alkyl, Cyano, Carboxy, oder (C_6-C_{10}) -Aryl, das ausgewählt wird aus Phenyl, α -Naphthyl oder β -Naphthyl); einer RaRb-Amino- (C_1-C_4) -alkoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes (C_1-C_4) -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl; 1-Methylpropyl oder 2-Methylpropyl, oder RaRb ist $(CH_2)_n$, wobei n=2 bis 6, oder- $(CH_2)_2$ W($CH_2)_2$ -, wobei W ausgewählt wird aus -N(C_1-C_3)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [wobei B ausgewählt wird aus Wasserstoff oder einem (C_1-C_3) -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder RaRb ist $(CH_2)_n$, wobei n=2 bis 6, oder - $(CH_2)_2$ W($CH_2)_2$ -, wobei W ausgewählt wird aus -N (C_1-C_3) -Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C_1-C_3) -Alkyl], O oder S;

und für den Fall, daß R = $R^4(CH_2)_nCO$ - und n = 1-4, dann wird R^4 ausgewählt aus Wasserstoff; Amino, einer $(C_1 - C_3)$ -Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl; Amino; monosubstituiertem Amino, das ausgewählt ist aus geradkettigem oder verzweigtem $(C_1 - C_6)$ -Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt ist aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl) amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer $(C_6 - C_{10})$ -Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten $(C_6 - C_{10})$ -Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, $(C_1 - C_4)$ -Alkoxy, Trihalogen- $(C_1 - C_3)$ -alkyl, Nitro, Amino, Cyano, $(C_1 - C_4)$ -Alkoxycarbonyl, $(C_1 - C_3)$ -Alkylamino oder Carboxy); einer Acyloxy oder Halogenacyloxygruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trichloracetyl, $(C_3 - C_6)$ -Cycloalkylcarbonyl, $(C_6 - C_{10})$ -Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem $(C_6 - C_{10})$ -Aroyl, $(C_1 - C_4)$ -Alkylbenzyl, oder (Heterocyclus)carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z = N, O, S oder Se,

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oder einem fünfgliedrigen Ring mit zwei N-, O-, S- oder Se-Heteratomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

$$\begin{array}{c|c}
 & z^1 \\
 & z^2
\end{array}$$

$$Z$$
 oder $Z^1 = N$, O; S oder Se

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C7-C9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer $(C_1\text{-}C_4)\text{-Alkoxygruppe}$, einer $R^aR^b\text{-Amino-}(C_1\text{-}C_4)\text{-alkoxygruppe}$, wobei R^aR^b ein geradkettiges oder verzweigtes $(C_1\text{-}C_4)\text{-Alkyl}$ ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist $(CH_2)_n$, wobei n=2 bis 6, oder $(CH_2)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus $N(C_1\text{-}C_3)\text{-Alkyl}$ [geradkettig oder verzweigt], $N(C_1\text{-}C_3)\text{-NH}$, $N(C_1\text{-}C_3)\text{-Alkyl}$, O oder S; oder einer $R^aR^b\text{-Aminoxygruppe}$, wobei R^aR^b ein geradkettiges oder verzweigtes $(C_1\text{-}C_4)\text{-Alkyl}$, ist, das ausgewählt wird aus Methyl, Ethyl, R^aC_1 , R^aC_2 , wobei W ausgewählt wird aus R^aC_1 , R^aC_2 , R^aC_3 , R^aC_4 , $R^$

und für den Fall, daß $R = R^4(CH_2)_nSO_2$ - und n = 0, dann wird

 R^4 ' ausgewählt aus Amino, monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C_1 - C_6)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C_1 - C_2)-Alkylgruppe, ausgewählt aus Methyl oder Ethyl; einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; eine substituierte (C_6 - C_{10})-Arylgruppe, wobei eine Substitution ausgewählt wird aus

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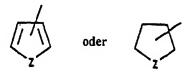
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Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); eine heterocyclische Gruppe, ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z = N, O, S'oder Se,

oder einem fünfgliedrigen Ring mit zwei N-, O-, S- oder Se-Heteratomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

$$\begin{array}{c|c}
 & z^1 \\
 &$$

$$Z$$
 oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

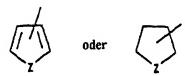
(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl, substitutiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen oder einem benachbart gebunden O-Heteroatom;

und für den Fall, dass $R = R^4$ (CH_2)_n SO_2 - und n = 1 bis 4, dann wird

 R^4 ' ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C_1 - C_2)-Alkylgruppe, ausgewählt aus Methyl oder Ethyl;

R⁵ wird ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer (C_7 - C_9)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z = N, O, S oder Se,

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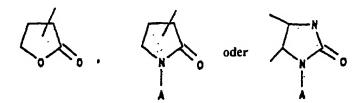
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oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z oder $Z^1 = N$, O, S oder Se,

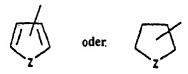
oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder - $(CH_2)_nCOOR^7$, wobei n=0 bis 4 und R^7 ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C_1-C_3) -Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder (C_6-C_{10}) -Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl;

 R^6 ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer (C_7 - C_9)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:



Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

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(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4) -Alkyl; C_6 -Aryl; substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder - $(CH_2)_nCOOR^7$, wobei n = 0 - 4 ist und R 7 ausgewählt wird aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_3) -Alkyl, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder (C_6-C_{10}) -Aryl, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; mit der Maßgabe, dass R 5 und R 6 nicht beide Wasserstoff sein können;

oder R^5 und R^6 bedeuten zusammen - $(CH_2)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus $(CH_2)_n$ und n=0-1, -NH, -N(C_1 - C_3)-Alkyl [geradkettig oder verzweigt], -N(C_1 - C_4)-Alkoxy, Sauerstoff, Schwefel oder substituierte Kongenäre, die ausgewählt werden aus (L- oder D-)Prolin, Ethyl-(L- oder D-)prolinat, Morpholin, Pyrrolidin oder Piperidin; und die pharmakologisch unbedenklichen organischen und anorganischen Salze oder Metallkomplexe davon.

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5. Das Verfahren nach Anspruch 1, wobei

X ausgewählt wird aus Amino, NR¹R² oder Halogen; wobei das Halogen ausgewählt wird aus Brom, Chlor, Fluor oder Iod;

und für den Fall, dass $X = NR^1R^2$ und $R^1 = Methyl oder Ethyl ist, dann ist <math>R^2 = Methyl oder Ethyl;$

R ausgewählt wird aus R⁴(CH₂)_nCO- oder R⁴'(CH₂)_nSO₂-;

und für den Fall, dass $R = R^4(CH_2)_nCO$ - und n = 0, dann wird

 R^4 ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C_1 - C_2)-Alkylgruppe, ausgewählt aus Methyl oder Ethyl; einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C_6 - C_{10})-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Nitro, Amino oder (C_1 - C_2)-Alkoxycarbonyl); einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, oder S-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

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Z = N, O oder S,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, oder S-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

$$\begin{array}{c|c}
z^1 & \text{oder} \\
\hline
\end{array}$$

$$Z$$
 oder $Z^1 = N$, O oder S,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O- oder S-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_2) -Alkyl; C_6 -Aryl), einer (C_1-C_4) -Alkoxycarbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, geradkettigem oder verzweigtem Propoxylcarbonyl, geradkettigem oder verzweigtem Butoxycarbonyl oder Allyloxycarbonyl; einer Vinyl oder substituierten Vinylgruppe [wobei eine Substitution ausgewählt wird aus einer (C_1-C_2) -Alkylgruppe, einer (C_6-C_{10}) -Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl, β-Naphthyl, einer substituierten (C_6-C_{10}) -Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkoxy, (C_1-C_4) -Alkoxycarbonyl, Halogen, (C_1-C_3) -Alkylgruppe]; einer (C_1-C_4) -Alkoxygruppe; einer (C_1-C_4) -Alkoxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei die Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkyl; einer (C_7-C_9) -Aralkyloxygruppe; einer Vinyloxy- oder substituierten Vinyloxygruppe (wobei eine Substitution ausgewählt wird aus (C_1-C_2) -Alkyl; einer (C_1-C_4) -Alkyl; einer (C_1-C_4) -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl; oder eine (C_1-C_4) -Alminoxygruppe, wobei (C_1-C_4) -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl; und für den Fall, dass (C_1-C_4) -alkoxygrupne in 1 bis 4 ist, dann wird

 R^4 ausgewählt aus Wasserstoff; einer (C_1 - C_2)-Alkylgruppe, ausgewählt aus Methyl oder Ethyl; Amino; monosubstituiertem Amino, das ausgewählt ist aus geradkettigem oder verzweigtem (C_1 - C_6)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt ist aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, oder 1-(1,2,3-Triazolyl); einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C_6 - C_{10})-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Nitro, Amino, (C_1 - C_4)-Alkoxycarbonyl); einer Acyloxy oder Halogenacyloxygruppe, ausgewählt aus Acetyl, Propionyl oder Chloracetyl; einer (C_1 - C_4)-Alkoxygruppe; carbonyl, einer R^3 -Amino-(R^3 -Alkoxygruppe, wobei R^3 -Pe ein geradkettiges oder verzweigtes (R^3 -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl ist, oder R^3 -Bist (R^3 -Bist (R^3 -Bist), wobei R^3 -Bist), wo

 $(CH_2)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; oder einer RaRb-Aminoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl; oder RaRb ist (CH₂)_n steht, wobei n = 2 bis 6, oder-(CH₂)₂W (CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; einer Halogen-(C₁-C₃)-alkylgruppe; einer (C₁-C₄)-Alkoxycarbonylaminogruppe, ausgewählt aus tert-Butoxycarbonylamino, Allyloxycarbonylamino, Methoxycarbonylamino, Ethoxycarbonylamino oder Propoxycarbonylamino;

und für den Fall, daß $R = R^4(CH_2)_nSO_2$ - und n = 0, dann wird R^4 ausgewählt aus einer geradkettigen oder verzweigten (C_1-C_2) -Alkylgruppe, ausgewählt aus Methyl oder Ethyl; einer (C_6-C_{10}) -Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substitutierten (C_6-C_{10}) -Arylgruppe, (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkoxy, Nitro, (C_1-C_4) -Alkoxycarbonyl); einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring, mit einem N-, O- oder S-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

oder Z

Z = N, O oder S

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O- oder S-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

oder Z 1

Z oder $Z^1 = N$, O oder S

und für den Fall, dass $R = R^{4}(CH_{2})_{n}SO_{2}$ - und n = 1 bis 4, dann wird

R⁴ ausgewählt aus Wasserstoff, einer geradkettigen oder verzweigten (C₁-C₂)-Alkylgruppe, ausgewählt aus Methyl oder Ethyl;

R⁵ wird ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl;

 R^6 wird ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; mit der Maßgabe, dass R^5 und R^6 nicht beide Wasserstoff sein können; oder R^5 und R^6 sind zusammen -(CH_2)₂W(CH_2)₂-, wobei W ausgewählt wird aus (CH_2)_n und R^6 und R^6 sind zusammen -(R^6)-Alkov, wobei W ausgewählt wird aus (R^6)-Alkov, augewählt wird aus (R^6)-Alkov, Sauerstoff, Schwefel oder substituierte Kongenäre, die ausgewählt werden aus (R^6)-Prolin, Ethyl(R^6)-Orlonat, Morpholin, Pyrrolidin oder Piperidin; und die pharmakologisch unbedenklichen organischen und anorganischen Salze oder Metallkomplexe davon.

Verfahren zur Herstellung einer Verbindung der Formel:

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wobei:

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Y für NO₂ steht;

R ausgewählt ist aus $R^4(CH_2)_nCO$ - oder $R^4'(CH_2)_nSO_2$ -; und für den Fall, dass $R = R^4(CH_2)_nCO$ - und n = 0 ist, dann wird

R4 ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C1-C6)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C1-C4)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl; einer (C3-C6)-Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl, Cyclopentyl oder Cyclohexyl; einer substituierten (C3-C6)-Cycloalkylgruppe (wobei eine Substitution ausgewählt wird aus (C₁-C₃)-Alkyl, Cyano, Amino oder (C₁-C₃)-Acyl); einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)=Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C7-C9)-Aralkylgruppe, ausgewäfilt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl; einer α -Amino-(C_1 - C_4)-alkylgruppe, ausgewählt aus Aminomethyl, α -Aminoethyl, α -Aminopropyl oder α-Aminobutyl; einer Carboxy-(C₂-C₄)-alkylaminogruppe, ausgewählt aus Aminoessigsäure, α-Aminobutyrsäure und α -Aminopropionsäure und deren optischen Isomeren; einer (C_7 - C_9)-Aralkylaminogruppe; einer (C_1 - C_4)-Alkoxycarbonylamino-substituierten (C₁-C₄)-Alkylgruppe, wobei eine Substitution ausgewählt wird aus Phenyl oder p-Hydroxyphenyl; einer α-Hydroxy-(C₁-C₃)-alkylgruppe, ausgewählt aus Hydroxymethyl, α-Hydroxyethyl oder α -Hydroxy-1-methylethyl oder α -Hydroxypropyl; einer α -Mercapto- (C_1-C_3) -alkylgruppe, ausgewählt aus Mercaptomethyl, α-Mercaptoethyl, α-Mercapto-1-methylethyl oder α-Mercaptopropyl; einer Halogen-(C₁-C₃)-alkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4) -Akyl; C_6 -Aryl; substituiertem C_6 -Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl) oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Acyl- oder einer Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C_3-C_6) -Cycloalkylcarbonyl, (C_6-C_{10}) -Aroyl, das ausgewählt wird aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C_6-C_{10}) -Aroyl, (C_1-C_4) -Alkylbenzoyl, oder (Heterocyclus)carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

$$Z$$
 oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl; substituiertem C₆-Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

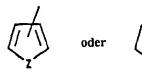
oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C_1-C_4) -Alkoxycarbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, geradkettigem oder verzweigtem Butoxycarbonyl oder Allyloxycarbonyl; einer Vinyl- oder substituierten Vinylgruppe [wobei die Substitution ausgewählt wird aus einer (C_1-C_3) -Alkylgruppe, Halogen, einer (C_6-C_{10}) -Arylgruppe, ausgewählt wird aus Phenyl, α -Naphthyl, einer substituierten (C_6-C_{10}) -Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkoxy, Triahalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylgruppe, einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzooder Pyridoring aufweist:

Z = N, O, S oder Se,

einer (C_1-C_4) -Alkoxygruppe; C_6 -Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, einer Di- (C_1-C_3) -alkylamino); einer (C_7-C_{10}) -Aralkyloxygruppe; einer Vinyloxy- oder substituierten Vinyloxygruppe (wobei eine Substitution ausgewählt wird aus (C_1-C_4) -Alkyl, Cyano, Carboxy, oder (C_6-C_{10}) -Aryl, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl); einer RaRb-Amino- (C_1-C_4) -alkoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes (C_1-C_4) -Alkyl, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl ist, oder RaRb für $(CH_2)_n$ steht, wobei n=2 bis 6, oder für - $(CH_2)_2$ W $(CH_2)_2$ - steht, wobei W ausgewählt wird aus -N (C_1-C_3) -Alkyl [geradkettig oder verzweigt], -NH, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C_1-C_3) -Alkyl], O oder S; oder einer RaRb-Aminoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes (C_1-C_4) -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl, oder RaRb für $(CH_2)_n$ steht, wobei n=2 bis 6, oder fiir - $(CH_2)_2$ W $(CH_2)_2$ - steht, wobei W ausgewählt wird aus -N (C_1-C_3) -Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C_1-C_3) -Alkyl], O oder S; und für den Fall, daß $R=R^4$ CH₂) $_n$ CO- und n=1-4, dann wird

 R^4 ausgewählt aus Wasserstoff; Amino, einer geradkettigen oder verzweigten (C_1 - C_4)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl; einer (C_3 - C_6)-Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl, Cyclopentyl, oder Cyclohexyl; einer substituierten (C_3 - C_6)-Cycloalkylgruppe (wobei eine Substitution ausgewählt wird aus (C_1 - C_3)-Alkyl, Cyano, Amino, oder (C_1 - C_3)-Acyl); einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C_6 - C_{10})-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe; einer Acyloxy- oder Halogenacyloxygruppe, ausgewählt aus Acetyloxy, Propionyloxy, Chloracetyloxy, Trichloracetyloxy, (C_3 - C_6)-Cycloalkylcarbonyloxy, (C_6 - C_1)-Aroyloxy, ausgewählt aus Benzoyloxy oder Naphtoyloxy, Ha-

logen-substituiertem (C₆-C₁₀)-Aroyloxy, (C₁-C₄)-Alkylbenzoyloxy, oder (Heterocyclus)carbonyloxy, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring, mit einem N-, O-, Soder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

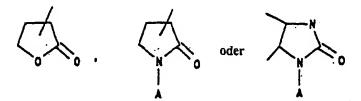


Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

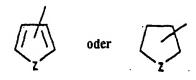
$$Z$$
 oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4) -Alkyl; C_6 -Aryl; substituiertem C_6 -Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C_1 - C_4)-Alkoxygruppe; einer C_6 -Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C_1 - C_3)-alkylamino); einer (C_7 - C_{10})-Aralkyloxygruppe; einer (C_1 - C_3)-Alkylthiogruppe, ausgewählt aus Methylthio, Ethylthio, Propylthio oder Phenylthio; einer C_6 -Arylthiogruppe, ausgewählt aus Phenylthio oder einem substituierten Phenylthio (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C_1 - C_3)-alkylamino); einer C_6 -Arylsulfonylgruppe, ausgewählt aus Phenylsulfonyl oder substituiertem Phenylsulfonyl (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_8)-Aralkylthiogruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benz- oder Pyridoring aufweist:



Z = N, O, S oder Se,

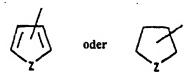
oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl; substituiertem C_6 -Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Hydroxygruppe; einer Mercaptogruppe; einer mono- oder di-geradkettigen oder -verzweigten (C_1 - C_6)-Alkylaminogruppe, ausgewählt aus Methyl-, Ethyl-, n-Propyl-, 1-Methylethyl-, n-Butyl-, 1-Methylpropyl-, 2-Methylpropyl-, 2-Methylpropyl-, 2-Dimethylpropyl-, 2-Dimethylpropyl-, 3-Methylbutyl-, n-Hexyl-, 1-Methylpentyl-, 1,1-Dimethyl-, 2-Dimethylbutyl-, 2-Methylpentyl-, 1,2-Dimethylbutyl-, 1,3-Dimethylbutyl- oder 1-Methyl-1-ethylpropylamino; einer (C_2 - C_5)-Azacycloalkylgruppe; einer Carboxy-(2-4-)-alkylaminogruppe, ausgewählt aus Aminoessigsäure, α -Aminopropionsäure, α -Aminobutyrsäure und deren optischen Isomeren; einer α -Hydroxy-(C_1 - C_3)-alkylgruppe, ausgewählt aus Hydroxymethyl, α -Hydroxyethyl oder α -Hydroxy-1-methylethyl oder α -Hydroxypropyl; einer Halogen-(C_1 - C_3)-alkylgruppe; einer Acyl- oder Halogenacyl oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C_1 - C_6 -)-Cycloalkylcarbonyl, (C_6 - C_{10} -)-Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C_6 - C_{10} -)-Aroyl, (C_1 - C_4 -)-Alkylbenzoyl oder (Heterocyclus)carbonyl, bei der. Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring it einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z = N, O, S oder Se,

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oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteratomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbarten gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl; substituiertem C_6 -Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy; einer (C_7 - C_9)-Arafliylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C_1 - C_4)-Alkoxycarbonylaminogruppe, ausgewählt aus tert-Butoxycarbonylamino, Allyloxycarbonylamino, Methoxycarbonylamino, Ethoxycarbonylamino oder Propoxycarbonylamino; einer (C_1 - C_4)-Alkoxycarbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, geradkettigem oder verzweigtem Propoxycarbonyl, Allyloxycarbonyl oder geradkettigem oder verzweigtem Butoxycarbonyl; einer RaRb-Amino-(C_1 - C_4)-alkoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes (C_1 - C_4)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder wobei RaRb für (CH_2)_n, n = 2 bis 6, steht, oder für -(CH_2)₂W(CH_2)₂- steht, wobei W ausgewählt wird aus -N(C_1 - C_3)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C_1 - C_3)-Alkyl, o oder S; oder eine RaRb-Aminoxygruppe, wobei RaRb geradkettiges oder verzweigtes (C_1 - C_4)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder RaRb steht für (CH_2)_n, n = 2 bis 6, oder für -(CH_2)₂W(CH_2)₂-, wobei W ausgewählt wird aus -N(C_1 - C_3)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C_1 - C_3)-Alkyl], O oder S; und für den Fall, dass R = R4' (CH_2)_nSO₂- und n = 0, dann wird

R^{4'} ausgewählt aus Amino, monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten

 (C_1-C_4) -Alkylgruppe, die ausgewählt ist aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1,-Dimethylethyl; einer (C_3-C_6) -Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl, Cyclopentyl oder Cyclohexyl; einer substituierten (C_3-C_6) -Cycloalkylgruppe, (wobei eine Substitution ausgewählt wird aus (C_1-C_3) -Alkyl, Cyano, Amino oder (C_1-C_3) -Acyl); einer (C_6-C_{10}) -Arylgruppe, ausgewählt wird aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierte (C_6-C_{10}) -Arylgruppe (wobei eine Substitution ausgwählt wird aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe; einer Halogen- (C_1-C_3) -alkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



odos



Z = N, O, S oder Se

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oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteratomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

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oder



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$$Z$$
 oder $Z^1 = N$, O, S oder Se,

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oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbarten gebundenen O-Heteroatom:

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N. O

oder



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(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl; substituiertem C_6 -Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

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oder einem sechsgliedrigem aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer R^aR^b -Amino- (C_1-C_4) -alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C_1-C_4) -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b steht für $(CH_2)_n$, n=2 bis 6, oder - $(CH_2)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus -N (C_1-C_3) -alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C_1-C_3) -Alkyl], O oder S; oder einer R^aR^b -Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C_1-C_4) -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist $(CH_2)_n$, n=2 bis 6, oder - $(CH_2)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus -N (C_1-C_3) -Alkyl [geradkettig

oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C_1 - C_3)-Alkyl], O oder S; und für den Fall, dass $R = R^4$ (CH_2)_nSO₂- und n = 1 bis 4, dann wird

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R4 ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C1-C4)-Alkylgruppc, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl; einer (C1-C4)-Carboxyalkylgruppe; einer (C3-C6)-Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl, Cyclopentyl oder Cyclohexyl; einen substituierten (C₃-C₆)-Cycloalkylgruppe (wobei eine Substitution ausgewählt wird aus (C₁-C₃)-Alkyl, Cyano, Amino oder (C_1 - C_3)-Acyl); einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁- C_A)-Alkoxy, Trihalogen-(C_1 - C_3)-Alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C7-C9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl; einer (C₁-C₄)-Alkoxygruppe; einer C₆-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₃)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₄)-alkylamino); einer (C₇-C₁₀)-Aralkyloxygruppe; einer R^aR^b-Amino-(C₁-C₄)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C1-C4)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder R^aR^b ist (CH₂)_n, n = 2 bis 6, oder -(CH₂)₂W(CH₂)_n-, wobei W ausgewählt wird aus -N(C1-C3)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C1-C3)-Alkyl], O oder S; oder einer RaRb-Aminoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder $R^{a}R^{b}$ ist $(CH_{2})_{n}$, n = 2 bis 6, oder $-(CH_{2})_{2}W(CH_{2})_{2}$ -, wobei W ausgewählt wird aus $-(C_{1}-C_{3})$ -Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C1-C3)-Alkyl], O oder S; einer (C1-C3)-Alkylthiogruppe, ausgewählt aus Methylthio, Ethylthio oder n-Propylthio; einer C6-Arylthiogruppe, ausgewählt aus Phenylthio oder substituiertem Phenylthio (eine Substitution wird ausgewählt aus Halogen, (C1-C3)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di- (C_1-C_3) -alkylamino); einer (C_7-C_8) -Aralkylthiogruppe; einer heterocyclische Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

Z = N, O, S oder Se

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist kann:

Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatomen:

$$\bigcap_{0}^{N} \circ \operatorname{oder} = \bigcap_{N}^{N} \circ \operatorname{oder}$$

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(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4) -Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Hydroxygruppe, einer Mercaptogruppe; einer mono- oder di-geradkettig oder verzweigten (C_1 - C_6)-Alkylaminogruppe, ausgewählt aus Methyl-, Ethyl-, n-Propyl-, 1-Methylethyl-, n-Butyl-, 1-Methylpropyl-, 2-Methylpropyl-, 1,1-Dimethylethyl-, 2-Methylbutyl-, 1,1-Dimethylpropyl-, 2,2-Dimethylpropyl-, 2,2-Dimethylbutyl-, 3-Methylbutyl-, n-Hexyl-, 1-Methylpentyl-, 1,1-Dimethylbutyl-, 2,2-Dimethylbutyl-, 2-Methylpentyl-, 1,2-Dimethylbutyl-, 1,3-Dimethylbutyl- oder 1-Methyl-1-ethylpropylamino; einer Halogen-(C_1 - C_3)-alkylgruppe; einer Acyl- oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C_3 - C_6)-Cycloalkylcarbonyl, (C_6 - C_{10})-Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C_6 - C_{10})-Aroyl, (C_1 - C_4)-Alkylbenzoyl oder (Heterocyclus)carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fiinfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4) -Alkyl; C_6 -Aryl, substitutiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart

gebundenen O-Heteroatom; einer (C₁-C₄)-Alkoxycarbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, geradkettigem oder verzweigtem Propoxycarbonyl, Allyloxycarbonyl oder geradkettigem oder verzweigtem Butoxycarbonyl;

 R^5 ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer (C_7 - C_9)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

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Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

$$\begin{array}{c|c}
 & z^1 \\
 & oder
\end{array}$$

$$Z$$
 oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder - $(CH_2)_nCOOR^7$, wobei n=0 bis 4 und R^7 ausgewählt ist aus Wasserstoff; einer geradkettigen oder verzweigten (C_1-C_3) -Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder einer (C_6-C_{10}) -Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl;

 R^6 ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer (C_7 - C_9)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

$$\begin{array}{c|c}
 & z^1 \\
 & z^1
\end{array}$$
 oder

$$Z$$
 oder $Z^1 = N$, Q , S oder Se ,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4) -Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder - $(CH_2)_nCOOR^7$, wobei n = 0 bis 4 und R 7 ausgewählt ist aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_3)-Alkyl, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; (C_6 - C_{10})-Aryl, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; mit der Maßgabe, dass R 5 und R 6 nicht beide Wasserstoff sein können:

oder R^5 und R^6 bedeuten zusammen - $(CH_2)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus $(CH_2)_n$ und n=0 bis 1, -NH, -N(C_1 - C_3)-Alkyl [geradkettig oder verzweigt], -N(C_1 - C_4)-Alkoxy, Sauerstoff, Schwefel oder substituierte Kongenäre, die ausgewählt werden aus (L- oder D-)Prolin, Ethyl(L- oder D-)prolinat, Morpholin, Pyrrolidin oder Piperidin; und die pharmakologisch unbedenklichen organischen und anorganischen Salze oder Metallkomplexe davon; wobei das Verfahren eine der folgenden Stufen (d) oder (e) umfasst:

(d) die Stufe der Herstellung einer Verbindung der oben definierten Formel

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die eine Umsetzung eines 9-(Acyl- oder Sulfonylamino)-6-demetyl-6-deoxytetracyclins der folgenden Formel:

R N H O O H O O O N H 2

mit einem Metallnitrat und einer starken Säure umfasst;

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(e) die Stufe der Herstellung einer Verbindung der oben definierten Formel

die eine Umsetzung einer Verbindung der folgenden Formel:

55 mit Salpetersäure und einer starken Säure umfasst.

 Das Verfahren nach Anspruch 6, wobei Y für NO₂ steht;

R ausgewählt wird aus $R^4(CH_2)_nCO$ - oder $R^4'(CH_2)_nSO_2$ -; und für den Fall, dass $R = R^4(CH_2)_nCO$ - und n = 0, dann wird

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R4 ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C₁-C₄)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl; einer (C₃-C₆)-Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl, Cyclopentyl oder Cyclohexyl; einer substituierten (C3-C6)-Cycloalkylgruppe (wobei eine Substitution ausgewählt wird aus (C1-C₃)-Alkyl, Cyano, Amino oder (C₁-C₃)-Acyl); einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer α-Amino-(C₁-C₄)-alkylgruppe, ausgewählt aus Aminomethyl, α-Aminoethyl, α-Aminopropyl oder α-Aminobutyl; einer Carboxy-(C₁-C₄)-alkylaminogruppe, ausgewählt aus Aminoessigsäure, α-Aminobutyrsäure oder α -Aminopropionsäure und deren optischen Isomeren; einer (C_7 - C_9)-Aralkylaminogruppe; einer (C_1 - C_4)-Alkoxycarbonylamino-substituierten (C1-C4)-Alkylgruppe, wobei eine Substitution ausgewählt wird aus Phenyl oder p-Hydroxyphenyl; einer α-Hydroxy-(C₁-C₃)-alkylgruppe, ausgewählt aus Hydroxymethyl, α-Hydroxyethyl oder α-Hydroxy-1-methylethyl oder α -Hydroxypropyl; einer Halogen-(C_1 - C_3)-alkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

$$Z = N, O, S$$
 oder $Se,$

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cy-

ano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Acyl- oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C_3-C_6) -Cycloalkylcarbonyl, (C_6-C_{10}) -Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C_6-C_{10}) -Aroyl, (C_1-C_4) -Alkylbenzoyl oder (Heterocyclus)carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigem aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

oder
$$Z = N, O, S \text{ oder } S,$$

oder einem fünfgliedrigen Ring mit zwei N-, O-, S- oder Se-Heteratomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

$$Z$$
 oder $Z^{i} = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C_1 - C_4)-Alkoxycarbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, geradkettigem oder verzweigtem Propoxylcarbonyl, geradkettigem oder verzweigtem Butoxycarbonyl oder Allyloxycarbonyl; einer Vinyl- oder substituierten Vinylgruppe [wobei eine Substitution ausgewählt wird aus einer (C_1 - C_3)-Alkylgruppe, Halogen, einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl, β -Naphthyl, einer substituierten (C_6 - C_{10})-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-alkylgruppe, einer heterocyclischen Gruppe, ausgewählt aus einem fiinfgliedrigen. aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:



oder



Z = N, O, S oder Se;

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einer (C_1-C_4) -Alkoxygruppe; einer C_6 -Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halögen, (C_1-C_4) -Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di- (C_3) -alkylamino); einer (C_7-C_{10}) -Aralkyloxygruppe; einer Vinyloxy- oder substituierten Vinyloxygruppe (wobei eine Substitution ausgewählt wird aus (C_1-C_4) -Alkyl, Cyano, Carboxy, oder (C_6-C_{10}) -Aryl, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl); einer R^aR^b -Amino- (C_1-C_4) -alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C_1-C_4) -Alkyl ist, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b für $(CH_2)_n$ steht, wobei n=2 bis 6, oder fiir - $(CH_2)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus -N (C_1-C_3) -Alkyl], O oder S; oder einer R^aR^b -Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C_1-C_4) -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder R^aR^b für $(CH_2)_n$ steht, wobei N0 einer N1 ethyl N2 bit N3 einer N4 ethylpropyl, 2-Methylpropyl oder N4 ethylpropyl, 2-Methylpropyl oder N4 ethylpropyl N5 ethylpropyl oder N6 ethylpropyl ethylpropyl ethylpropyl ethylpropyl ethylpropyl ethylpropyl ethylpropyl, 2-Methylpropyl oder N6 ethylpropyl e

und für den Fall, daß $R = R^4(CH_2)_nCO$ - und n = 1-4, dann wird

R⁴ ausgewählt aus Wasserstoff; einer (C_1-C_3) -Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder Methylethyl; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C_1-C_6) -Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer (C_6-C_{10}) -Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C_6-C_{10}) -Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer Acyloxy- oder Halogenacyloxygruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trichloracetyl, (C_3-C_6) -Cycloalkylcarbonyl, (C_6-C_{10}) -Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C_6-C_{10}) -Aroyl, (C_1-C_4) -Alkylbenzyl, oder (Heterocyclus)-carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

oder



Z = N, O, S oder Se;

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

$$\begin{array}{c} \begin{array}{c} z^1 \\ \end{array} \\ \begin{array}{c} \end{array} \\ \end{array}$$
 oder
$$\begin{array}{c} z^1 \\ \end{array} \\ \end{array}$$

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Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteratomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy; Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer , (C₁-C₄)-Alkoxygruppe; einer RaRb-Amino-(C₁-C₄)-alkoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes (C1-C4)-Alkyl ist, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder R^aR^b ist $(CH_2)_n$, n=2 bis 6, oder $-(CH_2)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus -N(C1-C3)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; oder einer RaRb-Aminoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes (C1-C4)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist $(CH_2)_n$, n=2 bis 6, oder $-(CH_2)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus - $N(C_1-C_1)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus - $N(C_1-C_1)_2W(CH_2)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus - $N(C_1-C_1)_2W(CH_2)_2$ C₃)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; einer C₆-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C1-C4)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C1-C2)-alkylamino); einer (C1-C3)-Alkylthiogruppe, ausgewählt aus Methylthio, Ethylthio, Propylthio oder Allylthio; einer C6-Arylthiogruppe, ausgewählt aus Phenylthio oder substituiertem Phenylthio, wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₃)-alkylamino); einer C₆-Arylsulfonylgruppe, ausgewählt aus Phenylsulfonyl oder substituiertem Phenylsulfonyl (wobei eine Substitution ausgewählt wird aus Halogen, (C1-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, ,Cyano; (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteratom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist;

Z = N, O, S oder Se

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

 $Z \text{ oder } Z^1 = N, O, S \text{ oder Se}$

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl, substitutiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C7-C9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Hydroxygruppe; einer α -Hydroxy- (C_1-C_3) -alkylgruppe, ausgewählt aus Hydroxymethyl, α -Hydroxyethyl oder α -Hydroxy-1-methylethyl oder α -Hydroxypropyl; einer Halogen- (C_1-C_3) -alkylgruppe; einer Acyl- oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C_3-C_6) -Cycloalkylcarbonyl, (C_6-C_{10}) -Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C_6-C_{10}) -Aroyl, (C_1-C_4) -Alkylbenzoyl, oder (Heterocyclus)-carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

$$\begin{array}{c|c}
 & z^1 \\
 & z^2
\end{array}$$
 oder

$$Z$$
 oder $Z^1 = N$, O, S oder Se,

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oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

$$\bigcap_{0}^{N} \circ \operatorname{oder} = \bigcap_{N}^{N} \circ \operatorname{oder}$$

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C7-C9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C_1 - C_4)-Alkoxycarbonylaminogruppe, ausgewählt aus tert-Butoxycarbonylamino, Allyloxycarbonylamino, Methoxycarbonylamino, Ethoxycarbonylamino oder Propoxycarbonylamino; und für den Fall, dass $R = R^4$ (CH_2)_n SO_2 - und n = 0, dann wird

R4' ausgewählt aus Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem $(C_1\text{-}C_6)$ -Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten $(C_1\text{-}C_3)$ -Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer $(C_6\text{-}C_{10})$ -Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten $(C_6\text{-}C_{10})$ -Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, $(C_1\text{-}C_4)$ Alkoxy, Trihalogen- $(C_1\text{-}C_3)$ -alkyl, Nitro, Amino, Cyano, $(C_1\text{-}C_4)$ -Alkoxycarbonyl, $(C_1\text{-}C_3)$ -Alkylamino oder Carboxy); eine heterocyclische Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatom und einem benachbart gebundenen O-Heteroatom:

- (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl; substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),
 - oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom;
 - und für den Fall, dass $R = R^{4}(CH_{2})_{n}SO_{2}$ ist und n = 1 bis 4, dann wird

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- R^4 ' ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C_1 - C_6)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt wird aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C_6 - C_{10})-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_1 - C_4)-Alkoxygruppe; einer C_6 -Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy, (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C_1 - C_3)-alkylamino); einer (C_7 - C_{10})-Aralkyloxygruppe; einer (C_1 - C_4)-Carboxyalkylgruppe;
- R^5 ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer (C_7 - C_9)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem

benachbart gebundenen O-Heteroatom:

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(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder - $(CH_2)_nCOOR^7$, wobei n=0 bis 4 und R^7 ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C_1-C_4) -Alkylgruppe,ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder einer (C_6-C_{10}) -Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl;

 R^6 ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer (C_7 - C_9)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigtem Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

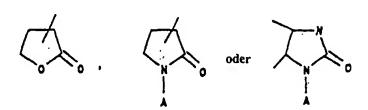
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

$$\begin{array}{c|c} z^1 & \\ \hline \end{array}$$
 oder
$$\begin{array}{c|c} z^1 \\ \hline \end{array}$$

Z oder $Z^1 = N$, O, S oder Se

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl; substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder $(CH_2)_nCOOR^7$, wobei n=0 bis 4, und R^7 wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_3) -Alkyl, das ausgewählt wird aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder (C_6-C_{40}) -Aryl, das ausgewählt wird aus Phenyl, α -Naphthyl oder β -Naphthyl; mit der Maßgabe, dass R^5 und R^6 nicht beide Wasserstoff sein können;

oder R^5 und R^6 bedeuten zusammen - $(CH_2)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus $(CH_2)_n$ und n=0 bis 1, -NH, -N(C_1 - C_3)-Alkyl [geradkettig oder verzweigt], -N(C_1 - C_4)-Alkoxy, Sauerstoff, Schwefel oder substituierte Kongenäre ausgewählt werden aus (L-oder D-)Prolin, Ethyl-(L- oder -D-)prolinat, Morpholin, Pyrrolidin oder Piperidin; und die pharmakologisch unbedenklichen organischen und anorganischen Salze oder Metallkomplexe.

8. Das Verfahren nach Anspruch 6, wobei

Y für NO2 steht;

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R ausgewählt wird aus $R^4(CH_2)_nCO$ - oder $R^4'(CH_2)_nSO_2$ -; und für den Fall, dass $R = R^4(CH_2)_nCO$ - und n = 0 ist, dann wird

R4 ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C₁-C₄)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₃-C₆)-Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl, Cyclopentyl oder Cyclohexyl; einer substituierten (Ca-C₆)-Cycloalkylgruppe (wobei eine Substitution ausgewählt wird aus (C₁-C₃)-Alkyl, Cyano, Amino oder (C₁-C₃)-Acyl); einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C₆- C_{10})-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C1-C4)-Alkoxycarbonyl, (C1-C3)-Alkylamino oder Carboxy); einer α-Amino-(C1-C4)-alkylgruppe, ausgewählt aus Aminomethyl, α-Aminoethyl, α-Aminopropyl oder α-Aminobutyl; einer Carboxy-(C1- C_4)-alkylaminogruppe, ausgewählt aus Aminoessigsäure, α -Aminobutyrsäure oder α -Aminopropionsäure und deren optischen Isomeren; einer (C₇-C₉)-Aralkylaminogruppe; einer (C₁-C₄)-Alkoxycarbonylamino-substituierten (C_1-C_4) -Alkylgruppe, wobei eine Substitution ausgewählt wird aus Phenyl oder p-Hydroxyphenyl; einer α -Hydroxy-(C₁-C₃)-alkylgruppe, ausgewählt aus Hydroxymethyl, α-Hydroxyethyl oder α-Hydroxy-1-methylethyl oder α-Hydroxypropyl; einer Halogen-(C₁-C₂)-alkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

oder 7

Z = N, O, S oder Se.

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



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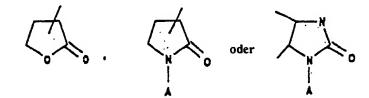
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Z oder $Z^1 = N$, O, S oder Se

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Acyl- oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C_3-C_6) -Cycloalkylcarbonyl, (C_6-C_{10}) -Aroyl, das ausgewählt wird aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C_6-C_{10}) -Aroyl, (C_1-C_4) -Alkylbenzoyl oder (Heterocyclus)carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigem aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

Z = N, O, S oder Se,

oder einem fünfgliedrigen Ring mit zwei N-, O-, S- oder Se-Heteratomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

$$\begin{array}{c|c}
 & z^1 \\
 & z^1 \\
 & z^2
\end{array}$$

Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C_1-C_4) -Alkoxycarbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, geradkettigem oder verzweigtem Butoxycarbonyl oder Allyloxycarbonyl; einer Vinyl- oder substituierten Vinylgruppe, wobei eine Substitution ausgewählt wird aus einer (C_1-C_3) -Alkylgruppe, Halogen, einer (C_6-C_{10}) -Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl, β -Naphthyl, einer substituierten (C_6-C_{10}) -Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylgruppe, einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzooder Pyridoring aufweist:

Z = N, O, S oder Se,

einer (C_1-C_4) -Alkoxygruppe; einer C_6 -Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, einer Di- (C_1-C_3) -alkylamino; einer (C_7-C_{10}) -Aralkyloxygruppe; einer Vinyloxy- oder substituierten Vinyloxygruppe (wobei eine Substitution ausgewählt wird aus (C_1-C_4) -Alkyl, Cyano, Carboxy), oder (C_6-C_{10}) -Aryl, das ausgewählt wird aus Phenyl, α -Naphthyl oder β -Naphthyl); einer R^aR^b -Amino- (C_1-C_4) -alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C_1-C_4) -Alkyl ist, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist $(CH_2)_n$, wobei n=2 bis 6, oder $(CH_2)_2W(CH_2)_2$ -, wobei n=20 was -N(n=20-Alkyl [geradkettig oder verzweigt], -NH, -NOB [wobei n=20 ausgewählt wird aus Wasserstoff oder n=20-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder n=20 bis 6, oder für - n=20-Alkyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder n=20-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder n=20-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder n=20-Alkyl], O oder S;

und für den Fall, daß $R = R^4(CH_2)_nCO$ - und n = 1-4, dann wird R^4 ausgewählt aus Wasserstoff; einer (C_1-C_3) -Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; Amino; monosubstituiertem Amino, ausgewählt aus gerakkettigem oder verzweigtem (C_1-C_6) -Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt ist aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer (C_6-C_{10}) -Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C_6-C_{10}) -Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer Acyloxy oder Halogenacyloxygruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trichloracetyl, (C_3-C_6) -Cycloalkylcarbonyl, (C_6-C_{10}) -Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Ha-

logen-substituiertem (C_6 - C_{10})-Aroyl, (C_1 - C_4)-Alkylbenzoyl, oder (Heterocyclus)-carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fün fgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

Z = N, O, S oder Se.

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oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

$$\begin{array}{c|c}
 & z^1 \\
 & z^2 \\
 & z^2
\end{array}$$

$$Z$$
 oder $Z^1 = N$, O, S oder Se

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteratomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C1-C4)-Alkoxygruppe; einer C6-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C1-C4)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C1-C3)-alkylamino; einer RaRb-Amino-(C1-C4)-alkoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes (C1-C4)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder R^aR^b ist $(CH_2)_n$, n=2 bis 6, oder- $(CH_2)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyll, O oder S; oder einer RaRb-Aminoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, $oder\ R^aR^b\ ist\ (CH_2)_n,\ n=2\ bis\ 6,\ oder\ -\ (CH_2)_2W(CH_2)_2-,\ wobei\ W\ ausgew\"{a}hlt\ wird\ aus\ -N(C_1-C_3)-Alkyl\ [geradkettig]$ oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C1-C3)-Alkyl], O oder S; einer (C1-C3)-Alkylthiogruppe, ausgewählt aus Methylthio, Ethylthio, Propylthio oder Allylthio; einer C6-Arylthiogruppe, ausgewählt aus Phenylthio oder substituiertem Phenylthio (wobei eine Substitution ausgewählt wird aus Halogen, (C1-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₃)-alkylamino); einer (C₁-C₃)-Alkylthiogruppe, ausgewählt aus Methylthio, Ethylthio, Propylthio oder Allylthio; einer C6-Arylthiogruppe, ausgewählt aus Phenylthio oder sub-

stituiertem Phenylthio, wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di- (C_1-C_3) -alkylamino); einer C_6 -Arylsulfonylgruppe, ausgewählt aus Phenylsulfonyl oder substituiertem Phenylsulfonyl (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteratom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

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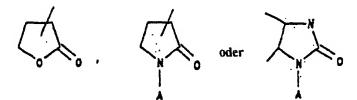
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Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

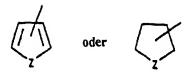
Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl; substitutiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Hydroxygruppe; einer α -Hydroxy-(C_1 - C_3)-alkylgruppe, ausgewählt aus Hydroxymethyl, α -Hydroxyethyl oder α -Hydroxy-1-methylethyl oder α -Hydroxypropyl; einer Halogen-(C_1 - C_3)-alkylgruppe; einer Acyl- oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C_3 - C_6)-Cycloalkylcarbonyl, (C_6 - C_{10})-Aroyl, das ausgewählt wird aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C_6 - C_{10})-Aroyl, (C_1 - C_4)-Alkylbenzoyl, oder (Heterocyclus)- carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:



Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C_1 - C_4)-Alkoxycarbonylaminogruppe, ausgewählt aus tert-Butoxycarbonylamino, Allyloxycarbonylamino, Methoxycarbonylamino, Ethoxycarbonylamino oder Propoxycarbonylamino; und für den Fall, dass $R = R^4$ (CH_2) R^2 0 und R^2 1 und R^2 1 und R^2 2 und R^2 3 und R^2 4 und R^2 5 und R^2 6 und R^2 6 und R^2 7 und R^2 7 und R^2 8 und R^2 9 und

 R^4 ' ausgewählt aus Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C_1 - C_4)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt wird aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C_6 - C_{10})-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

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Z = N, O, S oder Se,

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oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

Z oder $Z^1 = N$, O, S oder Se,

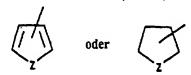
oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatom und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4) -Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl), oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; und für den Fall, dass $R = R^4$ $(CH_2)_nSO_2$ - ist und n = 1 bis 4, dann wird

 R^4 ' ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, das ausgewählt ist aus geradkettigem oder verzweigtem (C_1 - C_6)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt wird aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer R^aR^b -Amino-(C_1 - C_4)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C_1 - C_4)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylropyl, oder R^aR^b ist (CH_2)_n, R^aR^b ein geradkettiges oder verzweigtl, -NH, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (R^aR^b -Aminoxygruppe, wobei R^aR^b -ein geradkettiges oder verzweigtes (R^aR^b -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder R^aR^b ist (R^aR^b ist (R^aR^b) ist, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder R^aR^b ist (R^aR^b) ist, oder -(R^aR^b)

 R^5 wird ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer (C_7 - C_9)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen

aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

$$Z$$
 oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

- (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),
- oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder - $(CH_2)_nCOOR^7$, wobei n = 0 bis 4 und R⁷ ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C_1-C_3) -Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder (C_6-C_{10}) -Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl;
- R^6 wird ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer (C_7 - C_9)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigtem Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

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Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



$$Z$$
 oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

- (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),
- oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder $(CH_2)_nCOOR^{7'}$, wobei n = 0 bis 4, und $R^{7'}$ wird ausgewählt aus Wasserstoff; einem geradkettigen oder verzweigten (C_1-C_3) -Alkyl, das ausgewählt wird aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder einem (C_6-C_{10}) -Aryl, das ausgewählt wird aus Phenyl, α -Naphthyl oder β -Naphthyl; mit der Maßgabe, dass R^5 und R^6 nicht gleichzeitig Wasserstoff sein können;
- oder R⁵ und R⁶ bedeuten zusammen -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus (CH₂)_n und n = 0 bis 1, -NH, -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -N(C₁-C₄)-Alkoxy, Sauerstoff, Schwefel oder substituierte Kongenäre, die ausgewählt werden aus (L- oder D-)Prolin, Ethyl-(L- oder -D-)prolinat, Morpholin, Pyrrolidin oder Piperidin; und die pharmakologisch unbedenklichen organischen und anorganischen Salze oder Metallkomplexe.
- 9. Das Verfahren nach Anspruch 6, wobei Y für NO₂ steht;

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R wird ausgewählt aus $R^4(CH_2)_nCO$ - oder $R^4'(CH_2)_nSO_2$ -; und für den Fall, dass $R = R^4(CH_2)_nCO$ - und n = 0, dann wird

R⁴ ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt wird aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C₁-C₂)-Alkylgruppe, ausgewählt aus Methyl oder Ethyl, einer (C₆-C₁₀)-Arylgruppe, aus-

gewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C_6 - C_{10})-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer Carboxy-(C_2 - C_4)-alkylaminogruppe, ausgewählt aus Aminoessigsäure, α -Aminobutyrsäure oder α -Aminopropionsäure und deren optische Isomere; einer α -Hydroxy-(C_1 - C_3)-alkylgruppe, ausgewählt aus Hydroxymethyl, α -Hydroxyethyl oder α -Hydroxy-1-methylethyl oder α -Hydroxypropyl; einer Halogen-(C_1 - C_3)-alkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

$$Z = N$$
, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

$$Z^1$$
 oder Z^1

$$Z$$
 oder $Z^1 = N$, O, S oder Se

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl, substitutiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C_1-C_4) -Alkoxycarbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, einem geradkettigen oder verzweigten Butoxycarbonyl oder Allyloxycarbonyl; einer Vinyl oder substituierten Vinylgruppe [wobei eine Substitution ausgewählt wird aus einer (C_1-C_3) -Alkylgruppe], Halogen, einer (C_6-C_{10}) -Arylgruppe, ausgewählt aus Vinyl, α -Naphthyl, β -Naphthyl, einer substitutierten (C_6-C_{10}) -Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, einer (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -Alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy), einer Halogen- (C_1-C_3) -alkylgruppe, einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen gesättigten Ring, mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annel-

lierten Benzo- oder Pyridoring aufweist:

Benzo- oder Pyridoring aufweist:

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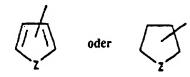
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Z = N, O, S oder Se;

einer (C_1-C_4) -Alkoxygruppe; einer C_6 -Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, einer Di- (C_1-C_3) -alkylamino; einer (C_7-C_{10}) -Aralkyloxygruppe; einer Vinyloxy- oder substituierten Vinyloxygruppe (wobei eine Substitution ausgewählt wird aus (C_1-C_4) -Alkyl, Cyano, Carboxy, oder (C_6-C_{10}) -Aryl, das ausgewählt wird aus Phenyl, α -Naphthyl oder β -Naphthyl); einer R^aR^b -Amino- (C_1-C_4) -alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C_1-C_4) -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist $(CH_2)_n$, wobei n=2 bis 6, oder - $(CH_2)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus -N (C_1-C_3) -Alkyl [geradkettig oder verzweigt], -NH, -NOB [wobei B ausgewählt wird aus Wasserstoff oder einem (C_1-C_3) -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder R^aR^b ist $(CH_2)_n$, wobei n=2 bis 6, oder - $(CH_2)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus -N (C_1-C_3) -Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C_1-C_3) -Alkyl], O oder S;

und für den Fall, daß R = $R^4(CH_2)_nCO$ - und n = 1-4, dann wird R^4 ausgewählt aus Wasserstoff; Amino, einer (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl; Amino; monosubstituiertem Amino, das ausgewählt ist aus geradkettigem oder verzweigtem (C_1 - C_6)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt ist aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl) amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C_6 - C_{10})-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer Acyloxy oder Halogenacyloxygruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trichloracetyl, (C_3 - C_6)-Cycloalkylcarbonyl, (C_6 - C_{10})-Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C_6 - C_{10})-Aroyl, (C_1 - C_4)-Alkylbenzyl, oder (Heterocyclus)carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten



Z = N, O, S oder Se,

oder einem fünfgliedrigen Ring mit zwei N-, O-, S- oder Se-Heteratomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

$$Z^1$$
 oder Z^1

Z oder $Z^1 = N$, O, S oder Se

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4) -Alkyl; C_6 -Aryl, substitutertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C7-C9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C_1-C_4) -Alkoxygruppe, einer R^aR^b -Amino- (C_1-C_4) -alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C_1-C_4) -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist $(CH_2)_n$, wobei n=2 bis 6, oder $(CH_2)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus (C_1-C_3) -Alkyl [geradkettig oder verzweigt], -NH, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C_1-C_3) -Alkyl], O oder S; oder einer (C_1-C_3) -Aminoxygruppe,

wobei R^aR^b ein geradkettiges oder verzweigtes (C_1-C_4) -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder R^aR^b ist $(CH_2)_n$, wobei n=2 bis 6, oder $(CH_2)_2W$ $(CH_2)_2$ -, wobei W ausgewählt wird aus $-N(C_1-C_3)$ -Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C_1-C_3) -Alkyl], O oder S; einer α -Hydroxy- (C_1-C_3) -alkylgruppe, ausgewählt aus Hydroxymethyl, α -Hydroxyethyl oder α -Hydroxy-1-methylethyl oder α -Hydroxypropyl; einer Halogen- (C_1-C_3) -alkylgruppe; einer (C_1-C_4) -Alkoxycarbonylaminogruppe, ausgewählt aus tert-Butoxycarbonylamino, Allyloxycarbonylamino, Methoxycarbonylamino oder Propoxycarbonylamino;

und für den Fall, daß $R = R^4'(CH_2)_nSO_2$ - und n = 0, dann wird

 R^4 ' ausgewählt aus Amino, monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C_1 - C_6)-Alkylamino, Cyclopropylamino, Cyclobütylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C_1 - C_2)-Alkylgruppe, ausgewählt aus Methyl oder Ethyl; einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; eine substituierte (C_6 - C_{10})-Arylgruppe, wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); eine heterocyclische Gruppe, ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

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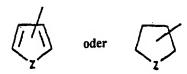
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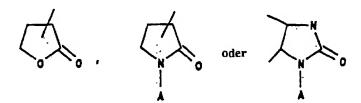
Z = N, O, S oder Se,

oder einem fünfgliedrigen Ring mit zwei N-, O-, S- oder Se-Heteratomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:



$$Z$$
 oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



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(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C1-C4)-Alkyl; C6-Aryl, substituiertem C6-Aryl (eine Substitution wird ausgewählt aus Halogen, (C1-C4)-Alkoxy, Trihalogen-(C1-C3)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

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oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen oder einem benachbart gebunden O-Heteroatom;

und für den Fall, dass R = R4' (CH2)nSO2- und n = 1 bis 4, dann wird R4' ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C1-C2)-Alkylgruppe, ausgewählt aus Me-

thyl oder Ethyl;

R5 wird ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C1-C3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β-Naphthyl; einer (C₇-C₉)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z = N, O, S oder Se,

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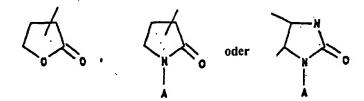
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oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

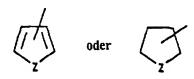


Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



- (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl, substitutiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),
 - oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder - $(CH_2)_nCOOR^7$, wobei n = 0 bis 4 und R⁷ ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C_1-C_3) -Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder (C_6-C_{10}) -Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl;
 - R^6 ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer (C_7 - C_9)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:



Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

Z oder $Z^1 = N$, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

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(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4) -Alkyl; C_6 -Aryl; substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder $-(CH_2)_nCOOR^7$, wobei n = 0 - 4 ist und R 7 ausgewählt wird aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_3)-Alkyl, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder (C_6-C_{10})-Aryl, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; mit der Maßgabe, dass R 5 und R 6 nicht beide Wasserstoff sein können;

oder R^5 und R^6 bedeuten zusammen - $(CH_2)_2W(CH_2)_2$ -, wobei W ausgewählt wird aus $(CH_2)_n$ und n=0-1, -NH, -N(C_1 - C_3)-Alkyl [geradkettig oder verzweigt], -N(C_1 - C_4)-Alkoxy, Sauerstoff, Schwefel oder substituierte Kongenäre, die ausgewählt werden aus (L- oder D-)Prolin, Ethyl-(L- oder D-)prolinat, Morpholin, Pyrrolidin oder Piperidin; und die pharmakologisch unbedenklichen organischen und anorganischen Salze oder Metallkomplexe davon.

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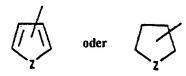
10. Das Verfahren gemäß Anspruch 6, wobei

Y für NO2 steht,

R ausgewählt wird aus R⁴(CH₂)_nCO- oder R⁴'(CH₂)_nSO₂-;

und für den Fall, dass $R = R^4(CH_2)_nCO$ - und n = 0, dann wird

R⁴ ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C_1-C_2) -Alkylgruppe, ausgewählt aus Methyl oder Ethyl; einer (C_6-C_{10}) -Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C_6-C_{10}) -Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkoxy, Nitro, Amino oder (C_1-C_2) -Alkoxycarbonyl); einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, oder S-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:



Z = N, O oder S,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, oder S-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:

$$Z \operatorname{oder} Z^1 = N$$
, O oder S,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O- oder S-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_2) -Alkyl; C_6 -Aryl), einer (C_1-C_4) -Alkoxycarbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, geradkettigem oder verzweigtem Propoxylcarbonyl, geradkettigem oder verzweigtem Butoxycarbonyl oder Allyloxycarbonyl; einer Vinyl oder subsituierten Vinylgruppe [wobei eine Substitution ausgewählt wird aus einer (C_1-C_2) -Alkylgruppe, einer (C_6-C_{10}) -Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl, β -Naphthyl, einer substituierten (C_6-C_{10}) -Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkoxy, (C_1-C_4) -Alkoxycarbonyl, Halogen, (C_1-C_3) -Alkylgruppe]; einer (C_1-C_4) -Alkoxygruppe; einer (C_3-C_4) -Alkyl; einer (C_7-C_9) -Aralkyloxygruppe; einer Vinyloxy- oder substituierten Vinyloxygruppe (wobei eine Substitution ausgewählt wird aus (C_1-C_2) -Alkyl; einer Ra-B-Amino- (C_1-C_4) -alkoxygruppe, wobei Ra-B-eine geradkettiges oder verzweigtes (C_1-C_4) -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl; oder eine Ra-B-Aminoxygruppe, wobei Ra-B-ein geradkettiges oder verzweigtes (C_1-C_4) -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl;

und für den Fall, dass $R = R^4(CH_2)_nCO$ - und n = 1 bis 4 ist, dann wird

R⁴ ausgewählt aus Wasserstoff; einer (C_1 - C_2)-Alkylgruppe, ausgewählt aus Methyl oder Ethyl; Amino; monosubstituiertem Amino, das ausgewählt ist aus geradkettigem oder verzweigtem (C_1 - C_6)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt ist aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, oder 1-(1,2,3-Triazolyl); einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C_6 - C_{10})-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Nitro, Amino, (C_1 - C_4)-Alkoxycarbonyl); einer Acyloxy oder Halogenacyloxygruppe, ausgewählt aus Acetyl, Propionyl oder Chloracetyl; einer (C_1 - C_4)-Alkoxygruppe; carbonyl, einer R^aR^b-Amino-(C_1 - C_4)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C_1 - C_4)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl ist, oder R^aR^b ist (C_1 - C_2), wobei n = 2 bis 6, oder -

(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; oder einer RaRb-Aminoxygruppe, wobei RaRb ein geradkettiges oder verzweigtes (C1-C4)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl; oder R^aR^b ist $(CH_2)_n$ steht, wobei n=2 bis 6, oder - $(CH_2)_2W$ (CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; einer Halogen-(C₁-C₃)-alkylgruppe; einer (C₁-C₄)-Alkoxycarbonylaminogruppe, ausgewählt aus tert-Butoxycarbonylamino, Allyloxycarbonylamino, Methoxycarbonylamino, Ethoxycarbonylamino oder Propoxycarbonylamino:

und für den Fall, daß $R = R^{4'}(CH_2)_nSO_2$ - und n = 0, dann wird R^4 ausgewählt aus einer geradkettigen oder verzweigten (C_1 - C_2)-Alkylgruppe, ausgewählt aus Methyl oder Ethyl; einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C_6 - C_{10})-Arylgruppe, (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkoxy, Nitro, (C_1-C_4) -Alkoxycarbonyl); einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring, mit einem N-, O- oder S-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

Z = N, O oder S

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O- oder S-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

Z oder $Z^1 = N$, O oder S

und für den Fall, dass $R = R^4(CH_2)_nSO_2$ - und n = 1 bis 4, dann wird

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R4 ausgewählt aus Wasserstoff, einer geradkettigen oder verzweigten (C₁-C₂)-Alkylgruppe, ausgewählt aus Methyl oder Ethyl;

R⁵ wird ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl;

R⁶ wird ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; mit der Maßgabe, dass R⁵ und R⁶ nicht beide Wasserstoff sein können; oder R5 und R6 sind zusammen -(CH2)2W(CH2)2-, wobei W ausgewählt wird aus (CH2)n und n = 0 bis 1, -NH, -N (C₁-C₃)-Alkyl [geradkettig oder verzweigt], -N(C₁-C₄)-Alkoxy, Sauerstoff, Schwefel oder substituierte Kongenäre, die ausgewählt werden aus (L- oder D-)Prolin, Ethyl(L- oder D-)prolinat, Morpholin, Pyrrolidin oder Piperidin; und die pharmakologisch unbedenklichen organischen und anorganischen Salze oder Metallkomplexe davon.

50 11. Das Verfahren nach Anspruch 1, nämlich zur Herstellung von [4S(4a,12aa)]-4,7-Bis(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; 12aa)]-4,7-Bis(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11 [4S-(4a,12aa)]-4,7Bis(dimethylamino)-9-(formylamino)-1,4,4a,5,5a, dioxo-2-naphthacen-carboxamidsulfat; 6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidmonohydrochlorid; [4S-(4a, 12aa)]-9-(Acetylamino)-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3, 10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12aoctahydro3,10,12,12a-tetrahydroxy-1,11dioxo-9-[(trifluoroacetyl)amino]-2-naphthacencarboxamidsulfat; [4S-(4a, 12aa) 1-7-(Diethylamino)-4-(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6, 11,12-aoctahydro-3,10,12,12a-tetra-

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hydroxy-1,11-dioxo-2-naphthacencarboxamidsulfat (1:2); [4S-(4a,12aa)]-9-(Acetylamino)-7-(diethylamino)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12atetrahydroxy-1,11-dioxo-2-naphthacencarboxamidsulfat (1:2); [4S-(4a,12aa)]-7-(Diethylamino)-4(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-Tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-9-(Acetylamino)-7-(diethylamino)-4(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencar-[4S(4a,12aa)]-4-(Dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12atetrahydroxy7-iodo-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-4-(Dimethylamino)-9-(formylamino)1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12atetrahydroxy-7-iodo-1,11-dioxo-2-naphthacencarboxamidsulfat; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetr a-hydroxy-9-[(methoxyacetyl)amino] -1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-9-[(4-Bromo-1-oxobutyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11 ,12aoctahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(1-oxo-2-propenyl)amino]-2naphthacencarboxamid; [4S-(4a,12aa)]-9-[[(Acetyloxy)acetyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11, 12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencar-[4S-(4a,12aa)]-9-(Benzoylamino)-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(4-methoxybenzoyl)amino]-1,11dioxo-2-naphthacencarboxamid; [4S-(4alpha,12aalpha))]4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a octahydro-3,10,12,12a-tetrahydroxy-9-[(2-methylbenzoyl)amino]-1,11-dioxo-2-naphthacencarb-oxamid; [4S-(4alpha,12aalpha)]-4,7-Bis (dimethylamino)-9-[(2-fluorobenzoyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarb-oxamid; [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamblo)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9[(pentafluorobenzoyl)amino]-1,1-dioxo-2-naphthacencarboxamidhydrochlorid; (4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[[3-(trifluoromethyl)benzoyl]amino]-2-naphthacencarboxamid; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[(2-furanylcarbonyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxyl,11-dioxo-9-[(2-thienylcarbonyl)amino]-2-naphtha cencarboxamid; 12aalphai]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(4-nitrobenzoyl) amino]-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-9-[(4-Aminobenzoyl)amino]-4,7-bis-dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidsulfat; 12aa)]-4,7-Bis(dimethylamino)-9-[[(4-dimethylamino)benzoyl]-amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [7S-(7a,10aa)]-[2-[[9-(Aminocarbonyl)-4,7-bis (dimethylamino)--5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-amino]-2-oxoethyl]carbaminsäure-1,1-dimethylethylester; [4S-(4a, 12aa)]-9-[(Aminoacetyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidmono-(trifluoroacetat); [4S-(4a, 12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetra-hydroxy-1.11dioxo-9-[(phenylsulfonyl)amino]-2-naphthacencarboxamid; [4S-(4a,12aa)]-9-[[(4-Chlorophenyl)sulfonvilamino]-4,7-bis(dimethylamino)-1,4,4a,5,5 a,-6,11,12atetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetra-hydroxy-9-[(3nitrophenyl) sulfonyl] amino-1,11-dioxo-2-naphthacencaboxamid; [4S-(4a, 12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5a,6,11,12aoctahydro-3,10,12,12a-tetrahydroxy-9[[(4-nitrophenyl)sulfonyl]amino]-1,11-dioxo-2naphthacencarboxamid: [4S-12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(2-thienylsulfonyl)amino]-2naphthacencarboxamid; [4S-(4a,12aa)]-9-[[(2-(Acetylamino)-4-methyl-5-thiazolylsulfonyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-1,11-dioxo-2naphthacencarboxamid; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[(ethylsulfonyl)amino]-1,4,4a,5,5a, 6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-9-(formylamino)1,4,4a,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-N-(1-pyrrolidinylmethyl)-2-naphthacencarboxamid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetr ahy-droxy-9-[(methanesulfonyl)amino]-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetr a-hydroxy-1,11-dioxo-9-[[(phenylmethoxy)acetyl]amino]-2-naphthacencarboxamid; (7S-(7a,10aa)]-[[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a, 6,6a,7,10,10a,12 octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl] amino]oxoessigsäureethylester; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(hydroxyacetyl)amino]-1,11-dioxo-2-naphthacencarboxamid; [4s-(4a,12aa)]-4,7-Bis(dimethylamino)-9-[[(methylamino) acetyl]amino]-1,4,4a,5,5a,6,1 1,12a-octahydro-3,10,12,12atetrahydroxy-1,11-dioxo-2-naphthacencarboxamidhydrochlorid; [4S-(4a,12aa)]-4-(Dimethylamino)-9-(acetylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxyiodo-1,11dioxo-2-naphthacencarboxamidsulfat; [7S-(7a,10aa)]-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2naphthacenyl]carbaminsäuremethy-

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lester: [7S-(7a,10aa)]-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a, 11-tetrahydroxy-10,12-dioxo-2-naphthacenvl]-carbaminsäure-(2diethylamino)ethylester; [7S-(7a,1Oaa)][9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10.12-dioxo-2-naphthacenyl]-carbaminsäure ethenyl ester; [7S-(7a,10aa)]-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a, 6,6a,7,10,10a,12-octahydro-1,8,10a,11tetrahydroxy-10,12-dioxo-2-naphthacenyl]carbaminsäure ster: [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-9-[[(dimethylamino)acetyl]-amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2 naphthacencarboxamidsulfat; [4S-(4a,12aa)]-4,7-Bis-(dimethylamino)-1,4,4a,5,5a,6,-11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(methoxyacetyl)-amino]-1,11-dioxo-2-naphthacencarboxamid hydrochlorid; [4S-(4a,12aa)]-9[(4-Bromo-i-oxobutyl)amino]--4,7-bis(dimethylamino)-1,4,4a,5,5a, 6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidsulfat; [4S-(4a,12aa)]-9-[[(Acetyloxy)acetyl]amino]--4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-[4S-(4a,12aa)]-9-(Benzoylamino)-4,7-bis(dimethylamino)1,4,4a,5,5a, 1,11-dioxo-2-naphthacencarboxamid; 6,11,12a-octahydro--3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidsulfat; 12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-1,11dioxo-9-[[3-(trifluoromethyl)benzoyl]amino]-2-naphthacencarboxamidhydrochlorid; [4S-(4a,12aa)]-9-(4-Aminobenzoyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid-[4S-(4a,12aa)]-4,7-Bis(dimethylamino)-9-[[(4-dimethylamino)benzoyl] amino]-1,4,4a,5,5a,6,11,12aoctahydro-3,10,12,12atetrahydroxy-1f11-dioxo-2-naphthacencarboxamidhydrochlorid; [7S-(7a,10aa)]-[2-[[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]amino]-2-oxoethyl] [4S-(4a, 12aa)]-9-[(Aminoacetyl)amino]-4,7-bis-dimethylamino)-1,4,4a,5,5a, 6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [4S-(4alpha, 12aalpha)]-4,7Bis(dimethylamino)-9-[(ethylsulfonyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidhydrochlorid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12aoctahydro-3,10,12,12a tetrahydroxy-9-[(methanesulfonyl)amino]-1,11-dioxo-2naphthacencarboxamidsulfat; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9[[(phenylmethoxy)acetyl]amino]-2-naphthacencarboxamid hydrochlorid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a, 5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(hydroxyacetyl)amino]-1,11-dioxo-2-naphthacen boxamidsulfate; [4S-(4a,12aa)]-4-(Dimethylamino)9-(acetylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12atetrahydroxy-7-iodo-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-9-[[(dimethylamino)-acety[]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [7S-(7a,10aa)]-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a, 11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-carbaminsäuremethylestersulfat; [7S-(7a,10aa)]-[9(Aminocarbonyl)-4,7-bis-(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2naphthacenyl]-carbaminsäure(2-diethylamino)ethyl-esterhydrochlorid; [7S-(7a,10aa)]-[9(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,il-tetrahydroxy-10,12-dioxo-2naphthacenyl] carbaminsäureethenylestersulfat; [7S-(7a,10aa)]-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a, 12-octahydro-1.8.10a.11-tetrahydroxy-10.12-dioxo-2-naphthacenyllcarbaminsäure-2-propenylesterhydrochlorid: [4S-(4a,12aa]-4,7-Bis(dimethylamino)-9-[[(diethylamino)acetyl3amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidsulfat; [4S-(4a,12aa]-4,7-Bis(dimethylamino)-9-[[(diethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidhydrochlorid; [4S-(4a, 12aa]-4,7Bis(dimethylamino)-9-[[(diethylamino)acetyl]amino]-1,4,4a,5,5a, 6,11,12a-octahydro-3,10,12,12atetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [4S-(4alpha, 4,7-Bis(dimethylamino)-9-[[(dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2naphthacen- carboxamid dihydrochlorid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)9-(chloroacetylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12.12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; (4S-(4alpha,12aalpha))-9-[(Chloroacetyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro3,10,12,12atetrahydroxy-1,11-dioxo-2-naphthacencarboxamid dihydrochlorid; [4S-(4alpha,12aalpha)]-9[(Bromoacetyl)amino]-4,7-bis-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11dioxo-2-naphthacencarboxamid dihydrochlorid; [4S(4alpha,12aalpha)]9-[(Bromoacetyl)-amino)-4,7-bis(dimethylamino)-1,4,4a,5,5a, 6,11,12a-octahydro- 3,10,12,12a-tetrahydroxyl,11-dioxo-2-naphthacencarboxamid (freie Base); [4S-(4alpha, 12aalpha)]-9-[(Bromoacetyl)amino]-4,7bis(dimethylamino)-1,4,4a,5,5a,6,11, 12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo2-naphthacencarboxamidmonohydro-bromid; [4S-(4alpha, 12aalpha)]-9-[(2-Bromo-1-oxopropyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-1,11-dioxo-2-naphthacen- carboxamidhydrobromid; [4S-(4alpha, 12aalpha)]-9-[(2-Bromo-ioxopropyl)amino]-4,7-bis-(dimethylamino)-1,4,4a,5,5a,6,11, 12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid hydrobromide; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-9[[(methylamino)acetyl]amino]-1,11-dioxo-2-naphthacencarboxamiddihydrochlorid; 10aalpha)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)- 5,5a,6,6a,7,10,10a,12octa-hydro-1,8,10a,11-tetrahy-

droxy-10,12-dioxo-2-naphthacenyl]- 4-morpholineacetamid dihydrochlorid; [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-9[[(ethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12aoctahydro3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid dihydrochlorid; [4S-(4alpha, 12aalpha)]-9-[[(Cyclopropylamino)acetyl]amino]-4,7-bis (dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro3,10,12, 12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamiddihydrochlorid: [4S(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-9-[[(butylamino)acetyl]-amino]-1,4,4a,5,5a, 6,11,12aoctahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamiddi-hydrochlorid; [4S(4alpha, 12aalpha)]-9-[[(Diethylamino)-acetyl]amino]-4,7bis(dimethylamino)-1,4,4a,5,5a,6,11,12aoctahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacen- carboxamid dihydrochlorid; [7S(7alpha, 10aalpha)]-N-[9-(Aminocarbonyl)-4,7-bis-(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro 1,8,10a,11-tetrahydroxy-10,12-dioxonaphthacenvl]-1-pyrrolidinacetamiddihydrochlorid; [4S(4alpha,12aalpha)]-4,7-Bis-(dimethylamino)-1,4,4a, 10 5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy9[[(2-methylpropyl)amino]acetyl]amino]-1,11-dioxo-2naphthacencarboxamiddihydro- chloride; [7S(7alpha, loaalpha)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,1 2-dioxo-2-naphthacenyl]-1-piperidineacetamid dihydrochlorid; [7S(7alpha,10aalpha)]-N-[9(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10, 10a,12-octa-15 hydro 1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-1H-imidazole-1-acetamid dihydrochlorid; [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5a,6,11,12a-octahydro-3,10,12,1 2a-tetrahydroxy-1,11dioxo-9-[[(propylamino)acetyl]amino]- 2-naphthacencarboxamiddihydro- chloride; [4S-(4alpha,12aalpha)]-4,7Bis(dimethylamino)-9-[[dimethylamino)-acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacen-carboxamid; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9- [[(hexylamino)acetyl]- amino]-20 1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetra-hydroxy-1,11-dioxo-2-naphthacen- carboxamiddihydrochlorid; 12aalpha)]-4,7-Bis(dimethylamino)- 9-[[2-(dimethylamino)-1-oxopropyl]amino]-1,4,4a,5,5a, 6,11,12a-octahydro- 3,10,12,12a- tetrahydroxy-1,11-dioxo-2-napthacenecarboxamiddihydrochlorid;[4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[[2(methylamino)-1-oxopropyl]amino]-1,11-dioxo-2-naphthacencarboxamiddihydrochlorid; [7S-(7alpha,loaalpha)]-N-[9-(Amino-25 carbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahy droxy-10,12-dioxo-2-naphthacenyl]-alpha-methyl-1-pyrrolidinacetamiddihydrochlorid; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9- [[4-(dimethylamino)-i-oxobutyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-napthacenecarboxamiddihydrochlorid; [4S-(4alpha,12aalpha)]-9[(Butylmethylamino)acetyl]amino]-4,7-bis (dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12atetahydroxy-1,11-dioxo-2-naphthacencarboxamid-[4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydrodihydrochlorid; 3,10,12,12atetrahydroxy-1,11-dioxo-9-[[(pentylamino)acetyl]amino]2-naphthacencarboxamiddihydrochlorid; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12aoctahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9 [[[(phenylmethyl)amino]acetyl]amino]- 2-naphthacen- carboxamiddihydrochlorid; [7S-(7alpha,10aalpha)]-N-[2[[9-(Aminocarbonyl)-4,7-bis- (dimethylamino)-5,5a,6,6a,7,10a,12-octahydro-1,8,10a,11-tetrahydroxy10,12-dioxo-2-naphthacenyl]-amino]-2-oxoethyl]glycine; [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-9-[[(dimethylamino) acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-1,11-dioxoN-(1-pyrrolidinylmethyl)-2naphthacencarboxamid: (4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9[[(dimethylamino)acetyllamino]-1.4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,i1-dioxo-N(4-morpholinyl-methyl)--2-naphthacencarboxamid: [4S-(4alpha. 12aalpha)]-4,7-Bis(dimethylamino)-9[[(dimethylamino)acetyl]amino]-1.4.4a,5.5a, 6,11,12aoctahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-N(1-piperidinylmethyl)-2-naphthacencarboxamid; [7S-(7alpha, loaalpha)]-N-[9-(Aminocarbonyl-4,7bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11- tetrahydroxy-10,12-dioxo-2-napthacenyl]i-azetidineacetamid; [4S-(4alpha,12aalpha)]-9-[[(Cyclobutylamino)acetyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy- 1,11-dioxo2-naphthacencarboxamid hydrochlorid.

- 12. Das Verfahren gemäß Anspruch 6, nämlich zur Herstellung von [4S-(4a,12aa)]-4-(Dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-nitro-1,11-dioxo-2-naphthacencarboxamidsulfat.
- 13. Verfahren zur Herstellung eines pharmazeutischen Mittels, umfassend eine nach Anspruch 1 erhältliche Verbin-50 dung, wobei das Verfahren eine Stufe eines Mischens einer Verbindung nach Anspruch 1 mit einem pharmazeutisch unbedenklichen Träger umfasst.

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Revendications

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Revendications pour les Etats contractants suivants : AT, BE, CH, LI, DE, DK, FR, GB, IT, LU, NL, PT, SE, IE

1. Composé de formule :

R N H O O O O O O O

dans laquelle :

X est choisi parmi un groupe amino, NR¹R², ou un atome d'halogène ; l'atome d'halogène est choisi parmi le brome, le chlore, le fluor ou l'iode ;

et, lorsque $X = NR^1R^2$ et $R^1 = hydrogène$,

 $R^2 = \text{m\'ethyle}$, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle;

et, lorsque R1 = méthyle ou éthyle,

R² = méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle;

et, lorsque $R^1 = n$ -propyle,

 $R^2 = n$ -propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle;

et, lorsque R1 = 1-méthyléthyle,

 $R^2 = n$ -butyle, 1-méthylpropyle ou 2-méthylpropyle;

et. lorsque $R^1 = n$ -butvle.

 $R^2 = n$ -butyle, 1-méthylpropyle ou 2-méthylpropyle;

et, lorsque R1 = 1-méthylpropyle,

 $R^2 = 2$ -méthylpropyle;

R est choisi parmi R⁴(CH₂)_nCO- ou R⁴'(CH₂)_nSO₂-;

et, lorsque $R = R^4(CH_2)_nCO$ - et n = 0,

R⁴ est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C_1 - C_6)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle ; un groupe cycloalkyle en C_3 - C_6 choisi parmi les groupes cyclopropyle, cyclobutyle, cyclopentyle ou cyclohexyle ; un groupe cycloalkyle en C_3 - C_6 substitué (substitution choisie parmi les groupes alkyle en C_1 - C_3 , cyano, amino ou acyle en C_1 - C_3) ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes aminométhyle, α -aminoéthyle ou phénylpropyle ; un groupe α -amino(alkyle en C_1 - C_4) choisi parmi les groupes aminométhyle, α -aminoéthyle, α -aminobutyrique ou l'acide α -aminopropionique et leurs isomères optiques ; un groupe (aralkyle en C_7 - C_9)amino ; un groupe (alcoxy en C_1 - C_4)carbonolique et leurs isomères optiques ; un groupe (aralkyle en C_7 - C_9)amino ; un groupe (alcoxy en C_1 - C_4)carbonolique et leurs isomères optiques ; un groupe (aralkyle en C_7 - C_9)amino ; un groupe (alcoxy en C_1 - C_4)carbonolique et leurs isomères optiques ; un groupe (aralkyle en C_7 - C_9)amino ; un groupe (alcoxy en C_1 - C_4)carbonolique et leurs isomères optiques ; un groupe (

bonylamino-alkyle en C_1 - C_4 substitué, la substitution étant choisie parmi les groupes phényle ou p-hydroxyphényle ; un groupe α -hydroxy(alkyle en C_1 - C_3) choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxy-1-méthyléthyle ou α -hydroxypropyle ; un groupe α -mercapto(alkyle en C_1 - C_3) choisi parmi les groupes mercaptométhyle, α -mercaptoéthyle, α -mercapto-1-méthyléthyle ou α -mercaptopropyle ; un groupe halogéno (alkyle en C_1 - C_3) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

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Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

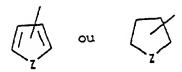
$$z^1$$
 ou z^1

Z ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C_3 -C₆)-carbonyle, aroyle en C_6 -C₁₀ choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C_6 -C₁₀ à substitution halogéno, (alkyle en C_1 -C₄)benzoyle ou (hétérocycle) - carbonyle, l'hétérocycle étant choisi parmi un' cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z$$
 ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle,. 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; -un groupe (alcoxy en C_1 - C_4)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié, butoxycarbonyle linéaire ou ramifié ou allyloxycarbonyle ; un groupe vinyle ou vinyle substitué [substitution choisie parmi les groupes alkyle en C_1 - C_3 , halogéno, aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle, aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4) carbonyle, (alkyle en C_1 - C_3), un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z = N, O, S ou Se

];

un groupe alcoxy en C_1 - C_4 ; un groupe aryloxy en C_6 choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C_1 - C_4 , nitro, cyano, thiol, amino, carboxy, di(alkyle en C_1 - C_3)amino); un groupe aralkyloxy en C_7 - C_{10} ; un groupe vinyloxy ou vinyloxy substitué (substitution choisie parmi les groupes alkyle en C_1 - C_4 , cyano, carboxy ou aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle); un groupe $\mathbb{R}^a\mathbb{R}^b$ amino(alcoxy en \mathbb{C}_1 - \mathbb{C}_4), où $\mathbb{R}^a\mathbb{R}^b$ est un groupe alkyle en \mathbb{C}_1 - \mathbb{C}_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien $\mathbb{R}^a\mathbb{R}^b$ est (\mathbb{C}^1 - \mathbb{C}^1



Z = N, O, S ou Se

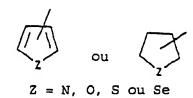
$$Z^{1}$$
 ou Z^{1}

$$Z$$
 ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

choisi parmi les groupes beilzyle, 1-prienyletityle, 2-prienyletityle ou prienylpropyle) ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe alcoxy en C_1 - C_4 ; un groupe aryloxy en C_6 choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C_1 - C_4 , nitro, cyano, thiol, amino, carboxy, di(alkyle en C_1 - C_3)amino) ; un groupe arylothio en C_6 choisi parmi les groupes phénylthio ou phénylthio substitué (substitution choisie parmi les groupes halogéno, alkyle en C_1 - C_4 , nitro, cyano, thiol, amino, carboxy, di(alkyle en C_1 - C_3)amino) ; un groupe arylsulfonyle en C_6 choisi parmi les groupes phénylsulfonyle ou phénylsulfonyle substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkylthio en C_7 - C_8 ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



$$Z^1$$
 ou Z^1

$$Z$$
 ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe hydroxyle ; un groupe mercapto ; un groupe mono- ou di(alkyle en C_1 - C_6 à chaîne linéaire ou ramifiée)amino choisi parmi les groupes méthyl-, n-propyl-, 1-méthyléthyl-, n-butyl-, n-butyl-, 1-méthylpropyl-, 2-méthylpropyl-, 2-méthylpropyl-, 2,2-diméthylbutyl-, 1,3-diméthylbutyl-, n-hexyl-, 1-méthylpentyl-, 1,1-diméthylbutyl-, 2,2-diméthylbutyl-, 2,2-diméthylbutyl-, 1,2-diméthylbutyl-, 1,3-diméthylbutyl- ou 1-méthyl-1-éthylpropylamino ; un groupe azacycloalkyle en C_2 - C_5 ; un groupe carboxy(alkyle en C_2 - C_4)amino choisi parmi l'acide aminoacétique, l'acide α -aminobutyrique et leurs isomères optiques ; un groupe α -hydroxyalkyle en C_1 - C_3 choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxy-1-méthyléthyle ou α -hydroxypropyle ; un groupe halogéno(alkyle en C_1 - C_3) ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C_3 - C_6)carbonyle, aroyle en C_6 - C_{10} choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C_6 - C_{10} à substitution halogéno, (alkyle en C_1 - C_4)benzoyle, ou (hétérocycle)carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



$$Z = N$$
, O, S ou Se

$$\downarrow$$
 ou \downarrow z ,

$$Z$$
 ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle où phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C_1 - C_4)carbonylamino choisi parmi les groupes tert-butoxycarbonylamino, allyloxycarbonylamino, méthoxycarbonylamino, éthoxycarbonylamino ou propoxycarbonylamino ; un groupe (alcoxy en C_1 - C_4)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié, allyloxycarbonyle ou butoxycarbonyle linéaire ou ramifié ; un groupe R^a bamino(alcoxy en R^a best un groupe alkyle en R^a best un groupe alkyle en R^a best un groupe alkyle, R^a best choisi parmi les groupes méthyle, éthyle, R^a best choisi parmi un groupe -N (alkyle en R^a best (R^a) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en R^a best (R^a) [linéaire ou ramifié choisi parmi les groupes méthyle; éthyle, R^a best un groupe alkyle en R^a best (R^a) [linéaire ou ramifié choisi parmi les groupes méthyle; éthyle, R^a best un groupe alkyle en R^a best (R^a), ou bien R^a best (R^a), ou -(R^a) [linéaire ou ramifié choisi parmi les groupes méthyle; éthyle, R^a best un groupe alkyle en R^a best (R^a), ou bien R^a best (R^a), ou -(R^a) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe N(alkyle en R^a)] [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en R^a)] (alkyle en R^a), ou S; et, lorsque R^a) est R^a 0 est R^a 1 est choisi parmi un atome d'hydrogène ou un groupe alkyle en R^a 1.

 R^4 ' est choisi parmi un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle en C_1 - C_6 linéaire ou ramifié)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl (1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle ; un groupe cycloalkyle en C_3 - C_6 choisi parmi les groupes cyclopropyle, cyclopentyle ou cyclohexyle ; un groupe cycloalkyle en C_3 - C_6 substitué (substitution choisie parmi les groupes alkyle en C_1 - C_3 , cyano, amino ou acyle en C_1 - C_3) ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 ; un groupe halogéno (alkyle en C_1 - C_3); un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$z^1$$
 ou z^1

Z ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe R^aR^b amino(alcoxy en C_1 - C_4), où R^aR^b est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est $(CH_2)_n$, n=2 à 6, ou - $(CH_2)_2W(CH_2)_2$ - où W est choisi parmi les groupes -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1 - C_3], O ou S ; ou un groupe R^aR^b aminoxy, où R^aR^b est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est $(CH_2)_n$, n=2 à 6, ou - $(CH_2)_2W$ $(CH_2)_2$ - où W est choisi parmi les groupes -N-(alkyle en C_1 - C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1 - C_3], O ou S ;

et, lorsque $R = R^{4}(CH_{2})_{n}SO_{2}$ - et n = 1 à 4,

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 R^4 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle ; un groupe carboxyalkyle en C_1 - C_4 ; un groupe cycloalkyle en C_3 - C_6 choisi parmi les groupes

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cyclopropyle, cyclobutyle, cyclopentyle ou cyclohexyle; un groupe cycloalkyle en C₃-C₆ substitué (substitution choisie parmi les groupes alkyle en C_1 - C_3 , cyano, amino ou acyle en C_1 - C_3); un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁- C_4) carbonyle, (alkyle en C_1 - C_3) amino ou carboxy); un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle ; un groupe alcoxy en C₁-C₄ ; un groupe aryloxy en C₆ choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C3, nitro, cyano, thiol, amino, carboxy, di(alkyle en C1-C3)amino); un groupe aralkyloxy en C7-C10; un groupe RaRbamino(alcoxy en C₁-C₄), où RaRb est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien RaRb est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)_n- où W est choisi parmi un groupe -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S; ou un groupe RªR^baminoxy, où RaRb est un groupe alkyle en C1-C4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien RaRb est (CH2)n, n = 2 à 6, ou -(CH2)2W (CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB (B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S; un groupe alkylthio en C₁-C₃ choisi parmi les groupes méthylthio, éthylthio ou n-propylthio; un groupe arylthio en C6 choisi parmi les groupes phénylthio ou phénylthio substitué (substitution choisie parmi les groupes halogéno, alkyle en C_1 - C_3 , nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino) ; un groupe aralkylthio en C₇-C₈ ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido:

$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z$$
 ou $Z^1 = N$, O, S ou Se

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe hydroxyle, un groupe mercapto ; un groupe mono- ou di(alkyle en C_1 - C_6 linéaire ou ramifié)amino choisi parmi les groupes méthyl-, éthyl-, n-propyl-, 1-méthyléthyl-, n-butyl-, 1-méthylpropyl-, 2-méthylpropyl-, 1,1-diméthyléthyl-, 2-méthylpropyl-, 2,2-diméthylpropyl-, 3-méthylbutyl-, n-hexyl-, 1-méthylpentyl-, 1,1-diméthylbutyl-, 2,2-diméthylbutyl-, 1,2-diméthylbutyl-, 1,3-diméthylbutyl- ou 1-méthyl-1-éthylpropylamino ; un groupe halogéno(alkyle en C_1 - C_3) ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C_3 - C_6)-carbonyle, aroyle en C_6 - C_{10} choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C_6 - C_{10} à substitution halogéno, (alkyle en C_1 - C_4)benzoyle ou (hétérocycle)-carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z$$
 ou $Z^1 = N$, O, S ou Se

- (A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)
 - ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C₁-C₄)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié, allyloxycarbonyle ou butoxycarbonyle linéaire ou ramifié ;

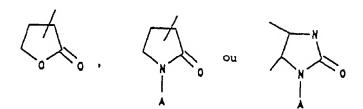
 R^5 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aralkyle en C_7 - C_9 ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$z'$$
 ou z'

$$Z$$
 ou $Z^1 = N$, 0, S ou Se



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 'substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou - $(CH_2)_nCOOR^7$ où n = 0 à 4 et R^7 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; ou un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ;

 R^6 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle ou β -naphtyle ; un groupe aralkyle en C_7 - C_9 ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$z'$$
 ou z'

$$Z$$
 ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno (alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou - $(CH_2)_nCOOR^7$ où n=0 à 4 et R^7 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; ou un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; à condition que R^5 et R^6 ne soient pas tous deux de l'hydrogène ;

ou bien R^5 et R^6 , pris ensemble, représentent - $(CH_2)_2W(CH_2)_2$ - où W est choisi parmi $(CH_2)_n$ et n=0 ou 1, -NH, -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -N(alcoxy en C_1 - C_4), un atome d'oxygène, un atome de soufre ou des congénères substitués choisis parmi la (L ou D)-proline, le (L ou D)-prolinate d'éthyle, la morpholine, la pyrrolidine ou la pipéridine ; et les sels organiques et minéraux ou complexes métalliques pharmacologiquement acceptables.

2. Composé selon la revendication 1, dans lequel :

X est choisi parmi un groupe amino, NR¹R² ou un atome d'halogène ; l'atome d'halogène est choisi parmi le brome, le chlore, le fluor ou l'iode ;

et, lorsque $X = NR^1R^2$ et $R^1 = hydrogène$,

 $\mathsf{R}^2=\mathsf{m\acute{e}thyle},\ \mathit{n}\text{-}\mathsf{propyle},\ 1\text{-}\mathsf{m\acute{e}thyl\acute{e}thyle},\ \mathit{n}\text{-}\mathsf{butyle},\ 1\text{-}\mathsf{m\acute{e}thylpropyle},\ 2\text{-}\mathsf{m\acute{e}thylpropyle}$ ou 1,1-diméthyléthyle ;

et, lorsque R1 = méthyle ou éthyle,

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 R^2 = méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle;

R est choisi parmi R4(CH₂)_nCO- ou R4'(CH₂)_nSO₂-;

et, lorsque $R = R^4(CH_2)_nCO$ - et n = 0,

R4 est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C1-C6)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, npropyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle; un groupe cycloalkyle en C3-C6 choisi parmi les groupes cyclopropyle, cyclobutyle, cyclopentyle ou cyclohexyle; un groupe cycloalkyle en C₃-C₆ substitué (substitution choisie parmi les groupes alkyle en C₁-C₃, cyano, amino ou acyle en C₁-C₃); un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy); un groupe α -amino(alkyle en C_1 - C_4) choisi parmi les groupes aminométhyle, α -aminoéthyle, α -aminopropyle ou α -aminobutyle ; un groupe carboxy(alkyle en C₂- C_4)amino choisi parmi l'acide aminoacétique, l'acide lpha-aminobutyrique ou l'acide lpha-aminopropionique et leurs isomères optiques ; un groupe (aralkyle en C₇-C₉)amino ; un groupe (alcoxy en C₁-C₄)carbonylamino-alkyle en C_1 - C_4 substitué, la substitution étant choisie parmi les groupes phényle ou p-hydroxyphényle ; un groupe α -hydroxy(alkyle en C_1 - C_3) choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxy-1-méthyléthyle ou α -hydroxypropyle ; un groupe halogéno(alkyle en C_1 - C_3) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido:



Z = N, O, S ou Se

$$Z^{1}$$
 ou Z^{1}

$$Z$$
 ou $Z^1 = N$, Q , S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C_3 -C₆)-carbonyle, aroyle en C_6 -C₁₀ choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C_6 -C₁₀ à substitution halogéno, (alkyle en C_1 -C₄)benzoyle ou (hétérocycle)-carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



$$Z = N$$
, O, S ou Se

$$Z^1$$
 ou Z^1

$$Z$$
 ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle) ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N. O. S ou Se, ou un cycle saturé à six

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C_1 - C_4)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié, butoxycarbonyle linéaire ou ramifié ou allyloxycarbonyle ; un groupe vinyle ou vinyle substitué [substitution choisie parmi les groupes alkyle en C_1 - C_3 , halogéno, aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle, aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy), halogéno(alkyle en C_1 - C_3), un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé. un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

un groupe alcoxy en C_1 - C_4 ; un groupe aryloxy en C_6 choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C_1 - C_4 , nitro, cyano, thiol, amino, carboxy, di(alkyle en C_1 - C_3)amino); un groupe aralkyloxy en C_7 - C_{10} ; un groupe vinyloxy ou vinyloxy substitué (substitution choisie parmi les groupes alkyle en C_1 - C_4 , cyano, carboxy ou aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle); un groupe. RaPbamino(alcoxy en C_1 - C_4), où RaPb est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthylethyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien RaPb est (CH₂) $_n$, n=2 à 6, ou -(CH₂) $_2$ W(CH₂) $_2$ - où W est choisi parmi les groupes -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1 - C_3], O ou

S; ou un groupe R^aR^b aminoxy, où R^aR^b est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est $(CH_2)_n$, n=2 à 6, ou - $(CH_2)_2$ W($CH_2)_2$ - où W est choisi parmi les groupes -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1 - C_3], O ou S; et, lorsque $R=R^4(CH_2)_nCO$ - et n=1 à 4,

R4 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C_1 - C_6)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno (alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe acyloxy ou halogénoacyloxy choisi parmi les groupes acétyle, propionyle, chloroacétyle, trichloroacétyle, (cycloalkyle en C_3 - C_6)carbonyle, aroyle en C_6 - C_{10} choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C_6 - C_{10} à substitution halogéno, (alkyle en C_1 - C_4) benzoyle, ou (hétérocycle)carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z^{1}$$
 ou Z^{1}

$$Z$$
 ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy); un groupe aralkyle en C_7 - C_9

choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons avant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe alcoxy en C₁-C₄; un groupe RaRbamino(alcoxy en C₁-C₄), où RaRb est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est $(CH_2)_n$, n = 2 à 6, ou $-(CH_2)_2W(CH_2)_2$ - où W est choisi parmi les groupes $-N(alkyle en C_1-C_3)$ [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C1-C3], O ou S; ou un groupe RaRbaminoxy, où RaRb est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien RaRb est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C1-C3], O ou S; aryloxy en C6 choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C1-C4, nitro, cyano, thiol, amino, carboxy, di(alkyle en C1-C3)amino); un groupe alkylthio en C1-C3 choisi parmi les groupes méthylthio, éthylthio, propylthio ou allylthio ; un groupe arylthio en C6 choisi parmi les groupes phénylthio ou phénylthio substitué (substitution choisie parmi les groupes halogéno, alkyle en C1-C4, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino); un groupe (aryle en C₆)sulfonyle choisi parmi les groupes phénylsulfonyle ou phénylsulfonyle substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy); un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



ou



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$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



ou



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$$Z$$
 ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno (alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy); un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe hydroxyle ; un groupe α -hydroxyalkyle en C_1 - C_3 choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxypropyle ; un groupe halogéno(alkyle en C_1 - C_3) ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C_3 - C_6)carbonyle, aroyle en C_6 - C_{10} choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C_6 - C_{10} à substitution halogéno, (alkyle en C_1 - C_4)benzoyle ou (hétérocycle)carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z$$
 ou $Z^1 = N$, O, S ou Se

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno (alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C_1 - C_4)carbonylamino choisi parmi les groupes *tert*-butoxycarbonylamino, allyloxycarbonylamino, méthoxycarbonylamino ou propoxycarbonylamino ; et, lorsque $R = R^4$ (CH_2) $_nSO_2$ - et n = 0,

 $R^{4'}$ est choisi parmi un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle en C_1 - C_6 linéaire ou ramifié)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z^1$$
 ou Z^1

$$Z \cdot ou Z^1 = N, O, S ou Se$$

(A est choisi parmi un atome d'hydrogêne ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; et, lorsque $R = R^4$ (CH_2)_nSO₂- et n = 1 à 4,

 R^4 ' est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C_1 - C_6)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl (1-méthyléthyl)-amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, α -propyle ou 1-méthyléthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe alcoxy en C_1 - C_4 ; un groupe aryloxy en C_6 choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C_1 - C_4 , nitro, cyano, thiol, amino, carboxy, di (alkyle en C_1 - C_3)amino) ; un groupe aralkyloxy en C_7 - C_{10} ; un groupe carboxyalkyle en C_1 - C_4 ;

 R^5 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aralkyle en C_7 - C_9 ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z \text{ ou } Z^1 = N, O, S \text{ ou Se}$$

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou -(CH₂)_nCOOR⁷ où n = 0 à 4 et R⁷ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; ou un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ;

 R^6 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aralkyle en C_7 - C_9 ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$\downarrow$$
 ou \downarrow \uparrow

$$Z$$
 ou $Z^1 = N$, O, S ou Se

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou - $(CH_2)_nCOOR^7$ où n = 0 à 4 et R^7 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; ou un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; à condition que R^5 et R^6 ne soient pas tous deux de l'hydrogène

ou bien R^5 et R^6 , pris ensemble, représentent - $(CH_2)_2W(CH_2)_2$ - où W est choisi parmi $(CH_2)_n$ et n=0 ou 1, -NH, -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -N(alcoxy en C_1 - C_4), un atome d'oxygène, un atome de soufre ou des congénères substitués choisis parmi la (L ou D)-proline, le (L ou D)-prolinate d'éthyle, la morpholine, la pyrrolidine ou la pipéridine ; et les sels organiques et minéraux ou complexes métalliques pharmacologiquement acceptables.

25 3. Composé selon la revendication 1, dans lequel :

X est choisi parmi un groupe amino, NR¹R², ou un atome d'halogène ; l'atome d'halogène est choisi parmi le brome, le chlore, le fluor ou l'iode ;

et, lorsque $X = NR^1R^2$ et $R^1 = hydrogène$,

 ${\sf R}^2={\sf m\acute{e}thyle},$ éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle ;

et, lorsque R1 = méthyle ou éthyle,

R² = méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle ;

R est choisi parmi R4(CH₂)_nCO- ou R4'(CH₂)_nSO₂-;

et, lorsque $R = R^4(CH_2)_nCO$ - et n = 0,

R4 est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C1-C6)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle); un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, npropyle ou 1-méthyléthyle; un groupe cycloalkyle en C3-C6 choisi parmi les groupes cyclopropyle, cyclobutyle, cyclopentyle ou cyclohexyle; un groupe cycloalkyle en C3-C6 substitué (substitution choisie parmi les groupes alkyle en C_1 - C_3 , cyano, amino ou acyle en C_1 - C_3); un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃) amino ou carboxy); un groupe α -amino(alkyle en C_1 - C_4) choisi parmi les groupes aminométhyle, α -aminoéthyle, α -aminopropyle ou α -aminobutyle ; un groupe carboxy(alkyle en C_2 - C_4)amino choisi parmi l'acide aminoacétique, l'acide α-aminobutyrique ou l'acide α-aminopropionique et leurs isomères optiques ; un groupe (aralkyle en C₇- C_9) amino; un groupe (alcoxy en C_1 - C_4) carbony lamino-alky le en C_1 - C_4 substitué, la substitution étant choisie parmi les groupes phényle ou p-hydroxyphényle; un groupe α-hydroxy(alkyle en C₁-C₃) choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxy-1-méthyléthyle ou α -hydroxypropyle ; un groupe halogéno(alkyle en C₁-C₂); un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

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$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$\downarrow$$
 ou \downarrow \uparrow \uparrow \uparrow

$$Z$$
 ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C_3 -C $_6$)-carbonyle, aroyle en C_6 -C $_{10}$ choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C_6 -C $_{10}$ à substitution halogéno, (alkyle en C_1 -C $_4$)benzoyle ou (hétérocycle)-carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$z^1$$
 ou z^1

$$Z$$
 ou $Z^1 = N$, O , S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

- (A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno (alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)
- ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C_1 - C_4)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié, butoxycarbonyle linéaire ou ramifié ou allyloxycarbonyle ; un groupe vinyle ou vinyle substitué [substitution choisie parmi les groupes alkyle en C_1 - C_3 , halogéno, aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle, aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3), un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z = N, O, S ou Se

] ;

un groupe alcoxy en C_1 - C_4 ; un groupe aryloxy en C_6 choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C_1 - C_4 , nitro, cyano, thiol, amino, carboxy, di(alkyle en C_1 - C_3)amino); un groupe aralkyloxy en C_7 - C_{10} ; un groupe vinyloxy ou vinyloxy substitué (substitution choisie parmi les groupes alkyle en C_1 - C_4 , cyano, carboxy ou aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle); un groupe R^aR^b amino(alcoxy en C_1 - C_4), où R^aR^b est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH_2) $_n$, n=2 à 6, ou -(CH_2) $_2$ W(CH_2) $_2$ - où W est choisi parmi les groupes -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1 - C_3)], O ou S; ou un groupe R^aR^b aminoxy, où R^aR^b est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle ou bien R^aR^b est (CH_2) $_n$, n=2 à 6, ou -(CH_2) $_2$ W(CH_2) $_2$ - où W est choisi parmi les groupes -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1 - C_3], O ou S; et, lorsque $R=R^4$ (CH_2) $_n$ CO- et n=1 à 4,

R⁴ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 choisi parmi les groupes méthyle, n-propyle ou 1-méthyléthyle ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C_1 - C_6)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C_6 - C_{10} substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno (alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe acyloxy ou halogénoacyloxy choisi parmi les groupes acétyle, propionyle, chloroacétyle, trichloroacétyle, (cycloalkyle en C_3 - C_6)carbonyle, aroyle en C_6 - C_{10} choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C_6 - C_{10} à substitution halogéno, (alkyle en C_1 - C_4)benzoyle, ou (hétérocycle) carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



ou



Z = N, O, S ou Se

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ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

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Z ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe alcoxy en C₁-C₄; un groupe aryloxy en C₆ choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₄, nitro, cyano, thiol, amino, carboxy, di (alkyle en C₁-C₃)amino) ; un groupe RaRbamino-(alcoxy en C1-C4), où RaRb est un groupe alkyle en C1-C4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien RaRb est $(CH_2)_n$, n = 2 à 6, ou $-(CH_2)_2W(CH_2)_2$ - où W est choisi parmi les groupes -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C1-C3], O ou S; ou un groupe R^aR^b aminoxy, où R^aR^b est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien RaRb est (CH2)n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; un groupe alkylthio en C₁-C₃ choisi parmi les groupes méthylthio, éthylthio, propylthio ou allylthio; un groupe arylthio en C6 choisi parmi les groupes phénylthio ou phénylthio substitué (substitution choisie parmi les groupes halogéno, alkyle en C1-C4, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino); un groupe (aryle en C₆)sulfonyle choisi parmi les groupes phénylsulfonyle ou phénylsulfonyle substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z$$
 ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno (alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe hydroxyle ; un groupe α -hydroxyalkyle en C_1 - C_3 choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxypropyle ; un groupe halogène(alkyle en C_1 - C_3) ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkylé en C_3 - C_6)carbonyle, aroyle en C_6 - C_{10} choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C_6 - C_{10} à substitution halogéno, (alkyle en C_1 - C_4)benzoyle ou (hétérocycle)carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

$$Z'$$
 ou Z'

$$Z$$
 ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle) ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C_1 - C_4)carbonylamino choisi parmi les groupes *tert*-butoxycarbonylamino, allyloxycarbonylamino, méthoxycarbonylamino, éthoxycarbonylamino ou propoxycarbonylamino ; et, lorsque $R = R^4$ (CH_2) $_0$ SO $_2$ - et n = 0,

R4' est choisi parmi un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle en C_1 - C_6 linéaire ou ramifié)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul, hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

$$Z$$
 ou $Z^1 = N$, Q , S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno (alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; et, lorsque $R = R^4'(CH_2)_nSO_2$ - et n = 1 à 4,

R^{4'} est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C_1 - C_6)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)-amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle); un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe RaRbamino(alcoxy en C_1 - C_4), où RaRb est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien RaRb est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes alkyle en C_1 - C_3], O ou S ; ou un groupe RaRbaminoxy, où RaRb est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien RaRb est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1 - C_3], O ou S ;

 R^5 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C_5 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aralkyle en C_7 - C_9 ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N, O, S ou Se$$

$$Z^{1}$$
 ou Z^{1}

$$Z$$
 ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthylé ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou -(CH_2) $_nCOOR^7$ où n=0 à 4 et R^7 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1-C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C_6-C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ;

R⁶ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aralkyle en C_7 - C_9 ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

$$Z$$
 ou $Z^1 = N$, O , S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

ou Th

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou -(CH_2) $_nCOOR^7$ où n=0 à 4 et R^7 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; ou un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; à condition que R^5 et R^6 ne soient pas tous deux de l'hydrogène ;

ou bien R^5 et R^6 , pris ensemble, représentent' - $(CH_2)_2W(CH_2)_2$ - où W est choisi parmi $(CH_2)_n$ et n=0 ou 1, -NH, -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -N(alcoxy en C_1 - C_4), un atome d'oxygène, un atome de soufre ou des congénères substitués choisis parmi la (L ou D)-proline, le (L ou D)-prolinate d'éthyle, la morpholine, la pyrrolidine ou la pipéridine ; et les sels organiques et minéraux ou complexes métalliques pharmacologiquement acceptables.

40 4. Composé selon la revendication 1, dans lequel :

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X est choisi parmi un groupe amino, NR¹R², ou un atome d'halogène ; l'atome d'halogène est choisi parmi le brome, le chlore, le fluor ou l'iode ;

et, lorsque $X = NR^1R^2$ et $R^1 = hydrogène$,

 $R^2 = méthyle$, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle;

et, lorsque R1 = méthyle ou éthyle,

 $H^2 = m\acute{e}$ thyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle;

R est choisi parmi R4(CH₂)_nCO- ou R4'(CH₂)_nSO₂-;

et, lorsque $R = R^4(CH_2)_nCO$ - et n = 0,

 R^4 est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C_1 - C_6)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)-amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C_1 - C_2 linéaire ou ramifié choisi parmi les groupes méthyle ou éthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe carboxy(alkyle en C_2 - C_4)amino choisi parmi l'acide aminoacétique, l'acide α -aminobutyrique ou l'acide α -aminopropionique et

leurs isomères optiques ; un groupe α -hydroxy(alkyle en C_1 - C_3) choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxy-1-méthyléthyle ou α -hydroxypropyle ; un groupe halogéno(alkyle en C_1 - C_3) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z^1$$
 ou Z^1

$$Z ou Z^1 = N, O, S ou Se$$

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C_1 - C_4)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié, butoxycarbonyle linéaire ou ramifié ou allyloxycarbonyle ; un groupe vinyle ou vinyle substitué [substitution choisie parmi les groupes alkyle en C_1 - C_3 , halogéno, aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle, aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3) amino ou carboxy), halogéno(alkyle en C_1 - C_3), un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



$$Z = N$$
, O, S ou Se

un groupe alcoxy en C_1 - C_4 ; un groupe aryloxy en C_6 choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C_1 - C_4 , nitro, cyano, thiol, amino, carboxy, di(alkyle en C_1 - C_3)amino); un groupe aralkyloxy en C_7 - C_{10} ; un groupe vinyloxy ou vinyloxy substitué (substitution choisie parmi les groupes alkyle en C_1 - C_4 , cyano, carboxy ou aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -haphtyle ou β -naphtyle); un groupe R^aR^b amino(alcoxy en C_1 - C_4), où R^aR^b est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est $(CH_2)_n$, n=2 à 6, ou - $(CH_2)_2W(CH_2)_2$ - où 10 west choisi parmi les groupes -11 (alkyle en 11 cou un groupe 12 (alkyle en 13). O ou 13 in groupe 13 (alkyle en 14 (alkyle en 15), 14 (alkyle en 15), 15 ou un groupe 16 (alkyle en 16), 17 (alkyle en 17), 18 (alkyle en 18), 19 est choisi parmi les groupes méthyle, 19 est choisi parmi les groupes méthyle, 19 est choisi parmi les groupes en 19 est choisi parmi un atome d'hydrogène ou un groupe 19 est choisi parmi un atome d'hydrogène ou un groupe alkyle en 19 est choisi parmi un atome d'hydrogène ou un groupe alkyle en 19 est choisi parmi un atome d'hydrogène ou un groupe alkyle en 19. Ou 19 (alkyle en 19 est choisi parmi un atome d'hydrogène ou un groupe alkyle en 19 est choisi parmi un atome d'hydrogène ou un groupe alkyle en 19 est choisi parmi un atome d'hydrogène ou un groupe alkyle en 19 est choisi parmi un atome d'hydrogène ou un groupe alkyle en 19 est choisi parmi un atome d'hydrogène ou un groupe alkyle en 19 est choisi parmi un atome d'hydrogène ou un groupe alkyle en 19 est choisi parmi un atome d'hydrogène ou un groupe alkyle en 19 est choisi parmi un atome d'hydrogène ou un groupe alkyle en 19 est choisi parmi un atome

R⁴ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C_1 - C_6)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3) amino ou carboxy) ; un groupe acyloxy ou halogénoacyloxy choisi parmi les groupes acétyle, propionyle, chloroacétyle, trichloroacétyle, (cycloalkyle en C_3 - C_6)carbonyle, aroyle en C_6 - C_{10} choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C_6 - C_{10} à substitution halogéno, (alkyle en C_1 - C_4)benzoyle, ou (hétérocycle)-carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



$$Z = N$$
, O, S ou Se

$$Z$$
 ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle; 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe alcoxy en C_1 - C_4 ; un groupe R^aR^b amino(alcoxy en C_1 - C_4), où R^aR^b est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est $(CH_2)_n$, n=2 à 6, ou - $(CH_2)_2W(CH_2)_2$ - où W est choisi parmi les groupes -N(alkyle en C_1 - C_3), O ou S; ou un groupe R^aR^b aminoxy, où R^aR^b est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est $(CH_2)_n$, n=2 à 6, ou - $(CH_2)_2W(CH_2)_2$ - où W est choisi parmi les groupes -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1 - C_3), O ou S; un groupe α -hydroxyalkyle en C_1 - C_3 choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxy-1-méthyléthyle ou α -hydroxypropyle; un groupe halogéno(alkyle en C_1 - C_3); un groupe (alcoxy en C_1 - C_4)-carbonylamino choisi parmi les groupes tert-butoxycarbonylamino, allyloxycarbonylamino, méthoxycarbonylamino, éthoxycarbonylamino ou propoxycarbonylamino;

et, lorsque $R = R^4'(CH_2)_nSO_2$ - et n = 0,

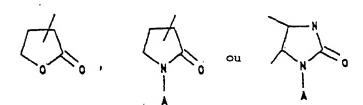
 R^4 ' est choisi parmi un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle en C_1 - C_6 linéaire ou ramifié)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C_1 - C_2 linéaire ou ramifié choisi parmi les groupes méthyle ou éthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z$$
 ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



- (A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno (alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3) amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)
- ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent; et, lorsque $R = R^{4'} (CH_2)_n SO_2$ et n = 1 à 4,
- R^4 ' est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_2 linéaire ou ramifié choisi parmi les groupes méthyle ou éthyle;
- R^5 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aralkyle en C_7 - C_9 ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z ou Z^1 = N, O, S ou Se$$

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno (alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou -(CH_2) $_nCOOR^7$ où n=0 à 4 et R^7 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ;

 R^6 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aralkyle en C_7 - C_9 ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z ou Z^1 = N, O, S ou Se$$

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou $(CH_2)_nCOOR^7$ où n=0 à 4 et R^7 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthylé, éthyle, n-propyle ou 1-méthyléthyle ; ou un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; à condition que R^5 et R^6 ne soient pas tous deux de l'hydrogène ;

ou bien R^5 et R^6 , pris ensemble, représentent - $(CH_2)_2W(CH_2)_2$ - où W est choisi parmi $(CH_2)_n$ et n=0 ou 1, -NH, -N(alkyle en C_1 - C_3) [linéaire ou- ramifié], -N(alcoxy en C_1 - C_4), un atome d'oxygène, un atome de soufre ou des congénères substitués choisis parmi la (L ou D)-proline, le (L ou D)-prolinate d'éthyle, la morpholine, la pyrrolidine ou la pipéridine ; et les sels organiques et minéraux ou complexes métalliques pharmacologiquement acceptables.

5. Composé selon la revendication 1, dans lequel :

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X est choisi parmi un groupe amino, NR^1R^2 , ou un atome d'halogène ; l'atome d'halogène est choisi parmi le brome, le chlore, le fluor ou l'iode ; et, lorsque $X = NR^1R^2$ et $R^1 = m$ éthyle ou éthyle,

 R^2 = méthyle ou éthyle,

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R est choisi parmi $R^4(CH_2)_nCO$ - ou $R^4(CH_2)_nSO_2$ -; et, lorsque $R = R^4(CH_2)_nCO$ - et n = 0,

 R^4 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_2 linéaire ou ramifié choisi parmi les groupes méthyle ou éthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupés halogéno, alcoxy en C_1 - C_4 , nitro, amino ou (alcoxy en C_1 - C_2)carbonyle) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O ou S et auquel est facultativement condensé un noyau benzo ou pyrido :

ou Z

Z = N, O ou S

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O ou S et auquel est facultativement condensé un noyau benzo ou pyrido :



Z = N, 0 ou S

ou un cycle saturé à cing chaînons avant un ou deux hétéroatomes N. O ou S et un hétéroatome O greffé adjacent :

ou The

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_2 linéaire ou ramifié ; aryle en C_6) ; un groupe (alcoxy en C_1 - C_4)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié, butoxycarbonyle linéaire ou ramifié ou allyloxycarbonyle ; un groupe vinyle ou vinyle substitué [substitution choisie parmi les groupes alkyle en C_1 - C_2 , aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle, β -naphtyle, aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , (alcoxy en C_1 - C_4) carbonyle, halogéno(alkyle en C_1 - C_3)] ; un groupe alcoxy en C_1 - C_4 ; un groupe aryloxy en C_6 choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C_1 - C_4) ; un groupe aralkyloxy en C_7 - C_{10} ; un groupe vinyloxy ou vinyloxy substitué (substitution choisie parmi les groupes alkyle en C_1 - C_4); un groupe C_1 - C_4 0; un groupe alkyle en C_1 - C_4 1 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, C_1 - C_4 1 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, C_1 - C_4 1 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle,

n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle; et, lorsque $R = R^4(CH_2)_nCO$ - et n = 1 à 4,

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R4 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C1-C2 choisi parmi les groupes méthyle ou éthyle ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C1-C6)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle ou 1-(1,2,3-triazolyle); un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , nitro, amino, (alcoxy en C_1 - C_4)-carbonyle); un groupe acyloxy ou halogénoacyloxy choisi parmi les groupes acétyle, propionyle, chloroacétyle; un groupe alcoxy en C1-C4; un groupe RaRbamino(alcoxy en C₁-C₄) où RaRb est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien RaRb est (CH₂)_n, n = 2 à 6, ou - $(CH_2)_2W(CH_2)_2$ - où W est choisi parmi les groupes -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; ou un groupe RaRbaminoxy, où RaRb est un groupe alkyle en C1-C4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien RaRb est (CH2)n, n = 2 à 6, ou -(CH2)2W $(CH_2)_2$ - où W est choisi pami les groupes -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi pami un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; un groupe halogéno (alkyle en C₁-C₃) ; un groupe (alcoxy en C₁-C₄)carbonylamino choisi parmi les groupes tert-butoxycarbonylamino, allyloxycarbonylamino, méthoxycarbonylamino, éthoxycarbonylamino ou propoxycarbonylamino; et, lorsque $R = R^4'(CH_2)_nSO_2$ - et n = 0,

 R^4 ' est choisi parmi un groupe alkyle en C_1 - C_2 linéaire ou ramifié choisi parmi les groupes méthyle ou éthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C_6 -C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, nitro, (alcoxy en C₁-C₄)carbonyle ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O ou S et auquel est facultativement condensé un noyau benzo ou pyrido :

Z = N, O ou S

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O ou S et auquel est facultativement condensé un noyau benzo ou pyrido:

Z ou $Z^1 = N$, 0 ou S

et, lorsque $R = R^4$ (CH_2)_n SO_2 - et n = 1 à 4,

R4 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C1-C2 linéaire ou ramifié choisi parmi les groupes méthyle ou éthyle;

R5 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C1-C3 linéaire ou ramifié choisi parmi les

groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle;

R⁶ est choisi parmi un atome d'hydrogène; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle; à condition que R⁵ et R⁶ ne soient pas tous deux de l'hydrogène;

ou bien R^5 et R^6 , pris ensemble, représentent -(CH_2) $_2W(CH_2)_2$ - où W est choisi parmi (CH_2) $_n$ et n=0 ou 1, -NH, -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -N(alcoxy en C_1 - C_4), un atome d'oxygène, un atome de soufre ou des congénères substitués choisis parmi la (L ou D)-proline, le (L ou D)-prolinate d'éthyle, la morpholine, la pyrrolidine ou la pipéridine ; et les sels organiques et minéraux ou complexes métalliques pharmacologiquement acceptables.

6. Composé de formule :

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dans laquelle:

Y est NO2;

R est choisi parmi $R^4(CH_2)_nCO$ - ou $R^4(CH_2)_nSO_2$ -; et, lorsque $R = R^4(CH_2)_nCO$ - et n = 0,

R4 est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C1-C6)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle); un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, npropyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle; un groupe cycloalkyle en C₃-C₆ choisi parmi les groupes cyclopropyle, cyclobutyle, cyclopentyle ou cyclohexyle; un groupe cycloalkyle $en \ C_3-C_6 \ substitu\'e \ (substitution \ choisie \ parmi \ les \ groupes \ alkyle \ en \ C_1-C_3, \ cyano, \ amino \ ou \ acyle \ en \ C_1-C_3) \ ; \ un \ constitution \ choisie \ parmi \ les \ groupes \ alkyle \ en \ C_1-C_3, \ cyano, \ amino \ ou \ acyle \ en \ C_1-C_3) \ ; \ un \ constitution \ choisie \ parmi \ les \ groupes \ alkyle \ en \ C_1-C_3, \ cyano, \ amino \ ou \ acyle \ en \ C_1-C_3) \ ; \ un \ constitution \ choisie \ parmi \ les \ groupes \ alkyle \ en \ C_1-C_3, \ cyano, \ amino \ ou \ acyle \ en \ C_1-C_3) \ ; \ un \ constitution \ choisie \ parmi \ les \ groupes \ alkyle \ en \ constitution \ choisie \ parmi \ les \ groupes \ alkyle \ en \ constitution \ choisie \ parmi \ les \ groupes \ alkyle \ en \ constitution \ choisie \ parmi \ les \ groupes \ alkyle \ en \ constitution \ choisie \ parmi \ les \ groupes \ alkyle \ en \ constitution \ choisie \ parmi \ les \ groupes \ alkyle \ en \ constitution \ choisie \ parmi \ les \ groupes \ alkyle \ en \ constitution \ choisie \ parmi \ les \ groupes \ alkyle \ en \ constitution \ choisie \ parmi \ les \ groupes \ alkyle \ en \ constitution \ choisie \ parmi \ les \ groupes \ alkyle \ en \ constitution \ choisie \ parmi \ les \ groupes \ alkyle \ en \ constitution \ choisie \ parmi \ les \ groupes \ alkyle \ en \ constitution \ choisie \ les \ constitution \ choisie \ les \ constitution \ les \ constitution \ les \ constitution \ choisie \ les \ constitution \ les \ constitution \ choisie \ les \ constitution \ les \ constituti$ groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-rlaphtyle ; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno (alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃) amino ou carboxy); un groupe aralkyle en C₇-C₉ choisi parmi un groupe benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle; un groupe α-amino(alkyle en C₁-C₄) choisi parmi les groupes aminométhyle, α-aminoéthyle, α-aminopropyle ou α-aminobutyle; un groupe carboxy(alkyle en C2-C4)amino choisi parmi l'acide aminoacétique, l'acide α-aminobutyrique ou l'acide α-aminopropionique et leurs isomères optiques ; un groupe (aralkyle en C7-C9)amino ; un groupe, (alcoxy en C1-C4)carbonylamino-alkyle en C₁-C₄ substitué, la substitution étant choisie parmi les groupes phényle ou p $hydroxyph\'enyle~; un~groupe~\alpha-hydroxy(alkyle~en~C_1-C_3)~choisi~parmi~les~groupes~hydroxym\'ethyle,~\alpha-hydroxy\'ethyle~alk$ ou α -hydroxy-1-méthyléthyle ou α -hydroxypropyle ; un groupe α -mercapto (alkyle en C_1 - C_3) choisi parmi les groupes mercaptométhyle, α -mercaptoéthyle, α -mercapto-1-méthyléthyle ou α -mercaptopropyle ; un groupe halogéno (alkyle en C₁-C₃); un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant

un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

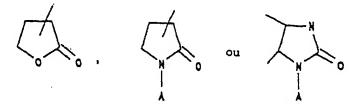


Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

 $Z ou Z^1 = N, O, S ou Se$

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C_3 -Carbonyle, aroyle en C_6 -C₁₀ choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C_6 -C₁₀ à substitution halogéno, (alkyle en C_1 -C₄)benzoyle ou (hétérocycle) - carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

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$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z$$
 ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy); un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C_1 - C_4)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié, butoxycarbonyle linéaire ou ramifié ou allyloxycarbonyle ; un groupe vinyle ou vinyle substitué [substitution choisie parmi les groupes alkyle en C_1 - C_3 , halogéno, aryle en C_6 - C_1 0 choisi parmi les groupes phényle, α -naphtyle, β -naphtyle, aryle en C_6 - C_1 0 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3), un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z = N, O, S ou Se

] ;

R⁴ est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle ; un groupe cycloalkyle en C_3 - C_6 choisi parmi les groupes cyclopropyle, cyclobutyle, cyclopentyle ou cyclohexyle ; un groupe cycloalkyle en C_3 - C_6 substitué (substitution choisie parmi les groupes alkyle en C_1 - C_3 , cyano, amino ou acyle en C_1 - C_3) ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3) amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 ; un groupe acyloxy ou halogénoacyloxy choisi parmi les groupes acétyle, propionyle, chloroacétyle, trichloroacétyle, (cycloalkyle en C_3 - C_6)carbonyle, aroyle en C_6 - C_{10} choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C_6 - C_{10} à substitution halogéno, (alkyle en C_1 - C_4)benzoyle, ou (hétérocycle)-carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z = N, O, S ou Se

$$Z ou Z^1 = N, O, S ou. Se$$

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; arylé en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe alcoxy en C_1 - C_4 ; un groupe aryloxy en C_6 choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C_1 - C_4 , nitro, cyano, thiol, amino, carboxy, di(alkyle en C_1 - C_3)amino); un groupe aralkyloxy en C_7 - C_{10} ; un groupe alkylthio en C_1 - C_3 choisi parmi les groupes méthylthio, éthylthio, propylthio ou allylthio; un groupe arylthio en C_6 choisi parmi les groupes phénylthio ou phénylthio substitué (substitution choisie parmi les groupes halogéno, alkyle en C_1 - C_4 , nitro, cyano, thiol; amino, carboxy, di(alkyle en C_1 - C_3)amino); un groupe arylsulfonyle en C_6 choisi parmi les groupes phénylsulfonyle ou phénylsulfonyle substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy); un groupe aralkylthio en C_7 - C_8 ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido:



$$Z = N$$
, O, S ou Se

$$Z^1$$
 ou Z^1

$$Z$$
 ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe hydroxyle ; un groupe mercapto ; un groupe mono- ou di(alkyle en C_1 - C_6 à chaîne linéaire ou ramifiée)amino choisi parmi les groupes méthyl-, éthyl-, n-propyl-, 1-méthyléthyl-, n-butyl-, 1-méthylpropyl-, 2-méthylpropyl-, 1,1-diméthylethyl-, 2-méthylbutyle, 1,1-diméthylpropyl-, 2,2-diméthylpropyl-, 3-méthylbutyl-, n-hexyl-, 1-méthylpentyl-, 1,1-diméthylbutyl-, 2,2-diméthylbutyl-, 2,2-diméthylbutyl-, 1,3-diméthylbutyl- ou 1-méthyl-l-éthylpropylamino ; un groupe azacycloalkyle en C_2 - C_5 ; un groupe carboxy(alkyle en C_2 - C_4)amino choisi parmi l'acide aminoacétique, l'acide α -aminopropionique, l'acide α -aminobutyrique et leurs isomères optiques ; un groupe α -hydroxyalkyle en C_1 - C_3 choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxy-1-méthyléthyle ou α -hydroxypropyle ; un groupe halogéno(alkyle en C_1 - C_3) ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C_3 - C_6)carbonyle, aroyle en C_6 - C_{10} choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C_6 - C_{10} à substitution halogéno, (alkyle en C_1 - C_4)benzoyle, ou (hétérocycle)carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

$$z^1$$
 ou z^1 ou z^2 z^2 z^3 z^4 z^4

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C_1 - C_4)carbonylamino choisi parmi les groupes *tert*-butoxycarbonylamino, allyloxycarbonylamino, méthoxycarbonylamino, éthoxycarbonylamino ou propoxycarbonylamino ; un groupe (alcoxy en C_1 - C_4)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié, allyloxycarbonyle ou butoxycarbonyle linéaire ou ramifié ; un groupe R^aR^b amino(alcoxy en C_1 - C_4), où R^aR^b est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH_2) $_n$, n=2 à 6, ou -(CH_2) $_2$ W(CH_2) $_2$ - où W est choisi parmi un groupe alkyle en C_1 - C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle, 2-méthylpropyle, ou bien R^aR^b est (CH_2) $_n$, n=2 à 6, ou -(CH_2) $_2$ W(CH_2) $_2$ - où W est choisi parmi un groupe N(alkyle en C_1 - C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe Alkyle en C_1 - C_3], O ou S ; et, lorsque $R=R^4$ (CH_2) $_n$ SO $_2$ et $R=R^4$ 0.

 $^{\prime}$ est choisi parmi un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle en C_1 - C_6 linéaire ou ramifié)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle ; un groupe cycloalkyle en C_3 - C_6 choisi parmi les groupes cyclopropyle, cyclobutyle, cyclopentyle ou cyclohexyle ; un groupe cycloalkyle en C_3 - C_6 substitué (substitution choisie parmi les groupes alkyle en C_1 - C_3 , cyano, amino ou acyle en C_1 - C_3) ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 ; un groupe halogéno (alkyle en C_1 - C_3); un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$z^1$$
 ou z^1

$$Z ou Z^1 = N, O, S ou Se$$

- (A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)
- ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe R^aR^b amino(alcoxy en C_1 - C_4), où R^aR^b est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est $(CH_2)_n$, n=2 à 6, ou - $(CH_2)_2W(CH_2)_2$ où M0 est choisi parmi les groupes -M0 [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en M1. O ou M2 ; ou un groupe M2 inéaire ou ramifié choisi parmi les groupes méthyle, éthyle, M2 inéaire ou ramifié choisi parmi les groupes méthyle, éthyle, M3 churchylethyle, M4 butyle, M5 butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien M4 est M5 choisi parmi les groupes -M6. (alkyle en M1. Churchylpropyle, ou ramifié], -M1, -M1 est choisi parmi un atome d'hydrogène ou un groupe alkyle en M3. (alkyle en M4. O ou M5; et, lorsque M8 est M9. O ou M9. O ou
- R^{4'} est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle ; un groupe carboxyalkyle en C_1 - C_4 ; un groupe cycloalkyle en C_3 - C_6 choisi parmi les groupes cyclopropyle, cyclobutyle, cyclopentyle ou cyclohexyle ; un groupe cycloalkyle en C_3 - C_6 substitué (substitution

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choisie parmi les groupes alkyle en C₁-C₃, cyano, amino ou acyle en C₁-C₃); un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁- C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy); un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle ; un groupe alcoxy en C₁-C₄ ; un groupe aryloxy en C₆ choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C3, nitro, cyano, thiol, amino, carboxy, di(alkyle en C1-C3)amino); un groupe aralkyloxy en C7-C10; un groupe RaRbamino(alcoxy en C₁-C₄), où RaRb est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est $(CH_2)_{n}$ n = 2 à 6, ou - (CH₂)₂W(CH₂)_n- où W est choisi parmi un groupe -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; ou un groupe RaRbaminoxy, où RaRb est un groupe alkyle en C1-C4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien RaRb est (CH2)n, n = 2 à 6, ou -(CH2)2W (CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB (B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C1-C3], O ou S; un groupe alkylthio en C1-C3 choisi parmi les groupes méthylthio, éthylthio ou n-propylthio; un groupe arylthio en C6 choisi parmi les groupes phénylthio ou phénylthio substitué (substitution choisie parmi les groupes halogéno, alkyle en C1-C3, nitro, cyano, thiol, amino, carboxy, di(alkyle en C1-C3)amino) ; un groupe aralkylthio en C7-C8; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

 $Z = N, \cdot O, S \text{ ou Se}$

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z^{1}$$
 ou Z^{1}

Z ou $Z^1 = N$, O, S ou Se

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe hydroxyle, un groupe mercapto ; un groupe mono- ou di(alkyle en C_1 - C_6 linéaire ou ramifié)amino choisi parmi les groupes méthyl-, éthyl-, n-propyl-, 1-méthylethyl-, n-butyl-, 1-méthylpropyl-, 2-méthylpropyl-, 2-méthylpropyl-, 2-méthylpropyl-, 1,1-diméthylethyl-, 2-méthylputyl-, 1,1-diméthylbutyl-, 2,2-diméthylbutyl-, 2,2-diméthylbutyl-, 1,3-diméthylbutyl- ou 1-méthyl-1-éthylpropyl-amino ; un groupe halogéno(alkyle en C_1 - C_3) ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C_3 - C_6)-carbonyle, aroyle en C_6 - C_{10} choisi parmi lés groupes benzoyle ou naphtoyle, aroyle en C_6 - C_{10} à substitution halogéno, (alkyle en C_1 - C_4)benzoyle ou (hétérocycle) - carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z$$
 ou $Z^1 = N$, O, S ou Se

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

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ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C_1 - C_4)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié, allyloxycarbonyle ou butoxycarbonyle linéaire ou ramifié ;

 R^5 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aralkyle en C_7 - C_9 ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z$$
 ou $Z^1 = N$, O, S ou Se

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou -(CH_2)_nCOOR⁷ où n = 0 à 4 et R⁷ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; ou un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ;

 R^6 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle un groupe aralkyle en C_7 - C_9 ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$rac{1}{2}$$
 ou $rac{1}{2}$

$$Z$$
 ou $Z^1 = N$, O, S ou Se

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno (alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou -(CH₂)_nCOOR^{7'} où n = 0 à 4 et R^{7'} est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; ou un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; à condition que R⁵ et R⁶ ne soient pas tous deux de l'hydrogène ;

ou bien R^5 et R^6 , pris ensemble, représentent - $(CH_2)_2W(CH_2)_2$ - où W est choisi parmi $(CH_2)_n$ et n=0 ou 1, -NH, -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -N(alcoxy en C_1 - C_4), un atome d'oxygène, un atome de soufre ou des congénères substitués choisis parmi la (L ou D)-proline, le (L ou D)-prolinate d'éthyle, la morpholine, la pyrrolidine ou la pipéridine ; et les sels organiques et minéraux ou complexes métalliques pharmacologiquement acceptables.

7. Composé selon la revendication 6, dans lequel

Y est NO₂

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R est choisi parmi R⁴(CH₂)_nCO- ou R⁴(CH₂)_nSO₂-; et, lorsque R = R⁴(CH₂)_nCO- et n = 0,

R4 est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C₁-C₆)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)arnino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle); un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, npropyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle; un groupe cycloalkyle en C3-C6 choisi parmi les groupes cyclopropyle, cyclobutyle, cyclopentyle ou cyclohexyle; un groupe cycloalkyle en C₃-C₆ substitué (substitution choisie parmi les groupes alkyle en C₁-C₃, cyano, amino ou acyle en C₁-C₃); un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy); un groupe α -amino(alkyle en C_1 - C_4) choisi parmi les groupes aminométhyle, α-aminoéthyle, α-aminopropyle ou α-aminobutyle; un groupe carboxy(alkyle en C₂- C_A)amino choisi parmi l'acide aminoacétique, l'acide α -aminobutyrique ou l'acide α -aminopropionique et leurs isomères optiques ; un groupe (aralkyle en C_7 - C_9)amino ; un groupe (alcoxy en C_1 - C_4)carbonylamino-alkyle en C1-C4 substitué, la substitution étant choisie parmi les groupes phényle ou p-hydroxyphényle; un groupe α-hydroxy(alkyle en C₁-C₃) choisi parmi les groupes hydroxyméthyle, α-hydroxyéthyle ou α-hydroxy-1-méthyléthyle ou α-hydroxypropyle; un groupe halogéno(alkyle en C₁-C₃); un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido:

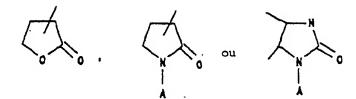
$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$z'$$
 ou z'

$$Z ou Z^1 = N, O, S ou Se$$

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



- (A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)
- ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C₃-C₆)-carbonyle, aroyle en C₆-C₁₀ choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C₆-C₁₀ à substitution halogéno, (alkyle en C₁-C₄)benzoyle ou (hétérocycle)-carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido:

$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z^1$$
 ou Z^1

$$Z$$
 ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno (alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C_1 - C_4)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié, butoxycarbonyle linéaire ou ramifié ou allyloxycarbonyle ; un groupe vinyle ou vinyle substitué [substitution choisie parmi les groupes alkyle en C_1 - C_3 , halogéno, aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle, aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3) amino ou carboxy), halogéno(alkyle en C_1 - C_3), un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z = N, O, S ou Se

un groupe alcoxy en C_1 - C_4 ; un groupe aryloxy en C_6 choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C_1 - C_4 , nitro, cyano, thiol, amino, carboxy, di(alkyle en C_1 - C_3)amino); un groupe aralkyloxy en C_7 - C_{10} ; un groupe vinyloxy ou vinyloxy substitué (substitution choisie parmi les groupes alkyle en C_1 - C_4 , cyano, carboxy ou aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle); un groupe $\mathbb{R}^a\mathbb{R}^b$ amino(alcoxy en \mathbb{C}_1 - \mathbb{C}_4), où $\mathbb{R}^a\mathbb{R}^b$ est un groupe alkyle en \mathbb{C}_1 - \mathbb{C}_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien $\mathbb{R}^a\mathbb{R}^b$ est $(\mathbb{CH}_2)_n$, n=2 à 6, ou - $(\mathbb{CH}_2)_2\mathbb{W}(\mathbb{CH}_2)_2$ - où \mathbb{W} est choisi parmi les groupes -N(alkyle en \mathbb{C}_1 - \mathbb{C}_3), O ou \mathbb{S} ; ou un groupe $\mathbb{R}^a\mathbb{R}^b$ aminoxy, où $\mathbb{R}^a\mathbb{R}^b$ est un groupe alkyle en \mathbb{C}_1 - \mathbb{C}_4 linéaire ou ramifié choisi parmi les groupes méthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien $\mathbb{R}^a\mathbb{R}^b$ est $(\mathbb{CH}_2)_n$, n=2 à 6, ou - $(\mathbb{CH}_2)_2\mathbb{W}(\mathbb{CH}_2)_2$ - où \mathbb{W} est choisi parmi les groupes -N(alkyle en \mathbb{C}_1 - \mathbb{C}_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en \mathbb{C}_1 - \mathbb{C}_3], O ou \mathbb{S} ; et, lorsque \mathbb{R} = $\mathbb{R}^4(\mathbb{CH}_2)_n\mathbb{C}$ O- et \mathbb{R} = 1 à 4,

R⁴ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C_1 - C_6)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C_6 - C_{10} substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno (alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe acyloxy ou halogénoacyloxy choisi parmi les groupes acétyle, propionyle, chloroacétyle, trichloroacétyle, (cycloalkyle en C_3 - C_6)carbonyle, aroyle en C_6 - C_{10} choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C_6 - C_{10} à substitution halogéno, (alkyle en C_1 - C_4)benzoyle, ou (hétérocycle)carbonyle, le groupe hétérocyclique étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



$$Z = N$$
, O, S ou Se

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$$Z$$
 ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe alcoxy en C₁-C₄; un groupe RaRbamino(alcoxy en C₁-C₄), où RaRb est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est $(CH_2)_n$, n=2 à 6, ou $-(CH_2)_2W(CH_2)_2$ - où W est choisi parmi un groupe $-N(alkyle en C_1-C_3)$ [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S; ou un groupe RaRbaminoxy, où RaRb est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien RaRb est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi un groupe N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; un groupe aryloxy en C₆ choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, (alkyle en C₁-C₄), nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino); un groupe alkylthio en C₁-C₃ choisi parmi les groupes méthylthio, éthylthio, propylthio ou allylthio; un groupe arylthio en C6 choisi parmi les groupes phénylthio ou phénylthio substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₄, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino); un groupe arylsulfonyle en C₆ choisi parmi les groupes phénylsulfonyle ou phénylsulfonyle substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy); un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z^1$$
 ou Z^1

$$Z \text{ ou } Z^1 = N, O, S \text{ ou Se}$$

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe hydroxyle ; un groupe α -hydroxy(alkyle en C_1 - C_3) choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxypropyle ; un groupe halogéno(alkyle en C_1 - C_3) ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C_3 - C_6)carbonyle, aroyle en C_6 - C_{10} choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C_6 - C_{10} à substitution halogéno, (alkyle en C_1 - C_4)benzoyle ou (hétérocycle)carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z^1$$
 ou Z^1

$$Z$$
 ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

- (A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)
- ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C_1 - C_4)carbonylamino choisi parmi les groupes *tert*-butoxycarbonylamino, allyloxycarbonylamino, méthoxycarbonylamino, éthoxycarbonylamino ou propoxycarbonylamino ; et, lorsque $R = R^4(CH_2)_nSO_2$ et R = 0
- R^4 est choisi parmi un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle en C_1 - C_6 linéaire ou ramifié)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z^1$$
 ou Z^1

$$Z$$
 ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à, trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; et, lorsque $R = R^4'(CH_2)_nSO_2$ - et n = 1 à 4,

 R^4 ' est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C_1 - C_6)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)-amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle); un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle ου β-naphtyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno (alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4) carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe alcoxy en C_1 - C_4 ; un groupe aryloxy en C_6 choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C_1 - C_4 , nitro, cyano, thiol, amino, carboxy, di(alkyle en C_1 - C_3)amino) ; un groupe aralkyloxy en C_7 - C_{10} ; un groupe carboxy(alkyle en C_1 - C_4);

R5 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les

groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aralkyle en C_7 - C_9 ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z'$$
 ou Z'

$$Z ou Z^1 = N, O, S ou Se$$

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un. cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou -(CH_2) $_nCOOR^7$ où n=0 à 4 et R^7 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; ou un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ;

 R^6 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aralkyle en C_7 - C_9 ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

Z ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

$$\bigcap_{0}^{N}$$
 ou \bigcap_{N}^{N}

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno (alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou - $(CH_2)_n COOR^7$ où n=0 à 4 et R^7 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; ou un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; à condition que R^5 et R^6 ne soient pas tous deux de l'hydrogène ;

ou bien R⁵ et R⁶, pris ensemble, représentent - $(CH_2)_2W(CH_2)_2$ - où W est choisi parmi $(CH_2)_n$ et n=0 ou 1, -NH, -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -N(alcoxy en C_1 - C_4), un atome d'oxygène, un atome de soufre ou des congénères substitués choisis parmi la (L ou D)-proline, le (L ou D)-prolinate d'éthyle, la morpholine, la pyrrolidine ou la pipéridine ; et les sels organiques et minéraux ou complexes métalliques pharmacologiquement acceptables.

8. Composé selon la revendication 6, dans lequel :

Y est NO₂

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R est choisi parmi $R^4(CH_2)_nCO$ - ou $R^4'(CH_2)_nSO_2$ -; et, lorsque $R = R^4(CH_2)_nCO$ - et n = 0,

R⁴ est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C₁-C₆)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthylethyl)-amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle)

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ou 4-(1,2,4-triazolyle); un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe cycloalkyle en C_3 - C_6 choisi parmi les groupes cyclopropyle, cyclobutyle, cyclopentyle ou cyclohexyle ; un groupe cycloalkyle en C_3 - C_6 substitué (substitution choisie parmi les groupes alkyle en C_1 - C_3 , cyano, amino ou acyle en C_1 - C_3) ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3) amino ou carboxy) ; un groupe α -amino(alkyle en C_1 - C_4) choisi parmi les groupes aminométhyle, α -aminoethyle, α -aminopropyle ou α -aminobutyle ; un groupe carboxy(alkyle en C_2 - C_4)amino choisi parmi l'acide aminoacétique, l'acide α -aminobutyrique ou l'acide α -aminopropionique et leurs isomères optiques ; un groupe (aralkyle en C_7 - C_9) amino ; un groupe (alcoxy en C_1 - C_4)carbonylamino-alkyle en C_1 - C_4 substitué, la substitution étant choisie parmi les groupes phényle ou α -hydroxyphényle ; un groupe α -hydroxyfalkyle en C_1 - C_3) choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxy-1-méthyléthyle ou α -hydroxypropyle ; un groupe halogéno(alkyle en C_1 - C_3) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

ou 7

Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

 Z^1 ou Z^1

Z ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

Ou The

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C_3 -C₆)-carbonyle, aroyle en C_6 -C₁₀ choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C_6 -C₁₀ à substituant halogéno, (alkyle en C_1 -C₄)benzoyle ou (hétérocycle) - carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

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Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

 $Z ou Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno (alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C_1 - C_4)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié, butoxycarbonyle linéaire ou ramifié ou allyloxycarbonyle ; un groupe vinyle ou vinyle substitué [substitution choisie parmi les groupes alkyle en C_1 - C_3 , halogéno, aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle, aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3), un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z = N, O, S ou Se

un groupe alcoxy en C_1 - C_4 ; un groupe aryloxy en C_6 choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C_1 - C_4 , nitro, cyano, thiol, amino, carboxy, di(alkyle en C_1 - C_3)amino); un groupe aralkyloxy en C_7 - C_{10} ; un groupe vinyloxy ou vinyloxy substitué (substitution choisie parmi les groupes alkyle en C_1 - C_4 , cyano, carboxy ou aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle); un groupe $\mathbb{R}^2\mathbb{R}^2$ amino(alcoxy en \mathbb{C}_1 - \mathbb{C}_4), où $\mathbb{R}^2\mathbb{R}^2$ est un groupe alkyle en \mathbb{C}_1 - \mathbb{C}_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien $\mathbb{R}^2\mathbb{R}^2$ est $(\mathbb{C}^2)_n$, \mathbb{R}^2 est choisi parmi un atome d'hydrogène ou un groupe alkyle en \mathbb{C}_1 - \mathbb{C}_3], O ou \mathbb{S} ; ou un groupe $\mathbb{R}^2\mathbb{R}^2$ aminoxy, où $\mathbb{R}^2\mathbb{R}^2$ est un groupe alkyle en \mathbb{C}_1 - \mathbb{C}_4 linéaire ou ramifié choisi parmi les groupes méthyle, \mathbb{R}^2 est un groupe alkyle en \mathbb{C}_1 - \mathbb{C}_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, \mathbb{R}^2 -propyle, 1-méthylethyle, \mathbb{R}^2 -butyle, 1-méthylpropyle ou 2-méthylpropyle ou bien $\mathbb{R}^2\mathbb{R}^2$ est \mathbb{C}^2 - \mathbb{C}^2 -

R⁴ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C_1 - C_6)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphyle ou β-naphtyle ; un groupe aryle en C_6 - C_{10} substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno (alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe acyloxy ou halogénoacyloxy choisi parmi les groupes acétyle, propionyle, chloroacétyle, trichloroacétyle, (cycloalkyle en C_3 - C_6)carbonyle, aroyle en C_6 - C_{10} choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C_6 - C_{10} à substitution halogéno, (alkyle en C_1 - C_4)benzoyle, ou (hétérocycle)carbonyle, le groupe hétérocyclique étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z = N, O, S ou Se

$$z'$$
 ou z'

$$Z$$
 ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno (alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe alcoxy en C₁-C₄; un groupe aryloxy en C₆ choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₄, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino) ; un groupe RaRbamino-(alcoxy en C1-C4), où RaRb est un groupe alkyle en C1-C4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien RaRb est $(CH_2)_n$, n = 2 à 6, ou $-(CH_2)_2$ W $(CH_2)_2$ - où W est choisi parmi un groupe $-N(alkyle en C_1-C_3)$ [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; ou un groupe RaRbaminoxy, où RaRb est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est $(CH_2)_n$, n=2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi un groupe N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; un groupe alkylthio en C₁-C₃ choisi parmi les groupes méthylthio, éthylthio, propylthio ou allylthio; un groupe arylthio en C6 choisi parmi les groupes phénylthio ou phénylthio substitué (substitution choisie parmi les groupes halogéno, alkyle en C1-C4, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino); un groupe arylsulfonyle en C₆ choisi parmi les groupes phénylsulfonyle ou phénylsulfonyle substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄) carbonyle, (alkyle en C₁-C₃)amino ou carboxy); un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

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$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z$$
 ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno (alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle) ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six

chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe hydroxyle ; un groupe α -hydroxy(alkyle en C_1 - C_3) choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxy-1-méthyléthyle ou α -hydroxypropyle ; un groupe halogéno(alkyle en C_1 - C_3) ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C_3 - C_6)carbonyle, aroyle en C_6 - C_{10} choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C_6 - C_{10} à substitution halogéno, (alkyle en C_1 - C_4)benzoyle ou (hétérocycle)carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z^1$$
 ou Z^1

$$Z$$
 ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

- (A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)
- ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C_1 - C_4)carbonylamino choisi parmi les groupes *tert*-butoxycarbonylamino, allyloxycarbonylamino, méthoxycarbonylamino ou propoxycarbonylamino ; et, lorsque $R = R^4(CH_2)_nSO_2$ et n = 0
- R⁴ est choisi parmi un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle en C_1 - C_6 linéaire ou ramifié)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z$$
 ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle) ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; et, lorsque $R = R^4$ (CH_2) $_nSO_2$ - et n = 1 à 4,

R4' est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C_1 - C_6) amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)-amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle); un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe RaRbamino(alcoxy en C_1 - C_4), où RaRb est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien RaRb est (CH2) $_n$, n=2 à 6, ou -(CH2) $_2$ W(CH2) $_2$ - où W est choisi parmi un groupe alkyle en C_1 - C_3], O ou S ; ou un groupe RaRbaminoxy, où RaRb est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien RaRb est (CH2) $_n$, n=2 à 6, ou -(CH2) $_2$ W(CH2) $_2$ - où W est choisi parmi un groupe N(alkyle en C_1 - C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe N(alkyle en C_1 - C_3), [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1 - C_3], O ou S ;

 R^5 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aralkyle en C_7 - C_9 ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

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Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z^1$$
 ou Z^1

Z ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

$$\sqrt{\frac{1}{2}}$$
 ou $\sqrt{\frac{1}{2}}$

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou - $(CH_2)_nCOOR^7$ où n=0 à 4 et R^7 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; ou un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ;

 R^6 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aralkyle en C_7 - C_9 ; un groupe hétérocyclique choisi parmi un cycle aroma-

tique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

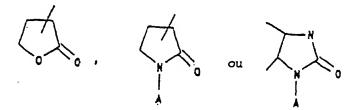


Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

Z ou $Z^1 = N$, O, S ou Se.

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou - $(CH_2)_nCOOR^7$ où n=0 à 4 et R^7 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; ou un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; à condition que R^5 et R^6 ne soient pas tous deux de l'hydrogène ;

ou bien R⁵ et R⁶, pris ensemble, représentent - $(CH_2)_2$ W($CH_2)_2$ - où W est choisi parmi (CH_2)_n et n = 0 ou 1, -NH, -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -N(alcoxy en C_1 - C_4), un atome d'oxygène, un atome de soufre ou des congénères substitués choisis parmi la (L ou D)-proline, le (L ou D)-prolinate d'éthyle, la morpholine, la pyrrolidine ou la pipéridine ; et les sels organiques et minéraux ou complexes métalliques pharmacologiquement acceptables.

9. Composé selon la revendication 6, dans lequel :

Y est NO2;

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R est choisi parmi $R^4(CH_2)_nCO$ - ou $R^4(CH_2)_nSO_2$ -; et, lorsque $R = R^4(CH_2)_nCO$ - et n = 0,

R⁴ est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C_1 - C_6)amino, cyclo propylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle); un groupe alkyle en C_1 - C_2 linéaire ou ramifié choisi parmi les groupes méthyle ou éthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe carboxy(alkyle en C_2 - C_4)amino choisi parmi l'acide aminoacétique, l'acide α-aminobutyrique ou l'acide α-aminopropionique et leurs isomères optiques ; un groupe α-hydroxy(alkyle en C_1 - C_3) choisi parmi les groupes hydroxyméthyle, α-hydroxyéthyle ou α-hydroxy-1-méthyléthyle ou α-hydroxypropyle ; un groupe halogéno(alkyle en C_1 - C_3) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z$$
 ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9

choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle) ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C_1 - C_4)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié, butoxycarbonyle linéaire ou ramifié ou allyloxycarbonyle ; un groupe vinyle ou vinyle substitué [substitution choisie parmi les groupes alkyle en C_1 - C_3 , halogéno, aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle, aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno (alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3) un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z = N, O, S ou Se

];

un groupe alcoxy en C_1 - C_4 ; un groupe aryloxy en C_6 choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C_1 - C_4 , nitro, cyano, thiol, amino, carboxy, di(alkyle en C_1 - C_3)amino); un groupe aralkyloxy en C_7 - C_{10} ; un groupe vinyloxy ou vinyloxy substitué (substitution choisie parmi les groupes alkyle en C_1 - C_4 , cyano, carboxy ou aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle); un groupe R^aR^b amino(alcoxy en C_1 - C_4), où R^aR^b est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH_2) $_n$, n=2 à 6, ou -(CH_2) $_2$ W(CH_2) $_2$ - où W est choisi parmi les groupes -N(alkyle en C_1 - C_3), O ou S; ou un groupe R^aR^b aminoxy, où R^aR^b est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle ou bien R^aR^b est (CH_2) $_n$, n=2 à 6, ou -(CH_2) $_2$ W(CH_2) $_2$ - où W est choisi parmi les groupes -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1 - C_3), O ou S; et, lorsque $R=R^4$ (CH_2) $_n$ CO- et R=1 à 4,

R⁴ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C_1 - C_6)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3) amino ou carboxy) ; un groupe acyloxy ou halogénoacyloxy choisi parmi les groupes acétyle, propionyle, chloroacétyle, trichloroacétyle, (cycloalkyle en C_3 - C_6)carbonyle, aroyle en C_6 - C_{10} à substitution halogéno, (alkyle en C_1 - C_4)benzoyle, ou (hétérocycle)-carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z^{1}$$
 ou Z^{1}

$$Z$$
 ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adiacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe alcoxy en C_1 - C_4 ; un groupe R^aR^b amino(alcoxy en C_1 - C_4), où R^aR^b est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH_2) $_n$, n=2 à 6, ou -(CH_2) $_2$ W(CH_2) $_2$ - où W est choisi parmi un groupe -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1 - C_3], O ou S ; ou un groupe R^aR^b aminoxy, où R^aR^b est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH_2) $_n$, n=2 à 6, ou -(CH_2) $_2$ W(CH_2) $_2$ - où W est choisi parmi un groupe N(alkyle en C_1 - C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1 - C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxy-1-méthyléthyle ou α -hydroxy-1-méthyléthyle ou α -hydroxypropyle ; un groupe halogéno(alkyle en C_1 - C_3) ; un groupe (alcoxy en C_1 - C_4)carbonylamino choisi parmi les groupes tert-butoxycarbonylamino, allyloxycarbonylamino, méthoxycarbonylamino, éthoxycarbonylamino ou propoxycarbonylamino;

et, lorsque $R = R^{4'}(CH_2)_nSO_2$ - et n = 0,

R4' est choisi parmi un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle en

C1-C6 linéaire ou ramifié)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle); un groupe alkyle en C₁-C₂ linéaire ou ramifié choisi parmi les groupes méthyle ou éthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C1-C4, trihalogéno(alkyle en C1-C3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy); un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido:



Z = N, O, S ou Se

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ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

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$$Z ou Z^1 = N, \dot{O}, S ou Se$$

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ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent:

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(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C1-C4, trihalogéno (alkyle en C1-C3), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy); un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle) ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six

chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; et, lorsque $R = R^{4}$ (CH_2)_n SO_2 - et n = 1 à 4,

R4 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C1-C2 choisi parmi les groupes méthyle ou éthyle;

R5 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les $groupes\ m\'ethyle,\ \'ethyle,\ \it n\text{-}propyle\ ou\ 1\text{-}m\'ethyl\'ethyle\ ;}\ un\ groupe\ aryle\ en\ C_6\text{-}C_{10}\ choisi\ parmii\ les\ groupes\ ph\'enyle,\ \it n$

 α -naphtyle ou β -naphtyle un groupe aralkyle en C_7 - C_9 ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

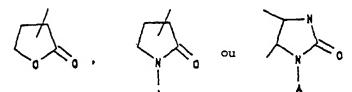


$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z$$
 ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou - $(CH_2)_nCOOR^7$ où n=0 à 4 et R^7 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; ou un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ;

 R^6 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aralkyle en C_7 - C_9 ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z^{1}$$
 ou Z^{1}

$$Z ou Z^1 = N, O, S ou Se$$

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

Ou The

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3) amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou -(CH₂)_nCOOR⁷ où n = 0 à 4 et R⁷ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; ou un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; à condition que R⁵ et R⁶ ne soient pas tous deux de l'hydrogène ;

ou bien R⁵ et R⁶, pris ensemble, représentent - $(CH_2)_2W(CH_2)_2$ - où W est choisi parmi $(CH_2)_n$ et n = 0 ou 1, -NH, -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -N(alcoxy en C_1 - C_4), un atome d'oxygène, un atome de soufre ou des congénères substitués choisis parmi la (L ou D)-proline, le (L ou D)-prolinate d'éthyle, la morpholine, la pyrrolidine ou la pipéridine ; et les sels organiques et minéraux ou complexes métalliques pharmacologiquement acceptables.

10. Composé selon la revendication 6, dans lequel :

Y est NO₂;

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R est choisi parmi $R^4(CH_2)_nCO$ - ou $R^4'(CH_2)_nSO_2$ -; et, lorsque $R = R^4(CH_2)_nCO$ - et n = 0,

 R^4 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_2 linéaire ou ramifié choisi parmi les groupes méthyle ou éthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , nitro, amino ou (alcoxy en C_1 - C_2)carbonyle) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O ou S et auquel est facultativement condensé un noyau benzo ou pyrido :



$$Z = N$$
, O ou S

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O ou S et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, 0 ou S

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O ou S et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_2 linéaire ou ramifié ; aryle en C_6) ; un groupe (alcoxy en C_1 - C_4)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié, butoxycarbonyle linéaire ou ramifié ou allyloxycarbonyle ; un groupe vinyle ou vinyle substitué [substitution choisie parmi les groupes alkyle en C_1 - C_2 , halogéno, aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle, β-naphtyle, aryle en C_8 - C_{10} substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , (alcoxy en C_1 - C_4)carbonyle, halogéno(alkyle en C_1 - C_3)] ; un groupe alcoxy en C_1 - C_4 ; un groupe aryloxy en C_6 choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C_1 - C_4) ; un groupe aralkyloxy en C_7 - C_{10} ; un groupe vinyloxy ou vinyloxy substitué (substitution choisie parmi les groupes alkyle en C_1 - C_2) ; un groupe R^a amino(alcoxy en R^a - R^b est un groupe alkyle en R^a - R^b est un groupe R^a - R^b est un groupe R^a - R^b

 ${\sf R}^4$ est choisi parmi un atome d'hydrogène ; un groupe alkyle en ${\sf C}_1$ - ${\sf C}_2$ choisi parmi les groupes méthyle ou éthyle ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en

C₁-C₆)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl (1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle ou 1-(1,2,3-triazolyle); un groupe aryle en C6-C10 choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, nitro, amino, (alcoxy en C₁-C₄)carbonyle); un groupe acyloxy ou halogénoacyloxy choisi parmi les groupes acétyle, propionyle ou chloroacétyle; un groupe alcoxy en C₁-C₄; un groupe RaRbamino(alcoxy en C₁-C₄) où RaRb est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien RaRb est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S; ou un groupe RaRbaminoxy, où RaRb est un groupe alkyle en C1-C4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est $(CH_2)_n$, n=2 à 6, ou $-(CH_2)_2W$ (CH₂)₂- où W est choisi parmi un groupe N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; un groupe halogéno(alkyle en C₁-C₃) ; un groupe (alcoxy en C1-C4)carbonylamino choisi parmi les groupes tert-butoxycarbonylamino, allyloxycarbonylamino, méthoxycarbonylamino, éthoxycarbonylamino ou propoxycarbonylamino; et, lorsque $R = R^{4'}(CH_2)_nSO_2$ - et n = 0,

R^{4'} est choisi parmi un groupe alkyle en C_1 - C_2 linéaire ou ramifié choisi parmi les groupes méthyle ou éthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , nitro, (alcoxy en C_1 - C_4)-carbonyle) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O ou S et auquel est facultativement condensé un noyau benzo ou pyrido :

Z = N, O ou S

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O ou S et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z^1$$
 ou Z^1

Z ou $Z^1 = N$, 0 ou S

et, lorsque $R = R^{4}(CH_2)_nSO_2$ - et n = 1 à 4,

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R^{4'} est choisi parmi un atome d'hydrogène, un groupe alkyle en C₁-C₂ linéaire ou ramifié choisi parmi un groupe méthyle ou éthyle ;

R⁵ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ;

 R^6 est choisi parmi un atome d'hydrogène, un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle; à condition que R^5 et R^6 ne soient pas tous deux de l'hydrogène;

ou bien R^5 et R^6 , pris ensemble, représentent -(CH_2)₂W(CH_2)₂- où W est choisi parmi (CH_2)_n et n = 0 ou 1,

-NH, -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -N(alcoxy en C_1 - C_4), un atome d'oxygène, un atome de soufre ou des congénères substitués choisis parmi la (L ou D)-proline, le (L ou D)-prolinate d'éthyle, la morpholine, la pyrrolidine ou la pipéridine ; et les sels organiques et minéraux ou complexes métalliques pharmacologiquement acceptables.

11. Composé selon la revendication 1,

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- [4S- (4α,12aα)]-4,7-bis(diméthylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétra-hydroxy-1,11-dioxo-2-naphtacènecarboxamide;
- sulfate de [4S-(4α,12aα)]-4,7-bis(diméthylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
- monochlorhydrate de [4S-(4α, 12aα)]-4, 7-bis(diméthylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octa-hydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
- [4S-(4α,12aα)]-9-(acétylamino)-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétra-hydroxy-1,11-dioxo-2-naphtacènecarboxamide;
- sulfate de [4S-(4α,12aα)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-9-[(trifluoroacétyl)amino]-2-naphtacènecarboxamide;
- sulfate de [4S-(4α,12aα)]-7-(diéthylamino)-4-diméthylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octa-hydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide (2:1);
- sulfate de [4S-(4α,12aα)]-9- (acétylamino)-7-(diéthylamino)-4-(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide (2:1);
- [4S-(4α,12aα)]-7-(diéthylamino)-4-(diméthylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
- [4S-(4α,12aα)]-9-(acétylamino)-7-(diéthylamino)-4-(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
- [4S-(4a,12aa)]-4-(diméthylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahy-droxy-7-iodo-1,11-dioxo-2-naphtacènecarboxamide;
- sulfate de [4S-(4α,12aα)]-4-(diméthylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-7-iodo-1,11-dioxo-2-naphtacènecarboxamide;
- [4S-(4α,12aα)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[(mé-thoxyacétyl)amino]-1,11-dioxo-2-naphtacènecarboxamide;
 - [4S-(4α,12aα)]-9-[(4-bromo-1-oxobutyl)amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - [4S-(4α,12aα)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-9-[(1-oxo-2-propényl)amino]-2-naphtacènecarboxamide;
 - sulfate de [4S-(4α,12aα)]-9-[(acétyloxy)acétyl]amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octa-hydro-3.10,12,12a-tétrahydroxy-1.11-dioxo-2-naphtacènecarboxamide :
 - [4S-(4α,12aα)]-9-(benzoylamino)-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétra-hydroxy-1,11-dioxo-2-naphtacènecarboxamide;
- [4S-(4α,12aα)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[(4-méthoxybenzoyl)amine]-1,11-dioxo-2-naphtacènecarboxamide;
 - (4S-(4α,12aα)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[(2-méthylbenzoyl)amino]-1,11-dioxo-2-naphtacènecarboxamide;
 - [4S-(4α,12aα)]-4,7-bis(diméthylamino)-9-[(2-fluorobenzoyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide :
 - chlorhydrate de [4S- $(4\alpha,12a\alpha)$]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[(pentafluorobenzoyl)amino]-1,11-dioxo-2-naphtacènecarboxamide;
 - $[4S-(4\alpha,12a\alpha)]-4,7$ -bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-9-[[3-(trifluorométhyl)benzoyl]amino]-2-naphtacènecarboxamide;
 - [4S-(4α,12aα)]-4,7-bis(diméthylamino)-9-[(2-furannylcarbonyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - [4S-(4α,12aα)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-9-[(2-thiénylcarbonyl)amino]-2-naphtàcènecarboxamide;
 - [4S-(4α,12aα)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[(4-nitro-benzoyl)amino]-1,11-dioxo-2-naphtacènecarboxamide;
 - sulfate de [4S- $(4\alpha,12a\alpha)$]-9-[(4-aminobenzoyl)amino]-4,7-bis-diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - [4S-(4α,12aα)]-4,7-bis(diméthylamino)-9-[[(4-diméthylamino)benzoyl]amino]-1,4,4a,5,5a,6,11,12a-octa-

hydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;

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- ester 1,1-diméthyléthylique d'acide [7S-(7α,10aα)]-[2-[[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,
 7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]amino]-2-oxoéthyl]carbamique;
- mono(trifluoroacétate) de [4S-(4α,12aα)]-9-[(aminoacétyl)amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,
 6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
- [4S-(4α,12aα)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-9-[(phénylsulfonyl)amino]-2-naphtacènecarboxamide;
- [4S- (4α,12aα)]-9-[((4-chlorophényl)sulfonyl]amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-tétrahy-droxy-1,11-dioxo-2-naphtacènecarboxamide;
- [4S-(4α,12aα)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[(3-nitro-phényl)sulfonyl]amino-1,11-dioxo-2-naphtacènecarboxamide;
 - [4S-(4a,12aa)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[[(4-ni-trophényl)sulfonyl]amino]-1,11-dioxo-2-naphtacènecarboxamide;
 - [4S-(4α,12aα)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-9-[(2-thiénylsulfonyl)amino]-2-naphtacènecarboxamide;
 - [4S-(4α,12aα)]-9-[[2- (acétylamino)-4-méthyl-5-thiazolylsulfonyl]amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,
 6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - [4S-(4α,12aα)]-4,7-bis (diméthylamino)-9-[(éthylsulfonyl)-amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide :
 - [4S-(4α,12aα)]-4,7-bis(diméthylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétra-hydroxy-1,11-dioxo-N-(1-pyrrolidinylméthyl)-2-naphtacènecarboxamide;
 - [4S-(4a,12aa)]-4,7-biş(diméthylamino-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[(méthanesulfonyl)amino]-1,11-dioxo-2-naphtacènecarboxamide;
 - [4S-(4α,12aα)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-9-[[(phénylméthoxy)acétyl]amino]-2-naphtacènecarboxamide;
 - ester éthylique d'acide [7S-(7α,10aα)]-[[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]amino]oxoacétique;
 - [4S-(4a,12aa)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[(hydroxyacétyl)amino]-1,11-dioxo-2-naphtacènecarboxamide;
 - chlorhydrate de [4S-(4α,12aα)]-4,7-bis(diméthylamino)-9-[[(méthylamino)acétyl]amino]-1,4,4a,5,5a,
 6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - sulfate de [4S-(4α,12aα)]-4-(diméthylamino)-9-(acétylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-7-iodo-1,11-dioxo-2-naphtacènecarboxamide ;
 - ester méthylique d'acide [7S-(7α,10aα)]-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a, 12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]carbamique;
 - ester (2-diéthylamino)éthylique d'acide [7S-(7α,10aα)]-[9-(aminocarbonyl) -4,7-bis(diméthylamino)-5,5a, 6,6a,7,10, 10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl)carbamique :
 - ester éthénylique d'acide [7S-(7α,10aα)]-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,
 12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]carbamique;
- ester 2-propénylique d'acide [7S-(7α,10aα)]-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,
 12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]carbamique;
 - sulfate de [4S-(4α,12aα)]-4,7-bis(diméthylamino)-9-[[(diméthylamino)acétyl]amino]-1,4,4a,5,5a,6,11,12a-oc-tahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - chlorhydrate de [4S- $(4\alpha,12a\alpha)$]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[(méthoxyacétyl)amino]-1,11-dioxo-2-naphtacènecarboxamide;
 - sulfate de [4S-(4α,12aα)]-9-[(4-bromo-1-oxobutyl)amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octa-hydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - [4S- $(4\alpha,12a\alpha)$]-9-[[(acétyloxy)acétyl]amino]-4,7-bis-(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - sulfate de [4S-(4α,12aα)]-9-(benzoylamino)-4,7-bis-(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - chlorhydrate de [4S-(4α,12aα)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-9-[[3-(trifluorométhyl)benzoyl]amino]-2-naphtacènecarboxamide;
 - [4S-(4α,12aα)]-9-[(4-aminobenzoyl)amino]-4,7-bis-(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - chlorhydrate de [4S-(4α,12aα)]-4,7-bis(diméthylamino)-9-[[(4-diméthylamino)benzoyl]amino]-1,4,4a,5,5a, 6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - chlorhydrate d'ester 1,1-diméthyléthylique d'acide [7S-(7α,10aα)]-[2-[[9-(aminocarbonyl)-4,7-bis(diméthyla-

- mino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]amino]-2-oxoéthyl]carbamique;
- [4S-(4α,12aα)]-9-[(aminoacétyl)amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
- chlorhydrate de [4S-(4α,12aα)]-4,7-bis(diméthylamino)-9-[(éthylsulfonyl)amino]-1,4,4a,5,5a,6,11,12a-octa-hydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;

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- sulfate de [4S-(4α,12aα)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[(méthanesulfonyl)amino]-1,11-dioxo-2-naphtacènecarboxamide;
- chlorhydrate de [4S-(4α,12aα)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-9- [[(phénylméthoxy)acétyl]amino]-2-naphtacènecarboxamide;
- sulfate de [4S-(4α,12aα)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[(hydroxyacétyl)amino]-1,11-dioxo-2-naphtacènecarboxamide;
- [4S-(4α,12aα)]-4-(diméthylamino)-9-(acétylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-7-iodo-1,11-dioxo-2-naphtacènecarboxamide;
- [4S-(4α,12aα)]-4,7-bis(diméthylamino)-9-[[(diméthylamino)acétyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - sulfate d'ester méthylique d'acide [7S-(7α,10aα)]-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a, 7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]carbamique ;
 - chlorhydrate d'ester (2-diéthylamino)éthylique d'acide [7S-(7α,10aα)]-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]carbamique ;
 - sulfate d'ester éthénylique d'acide [7S-(7α,10aα)]-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,
 7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]carbamique;
 - chlorhydrate d'ester 2-propénylique d'acide [7S-(7α,10aα)]-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a, 6,6a,7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]carbamique;
 - sulfate de [4S-(4α,12aα)]-4,7-bis(diméthylamino)-9-[[(diéthylamino)acétyl]amino]-1,4,4a,5,5a,6,11,12a-octa-hydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - chlorhydrate de [4S-(4a,12aa)]-4,7-bis(diméthylamino)-9-[[(diéthylamino)acétyl] amino]-1,4,4a,5,5a,
 6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - [4S-(4α,12aα)]-4,7-bis(diméthylamino)-9-[[(diéthylamino)acétyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - dichlorhydrate de [4S-(4α,12aα)]-4,7-bis(diméthylamino)-9-[[(diméthylamino)acétyl]amino] -1,4,4a,5,5a,
 6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - [4S-(4α,12aα)]-4,7-bis(diméthylamino)-9-(chloroacétylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - dichlorhydrate de [4S-(4α,12aα)]-9-[(chloroacétyl)amino]-4,7-bis (diméthylamino)-1,4',4a,5,5a,6,11,12a-octa-hydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - dichlorhydrate de [4S- $(4\alpha,12a\alpha)$]-9-[(bromoacétyl)amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octa-hydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide ;
 - [4S- (4α,12aα)]-9-[(bromoacétyl)amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide (base libre);
 - monobromhydrate de [4S-(4α,12aα)]-9-[(bromoacétyl)amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - bromhydrate de [4S-(4α,12aα)]-9-[(2-bromo-1-oxopropyl)-amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,
 6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - bromhydrate de [4S-(4α,12aα)]-9-[(2-bromo-1-oxopropyl)-amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a, 6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - dichlorhydrate de [4S-(4α,12aα)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétra-hydroxy-9-[[(méthylamino)acétyl]amino]-1,11-dioxo-2-naphtacènecarboxamide;
 - dichlorhydrate de [7S-(7a,10aa)]-N-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octa-hydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]-4-morpholineacétamide;
 - dichlorhydrate de [4S-(4α,12aα)]-4,7-bis(diméthylamino)-9-[[(éthylamino)acétyl]amino]-1,4,4a,5,5a,
 6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - dichlorhydrate de [4S-(4α,12aα)]-9-[[(cyclopropylamino)-acétyl]amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a, 6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
- dichlorhydrate de [4S-(4α,12aα)]-4,7-bis (diméthylamino)-9-[[(butylamino)acétyl]amino]-1,4,4a,5,5a, 6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - dichlorhydrate de [4S-(4α,12aα)]-9-[[(diéthylamino)-acétyl]amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a, 6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;

- dichlorhydrate de [7S-(7a,10aa)]-N-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octa-hydro-1,8,10a,11-tëtrahydroxy-10,12-dioxo-2-naphtacényl]-1-pyrrolidineacétamide;
- dichlorhydrate de [4S-(4a,12aa)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétra-hydroxy-9-[[(2-méthylpropyl)amino]acétyl]amino]-1,11-dioxo-2-naphtacènecarboxamide;
- dichlorhydrate de [7S-(7α,10aα)]-N-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octa-hydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]-1-pipéridineacétamide;
- dichlorhydrate de [7S-(7a,10aa)]-N-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octa-hydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]-1H-imidazole-1-acétamide;
- dichlorhydrate de [4S-(4α,12aα)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétra-hydroxy-1,11-dioxo-9-[[(propylamino)acétyl]amino]-2-naphtacènecarboxamide;
- [4S-(4α,12aα)]-4,7-bis(diméthylamino)-9-[[(diméthylamino)acétyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
- dichlorhydrate de [4S-(4α,12aα)]-4,7-bis(diméthylamino)-9-[[(hexylamino)acétyl]amino]-1,4,4a,5,5a, 6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
- dichlorhydrate de [4S-(4α,12aα)]-4,7-bis(diméthylamino)-9-[[2-(diméthylamino)-1-oxopropyl]amino]-1,4,4a, 5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
- dichlorhydrate de [4S-(4α,12aα)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétra-hydroxy-9-[[2-(méthylamino)-1-oxopropyl]amino)-1,11-dioxo-2-naphtacènecarboxamide;
- dichlorhydrate de [7S-(7α,10aα)]-N-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octa-hydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]-α-méthyl-1-pyrrolidineacétamide;
- dichlorhydrate de [4S-(4α,12aα)]-4,7-bis(diméthylamino)-9-[[4-(diméthylamino)-1-oxobutyl]amino]-1,4,4a, 5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
- dichlorhydrate de [4S-(4α,12aα)]-9-[[(butylméthylamino)-acétyl]amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a, 6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
- dichlorhydrate de [4S-(4α,12aα)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétra-hydroxy-1,11-dioxo-9-[[(pentylamino)acétyl]amino]-2-naphtacènecarboxamide;
- dichlorhydrate de [4S- (4α,12aα)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétra-hydroxy-1,11-dioxo-9-[[[(phénylméthyl)amino]acétyl]amino]-2-naphtacènecarboxamide;
- [7S-(7α,10aα)]-N-[2- [[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10a,12-octahydro-1,8,10a, 11-tétrahydroxy-10,12-dioxo-2-naphtacényl]amino]-2-oxoéthyl]glycine;
- [4S-(4α,12aα)]-4,7-bis(diméthylamino)-9-[[(diméthylamino)acétyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-N-(1-pyrrolidinylméthyl)-2-naphtacènecarboxamide;
- [4S-(4\alpha,12a\alpha)]-4,7-bis(dim\u00e9thylamino)-9-[[(dim\u00e9thylamino)ac\u00e9tyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-t\u00e9trahydroxy-1,11-dioxo-N-(4-morpholinylm\u00e9thyl)-2-naphtac\u00e9necarboxamide;
- [4S-(4α,12aα)]-4,7-bis(diméthylamino)-9-[[(diméthylamino)acétyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-N-(1-pipéridinylméthyl)-2-naphtacènecarboxamide;
- [7S-(7α,10aα)]-N-[9-(aminocarbonyl-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a, 11-tétradroxy-10,12-dioxo-2-naphtacényl]-1-azétidineacétamide;
- chlorhydrate de [4S-(4α,12aα)]-9-[[(cyclobutylamino)-acétyl]amino]-4,7-bis(diméthylamino)-1,4,4a,5, 5a, 6, 11, 12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide.
- 12. Composé selon la revendication 6, le sulfate de [4S-(4α,12aα)]-4-(diméthylamino)-9-(formylamino)-1,4,4a,5,5a, 6.11.12a-octahydro-3,10,12,12a-tétrahydroxy-7-nitro-1,11-dioxo-2-naphtacènecarboxamide.
- 45 13. Procédé de production d'un composé de formule :

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selon la revendication 1, dans lequel X = NR1R2, qui comprend la réaction d'une 9-amino-7-(amino substitué)-6-déméthyl-6-désoxytétracycline de formule :

- avec un halogénure d'acyle de formule R-halogénure, un anhydride d'acyle de formule R-anhydride, un anhydride 15 d'acyle mixte de formule R-anhydride, un halogénure de sulfonyle de formule R-halogénure, ou un anhydride de sulfonyle de formule R-anhydride en présence d'un accepteur d'acide approprié dans un solvant approprié.
 - 14. Procédé de production d'un composé de formule :

selon la revendication 1, dans lequel X est un halogène, qui comprend la réaction d'une 9-(acyl- ou sulfonylamino)-6-déméthyl-6-désoxytétracycline de formule :

avec un agent d'halogénation.

15. Procédé de production d'un composé de formule :

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selon la revendication 6, qui comprend la réaction d'une 9-(acyl- ou sulfonylamino)-6-déméthyl-6-désoxytétracycline de formule :

avec un nitrate métallique et un acide fort.

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16. Procédé de production d'un composé de formule :

selon la revendication 6, qui comprend la réaction d'un composé de formule :

avec l'acide nitrique et un acide fort.

17. Procédé de production d'un composé de formule :

selon la revendication 1, dans lequel X = NR¹R², qui comprend la réaction d'un composé de formule :

selon la revendication 6, avec l'aldéhyde ou la cétone en C_1 - C_4 linéaire ou ramifié approprié en présence d'un acide et d'hydrogène.

18. Procédé de production d'un composé de formule :

selon la revendication 1, dans lequel $X = NR^1R^2$ ou un halogène, qui comprend la réaction d'une 9-(amino substitué)-7-(halogéno ou amino substitué)-6-déméthyl-6-désoxytétracycline de formule :

- selon la revendication 1, avec une amine primaire ou secondaire en présence de formaldéhyde.
 - 19. Procédé pour la prévention, le traitement ou la maîtrise d'infections bactériennes chez des animaux à sang chaud, qui comprend l'administration audit animal une quantité pharmacologiquement efficace d'un composé selon la

revendication 1.

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20. Composition de matière pharmaceutique comprenant un composé selon la revendication 1 en association avec un support pharmaceutiquement acceptable.

Revendications pour les Etats contractants suivants : ES, GR

1. Procédé de production d'un composé de formule :

INN C CH2) Z

dans laquelle:

X est choisi parmi un groupe amino, NR¹R², ou un atome d'halogène ; l'atome d'halogène est choisi parmi le brome, le chlore, le fluor ou l'iode ;

et, lorsque $X = NR^1R^2$ et $R^1 = hydrogène$,

 $\mathsf{R}^2=\mathsf{m\acute{e}thyle}$, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle ;

et, lorsque R1 = méthyle ou éthyle,

R² = méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle ;

et. lorsque $R^1 = n$ -propyle.

 $R^2 = n$ -propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle;

et, lorsque R1 = 1-méthyléthyle,

 $R^2 = n$ -butyle, 1-méthylpropyle ou 2-méthylpropyle;

et, lorsque R1 = n-butyle,

 $R^2 = n$ -butyle, 1-méthylpropyle ou 2-méthylpropyle;

et, lorsque R1 = 1-méthylpropyle,

 $R^2 = 2$ -méthylpropyle;

R est choisi parmi R4(CH₂)_nCO- ou R4'(CH₂)_nSO₂-;

et, lorsque $R = R^4(CH_2)_nCO$ - et n = 0,

 $\rm R^4$ est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en $\rm C_1\text{-}C_6$) amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl) amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en $\rm C_1\text{-}C_4$ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, $\it n$ -propyle, 1-méthyléthyle, $\it n$ -butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle ; un groupe cycloalkyle en $\rm C_3\text{-}C_6$ choisi parmi les groupes cyclopropyle, cyclobutyle, cyclopentyle ou cyclohexyle ; un groupe cycloalkyle en $\rm C_3\text{-}C_6$ substitué (substitution choisie parmi les groupes alkyle en $\rm C_1\text{-}C_3$, cyano, amino ou acyle en $\rm C_4\text{-}C_3$); un groupe aryle en $\rm C_6\text{-}C_{10}$ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en $\rm C_6\text{-}C_{10}$ substitué (substitution choisie parmi les groupes halogéno, alcoxy en $\rm C_1\text{-}C_4$), rithalogéno (alkyle en $\rm C_1\text{-}C_3$), nitro, amino, cyano, (alcoxy en $\rm C_1\text{-}C_4$)carbonyle, (alkyle en $\rm C_1\text{-}C_3$)amino ou carboxy) ; un groupe α-amino(alkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle ; un groupe $\rm \alpha$ -amino(alkyle en

 C_1 - C_4) choisi parmi les groupes aminométhyle, α -aminoéthyle, α -aminopropyle ou α -aminobutyle ; un groupe carboxy(alkyle en C_2 - C_4)amino choisi parmi l'acide aminoacétique, l'acide α -aminobutyrique ou l'acide α -aminopropionique et leurs isomères optiques ; un groupe (aralkyle en C_7 - C_9)amino ; un groupe (alcoxy en C_1 - C_4)carbonylamino-alkyle en C_1 - C_4 substitué, la substitution étant choisie parmi les groupes phényle ou ρ -hydroxyphényle ; un groupe α -hydroxy(alkyle en C_1 - C_3) choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxy-1-méthyléthyle ou α -hydroxypropyle ; un groupe α -mercapto(alkyle en C_1 - C_3) choisi parmi les groupes mercaptométhyle, α -mercaptoéthyle, α -mercapto-1-méthyléthyle ou α -mercaptopropyle ; un groupe halogéno (alkyle en C_1 - C_3) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

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$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



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Z ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent':



N O

ou



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(A est choisi parmi un atome d'hydrogêne ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno (alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C_3 - C_6)-carbonyle, aroyle en C_6 - C_{10} choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C_6 - C_{10} à substitution halogéno, (alkyle en C_1 - C_4)benzoyle ou (hétérocycle)-carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$z^1$$
 ou z^1

$$Z$$
 ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle) ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle satûré à six

chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C_1 - C_4)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié, butoxycarbonyle linéaire ou ramifié ou allyloxycarbonyle ; un groupe vinyle ou vinyle substitué [substitution choisie parmi les groupes alkyle en C_1 - C_3 , halogéno, aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle, α -naphtyle, aryle en α -naph

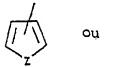


Z = N, O, S ou Se

];

un groupe alcoxy en C_1 - C_4 ; un groupe aryloxy en C_6 choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C_1 - C_4 , nitro, cyano, thiol, amino, carboxy, di(alkyle en C_1 - C_3)amino); un groupe aralkyloxy en C_7 - C_{10} ; un groupe vinyloxy ou vinyloxy substitué (substitution choisie parmi les groupes alkyle en C_1 - C_4 , cyano, carboxy ou aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle); un groupe R^aR^b amino (alcoxy en C_1 - C_4), où R^aR^b est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH_2) $_n$, n=2 à 6, ou -(CH_2) $_2$ W(CH_2) $_2$ - où W est choisi parmi les groupes -N(alkyle en C_1 - C_3), O ou S; ou un groupe R^aR^b aminoxy, où R^aR^b est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle, ou bien R^aR^b est (CH_2) $_n$, n=2 à 6, ou -(CH_2) $_2$ W(CH_2) $_2$ - où W est choisi parmi les groupes -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1 - C_3], O ou S; et, lorsque $R=R^4$ (CH_2) $_n$ CO- et n=1 à 4,

R⁴ est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle ; un groupe cycloalkyle en C_3 - C_6 choisi parmi les groupes cyclopropyle, cyclobutyle, cyclopentyle ou cyclohexyle; un groupe cycloalkyle en C_3 - C_6 substitué (substitution choisie parmi les groupes alkyle en C_1 - C_3 , cyano, amino ou acyle en C_1 - C_3) ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en. C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 ; un groupe acyloxy ou halogénoacyloxy choisi parmi les groupes acétyloxy, propionyloxy, chloroacétyloxy, trichloroacétyloxy, (cycloalkyle en C_3 - C_6)carbonyloxy, aroyloxy en C_6 - C_{10} choisi parmi les groupes benzoyloxy ou naphtoyloxy, aroyloxy en C_6 - C_{10} à substitution halogéno, (alkyle en C_1 - C_4)benzoyloxy, ou (hétérocycle)carbonyloxy, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z = N, O, S ou Se

$$Z^1$$
 ou Z^1

$$Z$$
 ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hêtéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué ,(substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe alcoxy en C_1 - C_4 ; un groupe aryloxy en C_6 choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C_1 - C_4 , nitro, cyano, thiol, amino, carboxy, di(alkyle en C_1 - C_3)amino) ; un groupe aralkyloxy en C_7 - C_{10} ; un groupe alkylthio en C_1 - C_3 choisi parmi les groupes méthylthio, éthylthio, propylthio ou allylthio ; un groupe arylthio en C_6 choisi parmi les groupes phénylthio ou phénylthio substitué (substitution choisie parmi les groupes halogéno, alkyle en C_1 - C_4 , nitro, cyano, thiol, amino, carboxy, di (alkyle en C_1 - C_3)amino) ; un groupe arylsulfonyle en C_6 choisi parmi les groupes phénylsulfonyle ou phénylsulfonyle substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkylthio en C_7 - C_6 ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

$$Z^1$$
 ou Z^1

$$Z$$
 ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno (alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe hydroxyle ; un groupe mercapto ; un groupe mono- ou di(alkyle en C_1 - C_6 à chaîne linéaire ou ramifiée)amino choisi parmi les groupes méthyl-, éthyl-, n-propyl-, 1-méthyléthyl-, n-butyl-, 1-méthylpropyl-, 2-méthylpropyl-, 1,1-diméthyléthyl-, 2-méthylbutyle, 1,1-diméthylpropyl-, 2,2-diméthylpropyl-, 3-méthylbutyl-, n-hexyl-, 1-méthylpentyl-, 1,1-diméthylbutyl-, 2,2-diméthylbutyl-, 2,2-diméthylbutyl-, 1,3-diméthylbutyl- ou 1-méthyl-1-éthylpropylamino ; un groupe azacycloalkyle en C_2 - C_5 ; un groupe carboxy(alkyle en C_2 - C_4)amino choisi parmi l'acide aminoacétique, l'acide α -aminobutyrique et leurs isomères optiques ; un groupe α -hydroxyalkyle en C_1 - C_3 choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxy-1-méthyléthyle ou α -hydroxypropyle ; un groupe halogéno (alkyle en C_1 - C_3) ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C_3 - C_6)carbonyle, aroyle en C_6 - C_{10} choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C_6 - C_{10} à substitution halogéno, (alkyle en C_1 - C_4)benzoyle, ou (hétérocycle)carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

$$z^1$$
 ou z^1

$$Z$$
 ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 . linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C_1 - C_4)carbonylamino choisi parmi les groupes *tert*-butoxycarbonylamino, allyloxycarbonylamino, méthoxycarbonylamino, éthoxycarbonylamino ou propoxycarbonylamino ; un groupe (alcoxy en C_1 - C_4)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié ; un groupe R^aR^b amino(alcoxy en C_1 - C_4), où R^aR^b est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH_2)_n, n = 2 à 6, ou -(CH_2)₂ $W(CH_2$)₂- où W0 est choisi parmi un groupe -N (alkyle en C_1 - C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1 - C_3], O ou S; ou un groupe R^aR^b aminoxy, où R^aR^b est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle, 2-méthylpropyle, ou bien R^aR^b est (CH_2)_n, n = 2 à 6, ou -(CH_2)₂ $W(CH_2$)₂- où W0 est choisi parmi un groupe N0 (alkyle en N0. [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en N1. Ou N2 (alkyle en N3. Ou N3. (alkyle en N4. Ou N5. (alkyle en N4. Ou N5. (alkyle en N5. Ou N5. (alkyle en N6. Ou N6. (alkyle en N6. Ou N7. (alkyle en N8. (alkyle en N9. (alkyle

R^{4'} est choisi parmi un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle en C_1 - C_6 linéaire ou ramifié)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle ; un groupe cycloalkyle en C_3 - C_6 choisi parmi les groupes cyclopropyle, cyclobutyle, cyclopentyle ou cyclohexyle ; un groupe cycloalkyle en C_3 - C_6 substitué (substitution choisie parmi les groupes alkyle- en C_1 - C_3 , cyano, amino ou acyle en C_1 - C_3) ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 ; un groupe halogéno (alkyle en C_1 - C_3); un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z^1$$
 ou Z^1

$$Z$$
 ou $Z^1 = N$, O, S ou Se

- (A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)
- ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe R^aR^b amino(alcoxy en C_1 - C_4), où R^aR^b est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthylethyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est $(CH_2)_n$, n=2 à 6, ou - $(CH_2)_2W(CH_2)_2$ où W est choisi parmi les groupes -W0 (alkyle en W1, W2, W3) [linéaire ou ramifié], -W4, -W9 (B est choisi parmi un atome d'hydrogène ou un groupe alkyle en W1, W3, W4, ou W6, W6 (alkyle en W1, W9, ou W9, o
- R^4 ' est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle ; un groupe carboxyalkyle en C_1 - C_4 ; un groupe cycloalkyle en C_3 - C_6 choisi parmi les groupes cyclopropyle, cyclobutyle, cyclopentyle ou cyclohexyle ; un groupe cycloalkyle en C_3 - C_6 substitué (substitution

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choisie parmi les groupes alkyle en C1-C3, cyano, amino ou acyle en C1-C3); un groupe aryle en C6-C10 choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C1-C4, trihalogéno(alkyle en C1-C3), nitro, amino, cyano, (alcoxy en C1- $\textbf{C}_{4}) \text{carbonyle, (alkyle en C}_{1} \textbf{-C}_{3}) \text{amino ou carboxy) ; un groupe aralkyle en C}_{7} \textbf{-C}_{9} \text{ choisi parmi les groupes benzyle, (alkyle en C}_{1} \textbf{-C}_{3}) \text{amino ou carboxy) ; un groupe aralkyle en C}_{7} \textbf{-C}_{9} \text{ choisi parmi les groupes benzyle, (alkyle en C}_{1} \textbf{-C}_{3}) \text{amino ou carboxy) ; un groupe aralkyle en C}_{7} \textbf{-C}_{9} \text{ choisi parmi les groupes benzyle, (alkyle en C}_{1} \textbf{-C}_{3}) \text{amino ou carboxy) ; un groupe aralkyle en C}_{1} \textbf{-C}_{2} \textbf{-C}_{3} \textbf{-C}_{3}) \text{amino ou carboxy) ; un groupe aralkyle en C}_{1} \textbf{-C}_{2} \textbf{-C}_{3} \textbf{-C}_{3} \textbf{-C}_{3}) \text{amino ou carboxy) ; un groupe aralkyle en C}_{1} \textbf{-C}_{2} \textbf{-C}_{3} \textbf{-C}$ 1-phényléthyle, 2-phényléthyle ou phénylpropyle ; un groupe alcoxy en C₁-C₄ ; un groupe aryloxy en C₆ choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C3, nitro, cyano, thiol, amino, carboxy, di(alkyle en C1-C3)amino); un groupe aralkyloxy en C7-C10; un groupe RaRbamino(alcoxy en C₁-C₄), où RaRb est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est $(CH_2)_{n}$. n = 2 à 6, ou -(CH₂)₂W(CH₂)_n- où W est choisi parmi un groupe -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1 - C_3], O ou S; ou un groupe R^aR^b aminoxy, où RaRb est un groupe alkyle en C1-C4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien RaRb est (CH2)n, n = 2 à 6, ou -(CH2)2W (CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB (B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S; un groupe alkylthio en C₁-C₃ choisi parmi les groupes méthylthio, éthylthio ou *n*-propylthio ; un groupe arylthio en C₆ choisi parmi les groupes phénylthio ou phénylthio substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₃, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino); un groupe aralkylthio en C₇-C₈; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons' ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$z^1$$
 ou z^2

Z ou $Z^1 = N$, O, S ou Se

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe hydroxyle, un groupe mercapto ; un groupe mono- ou di(alkyle en C_1 - C_6 linéaire ou ramifié)amino choisi parmi les groupes méthyl-, éthyl-, n-propyl-, 1-méthylethyl-, n-butyl-, 1-méthylpropyl-, 2-méthylpropyl-, 1,1-diméthylethyl-, 2-méthylpropyl-, 1,1-diméthylethyl-, 2-méthylputyl-, 1,1-diméthylpropyl-, 3-méthylbutyl-, n-hexyl-, 1-méthylpentyl-, 1,1-diméthylbutyl-, 2,2-diméthylbutyl-, 2-méthylpentyl-, 1,2-diméthylbutyl-, 1,3-diméthylbutyl- ou 1-méthyl-1-éthylpropylamino ; un groupe halogéno(alkyle en C_1 - C_3) ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C_3 - C_6)-carbonyle, aroyle en C_6 - C_{10} à substitution halogéno, (alkyle en C_1 - C_4)benzoyle ou (hétérocycle)-carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$z'$$
 ou z'

$$Z$$
 ou $Z^1 = N$, O , S ou Se

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent; un groupe (alcoxy en C₁-C₄)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié, allyloxycarbonyle ou butoxycarbonyle linéaire ou ramifié;

 R^5 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aralkyle en C_7 - C_9 ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un novau benzo ou pyrido :

$$z^1$$
 ou z^1

$$Z$$
 ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

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(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou -(CH_2)_nCOOR⁷ où n = 0 à 4 et R⁷ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; ou un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ;

 R^6 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aralkyle en C_7 - C_9 ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

ou z

Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

 $Z \cdot ou Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

Ou INO

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle) ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six

chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou- $(CH_2)_nCOOR^{7^i}$ où n = 0 à 4 et R^{7^i} est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; ou un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; à condition que R^5 et R^6 ne soient pas tous deux de l'hydrogène ;

ou bien R^5 et R^6 , pris ensemble, représentent -(CH_2)₂W(CH_2)₂- où W est choisi parmi (CH_2)_n et n = 0 ou 1, -NH, -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -N(alcoxy en C_1 - C_4), un atome d'oxygène, un atome de soufre ou des congénères substitués choisis parmi la (L ou D)-proline, le (L ou D)-prolinate d'éthyle, la morpholine, la pyrrolidine ou la pipéridine ; et les sels organiques et minéraux ou complexes métalliques pharmacologiquement acceptables ;

ledit procédé comprenant l'une des étapes (a) à (c) suivantes :

(a) l'étape de production d'un composé de formule :

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telle que définie ci-dessus, dans lequel $X = NR^1R^2$, qui comprend la réaction d'une 9-amino-7-(amino substitué)-6-déméthyl-6-désoxytétracycline de formule :

avec un halogénure d'acyle de formule R-halogénure, un anhydride d'acyle de formule R-anhydride, un anhydride d'acyle mixte de formule R-anhydride, un halogénure de sulfonyle de formule R-halogénure, ou un anhydride de sulfonyle de formule R-anhydride en présence d'un accepteur d'acide approprié dans un solvant approprié;

(b) l'étape de production d'un composé de formule :

telle que définie ci-dessus, dans lequel X est un halogène, qui comprend la réaction d'une 9-(acyl- ou sulfo-nylamino)-6-déméthyl-6-désoxytétracycline de formule :

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avec un agent d'halogénation;

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(c) l'étape de production d'un composé de formule :

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telle que définie ci-dessus, dans lequel $X = NR^1R^2$, qui comprend la réaction d'un composé de formule :

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dans laquelle R est tel que défini ci-dessus, avec l'aldéhyde ou la cétone en C_1 - C_4 linéaire ou ramifié approprié en présence d'un acide et d'hydrogène. ;

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et comprenant facultativement une étape de production d'un composé de formule :

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telle que définie ci-dessus, dans lequel X = NR1R2 ou un halogène, qui comprend la réaction d'une 9-(amino

substitué)-7-(halogéno ou amino substitué) -6-déméthyl-6-désoxytétracycline de formule ;

telle que définie ci-dessus, avec une amine primaire ou secondaire en présence de formaldéhyde.

2. Procédé selon la revendication 1, dans lequel :

X est choisi parmi un groupe amino, NR1R2 ou un atome d'halogène ; l'atome d'halogène est choisi parmi le brome, le chlore, le fluor ou l'iode;

et, lorsque $X = NR^1R^2$ et $R^1 = hydrogène$,

 R^2 = méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle;

et, lorsque R1 = méthyle ou éthyle,

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 R^2 = méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle;

R est choisi parmi R4(CH₂)_nCO- ou R4'(CH₂)_nSO₂-;

et, lorsque $R = R^4(CH_2)_nCO$ - et n = O,

R4 est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C₁-C₆)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle); un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, npropyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle ; un groupe cycloalkyle en C₃-C₆ choisi parmi les groupes cyclopropyle, cyclobutyle, cyclopentyle ou cyclohexyle; un groupe cycloalkyle en C₃-C₆ substitué (substitution choisie parmi les groupes alkyle en C_1 - C_3 , cyano, amino ou acyle en C_1 - C_3); un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe α -amino(alkyle en C_1 - C_4) choisi parmi les groupes aminométhyle, α-aminoéthyle, α-aminopropyle ou α-aminobutyle; un groupe carboxy(alkyle en C₂- C_4)amino choisi parmi l'acide aminoacétique, l'acide α -aminobutyrique ou l'acide α -aminopropionique et leurs isomères optiques ; un groupe (aralkyle en C_7 - C_9)amino ; un groupe (alcoxy en C_1 - C_4) carbonylamino-alkyle en C1-C4 substitué, la substitution étant choisie parmi les groupes phényle ou p-hydroxyphényle; un groupe α-hydroxy(alkyle en C_1 - C_2) choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxy-l-méthyléthyle ou α-hydroxypropyle; un groupe halogéno(alkyle en C₁-C₃); un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z = N, O, S ou Se

un noyau benzo ou pyrido:

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



$$Z ou Z^1 = N, O, S ou Se$$

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle) ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C_3 - C_6) - carbonyle, aroyle en C_6 - C_{10} choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C_6 - C_{10} à substitution halogéno, (alkyle en C_1 - C_4)benzoyle ou (hétérocycle) - carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé

$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$z^1$$
 ou z^1

$$Z$$
 ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C_1 - C_4)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié, butoxycarbonyle linéaire ou ramifié ou allyloxycarbonyle ; un groupe vinyle ou vinyle substitué [substitution choisie parmi les groupes alkyle en C_1 - C_3 , halogéno, aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle, aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno (alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3), un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

[linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1 - C_3], O ou S ; ou un groupe RaPbaminoxy, où RaPb est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien RaPb est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1 - C_3], O ou S ; et, lorsque R = R4(CH₂)_nCO- et n = 1 à 4,

R⁴ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire, ou ramifié en C_1 - C_6)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphyle ou β-naphtyle ; un groupe aryle en C_6 - C_{10} substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno (alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe acyloxy ou halogénoacyloxy choisi parmi les groupes acétyle, propionyle, chloroacétyle, trichloroacétyle, (cycloalkyle en C_3 - C_6)carbonyle, aroyle en C_6 - C_{10} choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C_6 - C_{10} à substitution halogéno, (alkyle en C_1 - C_4)benzoyle, ou (hétérocycle)carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$z^1$$
 ou z^2

$$Z$$
 ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

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(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe alcoxy en C₁-C₄; un groupe RaRbamino(alcoxy en C₁-C₄), où RaRb est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien RaRb est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C1-C3], O ou S; ou un groupe R^aR^b aminoxy, où R^aR^b est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien RaRb est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié],' -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; aryloxy en C₆ choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C1-C4, nitro, cyano, thiol, amino, carboxy, di(alkyle en C1-C3)amino); un groupe alkylthio en C1-C3 choisi parmi les groupes méthylthio, éthylthio, propylthio ou allylthio ; un groupe arylthio en C₆ choisi parmi les groupes phénylthio ou phénylthio substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₄, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino); un groupe (aryle en C₆)sulfonyle choisi parmi les groupes phénylsulfonyle ou phénylsulfonyle substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C1-C3), nitro, amino, cyano, (alcoxy en C1-C4)carbonyle, (alkyle en C1-C3)amino ou carboxy); un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z^1$$
 ou Z^1

$$Z ou Z^1 = N, O, S ou Se$$

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3) amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe hydroxyle ; un groupe α -hydroxyalkyle en C_1 - C_3 choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxypropyle ; un groupe halogéno(alkyle en C_1 - C_3) ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C_3 - C_6)carbonyle, aroyle en C_6 - C_{10} choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C_6 - C_{10} à substitution halogéno, (alkyle en C_1 - C_4)benzoyle ou (hétérocycle)carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$z^1$$
 ou z^1

Z ou $Z^1 = N$, O; S ou Se

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno (alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent; un groupe (alcoxy en C_1 - C_4)carbonylamino choisi parmi les groupes *tert*-butoxycarbonylamino, allyloxycarbonylamino, méthoxycarbonylamino ou propoxycarbonylamino; et, lorsque $R = R^4$ (CH_2) $_nSO_2$ - et n = 0,

 R^4 ' est choisi parmi un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle en C_1 - C_6 linéaire ou ramifié)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$z^1$$
 ou z^1

$$Z$$
 ou $Z^1 = N$, O, S ou Se

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno (alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; et, lorsque $R = R^4(CH_2)_nSO_2$ - et n = 1 à 4,

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 R^5 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aralkyle en C_7 - C_9 ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$z^1$$
 ou z^2

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

Z ou $Z^1 = N$, O, S ou Se

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(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno (alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou -(CH_2) $_nCOOR^7$ où n=0 à 4 et R^7 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; ou un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ;

 R^6 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aralkyle en C_7 - C_9 ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

ou Z

Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$z^1$$
 ou z^1

Z ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

o ou The

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno (alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en. C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle) ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six

chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou - $(CH_2)_nCOOR^7$ où n = 0 à 4 et R⁷ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; ou un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; à condition que R⁵ et R⁶ ne soient pas tous deux de l'hydrogène ;

ou bien. R^5 et R^6 , pris ensemble, représentent - $(CH_2)_2W(CH_2)_2$ - où W est choisi parmi $(CH_2)_n$ et n=0 ou 1, -NH, -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -N(alcoxy en C_1 - C_4), un atome d'oxygène, un atome de soufre ou des congénères substitués choisis parmi la (L ou D)-proline, le (L ou D)-prolinate d'éthyle, la morpholine, la pyrrolidine ou la pipéridine ; et les sels organiques et minéraux ou complexes métalliques pharmacologiquement acceptables.

3. Procédé selon la revendication 1, dans lequel :

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X est choisi parmi un groupe amino, NR^1R^2 , ou un atome d'halogène ; l'atome d'halogène est choisi parmi le rome, le chlore, le fluor ou l'iode ; et, lorsque $X = NR^1R^2$ et $R^1 = hydrogène$,

 $R^2 = \text{m\'ethyle}$, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle;

et, lorsque R1 = méthyle ou éthyle,

 R^2 = méthyle, éthyle, *n*-propyle, 1-méthyléthyle,

n-butyle, 1-méthylpropyle ou 2-méthylpropyle;

R est choisi parmi $R^4(CH_2)_nCO$ - ou $R^4'(CH_2)_nSO_2$ -; et, lorsque $R = R^4(CH_2)_nCO$ - et n = 0,

R⁴ est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C_1 - C_6)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, npropyle ou 1-méthyléthyle ; un groupe cycloalkyle en C3-C6 choisi parmi les groupes cyclopropyle, cyclobutyle, cyclopentyle ou cyclohexyle ; un groupe cycloalkyle en C₃-C₆ substitué (substitution choisie parmi les groupes alkyle en C_1 - C_3 , cyano, amino ou acyle en C_1 - C_3); un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃) amino ou carboxy); un groupe α -amino(alkyle en C_1 - C_4) choisi parmi les groupes aminométhyle, α -aminoéthyle, $\alpha\text{-aminopropyle ou }\alpha\text{-aminobutyle ; un groupe carboxy(alkyle en }C_2\text{-}C_4\text{)}amino \text{ choisi parmi l'acide aminoacétique,}$ l'acide lpha-aminobutyrique ou l'acide lpha-aminopropionique et leurs isomères optiques ; un groupe (aralkyle en C_7 -Co)amino ; un groupe (alcoxy en C1-C4)carbonylamino-alkyle en C1-C4 substitué, la substitution étant choisie parmi les groupes phényle ou p-hydroxyphényle; un groupe α-hydroxy(alkyle en C₁-C₃) choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxy-1-méthyléthyle ou α -hydroxypropyle; un groupe halogéno(alkyle en C₁-C₂) : un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cing chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

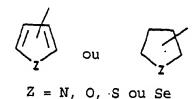
$$\mathcal{L}_{\mathbf{Z}}^{\mathbf{1}}$$
 ou $\mathcal{L}_{\mathbf{Z}}^{\mathbf{1}}$

$$Z ou Z^1 = N, O, S ou Se$$

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno (alkylé en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C_3 - C_6)-carbonyle, aroyle en C_6 - C_{10} choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C_6 - C_{10} à substitution halogéno, (alkyle en C_1 - C_4)benzoyle ou (hétérocycle)-carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z$$
 ou $Z^1 = N, O, S$ ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno (alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle) ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy

chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C_1 - C_4)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié, butoxycarbonyle linéaire ou ramifié ou allyloxycarbonyle ; un groupe vinyle ou vinyle substitué [substitution choisie parmi les groupes alkyle en C_1 - C_3 , halogéno, aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle, β -naphtyle, aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3) amino ou carboxy), halogéno(alkyle en C_1 - C_3), un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$z = N$$
, O, S ou Se

un groupe alcoxy en C_1 - C_4 ; un groupe aryloxy en C_6 choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C_1 - C_4 , nitro, cyano, thiol, amino, carboxy, di(alkyle en C_1 - C_3) amino); un groupe aralkyloxy en C_7 - C_{10} ; un groupe vinyloxy ou vinyloxy substitué (substitution choisie parmi les groupes alkyle en C_1 - C_4 , cyano, carboxy ou aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle); un groupe R^aR^b amino(alcoxy en C_1 - C_4), où R^aR^b est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est $(CH_2)_n$, n=2 à 6, ou - $(CH_2)_2W(CH_2)_2$ - où W est choisi parmi les groupes -N(alkyle en C_1 - C_3), O ou S; ou un groupe R^aR^b aminoxy, où R^aR^b est un groupe alkyle en R^aR^b 0 est un groupe R^aR^b 0 est un groupe R^aR^b 1-groupe ou bien R^aR^b 2-groupe ou bien R^aR^b 3-groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle ou bien R^aR^b 3-groupes méthyle, éthyle, n-propyle, 1-méthylethyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle ou bien R^aR^b 3-groupes méthyle, éthyle, n-propyle, 1-méthylethyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle ou bien R^aR^b 3-groupes méthyle, ethyle, n-propyle, 1-méthylethyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle ou bien R^aR^b 3-groupes méthyle, ethyle, n-propyle, 1-méthylethyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle ou bien R^aR^b 3-groupes méthyle, ethyle, n-propyle, 1-méthylethyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle ou bien R^aR^b 3-groupes méthyle, ethyle, n-propyle, 1-méthylpropyle, 1-méthylprop

 R^4 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C_1 - C_6)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle; un groupe

pe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3) nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3) amino ou carboxy) ; un groupe acyloxy ou halogénoacyloxy choisi parmi les groupes acétyle, propionyle, chloroacétyle, trichloroacétyle, (cycloalkyle en C_3 - C_6)carbonyle, aroyle en C_6 - C_{10} choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C_6 - C_{10} à substitution halogéno, (alkyle en C_1 - C_4)benzoyle, ou (hétérocycle)carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

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Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$\downarrow z'$$
 ou $\downarrow z'$

Z ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno (alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe alcoxy en C_1 - C_4 ; un groupe aryloxy en C_6 choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C_1 - C_4 , nitro, cyano, thiol, amino, carboxy, di(alkyle en C_1 - C_3)amino) ; un groupe RaRbamino-(alcoxy en C_1 - C_4), où RaRb est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle; ou bien RaRb est $(CH_2)_n$, n = 2 à 6, ou - $(CH_2)_2$ W($CH_2)_2$ - où W est choisi parmi les groupes -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1 - C_3], O ou S; ou un groupe RaRbaminoxy, où RaRb est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle,

éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est $(CH_2)_n$, n=2 à 6, ou $-(CH_2)_2W(CH_2)_2$ - où W est choisi parmi les groupes -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1 - C_3], O ou S; un groupe alkylthio en C_1 - C_3 choisi parmi les groupes méthylthio, éthylthio, propylthio ou allylthio; un groupe arylthio en C_6 choisi parmi les groupes phénylthio ou phénylthio substitué (substitution choisie parmi les groupes halogéno, alkyle en C_1 - C_4 , nitro, cyano, thiol, amino, carboxy, di(alkyle en C_1 - C_3)amino); un groupe (aryle en C_6)sulfonyle choisi parmi les groupes phénylsulfonyle ou phénylsulfonyle substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy); un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido:

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Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$z^1$$
 ou z^1

 $Z ou Z^1 = N$, O. Sou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupé aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe hydroxyle ; un groupe α -hydroxyalkyle en C_1 - C_3 choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxypropyle ; un groupe halogéno(alkyle en C_1 - C_3) ; un groupe acyle ou halogénoacyle

choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C_3 - C_6)carbonyle, aroyle en C_6 - C_{10} choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C_6 - C_{10} à substitution halogéno, (alkyle en C_1 - C_4)benzoyle ou (hétérocycle)carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

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Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$z^1$$
 ou z^1

Z ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle. en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C_1 - C_4)carbonylamino choisi parmi les groupes tert-butoxycarbonylamino, allyloxycarbonylamino, méthoxycarbonylamino, éthoxycarbonylamino ou propoxycarbonylamino ; et, lorsque $R = R^4$ (CH_2)_n SO_2 - et n = 0,

R^{4'} est choisi parmi un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle en C₁-C₆ linéaire ou ramifié)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl) amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ;

un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4) carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z$$
 ou $Z^1 = N$, Q , S ou Se

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ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle) ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six

chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; et, lorsque $R = R^4 (CH_2)_n SO_2$ - et n = 1 à 4,

 $R^{4'}$ est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C_1 - C_6)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)-amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe R^aR^b amino(alcoxy en C_1 - C_4), où R^aR^b est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est $(CH_2)_n$, n=2 à 6, ou - $(CH_2)_2$ W $(CH_2)_2$ - où W est choisi parmi les groupes -N(alkyle

en C_1 - C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1 - C_3], O ou S ; ou un groupe RaRbaminoxy, où RaRb est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien RaRb est $(CH_2)_n$, n = 2 à 6, ou - $(CH_2)_2$ W $(CH_2)_2$ - où W est choisi parmi les groupes -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1 - C_3], O ou S ;

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 R^5 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un' groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aralkyle en C_7 - C_9 ; un groupé hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z$$
 ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno (alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou $(CH_2)_nCOOR^7$ où n=0 à 4 et R^7 est choisi parmi-un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ;

 R^6 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aralkyle en C_7 - C_9 ; un groupe hétérocyclique choisi parmi un cycle aroma-

tique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z$$
 ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou -(CH₂)_nCOOR⁷' où n = 0 à 4 et R⁷' est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; ou un groupe. aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; à condition que R⁵ et R⁶ ne soient pas tous deux de l'hydrogène ;

ou bien R^5 et R^6 , pris ensemble, représentent -(CH_2)₂W(CH_2)₂- où W est choisi parmi (CH_2)_n et n = 0 ou 1, -NH, -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -N(alcoxy en C_1 - C_4), un atome d'oxygène, un atome de soufre ou des congénères substitués choisis parmi la (L ou D)-proline, le (L ou D)-prolinate d'éthyle, la morpholine, la pyrrolidine ou la pipéridine ; et les sels organiques et minéraux ou complexes métalliques pharmacologiquement acceptables.

4. Procédé selon la revendication 1, dans lequel :

X est choisi parmi un groupe amino, NR¹R², ou un atome d'halogène ; l'atome d'halogène est choisi parmi le brome, le chlore, le fluor ou l'iode ;

et, lorsque X = NR¹R² et R¹ = hydrogène,

 $R^2 = \text{m\'ethyle}$, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle;

et, lorsque R1 = méthyle ou éthyle,

 R^2 = méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle;

· R est choisi parmi R4(CH₂)_nCO- ou R4'(CH₂)_nSO₂-;

et, lorsque $R = R^4(CH_2)_nCO$ - et n = 0,

R⁴ est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C_1 - C_6)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)-amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle); un groupe alkyle en C_1 - C_2 linéaire ou ramifié choisi parmi les groupes méthyle ou éthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe carboxy(alkyle en C_2 - C_4)amino choisi parmi l'acide aminoacétique, l'acide α-aminobutyrique ou l'acide α-aminopropionique et leurs isomères optiques ; un groupe α-hydroxy(alkyle en C_1 - C_3) choisi parmi les groupes hydroxyméthyle, α-hydroxyéthyle ou α-hydroxy-1-méthyléthyle ou α-hydroxypropyle ; un groupe halogéno(alkyle en C_1 - C_3) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

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$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

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$$\downarrow \downarrow z^1$$
 ou $\downarrow z^1$

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$$Z$$
 ou $Z^1 = N$, O, S ou Se

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ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

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(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno (alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C_1 - C_4)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié, butoxycarbonyle linéaire ou ramifié ou allyloxycarbonyle ; un groupe vinyle ou vinyle substitué [substitution choisie parmi les groupes alkyle en C_1 - C_3 , halogéno, aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle, aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3) un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

un groupe alcoxy en C_1 - C_4 ; un groupe aryloxy en C_6 choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C_1 - C_4 , nitro, cyano, thiol, amino, carboxy, di(alkyle en C_1 - C_3)amino); un groupe aralkyloxy en C_7 - C_{10} ; un groupe vinyloxy ou vinyloxy substitué (substitution choisie parmi les groupes alkyle en C_1 - C_4 , cyano, carboxy ou aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle); un groupe R^aR^b amino(alcoxy en C_1 - C_4), où R^aR^b est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est $(CH_2)_n$, n=2 à 6, ou - $(CH_2)_2$ W($CH_2)_2$ - où W est choisi parmi les groupes -N(alkyle en C_1 - C_3), O ou S; ou un groupe R^aR^b aminoxy, où R^aR^b est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle ou bien R^aR^b est $(CH_2)_n$, n=2 à 6, ou - $(CH_2)_2$ W($CH_2)_2$ - où W est choisi parmi les groupes -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1 - C_3], O ou S; et, lorsque $R=R^4$ C(R_2) R_1 - R_2 - R_3 - R_3 - R_4 - R_4 - R_4 - R_5 -

 $\rm R^4$ est choisi parmi un atome d'hydrogène ; un groupe alkyle en $\rm C_1\text{-}C_4$ choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthylethyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en $\rm C_1\text{-}C_6$)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe aryle en $\rm C_6\text{-}C_{10}$ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en $\rm C_6\text{-}C_{10}$ substitué (substitution choisie parmi les groupes halogéno, alcoxy en $\rm C_1\text{-}C_4$, trihalogéno(alkyle en $\rm C_1\text{-}C_3$), nitro, amino, cyano, (alcoxy en $\rm C_1\text{-}C_4$)carbonyle, (alkyle en $\rm C_1\text{-}C_3$)

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amino ou carboxy) ; un groupe acyloxy ou halogénoacyloxy choisi parmi les groupes acétyle, propionyle, chloroacétyle, trichloroacétyle, (cycloalkyle en C_3 - C_6)carbonyle, aroyle en C_6 - C_{10} choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C_6 - C_{10} à substitution halogéno, (alkyle en C_1 - C_4)benzoyle, ou (hétérocycle)-carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

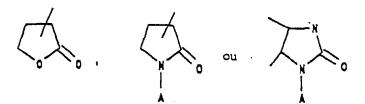
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$z^1$$
 ou z^1

Z ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe alcoxy en C_1 - C_4 ; un groupe R^aR^b amino(alcoxy en C_1 - C_4), où R^aR^b est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle ou 2-méthylpropyle ou bien R^aR^b est $(CH_2)_n$, n=2 à 6, ou - $(CH_2)_2W(CH_2)_2$ - où W est choisi parmi les groupes -N(alkyle en C_1 - C_3), O ou S; ou un groupe R^aR^b aminoxy, où R^aR^b est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthylethyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est $(CH_2)_n$, n=2 à 6, ou - $(CH_2)_2W(CH_2)_2$ - où W est choisi parmi les groupes -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1 - C_3], O ou S; un groupe α -hydroxyalkyle en C_1 - C_3 choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxy-1-méthyléthyle ou α -hydroxypropyle; un groupe halogéno(alkyle en C_1 - C_3); un groupe (alcoxy en C_1 - C_4)-carbonylamino choisi parmi

les groupes *tert*-butoxycarbonylamino, allyloxycarbonylamino, méthoxycarbonylamino, éthoxycarbonylamino ou propoxycarbonylamino;

et, lorsque $R = R^4'(CH_2)_nSO_2$ - et n = 0,

 R^4 ' est choisi parmi un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle en C_1 - C_6 linéaire ou ramifié)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C_1 - C_2 linéaire'ou ramifié choisi parmi les groupes méthyle ou éthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

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$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

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$$\sum_{z}^{z}$$
 ou \sum_{z}^{z}

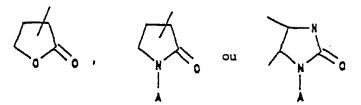
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$$Z$$
 ou $Z^1 = N$, O , S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

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(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; et, lorsque $R = R^4$ (CH_2)_n SO_2 - et n = 1 à 4,

R^{4'} est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₂ linéaire ou ramifié choisi parmi les groupes méthyle ou éthyle;

R5 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C1-C3 linéaire ou ramifié choisi parmi les

groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aralkyle en C_7 - C_9 ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z$$
 ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno (alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4) carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent; ou - $(CH_2)_nCOOR^7$ où n = 0 à 4 et R^7 est choisi parmi un atome d'hydrogène; un groupe alkyle en C_1-C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle; un groupe aryle en C_6-C_{10} choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle;

 R^6 est choisi parmi un atome d'hydrogène; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle; un groupe aralkyle en C_7 - C_9 ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé

un noyau benzo ou pyrido:

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$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

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ou

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$$Z$$
 ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

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, o

ou



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(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno (alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou $(CH_2)_nCOOR^7$ où n=0 à 4 et R^7 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; ou un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; à condition que R^5 et R^6 ne soient pas tous deux de l'hydrogène ;

ou bien R^5 et R^6 , pris ensemble, représentent -(CH_2)₂W(CH_2)₂- où W est choisi parmi (CH_2)_n et n = 0 ou 1, -NH, -N(alkyle en C_1 - C_3) [linéaire ou ramifié] , -N(alcoxy en C_1 - C_4), un atome d'oxygène, un atome de soufre ,ou des congénères substitués choisis parmi la (L ou D)-proline, le (L ou D)-prolinate d'éthyle, la morpholine, la pyrrolidine ou la pipéridine ; et les sels organiques et minéraux ou complexes métalliques pharmacologiquement acceptables.

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5. Procédé selon la revendication 1, dans lequel :

X est choisi parmi un groupe amino, NR¹R², ou un atome d'halogène ; l'atome d'halogène est choisi parmi le brome, le chlore, le fluor ou l'iode ;

et, lorsque $X = NR^1R^2$ et $R^1 = méthyle$ ou éthyle,

 R^2 = méthyle ou éthyle,

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R est choisi parmi R4(CH₂)_nCO- ou R4'(CH₂)_nSO₂-;

et, lorsque $R = R^4(CH_2)_nCO$ - et n = 0,

R⁴ est choisi parmi un atome d'hydrogêne ; un groupe alkyle en C_1 - C_2 linéaire ou ramifié choisi parmi les groupes méthyle ou éthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , nitro, àmino ou (alcoxy en C_1 - C_2)carbonyle) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O ou S et auquel est facultativement condensé un noyau benzo ou pyrido :



Z = N, O ou S

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O ou S et auquel est facultativement condensé un noyau benzo ou pyrido :

Z = N, O ou S

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O ou S et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_2 linéaire ou ramifié ; aryle en C_6) ; un groupe (alcoxy en C_1 - C_4)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié ou allyloxycarbonyle ; un groupe vinyle ou vinyle substitué [substitution choisie parmi les groupes alkyle en C_1 - C_2 , aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle, β -naphtyle, aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , (alcoxy en C_1 - C_4)carbonyle, halogéno(alkyle en C_1 - C_3)] ; un groupe alcoxy en C_1 - C_4 ; un groupe aryloxy en C_6 choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C_1 - C_4) ; un groupe aralkyloxy en C_7 - C_{10} ; un groupe vinyloxy ou vinyloxy substitué (substitution choisie parmi les groupes alkyle en C_1 - C_2); un groupe C_1 - C_2 0; un groupe C_1 - C_2 1 inéaire ou ramifié choisi parmi les groupes méthyle, éthyle, C_1 -ropoyle, 1-méthyléthyle, C_2 -butyle; ou un groupe

 R^aR^b aminoxy où R^aR^b est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle; et, lorsque $R = R^4(CH_2)_nCO$ - et n = 1 à 4,

R4 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C1-C2 choisi parmi les groupes méthyle ou éthyle ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C1-C6)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle ou 1-(1,2,3-triazolyle); un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C1-C4, nitro, amino, (alcoxy en C1-C4)-carbonyle); un groupe acyloxy ou halogénoacyloxy choisi parmi les groupes acétyle, propionyle, chloroacétyle; un groupe alcoxy en C1-C4; un groupe RaRbamino(alcoxy en C1-C4) où RaRb est un groupe alkyle en C1-C4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien RaRb est (CH₂)_n, n=2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1 - C_3], O ou S ; ou un groupe R^aR^b aminoxy, où RaRb est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est $(CH_2)_n$, n=2 à 6, ou $-(CH_2)_2W$ (CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; un groupe halogéno(alkyle en C₁-C₃) ; un groupe (alcoxy en C1-C4)carbonylamino choisi parmi les groupes tert-butoxycarbonylamino, allyloxycarbonylamino, méthoxycarbonylamino, éthoxycarbonylamino ou propoxycarbonylamino; et, lorsque $R = R^{4'} (CH_2)_n SO_2$ - et n = 0,

R4' est choisi parmi un groupe alkyle en C_1 - C_2 linéaire, ou ramifié choisi parmi les groupes méthyle ou éthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , nitro, (alcoxy en C_1 - C_4)-carbonyle ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O ou S et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, 0 ou S

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O ou S et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z^1$$
 ou Z^1

$$Z ou Z^1 = N, O ou S$$

et, lorsque $R = R^{4'} (CH_2)_n SO_2$ - et n = 1 à 4,

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R^{4'} est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₂ linéaire ou ramifié choisi parmi les groupes méthyle ou éthyle ;

 R^5 est choisi parmi un atome d'hydrogène ; un. groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ;

 R^6 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; à condition que R^5 et R^6 ne soient pas tous deux de l'hydrogène ;

ou bien R^5 et R^6 , pris ensemble, représentent - $(CH_2)_2W(CH_2)_2$ - où W est choisi parmi $(CH_2)_n$ et n=0 ou 1, -NH, -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -N(alcoxy en C_1 - C_4), un atome d'oxygène, un atome de soufre ou des congénères substitués choisis parmi la (L ou D)-proline, le (L ou D)-prolinate d'éthyle, la morpholine, la pyrrolidine ou la pipéridine ; et les sels organiques et minéraux ou complexes métalliques pharmacologiquement acceptables.

6. Procédé de production d'un composé de formule :

dans laquelle:

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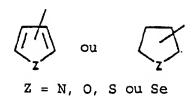
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Y est NO2;

R est choisi parmi $R^4(CH_2)_nCO$ - ou $R^{4'}(CH_2)_nSO_2$ -; et, lorsque $R = R^4(CH_2)_nCO$ - et n = 0,

R4 est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C₁-C₆)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle); un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, npropyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle; un groupe cycloalkyle en C₃-C₆ choisi parmi les groupes cyclopropyle, cyclobutyle, cyclopentyle ou cyclohexyle; un groupe cycloalkyle en C_3 - C_6 substitué (substitution choisie parmi les groupes alkyle en C_1 - C_3 , cyano, amino ou acyle en C_1 - C_3); un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C1-C4, trihalogéno(alkyle en C1-C3), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy); un groupe aralkyle en C₇-C₉ choisi parmi un groupe benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle; un groupe α-amino(alkyle en C_1 - C_4) choisi parmi les groupes aminométhyle, α -aminoéthyle, α -aminopropyle ou α -aminobutyle; un groupe carboxy(alkyle en C₂-C₄)amino choisi parmi l'acide aminoacétique, l'acide α-aminobutyrique ou l'acide α-aminopropionique et leurs isomères optiques ; un groupe (aralkyle en C7-C9)amino ; un groupe (alcoxy en C1-C4) carbonylamino-alkyle en $extstyle{C}_1 extstyle{C}_4$ substitué, la substitution étant choisie parmi les groupes phényle ou phydroxyphényle; un groupe α -hydroxy(alkyle en C_1 - C_3) choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α-hydroxy-1-méthyléthyle ou α-hydroxypropyle ; un groupe α-mercapto(alkyle en C₁-C₂) choisi parmi les groupes mercaptométhyle, α -mercaptoéthyle, α -mercapto-1-méthyléthyle ou α -mercaptopropyle ; un groupe halogéno (alkyle en C₁-C₃); un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno (alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C_3 - carbonyle, aroyle en C_6 - C_{10} choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C_6 - C_{10} à substitution halogéno, (alkyle en C_1 - C_4)benzoyle ou (hétérocycle)-carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z^1$$
 ou Z^1

$$Z_{0}u Z^{1} = N, O, Sou Se$$

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

no(alkyle en C₁-C₃), un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant

un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido:

$$Z = N$$
, O, S ou Se

un groupe alcoxy en C_1 - C_4 ; un groupe aryloxy en C_6 choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C_1 - C_4 , nitro, cyano, thiol, amino, carboxy, di(alkyle en C_1 - C_3)amino); un groupe aralkyloxy en C_7 - C_{10} ; un groupe vinyloxy ou vinyloxy substitué (substitution choisie

parmi les groupes alkyle en C_1 - C_4 , cyano, carboxy ou aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle); un groupe RaRbamino(alcoxy en C_1 - C_4), où RaRb est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle ou bien RaRb est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1 - C_3], O ou S; ou un groupe RaRbaminoxy, où RaRb est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle, ou bien RaRb est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1 - C_3], O ou S;

et, lorsque $R = R^4(CH_2)_nCO$ - et n = 1 à 4,

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R⁴ est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthylethyle, n-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle ; un groupe cycloalkyle en C_3 - C_6 choisi parmi les groupes cyclopropyle, cyclobutyle, cyclopentyle ou cyclohexyle ; un groupe cycloalkyle en C_3 - C_6 substitué (substitution choisie parmi les groupes alkyle en C_1 - C_3 , cyano, amino ou acyle en C_1 - C_3) ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3) amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 ; un groupe acyloxy ou halogénoacyloxy choisi parmi les groupes acétyle, propionyle, chloroacétyle, trichloroacétyle, (cycloalkyle en C_3 - C_6)carbonle, aroyle en C_6 - C_{10} choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C_6 - C_{10} à substitution halogéno, (alkyle en C_1 - C_4)benzoyle, ou (hétérocycle)-carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z^1$$
 ou Z^1

Z ou $Z^1 = N$, O, S ou Se

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe alcoxy en C_1 - C_4 ; un groupe aryloxy en C_6 choisi parmi les groupes.phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C_1 - C_4 , nitro, cyano, thiol, amino, carboxy, di(alkyle en C_1 - C_3)amino) ; un groupe aralkyloxy en C_7 - C_{10} ; un groupe alkylthio en C_1 - C_3 choisi parmi les groupes méthylthio, éthylthio, propylthio ou allylthio ; un groupe arylthio en C_6 choisi parmi les groupes phénylthio ou phénylthio substitué (substitution choisie parmi les groupes halogéno, alkyle en C_1 - C_4 , nitro, cyano, thiol, amino, carboxy, di(alkyle en C_1 - C_3)amino); un groupe arylsulfonyle en C_6 choisi parmi les groupes phénylsulfonyle ou phénylsulfonyle substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3); nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy); un groupe aralkylthio en C_7 - C_8 ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido:

$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$z^1$$
 ou z^1

$$Z$$
 ou $Z^1 = N$, O, S ou Se

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe hydroxyle ; un groupe mercapto ; un groupe mono- ou di(alkyle en C_1 - C_6 à chaîne linéaire ou ramifiée)amino choisi parmi les groupes méthyl-, éthyl-, n-propyl-, 1-méthyléthyl-, n-butyl-, 1-méthylpropyl-, 2-méthylpropyl-, 1,1-diméthyléthyl-, 2-méthylbutyle, 1,1-diméthylpropyl-, 2,2-diméthylpropyl-, 3-méthylbutyl-, n-hexyl-, 1-méthylpentyl-, 1,1-diméthylbutyl-, 2,2-diméthylbutyl-, 2,2-diméthylbutyl-, 1,3-diméthylbutyl- ou 1-méthyl-1-éthylpropylamino ; un groupe azacycloalkyle en C_2 - C_5 ; -un groupe carboxy(alkyle en C_2 - C_4)amino choisi parmi l'acide aminoacétique, l'acide . α -aminopropionique, l'acide α -aminobutyrique et leurs isomères optiques ; un groupe α -hydroxyalkyle en C_1 - C_3 choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxy-1-méthyléthyle ou α -hydroxypropyle ; un groupe halogéno(alkyle en C_1 - C_3) ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C_3 - C_6)carbonyle, aroyle en C_6 - C_{10} choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C_6 - C_{10} à substitution halogéno, (alkyle en C_1 - C_4)benzoyle, ou (hétérocycle)carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z$$
 ou $Z^1 = N$, O, S ou Se

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonylet (alkyle en C₁-C₃)amino

ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

 R^4 ' est choisi parmi un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle en C_1 - C_6 linéaire ou ramifié)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle ; un groupe cycloalkyle en C_3 - C_6 choisi parmi les groupes cyclopropyle, cyclobutyle, cyclopentyle ou cyclohexyle ; un groupe cycloalkyle en C_3 - C_6 substitué (substitution choisie parmi les groupes alkyle en C_1 - C_3 , cyano, amino ou acyle en C_1 - C_3) ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 ; un groupe halogéno (alkyle en C_1 - C_3); un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$z^1$$
 ou $z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

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(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substituté (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle) ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe R^aR^b -amino(alcoxy en C_1 - C_4), où R^aR^b est un groupe alkyle en R^aR^b -amino(alcoxy en R^aR^b -amino), 1-méthylethyle, R^aR^b -amino(alcoxy en R^aR^b -amino), 2-méthylethyle, 2-où W est choisi parmi les groupes -N(alkyle en R^aR^b -amino), 2-méthylethyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b -amino, 2-a à 6, ou -(R^aR^b -amino), 3-a cu un groupe alkyle en R^aR^b -amino, 3-a cu un groupe alkyle, 1-méthylpropyle, 3-a cu un groupe méthyle, 3-a cu un groupe alkyle, 3-a cu un groupe alkyle en R^aR^b -amino, 3-a cu un groupe alkyle, 3-a cu un groupe alkyle, 3-a cu un groupe alkyle, 3-a cu un groupe alkyle en R^aR^b -amino, 3-a cu un groupe alkyle, 3-a cu un groupe alkyle en R^aR^b -amino, 3-a cu un groupe alkyle, 3-a cu un groupe alkyle en R^aR^b -amino, 3-a cu un groupe alkyle en R^aR^b -amino,

et, lorsque $R = R^{4'}(CH_2)_nSO_2$ - et n = 1 à 4, R4' est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle ; un groupe carboxyalkyle en C_1 - C_4 ; un groupe cycloalkyle en C_3 - C_6 choisi parmi les groupes cyclopropyle, cyclobutyle, cyclopentyle ou cyclohexyle; un groupe cycloalkyle en C₃-C₆ substitué (substitution choisie parmi les groupes alkyle en C_1 - C_3 , cyano, amino ou acyle en C_1 - C_3); un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁- C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy); un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle ; un groupe alcoxy en C_1 - C_4 ; un groupe aryloxy en C_6 choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₃, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino); un groupe aralkyloxy en C₇-C₁₀ un groupe RaRbamino(alcoxy en C₁-C₄), où RaRb est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est $(CH_2)_n$, n = 2 à 6, ou -(CH₂)₂W(CH₂)_n- où W est choisi parmi un groupe -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1 - C_3], O ou S; ou un groupe R^aR^b aminoxy, où RaRb est un groupe alkyle en C1-C4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-methylpropyle, ou bien RaRb est (CH2)n, n = 2 à 6, ou -(CH2)2W (CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB (B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C1-C3], O ou S; un groupe alkylthio en C1-C3 choisi parmi les groupes méthylthio, éthylthio ou n-propylthio; un groupe arylthio en C6 choisi parmi les groupes phénylthio ou phénylthio substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₃, nitro, cyano, thiol, amino,

carboxy, di(alkyle en C_1 - C_3)amino); un groupe aralkylthio en C_7 - C_8 ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons, ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido:

$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$z^1$$
 ou z^2

$$Z$$
 ou $Z^1 = N$, 0, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle) ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe hydroxyle, un groupe mercapto ; un groupe mono- ou di(alkyle en C_1 - C_6 linéaire ou ramifié)amino choisi parmi les groupes

un groupe mercapto ; un groupe mono- ou di(alkyle en C_1 - C_6 linéaire ou ramifié)amino choisi parmi les groupes méthyl-, éthyl-, n-propyl-, 1-méthyléthyl-, n-butyl-, 1-méthylpropyl-, 2-méthylpropyl-, 1,1-diméthylethyl-, 2-méthylpropyl-, 1,1-diméthylpropyl-, 1,1-diméthylpropyl-, 1,2-diméthylbutyl-, n-hexyl-, 1-méthylpentyl-, 1,1-diméthylpropyl-amino ; un groupe halogéno(alkyle en C_1 - C_3) ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C_3 - C_6)-carbonyle, aroyle en C_6 - C_{10} choisi' parmi les groupes benzoyle ou naphtoyle, aroyle en C_6 - C_{10} à substitution halogéno, (alkyle en C_1 - C_4)benzoyle ou (hétérocycle)-carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome

N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

Z ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C₁-C₄)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié, allyloxycarbonyle ou butoxycarbonyle linéaire ou ramifié ;

 R^5 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthylé ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aralkyle en C_7 - C_9 ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

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$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$\downarrow$$
 ou \downarrow

$$Z ou Z^1 = N, O, S ou Se$$

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou -(CH_2) $_nCOOR^7$ où n=0 à 4 et R^7 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; ou un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ;

 R^6 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aralkyle en C_7 - C_9 ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



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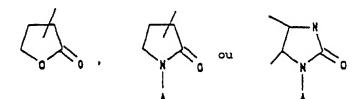
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$\sum_{z}^{z_1}$$
 ou $\sum_{z}^{z_1}$

 $Z ou Z^1 = N, O, S ou Se$

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent; ou -(CH_2)_n $COOR^7$ où n=0 à 4 et R^7 est choisi parmi un atome d'hydrogène; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle; ou un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle; à condition que R^5 et R^6 ne soient pas tous deux de l'hydrogène;

ou bien R^5 et R^6 , pris ensemble, représentent - $(CH_2)_2W(CH_2)_2$ - où W est choisi parmi $(CH_2)_n$ et n=0 ou 1, -NH, -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -N(alcoxy en C_1 - C_4), un atome d'oxygène, un atome de soufre ou des congénères substitués choisis parmi la (L ou D)-proline, le (L ou D)-prolinate d'éthyle, la morpholine, la pyrrolidine ou la pipéridine ; et les sels organiques et minéraux ou complexes métalliques pharmacologiquement acceptables ; ledit procédé comprenant l'une des étapes (d) ou (e) suivantes

(d) l'étape de production d'un composé de formule :

telle que définie ci-dessus, qui comprend la réaction d'une 9-(acyl- ou sulfonylamino)-6-déméthyl-6-désoxy-tétracycline de formule :

avec un nitrate métallique et un acide fort ; ou

(e) l'étape de production d'un composé de formule :

telle que définie ci-dessus, qui comprend la réaction d'un composé de formule :

avec l'acide nitrique et un acide fort.

7. Procédé selon la revendication 6, dans lequel

Y est NO2;

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R est choisi parmi $R^4(CH_2)_nCO$ - ou $R^4'(CH_2)_nSO_2$ -; et, lorsque $R = R^4(CH_2)_nCO$ - et n = 0,

R4 est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C₁-C₆)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle); un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, npropyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle; un groupe cycloalkyle en C3-C6 choisi parmi les groupes cyclopropyle, cyclobutyle, cyclopentyle ou cyclohexyle; un groupe cycloalkyle en C₃-C₆ substitué (substitution choisie parmi les groupes alkyle en C1-C3, cyano, amino ou acyle en C1-C3); un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy); un groupe α -amino(alkyle en C_1 - C_4) choisi parmi les groupes aminométhyle, α-aminoéthyle, α-aminopropyle ou α-aminobutyle; un groupe carboxy(alkyle en C2- C_4)amino choisi parmi l'acide aminoacétique, l'acide α -aminobutyrique ou l'acide α -aminopropionique et leurs isomères optiques ; un groupe (aralkyle en C7-C9)amino ; un groupe (alcoxy en C1-C4)carbonylamino-alkyle en C1-C4 substitué, la substitution étant choisie parmi les groupes phényle ou p-hydroxyphényle ; un groupe α-hydroxy(alkyle en C₁-C₃) choisi parmi les groupes hydroxyméthyle, α-hydroxyéthyle ou α-hydroxy-1-méthyléthyle ou α -hydroxypropyle ; un groupe halogéno(alkyle en C_1 - C_3) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido:

Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$z^1$$
 ou z^1 ou z^2 z^2 z^3 ou z^4

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(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno (alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle-ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C_3 - C_6)-carbonyle, aroyle en C_6 - C_{10} choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C_6 - C_{10} à substitution halogéno, (alkyle en C_1 - C_4)benzoyle ou (hétérocycle)-carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

25 ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$z^1$$
 ou z^1

$$Z$$
 ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno (alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino

ou carboxy); un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C_1 - C_4)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou

ramifié, butoxycarbonyle linéaire ou ramifié ou allyloxycarbonyle ; un groupe vinyle ou vinyle substitué [substitution choisie parmi les groupes alkyle en C_1 - C_3 , halogéno, aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle, β -naphtyle, aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno (alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3) amino ou carboxy), halogéno (alkyle en C_1 - C_3), un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z = N, O, S ou Se

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un groupe alcoxy en C_1 - C_4 ; un groupe aryloxy en C_6 choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C_1 - C_4 , nitro, cyano, thiol, amino, carboxy, di(alkyle en C_1 - C_3)amino); un groupe aralkyloxy en C_7 - C_{10} ; un groupe vinyloxy ou vinyloxy substitué (substitution choisie parmi les groupes alkyle en C_1 - C_4 , cyano, carboxy ou aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle); un groupe R^aR^b amino(alcoxy en C_1 - C_4), où R^aR^b est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH_2)_n, n=2 à 6, ou -(CH_2)₂W(CH_2)₂- où W est choisi parmi les groupes -N(alkyle en C_1 - C_3), O ou S; ou un groupe R^aR^b aminoxy, où R^aR^b est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH_2)_n, n=2 à 6, ou -(CH_2)₂W(CH_2)₂- où W est choisi parmi les groupes -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1 - C_3), O ou S; et, lorsque $R=R^4$ (CH_2)_n,CO- et n=1 à 4,

 R^4 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupés (alkyle linéaire ou ramifié en C_1 - C_6)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C_6 - C_{10} substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno (alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe acyloxy ou halogénoacyloxy choisi parmi les groupes acétyle, propionyle, chloroacétyle, trichloroacétyle, (cycloalkyle en C_3 - C_6)carbonyle, aroyle en C_6 - C_{10} choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C_6 - C_{10} à substitution halogéno, (alkyle en C_1 - C_4)benzoyle, ou (hétérocycle) carbonyle, le groupe hétérocyclique étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

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$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z^1$$
 ou Z^1

$$Z$$
 ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno (alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe alcoxy en C_1 - C_4 ; un groupe R^aR^b amino(alcoxy en C_1 - C_4), où R^aR^b est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est $(CH_2)_n$, n=2 à 6, ou - $(CH_2)_2W(CH_2)_2$ - où W est choisi parmi un groupe -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1 - C_3], O ou S; ou un groupe R^aR^b aminoxy, où R^aR^b est un groupe alkyle en R^aR^b 0 est un groupe méthyle, éthyle, R^a 0 est un groupe R^a 1 en R^a 2 est un groupe R^a 3 est un groupe R^a 4 est R^a 5 est R^a 6 est R^a 7 est R^a 8 est R^a 9 est un groupe R^a 9 est R^a 9 es

thiol, amino, carboxy, di(alkyle en C_1 - C_3)amino); un groupe arylsulfonyle en C_6 choisi parmi les groupes phénylsulfonyle ou phénylsulfonyle substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy); un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido:

Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z$$
 ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle) ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six

chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe hydroxyle ; un groupe α -hydroxy(alkyle en C_1 - C_3) choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxyropyle ; un groupe halogéno(alkyle en C_1 - C_3); un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C_3 - C_6)carbonyle, aroyle en C_6 - C_{10} choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C_6 - C_{10} à substitution halogéno, (alkyle en C_1 - C_4)benzoyle ou (hétérocycle)carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant'un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z^1$$
 ou Z^1

$$Z ou Z^1 = N, O, S ou Se$$

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

$$\sim$$
 ou \sim \sim ou \sim \sim ou

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent; un groupe (alcoxy en C_1 - C_4)carbonylamino choisi parmi les groupes *tert*-butoxycarbonylamino, allyloxycarbonylamino, méthoxycarbonylamino ou propoxycarbonylamino; et, lorsque $R = R^4$ (CH_2) R^2 0 R^2 0 et R^4 0 ou R^4 1 or R^4 1 or R^4 2 or R^4 3 or R^4 3 or R^4 4 or R^4 4 or R^4 5 or R^4 5 or R^4 6 or R^4 8 or R^4 8 or R^4 9 or R^4 8 or R^4 9 or R

 $R^{4'}$ est choisi parmi un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle en C_1 - C_6 linéaire ou ramifié)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe hétérocyclique

choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N, O, S ou Se$$

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z$$
 ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno (alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle) ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six

chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; et, lorsque R = R⁴ (CH₂)_nSO₂- et n = 1 à 4,

 $\rm R^4'$ est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en $\rm C_1\text{-}C_6)$ amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes dimethylamino, diéthylamino, éthyl(1-méthyléthyl)-amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en $\rm C_1\text{-}C_3$ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en $\rm C_6\text{-}C_{10}$ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en $\rm C_6\text{-}C_{10}$ substitué (substitution choisie parmi les groupes halogéno, alcoxy en $\rm C_1\text{-}C_4$, trihalogéno (alkyle en $\rm C_1\text{-}C_3$), nitro, amino, cyano, (alcoxy en $\rm C_1\text{-}C_4$) carbonyle, (alkyle en $\rm C_1\text{-}C_3$) amino ou carboxy) ; un groupe alcoxy en $\rm C_1\text{-}C_4$; un groupe aryloxy en $\rm C_6$ choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en $\rm C_1\text{-}C_4$, nitro, cyano, thiol, amino, carboxy, di(alkyle en $\rm C_1\text{-}C_3$) amino) ; un groupe aralkyloxy en $\rm C_7\text{-}C_{10}$; un groupe carboxy(alkyle en $\rm C_1\text{-}C_4$) ;

 R^5 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aralkyle en C_7 - C_9 ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

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Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z^1$$
 ou Z^1

Z ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno (alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou - $(CH_2)_nCOOR^7$ où n = 0 à 4 et R^7 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1-C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; ou un groupe aryle en C_6-C_{10} choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ;

 R^6 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aralkyle en C_7 - C_9 ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé

un noyau benzo ou pyrido:

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ou Ç

Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$z$$
 ou $z^1 = N$, z ou z

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy. en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou -(CH₂)_nCOOR⁷ où n = 0 à 4 et R^{7'} est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; ou un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; à condition que R⁵ et R⁶ ne soient pas tous deux de l'hydrogène ;

ou bien R^5 et R^6 , pris ensemble, représentent -(CH_2)₂W(CH_2)₂- où W est choisi parmi (CH_2)_n et n = 0 ou 1, -NH, -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -N(alcoxy en C_1 - C_4), un atome d'oxygène, un atome de soufre ou des congénères substitués choisis parmi la (L ou D)-proline, le (L ou D)-prolinate d'éthyle, la morpholine, la pyrrolidine ou la pipéridine ; et les sels organiques et minéraux ou complexes métalliques pharmacologiquement acceptables.

8. Procédé selon la revendication 6, dans lequel :

Y est NO₂;

R est choisi parmi R⁴(CH₂)_nCO- ou R⁴'(CH₂)_nSO₂-;

et, lorsque $R = R^4(CH_2)_nCO$ - et n = 0,

R4 est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi

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les groupes (alkyle linéaire ou ramifié en C1-C6)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl) - amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle); un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, npropyle ou 1-méthyléthyle ; un groupe cycloalkyle en ${\rm C_3\text{-}C_6}$ choisi parmi les groupes cyclopropyle, cyclobutyle, cyclopentyle ou cyclohexyle ; un groupe cycloalkyle en C₃-C₆ substitué (substitution choisie parmi les groupes alkyle en C₁-C₃, cyano, amino ou acyle en C₁-C₃) ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle; un groupe arylé en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃) amino ou carboxy); un groupe α -amino(alkyle en C_1 - C_4) choisi parmi les groupes aminométhyle, α -aminoéthyle, α -aminopropyle ou α -aminobutyle; un groupe carboxy(alkyle en C_2 - C_4)amino choisi parmi l'acide aminoacétique, l'acide α-aminobutyrique ou l'acide α-aminopropionique et leurs isomères optiques ; un groupe (aralkyle en C₇- C_9) amino ; un groupe (alcoxy en C_1 - C_4) carbonylamino-alkyle en C_1 - C_4 substitué, la substitution étant choisie parmi les groupes phényle ou p-hydroxyphényle ; un groupe α -hydroxy(alkyle en C_1 - C_3) choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxy-1-méthyléthyle ou α -hydroxypropyle ; un groupe halogéno(alkyle en C1-C3); un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$z^1$$
 ou z^1

$$Z ou Z^1 = N, O, S ou Se$$

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno (alkyle en C_1 - C_3), nitro,

amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy); un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome, O greffé adjacent ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C_3 - C_6) - carbonyle, aroyle en C_6 - C_{10} choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C_6 - C_{10} à substituant halogéno, (alkyle en C_1 - C_4)benzoyle ou (hétérocycle)-carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N, O, S ou Se$$

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z$$
 ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

$$\sqrt{\frac{1}{N}}$$
 ou $\sqrt{\frac{N}{N}}$ o

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno (alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C_1 - C_4)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié, butoxycarbonyle linéaire ou ramifié ou allyloxycarbonyle ; un groupe vinyle ou vinyle substitué [substitution choisie parmi les groupes alkyle en C_1 - C_3 , halogéno, aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle, aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3) un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z = N, O, S ou Se

1;

R⁴ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 choisi parmi les groupes méthyle, *n*-propyle ou 1-méthyléthyle ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C_1 - C_6)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphyle ou β-naphtyle ; un groupe aryle en C_6 - C_{10} substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno (alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe acyloxy ou halogénoacyloxy choisi parmi les groupes acétyle, propionyle, chloroacétyle, trichloroacétyle, (cycloalkyle en C_3 - C_6)carbonyle, aroyle en C_6 - C_{10} choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C_6 - C_{10} à substitution halogéno, (alkyle en C_1 - C_4)benzoyle, ou (hétérocycle)carbonyle, le groupe hétérocyclique étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

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$$Z$$
 ou $Z^1 = N$, O, S ou Se

 ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe alcoxy en C₁-C₄; un groupe aryloxy en C₆ choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C1-C4, nitro, cyano, thiol, amino, carboxy, di(alkyle en C1-C3)amino); un groupe RaRbamino-(alcoxy en C1-C4), où RaRb est un groupe alkyle en C1-C4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien RaRb est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi un groupe -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; ou un groupe RaR $^{
m haminoxy}$, où Ra $^{
m he}$ est un groupe alkyle en C $_1$ -C $_4$ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est $(CH_2)_n$, n=2 à 6, ou $-(CH_2)_2W(CH_2)_2$ - où W est choisi parmi un groupe N(alkyle en C_1 - C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; un groupe alkylthio en C₁-C₃ choisi parmi les groupes méthylthio, éthylthio, propylthio ou allylthio; un groupe arylthio en C_6 choisi parmi les groupes phénylthio ou phénylthio substitué (substitution choisie parmi les groupes halogéno, alkyle en C1-C4, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino); un groupe arylsulfonyle en C₆ choisi parmi les groupes phénylsulfonyle ou phénylsulfonyle substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄) carbonyle, (alkyle en C₁-C₃)amino ou carboxy); un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéro-atome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement

condensé un noyau benzo ou pyrido :

$$\sum_{z}^{z^{1}}$$
 ou $\sum_{z}^{z^{1}}$

Z ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéro-atomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en \dot{C}_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe, aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle).

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe hydroxyle ; un groupe α -hydroxy(alkyle en C_1 - C_3) choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxypropyle ; un groupe halogéno(alkyle en C_1 - C_3) ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C_3 - C_6)carbonyle, aroyle en C_6 - C_{10} choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C_6 - C_{10} à substitution halogéno, (alkyle en C_1 - C_4)benzoyle ou (hétérocycle)carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$z^1$$
 ou z^1

$$Z ou Z^1 = N : O . S ou Se$$

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois' hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C_1 - C_4) carbonylamino choisi parmi les groupes *tert*-butoxycarbonylamino, allyloxycarbonylamino, méthoxycarbonylamino ou propoxycarbonylamino ; et, lorsque $R = R^4$ (CH_2)_n C_2 - et C_1 et C_2 0 et C_3 0 et C_4 1 et C_4 2 et C_4 3 et C_4 3 et C_4 4 et C_4 4 et C_4 6 et C_4 6 et C_4 6 et C_4 6 et C_4 7 et C_4 8 et C_4 9 et C

 R^4 ' est choisi parmi un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle en C_1 - C_6 linéaire ou ramifié)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z$$
 ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle) ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; et, lorsque $R = R^4$ '(CH_2) $_nSO_2$ - et R = 1 à 4,

R4' est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C_1 - C_6)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl (1-méthyléthyl)-amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle); un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe RaPbamino(alcoxy en C_1 - C_4), où RaPb est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien RaPb est $(CH_2)_n$, n=2 à 6, ou $-(CH_2)_2W(CH_2)_2$ - où W est choisi parmi un groupe -N (alkyle en C_1 - C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien RaPb est $(CH_2)_n$, n=2 à 6, ou $-(CH_2)_2W(CH_2)_2$ - où W est choisi parmi. un groupe N(alkyle en C_1 - C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1 - C_3), O ou S ;

 R^5 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes, phényle, α-naphtyle ou β-naphtyle ; un groupe aralkyle en C_7 - C_9 ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$\downarrow$$
 ou \downarrow \uparrow \uparrow

$$Z ou Z^1 = N, O, S ou Se$$

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 . choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle) ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six

chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou - $(CH_2)_nCOOR^7$ où n = 0 à 4 et R^7 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; ou un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ;

 R^6 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aralkyle en C_7 - C_9 ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéro-atomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$z^1$$
 ou z^1

$$Z ou Z^1 = N, O, S ou Se$$

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

$$\bigcap_{0}^{N}$$
 ou \bigcap_{0}^{N}

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou -(CH₂)_nCOOR^{7'} où n = 0 à 4 et R^{7'} est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; ou un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; à condition que R⁵ et R⁶ ne soient pas tous deux de l'hydrogène ;

ou bien R^5 et R^6 , pris ensemble, représentent - $(CH_2)_2W(CH_2)_2$ - où W est choisi parmi $(CH_2)_n$ et n=0 ou 1, -NH, -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -N(alcoxy en C_1 - C_4), un atome d'oxygène, un atome de soufre ou des congénères substitués choisis parmi la (L ou D)-proline, le (L ou D)-prolinate d'éthyle, la morpholine, la pyrrolidine ou la pi'péridine'; et les sels organiques et minéraux ou complexes métalliques pharmacologiquement acceptables.

9. Procédé selon la revendication 6, dans lequel :

Y est NO₂;

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R est choisi parmi $R^4(CH_2)_nCO$ - ou $R^4'(CH_2)_nSO_2$ -; et, lorsque $R = R^4(CH_2)_nCO$ - et n = 0,

R⁴ est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C_1 - C_6) amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl) amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle); un groupe alkyle en C_1 - C_2 linéaire ou ramifié choisi parmi les groupes méthyle ou éthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe carboxy(alkyle en C_2 - C_4)amino choisi parmi l'acide aminoacétique, l'acide α-aminobutyrique ou l'acide α-aminopropionique et leurs isomères optiques ; un groupe α-hydroxy(alkyle en C_1 - C_3) choisi parmi les groupes hydroxyméthyle, α-hydroxyéthyle ou α-hydroxy-1-méthyléthyle ou α-hydroxypropyle ; un groupe halogéno(alkyle en C_1 - C_3) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O; S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$\sum_{z}^{z^{1}}$$
 ou $\sum_{z}^{z^{1}}$

Z ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle) ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six

chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C_1 - C_4)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié, butoxycarbonyle linéaire ou ramifié ou allyloxycarbonyle ; un groupe vinyle ou vinyle substitué [substitution choisie parmi les groupes alkyle en C_1 - C_3 , halogéno, aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle, β -naphtyle, aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3) amino ou carboxy), halogéno(alkyle en C_1 - C_3), un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z = N, O, S ou Se

);

un groupe alcoxy en C_1 - C_4 ; un groupe aryloxy en C_6 choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C_1 - C_4 , nitro, cyano, thiol, amino, carboxy, di(alkyle en C_1 - C_3)amino); un groupe aralkyloxy en C_7 - C_{10} ; un groupe vinyloxy ou vinyloxy substitué (substitution choisie parmi les groupes alkyle en C_1 - C_4 , cyano, carboxy ou aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle); un groupe R^aR^b amino(alcoxy en C_1 - C_4), où R^aR^b est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est $(CH_2)_n$, n=2 à 6, ou - $(CH_2)_2W(CH_2)_2$ - où W est choisi parmi les groupes -N(alkyle en C_1 - C_3), O ou S; ou un groupe R^aR^b aminoxy, où R^aR^b est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthylethyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle ou bien R^aR^b est $(CH_2)_n$, n=2 à 6, ou - $(CH_2)_2W(CH_2)_2$ - où W est choisi parmi les groupes -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1 - C_3), O ou S; et, lorsque $R=R^4(CH_2)_nCO$ - et n=1 à 4,

R⁴ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C_1 - C_6)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3) amino ou carboxy) ; un groupe acyloxy ou halogénoacyloxy choisi parmi les groupes acétyle, propionyle, chloroacétyle, trichloroacétyle, (cycloalkyle en C_3 - C_6)carbonyle, aroyle en C_6 - C_{10} choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C_6 - C_{10} à substitution halogéno, (alkyle en C_1 - C_4)benzoyle, ou (hétérocycle)-carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z = N. O. Sou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z^1$$
 ou Z^1

$$Z ou Z^1 = N$$
, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe alcoxy en C_1 - C_4 ; un groupe R^aR^b amino(alcoxy en C_1 - C_4), ou R^aR^b est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH_2)_n, n=2 à 6., ou -(CH_2)₂ $W(CH_2$)₂- où W est choisi parmi un groupe -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1 - C_3], O ou S ; ou un groupe R^aR^b aminoxy, où R^aR^b est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH_2)_n, n=2 à 6, ou -(CH_2)₂ $W(CH_2$)₂- où CH_2 0 we st choisi parmi un groupe N(alkyle en C_1 - C_3 0 [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1 - C_3 1], O ou S ; un groupe C_1 - C_3 2 choisi parmi les groupes hydroxyméthyle, C_1 -hydroxyéthyle ou C_2 -hydroxy-1-méthyléthyle ou C_3 -hydroxypropyle ; un groupe halogéno(alkyle en C_1 - C_3 0 ; un groupe (alcoxy en C_1 - C_4) carbonylamino choisi parmi les groupes tert-butoxycarbonylamino, allyloxycarbonylamino, méthoxycarbonylamino, éthoxycarbonylamino ou propoxycarbonylamino; et C_1 - C_2 0 et C_1 - C_3 0 et C_1 - C_3 1 et C_1 - C_3 2 et C_1 - C_3 2 et C_1 - C_3 2 et C_1 - C_3 3.

R4' est choisi parmi un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle en C_1 - C_6 linéaire ou ramifié)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C_1 - C_2 linéaire ou ramifié choisi parmi les groupes méthyle ou éthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéro-atome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z$$
 ou $Z^1 = N$, Q , S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy); un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle) ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six

chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent; et, lorsque $R = R^4$ (CH₂)_nSO₂- et n = 1 à 4,

 R^4 ' est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_2 choisi parmi les groupes méthyle ou éthyle ;

 R^5 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aralkyle en C_7 - C_9 ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

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$$Z = N$$
, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z$$
 ou $Z^1 = N$, O , S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou -(CH_2) $_nCOOR^7$ où n=0 à 4 et R^7 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; ou un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ;

 R^6 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aralkyle en C_7 - C_9 ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

Z = N, O, S ou Se.

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

$$z'$$
 ou z'

Z ou $Z^1 = N$, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihatogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou -(CH_2)_n $COOR^7$ où n = 0 à 4 et R^7 ' est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; ou un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle à condition que R^5 et R^6 ne soient pas tous deux de l'hydrogène ;

ou bien R^5 et R^6 , pris ensemble, représentent - $(CH_2)_2W(CH_2)_2$ - où W est choisi parmi $(CH_2)_n$ et n=0 ou 1, -NH, -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -N(alcoxy en C_1 - C_4), un atome d'oxygène, un atome de soufre ou des congénères substitués choisis parmi la (L ou D)-proline, le (L ou D)-prolinate d'éthyle, la morpholine, la pyrrolidine ou la pipéridine ; et les sels organiques et minéraux ou complexes métalliques pharmacologiquement acceptables.

- 10. Procédé selon la revendication 6, dans lequel :
 - Y est NO₂;

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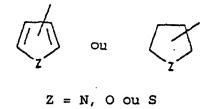
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R est choisi parmi R4(CH₂)_nCO- ou R4'(CH₂)_nSO₂-;

et, lorsque $R = R^4(CH_2)_nCO$ - et n = 0,

R4 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₂ linéaire ou ramifié choisi parmi les

groupes méthyle ou éthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C_6 - C_{10} substituté (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , nitro, amino ou (alcoxy en C_1 - C_2)carbonyle) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O ou S et auquel est facultativement condensé un noyau benzo ou pyrido :



ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O ou S et auquel est facultativement condensé un noyau benzo ou pyrido :

$$Z = N$$
, O ou S

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O ou S et un hétéroatome O greffé adjacent :

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_2 linéaire ou ramifié ; aryle en C_6) ; un groupe (alcoxy en C_1 - C_4)carbonyle choisi parmi lès groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié, butoxycarbonyle linéaire ou ramifié ou allyloxycarbonyle ; un groupe vinyle ou vinyle substitué [substitution choisie parmi les groupes alkyle en C_1 - C_2 , halogéno, aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle, aryle en C_6 - C_{10} substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , (alcoxy en C_1 - C_4)carbonyle, halogéno(alkyle en C_1 - C_3)] ; un groupe alcoxy en C_1 - C_4 ; un groupe aryloxy en C_6 choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C_1 - C_4) ; un groupe aralkyloxy en C_7 - C_{10} ; un groupe vinyloxy ou vinyloxy substitué (substitution choisie parmi les groupes alkyle en C_1 - C_2) ; un groupe R^a 0 amino(alcoxy en R^a 1 où R^a 2 est un groupe alkyle en R^a 3 inéaire ou ramifié choisi parmi les groupes méthyle, éthyle, R^a 4 paminoxy où R^a 5 est un groupe alkyle en R^a 5 est un groupe alkyle en R^a 6 est un groupe alkyle en R^a 7 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, R^a 8 est un groupe méthyle, R^a 9 est un groupe alkyle en R^a 9 est un groupe en R^a 9 est un groupe

 R^4 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_2 choisi parmi les groupes méthyle ou éthyle ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C_1 - C_6)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipé-

ridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle ou 1-(1,2,3-triazolyle); un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno; alcoxy en C_1 - C_4 , nitro, amino, (alcoxy en C_1 - C_4) carbonyle); un groupe acyloxy ou halogénoacyloxy choisi parmi les groupes acétyle, propionyle ou chloroacétyle; un groupe alcoxy en C_1 - C_4 ; un groupe R^aR^b amino (alcoxy en C_1 - C_4) où R^aR^b est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthylethyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH_2)_n, C_1 0 inéaire ou ramifié], -NH, NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1 - C_3 1, O ou S; ou un groupe R^aR^b aminoxy, où R^aR^b est un groupe alkyle en C_1 - C_4 1 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthylethyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH_2)_n, C_1 0 in C_2 1 in C_2 2 in C_1 2 in C_2 3 in groupe halogéno(alkyle en C_1 - C_3 3); un groupe (CH_2 2) C_1 2 où CH_2 3 est choisi parmi un groupe alkyle en C_1 - C_3 3. (linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1 - C_3 3. (linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1 - C_3 3. (linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1 - C_3 3. (linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1 - C_3 3. (linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1 - C_3 3. O ou S; un groupe halogéno(alkyle en C_1 - C_3 3); un groupe (alcoxy en C_1 - C_3 4)carbonylamino choisi parmi les groupes tert-butoxycarbonylamino, allyloxycarbonylamino, ethoxycarbonylamin

 R^4 ' est choisi parmi un groupe alkyle en C_1 - C_2 linéaire ou ramifié choisi parmi les groupes méthyle ou éthyle; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , nitro, (alcoxy en C_1 - C_4)-carbonyle); un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O ou S et auquel est facultativement condensé un noyau benzo ou pyrido:

Z = N, O ou S

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O ou S et auquel est facultativement condensé un noyau benzo ou pyrido

$$Z_{2}^{1}$$
 ou Z_{2}^{1}

 $Z ou Z^1 = N, O ou S$

et, lorsque $R = R^4'(CH_2)_nSO_2$ - et n = 1 à 4,

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 $R^{4'}$ est choisi parmi un atome d'hydrogène, un groupe alkyle en C_1 - C_2 linéaire ou ramifié choisi parmi un groupe méthyle ou éthyle ;

R⁵ est choisi parmi un atome d'hydrogène; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle;

R⁶ est choisi parmi un atome d'hydrogène, un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle; à condition que R⁵ et R⁶ ne soient pas tous deux de l'hydrogène;

ou bien R^5 et R^6 , pris ensemble, représentent - $(CH_2)_2W(CH_2)_2$ - où W est choisi parmi $(CH_2)_n$ et n=0 ou 1, -NH, -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -N(alcoxy en C_1 - C_4), un atome d'oxygène, un atome de soufre ou des congénères substitués choisis parmi la (L ou D)-proline, le (L ou D)-prolinate d'éthyle, la morpholine, la pyrrolidine ou la pipéridine ; et les sels organiques et minéraux ou complexes métalliques pharmacologiquement

acceptables.

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11. Procédé selon la revendication 1, pour la production de

- 5 [4S-(4α,12aα)]-4,7-bis(diméthylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétra-hydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - sulfate de [4S-(4α,12aα)]-4,7-bis(diméthylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - monochlorhydrate de [4S-(4α,12aα)]-4,7-bis(diméthylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octa-hydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - [4S-(4α,12aα)]-9-(acétylamino)-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétra-hydroxy-1,11-dioxo-2-naphtacènecarboxamide :
 - sulfate de [4S-(4α,12aα)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-9-[(trifluoroacétyl)amino]-2-naphtacènecarboxamide;
 - sulfate de [4S-(4α,12aα)]-7-(diéthylamino)-4-diméthylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octa-hydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide (2:1);
 - sulfate de [4S-(4α,12aα)]-9-(acétylamino)-7-(diéthylamino)-4-(diméthylamino)-1,4,4a,5,5a,6,11,12a-octa-hydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide (2:1);
 - $[4S-(4\alpha,12a\alpha)]$ -7-(diéthylamino)-4-(diméthylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - [4S-(4α,12anα)]-9-(acétylamino)-7-(diéthylamino)-4-(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - [4S-(4α,12aα)]-4-(diméthylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahy-droxy-7-iodo-1,11-dioxo-2-naphtacènecarboxamide;
 - sulfate de [4S-(4α,12aα)]-4-(diméthylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-7-iodo-1,11-dioxo-2-naphtacènecarboxamide :
 - [4S-(4a,12aa)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[(méthoxyacétyl)amino]-1,11-dioxo-2-naphtacènecarboxamide;
 - [4S-(4α,12aα)]-9-[(4-bromo-1-oxobutyl)amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - [4S-(4α,12aα)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-9-[(1-oxo-2-propényl)amino]-2-naphtacènecarboxamide;
 - sulfate de [4S-(4α,12aα)]-9-[[(acétyloxy)acétyl]amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octa-hydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - [4S-(4α, 12aα)]-9-(benzoylamino)-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - [4S-(4a,12aa)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[(4-mé-thoxybenzoyl)amino]-1,11-dioxo-2-naphtacènecarboxamide;
 - (4S-(4α,12aα)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[(2-méthylbenzoyl)amino]-1,11-dioxo-2-naphtacènecarboxamide;
 - $[4S-(4\alpha,12a\alpha)]-4,7$ -bis(diméthylamino)-9-[(2-fluorobenzoyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - chlorhydrate de [4S-(4α,12aα)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahy-droxy-9-[(pentafluorobenzoyl)amino]-1,11-dioxo-2-naphtacènecarboxamide;
 - [4S-(4α,12aα)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-9-[[3-(trifluorométhyl)benzoyl]amino]-2-naphtacènecarboxamide;
 - $[4S-(4\alpha, 12a\alpha)]-4,7$ -bis(diméthylamino)-9-[(2-furannylcarbonyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - [4S-(4α,12aα)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-9-[(2-thiénylcarbonyl)amino]-2-naphtacènecarboxamide;
 - [4S-(4α, 12aα)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[(4-ni-trobenzoyl)amino]-1,11-dioxo-2-naphtacènecarboxamide;
 - sulfate de [4S-(4α,12aα)]-9-[(4-aminobenzoyl)amino]-4,7-bis-diméthylamino)-1,4,4a,5,5a,6,11,12a-octa-hydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - [4S-(4α,12aα)]-4,7-bis(diméthylamino)-9-[[(4-diméthylamino)benzoyl]amino]-1,4,4a,5,5a,6,11,12a-octa-hydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - ester 1,1-diméthyléthylique d'acide [7S-(7α,10aα)]-[2-[[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,
 7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]amino]-2-oxoéthyl]carbamique;

- mono(trifluoroacétate) de [4S-(4α,12aα)]-9-[(aminoacétyl)amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a, 6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
- [4S-(4α,12aα)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-9-[(phénylsulfonyl)amino]-2-naphtacènecarboxamide;
- [4S-(4α,12aα)]-9-[[(4-chlorophényl)sulfonyl]amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-tétrahy-droxy-1,11-dioxo-2-naphtacènecarboxamide;

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- [4S-(4α,12aα)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[(3-nitro-phényl)sulfonyl]amino-1,11-dioxo-2-naphtacènecarboxamide;
- [4S-(4α,12aα)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[[(4-ni-trophényl)sulfonyl]amino]-1,11-dioxo-2-naphtacènecarboxamide;
- [4S-(4a,12aa)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-9-[(2-thiénylsulfonyl)amino]-2-naphtacènecarboxamide;
- [4S-(4α,12aα)]-9-[[2-(acétylamino)-4-méthyl-5-thiazolylsulfonyl]amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a, 6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
- [4S-(4α,12aα)]-4,7-bis(diméthylamino)-9-[(éthylsulfonyl)-amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - [4S-(4α,12aα)]-4,7-bis(diméthylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétra-hydroxy-1,11-dioxo-N-(1-pyrrolidinylméthyl)-2-naphtacènecarboxamide;
 - [4S-(4α,12aα)]-4,7-bis(diméthylamino-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[(méthanesulfonyl)amino]-1,11-dioxo-2-naphtacènecarboxamide;
 - [4S-(4a,12aa)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-9-[[(phénylméthoxy)acétyl]amino]-2-naphtacènecarboxamide;
 - ester éthylique d'acide [7S-(7α,10aα)]-[[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]amino]oxoacétique;
 - [4S-(4α,12aα)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11;12a-octahydro-3,10,12,12a-tétrahydroxy-9-[(hydroxyacétyl)amino]-1,11-dioxo-2-naphtacènecarboxamide;
 - chlorhydrate de [4S-(4α,12aα)]-4,7-bis(diméthylamino)-9-[[(méthylamino)acétyl]amino]-1,4,4a,5,5a, 6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - sulfate de [4S-(4α,12aα)]-4-(diméthylamino)-9-(acétylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-7-iodo-1,11-dioxo-2-naphtacènecarboxamide;
 - ester méthylique d'acide [7S-(7α,10aα)]-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,
 12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]carbamique;
 - ester (2-diéthylamino)éthylique d'acide [7S-(7α,10aα)]-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,
 7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]carbamique;
 - ester éthénylique d'acide [7S-(7α,10aα)]-[9-(aminocarbonyl) -4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,
 12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]carbamique;
 - ester 2-propénylique d'acide [7S-(7α,10aα)]-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,
 12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]carbamique;
 - sulfate de [4S- (4α,12aα)]-4,7-bis (diméthylamino)-9-[[(diméthylamino)acétyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3, 10,12, 12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - chlorhydrate de [4S-(4α,12aα)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahy-droxy-9-[(méthoxyacétyl)amino]-1,11-dioxo-2-naphtacènecarboxamide;
 - sulfate de [4S-(4α,12aα)]-9-[(4-bromo-1-oxobutyl)amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octa-hydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
- 45 [4S-(4α,12aα)]-9-[(acétyloxy)acétyl]amino]-4,7-bis-(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - sulfate de [4S-(4α,12aα)]-9-(benzoylamino)-4,7-bis-(diméthylamino) -1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - chlorhydrate de [4S-(4α,12aα)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-9-[[3-(trifluorométhyl)benzoyl]amino]-2-naphtacènecarboxamide;
 - [4S-(4α,12aα)]-9-[(4-aminobenzoyl)amino]-4,7-bis-(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - chlorhydrate de [4S-(4α,12aα)]-4,7-bis(diméthylamino)-9-[[(4-diméthylamino)benzoyl]amino]-1,4,4a,5,5a,
 6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
- chlorhydrate d'ester 1,1-diméthyléthylique d'acide [7S-(7α,10aα)]-[2-[[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy- 10,12-dioxo-2-naphtacényl]amino]-2-oxoéthyl]carbamique ;
 - [4S-(4α,12aα)]-9-[(aminoacétyl)amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-

tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;

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- chlorhydrate de [4S-(4α,12aα)]-4,7-bis(diméthylamino)-9-[(éthylsulfonyl)amino]-1,4,4a,5,5a,6,11,12a-octa-hydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
- sulfate de [4S-(4\alpha,12a\alpha)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[(méthanesulfonyl)amino]-1,11-dioxo-2-naphtacènecarboxamide;
- chlorhydrate de [4S-(4\alpha,12a\alpha)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-9-[[(phénylméthoxy)acétyl]amino]-2-naphtacènecarboxamide;
- sulfate de [4S-(4α,12aα)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[(hydroxyacétyl)amino]-1,11-dioxo-2-naphtacènecarboxamide;
- [4S-(4α,12aα)]-4-(diméthylamino)-9-(acétylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahy-droxy-7-iodo-1,11-dioxo-2-naphtacènecarboxamide;
 - [4S-(4α,12aα)]-4,7-bis(diméthylamino)-9-[(diméthylamino)acétyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - sulfate d'ester méthylique d'acide [7S-(7α,10aα)]-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a, 7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]carbamique;
 - chlorhydrate d'ester (2-diéthylamino)éthylique d'acide [7S-(7α,10aα)]-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]carbamique ;
 - sulfate d'ester éthénylique d'acide [7S-(7α,10aα)]-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,
 7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]carbamique;
 - chlorhydrate d'ester 2-propénylique d'acide [7S-(7α,10aα)]-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a, 6,6a,7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]carbamique ;
 - sulfate de [4S-(4α,12aα)]-4,7-bis(diméthylamino)-9-[[(diéthylamino) acétyl] amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - chlorhydrate de [4S-(4α, 12aα)]-4,7-bis(diméthylamino)-9-[[(diéthylamino)acétyl]amino] -1,4,4a,5,5a,
 6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - [4S-(4α,12aα)]-4,7-bis(diméthylamino)-9-[[(diéthylamino)acétyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - dichlorhydrate de [4S-(4α,12aα)]-4,7-bis(diméthylamino)-9-[[(diméthylamino)acétyl]amino]-1,4,4a,5,5a,
 6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
- [4S-(4α,12aα)]-4,7-bis(diméthylamino)-9-(chloroacétylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1, 11-dioxo-2-naphtacènecarboxamide;
 - dichlorhydrate de [4S-(4α,12aα)]-9-[(chloroacétyl)amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octa-hydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - dichlorhydrate de [4S-(4α,12aα)]-9-[(bromoacétyl)amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octa-hydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - [4S-(4α,12aα)]-9-[(bromoacétyl)amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide (base libre);
 - monobromhydrate de [4S-(4α,12aα)]-9-[(bromoacétyl)amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3.10.12.12a-tétrahydroxy-1.11-dioxo-2-naphtacènecarboxamide:
 - bromhydrate de [4S-(4α,12aα)]-9-[(2-bromo-1-oxopropyl)-amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a, 6.11.12a-octahydro-3.10.12.12a-tétrahydroxy-1.11-dioxo-2-naphtacènecarboxamide:
 - bromhydrate de [4S-(4α,12aα)]-9-[(2-bromo-1-oxopropyl)-amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a, 6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - dichlorhydrate de [4S-(4α,12aα)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétra-hydroxy-9-[[(méthylamino)acétyl]amino]-1,11-dioxo-2-naphtacènecarboxamide;
 - dichlorhydrate de [7S-(7α,10aα)]-N-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octa-hydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]-4-morpholineacétamide;
 - dichlorhydrate de [4S-(4α,12aα]-4,7-bis(diméthylamino)-9-[[(éthylamino)acétyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - dichlorhydrate de [4S-(4α,12aα)]-9-[[(cyclopropylamino)-acétyl]amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a, 6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - dichlorhydrate de [4S-(4α,12aα)]-4,7-bis(diméthylamino)-9-[[(butylamino)acétyl]amino]-1,4,4a,5,5a, 6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - dichlorhydrate de [4S-(4α,12aα)]-9-[[(diéthylamino)-acétyl]amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a, 6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
 - dichlorhydrate de [7S-(7α,10aα)]-N-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octa-hydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]-1-pyrrolidineacétamide;
 - dichlorhydrate de [4S-(4α,12aα)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétra-

hydroxy-9-[[(2-méthylpropyl)amino]acétyl]amino]-1,11-dioxo-2-naphtacènecarboxamide;

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- dichlorhydrate de [7S-(7α,10aα)]-N-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octa-hydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]-1-pipéridineacétamide;
- dichlorhydrate de [7S-(7α,10aα)]-N-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octa-hydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]-1H-imidazole-1-acétamide;
- dichlorhydrate de [4S-(4α,12aα)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétra-hydroxy-1,11-dioxo-9-[[(propylamino)acétyl]amino]-2-naphtacènecarboxamide;
- [4S-(4α,12aα)]-4,7-bis(diméthylamino)-9-[[(diméthylamino)acétyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
- dichlorhydrate de [4S-(4α,12aα)]-4,7-bis(diméthylamino)-9- [[(hexylamino)acétyl]amino]-1,4,4a,5,5a, 6,11,12a-octahydro-3, 10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
- dichlorhydrate de [4S-(4α,12aα)]-4,7-bis(diméthylamino)-9-[[2-(diméthylamino)-1-oxopropyl]amino]-1,4,4a, 5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
- dichlorhydrate de [4S-(4α,12aα)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétra-hydroxy-9-[[2-(méthylamino)-1-oxopropyl]amino]-1,11-dioxo-2-naphtacènecarboxamide;
- dichlorhydrate de [7S-(7α,10aα)]-N-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octa-hydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]-α-méthyl-1-pyrrolidineacétamide;
- dichlorhydrate de [48-(4α,12aα)]-4,7-bis(diméthylamino)-9-[[4-(diméthylamino)-1-oxobutyl]amino]-1,4,4a,
 5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
- dichlorhydrate de [4S-(4α,12aα)]-9-[[(butylméthylamino)-acétyl]amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,
 6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide;
- dichlorhydrate de [4S- $(4\alpha,12a\alpha)$]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétra-hydroxy-1,11-dioxo-9-[[(pentylamino)acétyl]amino] -2-naphtacènecarboxamide;
- dichlorhydrate de [4S-(4α,12aα)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétra-hydroxy-1,11-dioxo-9-[[[(phénylméthyl)amino]acétyl]amino]-2-naphtacènecarboxamide;
- [7S-(7α,10aα)]-N-[2-[[9-(aminocarbonyl)-4,7-bis(diméthyl-amino)-5,5a,6,6a,7,10a,12-octahydro-1,8,10a, 11-tétrahydroxy-10,12-dioxo-2-naphtacényl]amino]-2-oxoéthyl]glycine;
- [4S-(4a,12aa)]-4,7-bis(diméthylamino)-9-[[(diméthylamino)acétyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-N- (1-pyrrolidinylméthyl)-2-naphtacènecarboxamide;
- [4S-(4α,12aα)]-4,7-bis(diméthylamino)-9-[[(diméthylamino)acétyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-N-(4-morpholinylméthyl)-2-naphtacènecarboxamide;
- [4S-(4\alpha,12a\alpha)]-4,7-bis(dim\u00e9thylamino)-9-[[(dim\u00e9thylamino)ac\u00e9tyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-t\u00e9trahydroxy-1,11-dioxo-N-(1-pip\u00e9ridinylm\u00e9thyl)-2-naphtac\u00e9necarboxamide;
- [7S-(7α, 10aα)]-N-[9-(aminocarbonyl-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a, 11-tétradroxy-10,12-dioxo-2-naphtacényl]-1-azétidineacétamide;
- chlorhydrate de [4S-(4α, 12aα)]-9-[[(cyclobutylamino)-acétyl]amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,
 6.11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènecarboxamide.
- Procédé selon la revendication 6, pour la production de sulfate de [4S-(4α,12aα)]-4-(diméthylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-7-nitro-1,11-dioxo-2-naphtacènecarboxamide.
 - 13. Procédé de préparation d'une composition pharmaceutique comprenant un composé pouvant être obtenu par le procédé selon la revendication 1, ledit procédé comprenant l'étape de mise en association d'un composé tel que défini dans la revendication 1 avec un support pharmaceutiquement acceptable.